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A solid-phase synthetic method for 3,4-dihydro-1*H*-2,1-benzothiazin-4-one 2,2-dioxide derivatives

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ABSTRACT

Utilizing polymer-bound anthranilic acid derivatives, we were able to obtain 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives through N-methanesulfonylation by use of sulfonyl chloride, sulfonic acid, or sodium sulfonate, N-alkylation under Mitsunobu condition, and the cyclative cleavage in 8–52% five-step overall isolated yields and 91–99% purities from Wang resin. The reactions on solid phase were monitored by on-bead ATR-FTIR spectroscopic method and checked by help of solution-phase model experiments.

1. Introduction

Sulfonamides are prevalent structural features of many pharmaceutical agents.[1] The corresponding cyclic sulfonamides have therefore been pursued as potential pharmaceutical scaffolds in their own right. Among the variety of cyclic sulfonamides, 3,4-dihydro-1*H*-2,1-benzothiazin-4-one 2,2-dioxide derivatives **1**[2] have been far less explored compared to the analogous, positionally isomeric 3,4-dihydro-2*H*-1,2-benzothiazin-4-one 1,1-dioxide derivatives, among which Meloxicam (**2a**) and Piroxicam (**2b**)[3] are commercially successful NSAIDs (nonsteroidal anti-inflammatory drugs) (Fig. 1). Although some 1*H*-2,1-benzothiazine 2,2-dioxide derivatives were recently reported to have biological activities such as IL-8 receptor antagonistic,[4a] 5-HT receptor antagonistic,[4b] factor Xa inhibitory,[4c] and reverse transcriptase (RT) inhibitory activities[4d] (Fig. 1), the reports have been rare on the biological relevance of the corresponding 4-oxo derivatives **1** as core structure.

Solid-phase synthesis of combinatorial libraries has emerged as a powerful tool for efficient drug discovery process.[5] In particular, derivation of various core structures with the same or different substituents from a versatile intermediate resin has been an interesting strategy for the construction of small molecule libraries on solid phase, in that varying scaffold as well as substituents might further increase the diversity of the libraries compared to depending on a single scaffold.[6] The strategy has been called skeletal diversity generation in diversity-oriented synthesis (DOS),[6d] combinatorial scaffold approach,[6c] or multiple core structure library approach,[6b] with subtle differences among them in their capacities. From the point of view, we have recently been exploring the potential of resin-bound anthranilic acid derivatives **3** and **4** as versatile intermediates for combinatorial generation of drug-like heterocyclic compound libraries[7] (Fig. 1). Previously, we reported the preparation of the intermediate resins **3** and **4** and the solid-phase synthesis of 2-cyanoquinazolin-4(3*H*)-ones **5** and **5′**, 2,3-dihydrooxazolo[2,3-*b*]quinazolin-5-ones **6**,[7b] and 4-hydroxyquinolin-2(1*H*)-ones **7**[7a] from the resins. In connection with our target-based drug discovery project for antidiabetic agents, we needed to establish the efficient synthetic methods for various cyclic sulfonamide derivatives for the generation of promising hit series. Herein we would like to present the solid-phase synthetic method for 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives **1** from resin-bound anthranilic acid derivatives **3** together with the solution-phase model study and the monitoring of the solid-phase reactions by on-bead ATR (attenuated total reflection)-FTIR spectroscopy.

The 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide skeleton was synthesized in solution phase by (i) the PPA- or $AlCl_3$-mediated cyclization of *N*-arylsulfamoylacetic acids/chlorides prepared from anilines and chlorosulfonylacetate/chlorosulfonylacetyl chloride,[8a–c] and (ii) the base-mediated cyclization of *N*-sulfonyl anthranilates prepared from the coupling of anthranilates and sulfonyl chlorides[8d–g] or from the copper(II)-catalyzed reaction of diphenyliodonium-2-carboxylate and methanesulfonanilide.[8h] Further derivatization of the skeleton was reported by the treatment with

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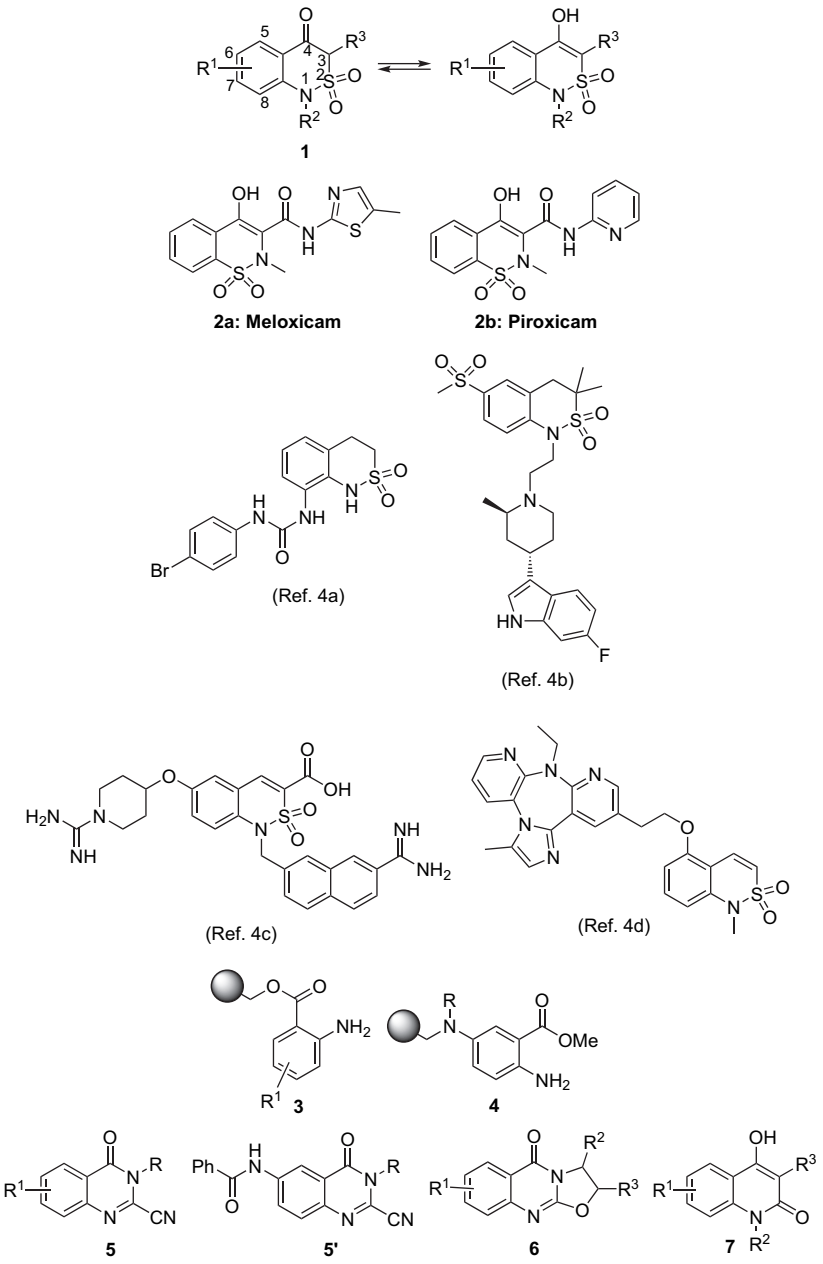


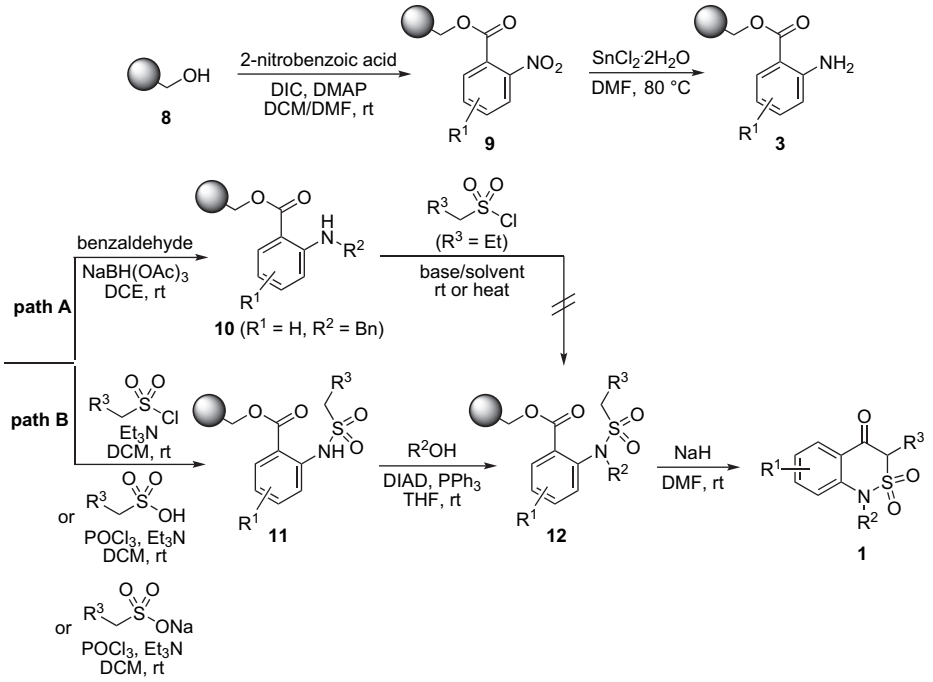
Figure 1.

isocyanates,[8f] the reaction with benzoyl chlorides followed by rearrangement,[8i] and the condensation with benzaldehydes[8c] to give the corresponding 3-carboxamido, 3-benzoyl, and 3-benzylidene derivatives. On the other hand, there has been no report regarding the solid-phase methods for the efficient synthesis of 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives **1** to the best of our knowledge. As an approach to solid-phase synthesis of the derivatives **1**, we envisioned that the resin-bound anthranilic acid derivatives **3** could be adapted to the second solution-phase skeletal construction protocol mentioned above, the base-mediated cyclization of *N*-sulfonyl anthranilates.[9] It would facilitate the purification of intermediates for the introduction of substituents around the skeleton (Scheme 1).

2. Results and discussion

Two pathways for the preparation of the *N*-alkyl-*N*-sulfonyl intermediates **12** were examined from the intermediates **3**: (i) the preparation of the resins **12** by N-alkylation and the subsequent N-sulfonylation of the intermediates **3** (Scheme 1, path A) and (ii) the N-sulfonylation of the resins **3** followed by the N-alkylation for the intermediates **12** (Scheme 1, path B). The resin-bound anthranilic acid derivatives **3** common to the two pathways were prepared from coupling of Wang resin **8** with 2-nitrobenzoic acid (2 equiv) in the presence of DIC (3 equiv) and DMAP (3 equiv) in CH_2Cl_2/DMF (4:1) at rt and subsequent reduction of the resultant resins **9** with $SnCl_2\cdot 2H_2O$ (10 equiv) in DMF at 80 °C (Scheme 1 and Table 1) according to our previously reported procedure.[7a,b]

Treatment of the resin **3a** (R^1=H) with benzaldehyde (3 equiv) under typical reductive alkylation conditions [in the presence of $NaBH(OAc)_3$ (3 equiv) in DCE] at rt gave the *N*-benzylated anthranilate resin **10** (R^1=H, R^2=Bn).[7a] The N-methanesulfonylation of the resin **10** was tried using 1-propanesulfonyl chloride (3 equiv) and some base (3 equiv)/solvent systems such as Py/DCM, TEA/DCM, DIEA/DCM, Py/DMF, *t*-BuOLi/THF, *t*-BuOK/THF, and LHMDS/THF at rt or elevated temperatures. However, *t*-BuOLi/THF, *t*-BuOK/THF,



Scheme 1.

Table 1
Conditions for N-methanesulfonylation of the resin **3a** (R^1=H)

Entry	Conditions	Conversion[a]
1	1-Propanesulfonyl chloride (3 equiv), Py (3 equiv), DCM, rt, 6 h	No
2	1-Propanesulfonyl chloride (3 equiv), Et_3N (3 equiv), DCM, rt, 6 h	Complete
3	1-Propanesulfonyl chloride (3 equiv), DIEA (3 equiv), DCM, rt, 6 h	Complete
4	1-Propanesulfonyl chloride (3 equiv), Et_3N (3 equiv), toluene, rt, 6 h	Complete
5	1-Propanesulfonyl chloride (3 equiv), Et_3N (3 equiv), THF, rt, 6 h	No
6	1-Propanesulfonyl chloride (3 equiv), Et_3N (3 equiv), DMF, rt, 6 h	Complete
7	1-Propanesulfonic acid (3 equiv), $POCl_3$ (3 equiv), Et_3N (6 equiv), DCM, rt, 6 h	Complete
8	Sodium 1-propanesulfonate (3 equiv), $POCl_3$ (3 equiv), Et_3N (3 equiv), DCM, rt, 6 h	Complete
9	PFP[b] 1-propanesulfonate (3 equiv), DBU (3 equiv), THF, 60 °C, 24 h	Incomplete
10	PFP 1-propanesulfonate (3 equiv), Bu_4NCl (3 equiv), Et_3N (3 equiv), $CHCl_3$, 60 °C, 24 h	Incomplete

[a] Judged on the basis of on-bead ATR-FTIR spectrum.
[b] PFP=pentafluorophenyl.

and LHMDS/THF conditions caused only some cleavage of the resin **10**, and the other conditions did not bring any significant change on the ATR-FTIR[10] spectrum of the resin **10**.[11]

Next, we proceeded to the study of solid-phase reactions for the path B using excess reagents for methanesulfonylation and subsequent Mitsunobu reaction starting from the resin **3a** (R^1=H). The sulfonylation conditions using 1-propanesulfonyl chloride (3 equiv) were examined in the presence of pyridine (3 equiv), Et_3N (3 equiv), or DIEA (3 equiv), commonly in DCM at rt. The use of Et_3N (Table 1, entry 2) or DIEA (Table 1, entry 3) resulted in complete reactions in 6 h and gave *N*-(1-propanesulfonyl)anthranilate resin **11b** (R^1=H, R^3=Et), judged on the basis of ATR-FTIR spectrum (vide infra). The result was further checked by the solution-phase model reaction of methyl anthranilate with 1-propanesulfonyl chloride in the presence of Et_3N in DCM at rt in 6 h to give methyl *N*-(1-propanesulfonyl)anthranilate **13** in 80% yield and no bis-sulfonylated product. However, using pyridine (Table 1, entry 1) as a base did not bring any change on the IR spectrum of the resin **3a**. Solvents such as toluene (Table 1, entry 4) and DMF (Table 1, entry 6) gave the same results as that for DCM in the presence of Et_3N at rt, but the use of THF (Table 1, entry 5) solvent under the same conditions resulted in no reaction. On the other hand, considering the limited commercial availability of methanesulfonyl chloride derivatives, the corresponding sulfonic acid and sodium sulfonate[12] were examined as sources of methanesulfonyl group. The reactions of the resin **3a** under the conditions such as 1-propanesulfonic acid (3 equiv)/$POCl_3$ (3 equiv)/Et_3N (6 equiv) (Table 1, entry 7) or sodium 1-propanesulfonate (3 equiv)/$POCl_3$ (3 equiv)/Et_3N (3 equiv) (Table 1, entry 8)[13] commonly in DCM at rt gave the same results as that for 1-propanesufonyl chloride. Additionally, the corresponding PFP (pentafluorophenyl) sulfonate ester (3 equiv) was also examined in the presence of DBU (3 equiv) in THF (Table 1, entry 9) or in the presence of Bu_4NCl (3 equiv) and Et_3N (3 equiv) in $CHCl_3$ (Table 1, entry 10), commonly at reflux.[14] But the reactions were incomplete.

The subsequent reaction of the resin **11b** (R^1=H, R^3=Et) with benzyl alcohol (3 equiv) furnished the corresponding *N*-benzyl derivative resin **12d** (R^1=H, R^2=Bn, R^3=Et) under the Mitsunobu condition (3 equiv DIAD and 3 equiv PPh_3) in THF at rt in 12 h. The N-alkylation step was reproduced for the solution-phase reaction of methyl *N*-(1-propanesulfonyl)anthranilate **13** under the same conditions to afford methyl *N*-benzyl-*N*-(1-propanesulfonyl)anthranilate **14** in 99% yield. Based on the above results, we applied the sulfonylation and Mitsunobu conditions to the resins **3** for the preparation of the variously substituted intermediates **12** as depicted in Scheme 1. The solid-phase reactions to the resins **12** were monitored by ATR-FTIR spectroscopy as exemplified in Figure 2. The resin **11b** (R^1=H, R^3=Et) showed a broad band in the range of ~3000–3500 cm^{-1} instead of two amino bands at 3493 and 3373 cm^{-1} for **3a** (R^1=H) and the intermediate **12d** (R^1=H, R^2=Bn, R^3=Et) exhibited a characteristic band of hydrogen bonding-free ester carbonyl group at 1722 cm^{-1}.

For the final cyclative cleavage[15] step, several bases (3 equiv) were screened for the resin **12f** (R^1=H, R^2=Bn, R^3=Ph) (Table 2). Among the examined conditions, NaH (3 equiv) in DMF at rt gave

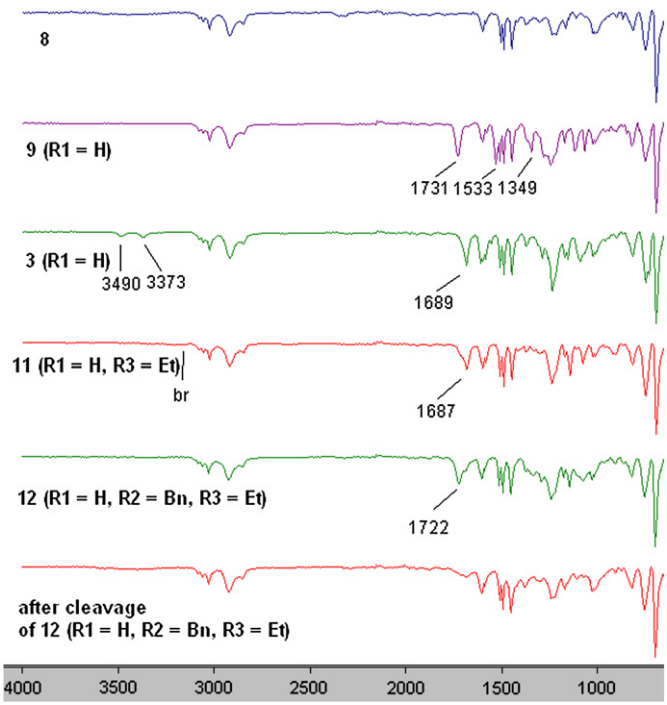


Figure 2.

better result albeit not in high yield (Table 2, entry 10) and similarly the solution-phase model reaction of *N*-benzyl-*N*-(1-propanesulfonyl)anthranilate **14** under the cyclization conditions gave a moderate yield of the compound **1d** (44%) with the formation of some unknown side products. Although not optimal, the cleavage condition (3 equiv NaH, DMF, rt) afforded the corresponding 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives **1** in sufficient quantities for primary screening (4–22 mg) from the various derivative resins **12** (200–300 mg). The yields and purities of the purified products **1** are summarized in Table 3. The derivatives **1a** and **1b** possessing hydrogen as R^3 substituent were obtained in relatively high yields (Table 3, entries 1 and 2) compared to those with alkyl and aryl substituents as the corresponding group (Table 3, entries 3, 4, 7, and 8). As shown by the examples of the entries 8–13 in Table 3, the yields of the cleaved products were dependent on the substituent on the phenyl moiety of R^3 group. The compounds **1y** and **1z** (Table 3, entries 27 and 28) were produced in lower yields, probably due to an unfavorable effect of the substituent at 8 position on the cyclization of **12y** and **12z**, than those having R^1 group at the other positions (Table 3, entries 8, 17,

Table 2
Conditions for cyclative cleavage of the resin **12f** (R^1=H, R^2=Bn, R^3=Ph)

Entry	Conditions	Yield[a]
1	25 wt % of NaOMe in MeOH (3 equiv), THF, 50 °C, 21 h	12
2	25 wt % of NaOMe in MeOH (3 equiv), DMF, 50 °C, 21 h	13
3	25 wt % of NaOMe in MeOH (3 equiv), DMSO, 50 °C, 21 h	10
4	1 M *t*-BuOK in THF (3 equiv), THF, 50 °C, 21 h	11
5	1 M *t*-BuOK in THF (3 equiv), DMF, 50 °C, 21 h	16
6	1 M *t*-BuOK in THF (3 equiv), DMSO, 50 °C, 21 h	5
7	1 M *t*-BuOLi in THF (3 equiv), THF, 50 °C, 21 h	12
8	1 M *t*-BuOLi in THF (3 equiv), DMF, 50 °C, 21 h	17
9	1 M *t*-BuOLi in THF (3 equiv), DMSO, 50 °C, 21 h	13
10	60% dispersion of NaH in mineral oil (3 equiv), DMF, rt, 20 h	21
11	60% dispersion of NaH in mineral oil (3 equiv), DMSO, rt, 20 h	13
12	1 M LHMDS in hexane (3 equiv), THF, −20 °C to rt, 8 h	9
13	0.5 M KHMDS in toluene (3 equiv), THF, −20 °C to rt, 8 h	6
14	1.4 M *s*-BuLi in cyclohexane (3 equiv), THF, −20 °C to rt, 8 h	13
15	1.6 M *n*-BuLi in hexane (3 equiv), THF, −20 °C to rt, 8 h	4

[a] Five-step overall isolated yield from Wang resin (loading capacity 0.92 mmol/g).

Table 3
Yields and purities of the compounds **1**

Entry[c]	Compound	R^1	R^2	R^3	Yield[a] (%)	Purity[b] (%)
1	**1a**	H	Et	H	40	93
2	**1b**	H	Benzyl	H	52	99
3	**1c**	H	Et	Et	11	94
4	**1d**	H	Benzyl	Et	18	99
5[d]	**1d**	H	Benzyl	Et	15	99
6[e]	**1d**	H	Benzyl	Et	19	97
7	**1e**	H	Et	Ph	16	98
8	**1f**	H	Benzyl	Ph	21	97
9	**1g**[f]	H	Benzyl	2-Nitrophenyl	15	98
10[e]	**1h**	H	Benzyl	4-Fluorophenyl	17	99
11[e]	**1i**	H	Benzyl	4-Chlorophenyl	8	99
12[e]	**1j**	H	Benzyl	4-(Trifluoromethyl)phenyl	16	99
13[e]	**1k**	H	Benzyl	4-Nitrophenyl	9	96
14	**1l**	H	4-Methoxybenzyl	Ph	17	92
15	**1m**	H	4-Fluorobenzyl	Ph	20	98
16	**1n**	H	4-Nitrobenzyl	Ph	—	—
17	**1o**	6-MeO	Benzyl	Ph	14	97
18	**1p**	6-MeO	2-Methylbenzyl	Ph	11	96
19	**1q**	6-MeO	3-Fluorobenzyl	Ph	14	99
20	**1r**	6-MeO	Isobutyl	Ph	13	99
21	**1s**	6-Me	Benzyl	Ph	24	99
22	**1t**	6-Cl	Benzyl	Ph	19	98
23	**1u**	6-Cl	Pyridyl-4-methyl	Ph	10	94
24	**1v**	6-Cl	Thienyl-3-methyl	Ph	17	95
25	**1w**	7-F	Benzyl	Ph	15	94
26	**1x**	7-Cl	Benzyl	Ph	25	99
27	**1y**	8-MeO	Benzyl	Ph	9	92
28	**1z**	8-Me	Benzyl	Ph	9	91

[a] Five-step overall isolated yield from Wang resin (loading capacity 0.92 mmol/g).
[b] Determined on the basis of LC–UV(200–400 nm)–MS spectrum of isolated product.
[c] The corresponding sulfonyl chloride under the conditions (Et_3N, DCM, rt: Table 1, entry 2) was used for N-sulfonylation of the resin **3** if not noted otherwise.
[d] From 1-propanesulfonic acid/$POCl_3$/Et_3N/DCM/rt (Table 1, entry 7).
[e] From the corresponding sodium sulfonate/$POCl_3$/Et_3N/DCM/rt (Table 1, entry 8).
[f] The compound was present as enol form in $CDCl_3$. The other compounds exist exclusively as keto forms in the same solvent.

21, 22, 25, and 26). Roughly, the R^2 substituent seemed not to have a critical influence on the success in the final cleavage step. Exceptionally, the resin **12n** (R^1=H, R^2=4-O_2N-Bn, R^3=Ph) gave a complex mixture, probably due to the sensitivity of the 4-nitrobenzylic protons to the base, from which the desired product could not be isolated (Table 3, entry 16).

The compounds **1** are unknown except **1b**,[8d] and all final products **1a**–**m** and **1o**–**z** were obtained as solids and characterized on the basis of ^{1}H NMR, ^{13}C NMR, and LC–UV–MS spectral data. The keto–enol isomeric behavior of the 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives **1** seemed to be governed by R^3 group as implicated in the previous literature.[8a] The derivatives **1a**–**d** with H or Et group at 3-position and the compounds **1e**,**f**, **1h**–**m**, and **1o**–**z** having Ar group as R^3 exist exclusively as keto forms in $CDCl_3$ solution when judged on the basis of their ^{1}H NMR (3-position methylene or methine protons at 3.92–5.36 ppm) and ^{13}C NMR (C-3 and C-4 signals each at 61.8–76.3 and 184.1–188.7 ppm) spectra. Uniquely, the product **1g** with 2-nitrophenyl group as Ar group at 3-position was observed to be present in the enol form in $CDCl_3$, which was supported by the fact that its ^{1}H NMR spectrum showed an hydroxyl proton peak at 8.83 ppm instead of a methine proton peak and there wasn't a keto carbonyl carbon peak on the ^{13}C NMR spectrum. Besides, the 3-carboxamido[8f] and 3-benzoyl[8i] derivatives were reported to exist in the enol forms. On the other hand, the related compounds **7** in Figure 1 existed predominantly as enol forms regardless of the substituents at 3-position as described in our previous report.[7a] The difference between 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxides **1** and 4-hydroxyquinolin-2(1*H*)-ones **7** might be explained in terms of the planarity of sulfonyl or carbonyl group at 2-position with C3–C4 double bond in the enol form. In the case of the derivatives **1**, the sulfonyl group seems not to have a significant influence on the stabilization of enol form because of its tetrahedral geometry.

The progress of the cleavage step was checked by ATR-FTIR spectroscopy as exemplified in Figure 2, where the resins showed the similar fingerprints with the Wang resin **8** after the cleavage reactions. We performed an experiment to test the reusability of the resins generated in the cyclative cleavage step. The resin obtained after the cleavage of **12f** (R^1=H, R^2=Bn, R^3=Ph) was first treated with excess NaOMe/MeOH in THF at rt to detach the possible residual anthranilate on the resin because it showed the similar but unidentical IR spectrum with Wang resin. But the operation didn't make any significant change on the IR spectrum of the resin. Also, the pretreated resin was subjected to the coupling condition with 2-nitrobenzoic acid followed by methanolysis by the use of NaOMe/MeOH in THF at rt, and the cleaved methyl 2-nitrobenzoate was quantified after purification by column chromatography. The yield was about 10-fold lower than expected for freshly used Wang resin. So, the recovered resin was not suitable for being reused in another cycle of the solid-phase reactions.

3. Conclusion

In brief, we were able to establish the solid-phase synthetic method for 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide derivatives **1** utilizing polymer-bound anthranilic acid derivatives **3**. The reactions on solid phase were checked by on-bead ATR-FTIR spectroscopic method and solution-phase model experiments. The method will enable us to efficiently exploit the molecular diversity around 3,4-dihydro-1*H*-2,1-benzothiazine-4-one 2,2-dioxide skeleton. Now we are performing the corresponding library generation using structurally more diverse sulfonylation agents and alcohols. On the other hand, investigation is in progress into efficient methods for other heterocyclic compounds utilizing the resin-bound anthranilic acid derivatives **3** and **4**.

4. Experimental

4.1. General

The Wang resin (loading capacity 0.92 mmol/g, 100–200 mesh) was obtained from NovaBiochem. Most of reagents used were purchased from Sigma–Aldrich. The reagents such as PFP 1-propanesulfonate[14] and sodium sulfonates[16] were prepared by the literature methods. Solvents were purchased from J.T. Baker and were HPLC grade. Reactions, filtration, and washing were carried out on a MiniBlock (Bohdan). Solvent evaporation was performed on a GeneVac Atlas HT-4 centrifugal evaporator. Crude products were purified by parallel chromatography using Quad3™. ATR-FTIR spectra were recorded on TravelIR™ (SensIR Technology) spectrophotometer. ^{1}H NMR and ^{13}C NMR spectra were recorded on a Bruker Avance 500 spectrophotometer. LC–UV–MS analyses were performed on a Waters ZQ mass spectrometer equipped with PDA (200–400 nm) detection using XTerraMS column (C_{18}, 5 M, 4.6×100 mm) from Waters. Typical gradient was 5–95% CH_3CN/H_2O containing 0.1% trifluoroacetic acid.

4.2. Preparation of 2-nitrobenzoate resins 9[7a,b]

*4.2.1. Preparation of 2-nitrobenzoate resin **9a** (R^1=H)*

To a mixture of Wang resin **8** (0.92 mmol/g, 5.00 g, 4.6 mmol) and 2-nitrobenzoic acid (1.54 g, 9.21 mmol) in CH_2Cl_2/DMF (4:1, 60 ml) at rt were added DIC (1.74 g, 13.8 mmol) and DMAP (1.69 g, 13.8 mmol). The mixture was stirred at rt for 9 h. The resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven to give **9a** (5.67 g). On-bead ATR-FTIR 3026, 2922, 1731 (C=O), 1602, 1584, 1533 (NO_2), 1513, 1493, 1452, 1349 (NO_2), 1287, 1247, 1175, 1122, 1070, 1029, 1016, 856, 824, 756, 697 cm^{-1}.

*4.2.2. Preparation of 5-methoxy-2-nitrobenzoate resin **9b** (R^1=5-MeO)*

The resin **9b** (5.62 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3026, 2921, 1737 (C=O), 1678, 1584, 1513 (NO_2), 1492, 1452, 1338 (NO_2), 1293, 1228, 1175, 1128, 1063, 1027, 823, 755, 697 cm^{-1}.

*4.2.3. Preparation of 5-methyl-2-nitrobenzoate resin **9c** (R^1=5-Me)*

The resin **9c** (5.72 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3025, 2920, 1733 (C=O), 1601, 1591, 1527 (NO_2), 1513, 1493, 1452, 1346 (NO_2), 1288, 1254, 1200, 1175, 1156, 1129, 1068, 1028, 1016, 946, 907, 824, 749, 696 cm^{-1}.

*4.2.4. Preparation of 5-chloro-2-nitrobenzoate resin **9d** (R^1=5-Cl)*

The resin **9d** (5.82 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3026, 2922, 1737 (C=O), 1602, 1573, 1534 (NO_2), 1513, 1493, 1452, 1344 (NO_2), 1266, 1244, 1176, 1132, 1068, 1028, 826, 755, 736, 697 cm^{-1}.

*4.2.5. Preparation of 4-fluoro-2-nitrobenzoate resin **9e** (R^1=4-F)*

The resin **9e** (2.29 g) was prepared from Wang resin **8** (0.92 mmol/g, 2.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3026, 2920, 1732 (C=O), 1612, 1586, 1544(NO_2), 1513, 1493, 1452, 1367 (NO_2), 1264, 1223, 1176, 1110, 1060, 1028, 1017, 943, 907, 875, 820, 808, 755, 697 cm^{-1}.

*4.2.6. Preparation of 4-chloro-2-nitrobenzoate resin **9f** (R^1=4-Cl)*

The resin **9f** (5.81 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described

for **9a**. On-bead ATR-FTIR 3025, 2921, 1738 (C=O), 1601, 1574, 1543 (NO_2), 1512, 1492, 1452, 1349 (NO_2), 1276, 1243, 1175, 1155, 1128, 1101, 1063, 1028, 1016, 879, 824, 756, 697 cm^{-1}.

4.2.7. Preparation of 3-methoxy-2-nitrobenzoate resin ***9g*** *(R^1=3-MeO)*

The resin **9g** (5.55 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3025, 2919, 1728 (C=O), 1602, 1583, 1546 (NO_2), 1512, 1492, 1476, 1452, 1372 (NO_2), 1290, 1245, 1225, 1192, 1176, 1159, 1120, 1056, 1030, 1014, 960, 907, 852, 822, 753, 697 cm^{-1}.

4.2.8. Preparation of 3-methyl-2-nitrobenzoate resin ***9h*** *(R^1=3-Me)*

The resin **9h** (5.72 g) was prepared from Wang resin **8** (0.92 mmol/g, 5.00 g) following the same procedure as described for **9a**. On-bead ATR-FTIR 3025, 2920, 1729 (C=O), 1602, 1584, 1540 (NO_2), 1513, 1492, 1452, 1370 (NO_2), 1280, 1245, 1225, 1176, 1157, 1114, 1072, 1027, 1016, 960, 907, 851, 821, 757, 696 cm^{-1}.

4.3. Preparation of anthranilate resins 3[7a,b]

4.3.1. Preparation of anthranilate resin ***3a*** *(R^1=H)*

A mixture of the resin **9a** (5.00 g, theoretically 4.1 mmol) and $SnCl_2 \cdot 2H_2O$ (9.16 g, 40.6 mmol) in DMF (60 ml) was stirred at 80 °C for 16 h and the resin was filtered, washed several times with DMF and MeOH, and dried in a vacuum oven to give **3a** (4.77 g). On-bead ATR-FTIR 3490 (NH_2), 3373 (NH_2), 3026, 2922, 1689 (C=O), 1614, 1602, 1586, 1560, 1512, 1492, 1452, 1375, 1291, 1240, 1173, 1161, 1096, 1028, 1016, 822, 751, 737, 697 cm^{-1}.

4.3.2. Preparation of 5-methoxyanthranilate resin ***3b*** *(R^1=5-MeO)*

The resin **3b** (5.25 g) was prepared from the resin **9b** (5.44 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3482 (NH_2), 3374 (NH_2), 3026, 2922, 1691 (C=O), 1600, 1585, 1564, 1511, 1493, 1452, 1376, 1284, 1215, 1173, 1069, 1029, 1016, 821, 756, 696 cm^{-1}.

4.3.3. Preparation of 5-methylanthranilate resin ***3c*** *(R^1=5-Me)*

The resin **3c** (4.80 g) was prepared from the resin **9c** (5.00 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3489 (NH_2), 3369 (NH_2), 3025, 2919, 1688 (C=O), 1600, 1589, 1562, 1512, 1493, 1452, 1421, 1375, 1308, 1289, 1245, 1199, 1174, 1162, 1087, 1028, 1004, 905, 817, 791, 756, 696 cm^{-1}.

4.3.4. Preparation of 5-chloroanthranilate resin ***3d*** *(R^1=5-Cl)*

The resin **3d** (5.34 g) was prepared from the resin **9d** (5.78 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3489 (NH_2), 3374 (NH_2), 3026, 2921, 1693 (C=O), 1613, 1601, 1556, 1512, 1492, 1452, 1376, 1288, 1223, 1174, 1121, 1079, 1028, 1016, 819, 757, 737, 697 cm^{-1}.

4.3.5. Preparation of 4-fluoroanthranilate resin ***3e*** *(R^1=4-F)*

The resin **3e** (1.87 g) was prepared from the resin **9e** (2.00 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3489 (NH_2), 3361 (NH_2), 3025, 2920, 1689 (C=O), 1623, 1614, 1601, 1585, 1511, 1493, 1452, 1423, 1376, 1304, 1243, 1175, 1156, 1124, 1083, 1028, 1016, 984, 965, 907, 823, 757, 737, 696 cm^{-1}.

4.3.6. Preparation of 4-chloroanthranilate resin ***3f*** *(R^1=4-Cl)*

The resin **3f** (5.38 g) was prepared from the resin **9f** (5.78 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3486 (NH_2), 3371 (NH_2), 3025, 2920, 1689 (C=O), 1612, 1601, 1584, 1552, 1511, 1493, 1452, 1426, 1377, 1297, 1236, 1174, 1152, 1091, 1028, 1016, 906, 821, 757, 736, 697 cm^{-1}.

4.3.7. Preparation of 3-methoxyanthranilate resin ***3g*** *(R^1=3-MeO)*

The resin **3g** (5.30 g) was prepared from the resin **9g** (5.47 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3497 (NH_2), 3375 (NH_2), 3025, 2921, 1687 (C=O), 1613, 1602, 1586, 1548, 1511, 1492, 1477, 1452, 1376, 1299, 1272, 1237, 1224, 1174, 1160, 1081, 1053, 1029, 1017, 906, 821, 745, 697 cm^{-1}.

4.3.8. Preparation of 3-methylanthranilate resin ***3h*** *(R^1=3-Me)*

The resin **3h** (4.57 g) was prepared from the resin **9h** (5.00 g) following the same procedure as described for **3a**. On-bead ATR-FTIR 3493 (NH_2), 3369 (NH_2), 3025, 2921, 1688 (C=O), 1612, 1601, 1585, 1569, 1511, 1493, 1452, 1377, 1298, 1278, 1240, 1173, 1156, 1082, 1028, 1016, 906, 821, 750, 696 cm^{-1}.

4.4. Preparation of *N*-(methanesulfonyl)anthranilate resins 11

4.4.1. Preparation of N-(methanesulfonyl)anthranilate resin ***11a*** *(R^1=H, R^3=H)*

To a mixture of the anthranilate resin **3a** (1.00 g, theoretically 0.85 mmol) and Et_3N (258 mg, 2.55 mmol) in CH_2Cl_2 (15 ml) at rt was added methanesulfonyl chloride (292 mg, 2.55 mmol). The mixture was stirred at rt for 12 h. The resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven to give **11a** (1.03 g). On-bead ATR-FTIR 3025, 2922, 1686 (C=O), 1602, 1584, 1512, 1492, 1452, 1372, 1344, 1248, 1154, 1079, 1028, 1016, 964, 909, 823, 754, 697 cm^{-1}.

4.4.2. Preparation of N-(1-propanesulfonyl)anthranilate resin ***11b*** *(R^1=H, R^3=Et) using 1-propanesulfonyl chloride*

The resin **11b** (2.07 g) was prepared from the resin **3a** (2.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2922, 1687 (C=O), 1602, 1584, 1512, 1492, 1452, 1375, 1337, 1310, 1243, 1174, 1149, 1079, 1028, 1016, 908, 823, 753, 696 cm^{-1}.

4.4.3. Preparation of N-(1-propanesulfonyl)anthranilate resin ***11b*** *(R^1=H, R^3=Et) using 1-propanesulfonic acid*

To a mixture of the anthranilate resin **3a** (500 mg, theoretically 0.43 mmol) and Et_3N (258 mg, 2.55 mmol) in CH_2Cl_2 (25 ml) at rt were added 1-propanesulfonic acid (159 mg, 1.28 mmol) and $POCl_3$ (195 mg, 1.28 mmol). The mixture was stirred at rt for 12 h. The resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven to give **11b** (513 mg).

4.4.4. Preparation of N-(1-propanesulfonyl)anthranilate resin ***11b*** *(R^1=H, R^3=Et) using sodium 1-propanesulfonate*

To a mixture of the anthranilate resin **3a** (500 mg, theoretically 0.43 mmol) and Et_3N (130 mg, 1.28 mmol) in CH_2Cl_2 (25 ml) at rt were added sodium 1-propanesulfonate (209 mg, 1.28 mmol) and $POCl_3$ (195 mg, 1.28 mmol). The mixture was stirred at rt for 12 h. The resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven to give **11b** (506 mg).

4.4.5. Preparation of N-(phenylmethanesulfonyl)anthranilate resin ***11c*** *(R^1=H, R^3=Ph)*

The resin **11c** (2.13 g) was prepared from the resin **3a** (2.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2922, 1688 (C=O), 1602, 1584, 1512, 1492, 1452, 1376, 1346, 1303, 1243, 1173, 1154, 1079, 1028, 1016, 927, 909, 823, 754, 737, 696 cm^{-1}.

4.4.6. Preparation of N-[(2-nitrophenyl)methanesulfonyl]-anthranilate resin ***11d*** *(R^1=H, R^3=2-O_2N-phenyl)*

The resin **11d** (533 mg) was prepared from the resin **3a** (500 mg) following the same procedure as described for **11a**. On-bead ATR-FTIR 3025, 2920, 1686 (C=O), 1602, 1584, 1530 (NO_2), 1492, 1512,

1492, 1452, 1347 (NO_2), 1309, 1245, 1172, 1147, 1081, 1028, 1017, 933, 860, 822, 753, 737, 696 cm^{-1}.

*4.4.7. Preparation of N-[(4-fluorophenyl)methanesulfonyl]-anthranilate resin **11e** (R^1=H, R^3=4-F-phenyl)*

The resin **11e** (503 mg) was prepared from the resin **3a** (500 mg) following the same procedure as described for **11b** using sodium 1-propanesulfonate. On-bead ATR-FTIR 3026, 2921, 1687 (C=O), 1602, 1585, 1511, 1493, 1452, 1375, 1264, 1241, 1174, 1080, 1028, 1016, 908, 822, 754, 736, 697 cm^{-1}.

*4.4.8. Preparation of N-[(4-chlorophenyl)methanesulfonyl]-anthranilate resin **11f** (R^1=H, R^3=4-Cl-phenyl)*

The resin **11f** (507 mg) was prepared from the resin **3a** (500 mg) following the same procedure as described for **11b** using sodium 1-propanesulfonate. On-bead ATR-FTIR 3026, 2921, 1688 (C=O), 1602, 1584, 1511, 1493, 1452, 1374, 1263, 1242, 1174, 1154, 1080, 1028, 1016, 907, 822, 753, 736, 696 cm^{-1}.

*4.4.9. Preparation of N-{[(4-trifluoromethyl)phenyl]methanesulfonyl}anthranilate resin **11g** (R^1=H, R^3=4-F_3C-phenyl)*

The resin **11g** (543 mg) was prepared from the resin **3a** (500 mg) following the same procedure as described for **11b** using sodium 1-propanesulfonate. On-bead ATR-FTIR 3026, 2921, 1686 (C=O), 1602, 1584, 1512, 1492, 1452, 1421, 1378, 1324, 1247, 1156, 1129, 1106, 1080, 1067, 1019, 928, 824, 755, 736, 697 cm^{-1}.

*4.4.10. Preparation of N-[(4-nitrophenyl)methanesulfonyl]-anthranilate resin **11h** (R^1=H, R^3=4-O_2N-phenyl)*

The resin **11h** (544 mg) was prepared from the resin **3a** (500 mg) following the same procedure as described for **11b** using sodium 1-propanesulfonate. On-bead ATR-FTIR 3025, 2921, 1684 (C=O), 1602, 1585, 1523 (NO_2), 1512, 1492, 1452, 1379, 1347 (NO_2), 1305, 1246, 1174, 1156, 1108, 1080, 1028, 1016, 930, 856, 823, 755, 736, 696 cm^{-1}.

*4.4.11. Preparation of 5-methoxy-N-(phenylmethanesulfonyl)-anthranilate resin **11i** (R^1=5-MeO, R^3=Ph)*

The resin **11i** (2.09 g) was prepared from the resin **3b** (2.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2920, 1689 (C=O), 1602, 1585, 1512, 1493, 1452, 1376, 1346, 1284, 1219, 1174, 1155, 1071, 1029, 1016, 907, 822, 756, 696 cm^{-1}.

*4.4.12. Preparation of 5-methyl-N-(phenylmethanesulfonyl)-anthranilate resin **11j** (R^1=5-Me, R^3=Ph)*

The resin **11j** (1.10 g) was prepared from the resin **3c** (1.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3025, 2922, 1686 (C=O), 1601, 1585, 1512, 1493, 1452, 1400, 1377, 1344, 1307, 1246, 1204, 1174, 1160, 1113, 1077, 1028, 1017, 946, 907, 875, 822, 791, 757, 736, 696 cm^{-1}.

*4.4.13. Preparation of 5-chloro-N-(phenylmethanesulfonyl)-anthranilate resin **11k** (R^1=5-Cl, R^3=Ph)*

The resin **11k** (2.07 g) was prepared from the resin **3d** (2.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2922, 1693 (C=O), 1602, 1584, 1512, 1492, 1452, 1397, 1378, 1346, 1303, 1234, 1174, 1156, 1112, 1076, 1028, 1016, 906, 822, 756, 696 cm^{-1}.

*4.4.14. Preparation of 4-fluoro-N-(phenylmethanesulfonyl)-anthranilate resin **11l** (R^1=4-F, R^3=Ph)*

The resin **11l** (534 mg) was prepared from the resin **3e** (500 mg) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2921, 1687 (C=O), 1601, 1511, 1493, 1452, 1376, 1349, 1318, 1248, 1225, 1174, 1152, 1127, 1079, 1028, 1016, 1000, 906, 822, 755, 696 cm^{-1}.

*4.4.15. Preparation of 4-chloro-N-(phenylmethanesulfonyl)-anthranilate resin **11m** (R^1=4-Cl, R^3=Ph)*

The resin **11m** (3.10 g) was prepared from the resin **3f** (3.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2920, 1687 (C=O), 1600, 1584, 1572, 1512, 1492, 1452, 1398, 1378, 1346, 1308, 1239, 1174, 1155, 1105, 1075, 1029, 1016, 944, 918, 877, 823, 757, 696 cm^{-1}.

*4.4.16. Preparation of 3-methoxy-N-(phenylmethanesulfonyl)-anthranilate resin **11n** (R^1=3-MeO, R^3=Ph)*

The resin **11n** (1.03 g) was prepared from the resin **3g** (1.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3025, 2919, 1722, 1691 (C=O), 1602, 1584, 1512, 1492, 1452, 1376, 1339, 1301, 1244, 1174, 1154, 1112, 1057, 1028, 1017, 906, 965, 822, 753, 696 cm^{-1}.

*4.4.17. Preparation of 3-methyl-N-(phenylmethanesulfonyl)-anthranilate resin **11o** (R^1=3-Me, R^3=Ph)*

The resin **11o** (1.02 g) was prepared from the resin **3h** (1.00 g) following the same procedure as described for **11a**. On-bead ATR-FTIR 3026, 2922, 1722, 1688 (C=O), 1602, 1584, 1511, 1492, 1452, 1377, 1301, 1265, 1241, 1174, 1154, 1112, 1070, 1028, 1016, 964, 906, 822, 755, 736, 696 cm^{-1}.

4.5. Preparation of *N*-alkyl-*N*-(methanesulfonyl)anthranilate resins 12

*4.5.1. Preparation of N-ethyl-N-(methanesulfonyl)anthranilate resin **12a** (R^1=H, R^2=Et, R^3=H)*

To a mixture of diisopropyl azodicarboxylate (145 mg, 0.717 mmol) and triphenylphosphine (188 mg, 0.717 mmol) in THF (3 ml) at rt were added the resin **11a** (300 mg, theoretically 0.24 mmol) and ethyl alcohol (33 mg, 0.72 mmol). The mixture was stirred at rt for 12 h. The resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven to give **12a** (298 mg). On-bead ATR-FTIR 3026, 2923, 1722 (C=O), 1601, 1584, 1512, 1492, 1452, 1373, 1340, 1289, 1241, 1170, 1145, 1097, 1064, 1028, 1016, 963, 908, 824, 757, 697 cm^{-1}.

*4.5.2. Preparation of N-benzyl-N-(methanesulfonyl)anthranilate resin **12b** (R^1=H, R^2=benzyl, R^3=H)*

The resin **12b** (318 mg) was prepared from the resin **11a** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1722 (C=O), 1601, 1584, 1512, 1493, 1452, 1372, 1339, 1289, 1243, 1174, 1151, 1078, 1028, 1016, 958, 909, 823, 755, 697 cm^{-1}.

*4.5.3. Preparation of N-ethyl-N-(1-propanesulfonyl)anthranilate resin **12c** (R^1=H, R^2=Et, R^3=Et)*

The resin **12c** (302 mg) was prepared from the resin **11b** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1722 (C=O), 1601, 1585, 1512, 1492, 1452, 1374, 1335, 1290, 1241, 1174, 1141, 1098, 1064, 1028, 1016, 908, 823, 755, 697 cm^{-1}.

*4.5.4. Preparation of N-benzyl-N-(1-propanesulfonyl)anthranilate resin **12d** (R^1=H, R^2=benzyl, R^3=Et) from the resin **11b** prepared using 1-propanesulfonyl chloride*

The resin **12d** (316 mg) was prepared from the resin **11b** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1722 (C=O), 1601, 1585, 1512, 1493, 1452, 1373, 1331, 1290, 1242, 1175, 1147, 1078, 1028, 1016, 909, 823, 754, 697 cm^{-1}.

4.5.5. Preparation of N-benzyl-N-(1-propanesulfonyl)anthranilate resin ***12d*** *(R^1=H, R^2=benzyl, R^3=Et) from the resin* ***11b*** *prepared using 1-propanesulfonic acid*

The resin **12d** (306 mg) was prepared from the resin **11b** (300 mg) following the same procedure as described for **12a**.

4.5.6. Preparation of N-benzyl-N-(1-propanesulfonyl)anthranilate resin ***12d*** *(R^1=H, R^2=benzyl, R^3=Et) from the resin* ***11b*** *prepared using sodium 1-propanesulfonate*

The resin **12d** (303 mg) was prepared from the resin **11b** (300 mg) following the same procedure as described for **12a**.

4.5.7. Preparation of N-ethyl-N-(phenylmethanesulfonyl)-anthranilate resin ***12e*** *(R^1=H, R^2=Et, R^3=Ph)*

The resin **12e** (304 mg) was prepared from the resin **11c** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1722 (C=O), 1601, 1585, 1512, 1492, 1452, 1373, 1345, 1289, 1240, 1173, 1097, 1064, 1029, 1016, 908, 823, 755, 696 cm^{-1}.

4.5.8. Preparation of N-benzyl-N-(phenylmethanesulfonyl)-anthranilate resin ***12f*** *(R^1=H, R^2=benzyl, R^3=Ph)*

The resin **12f** (309 mg) was prepared from the resin **11c** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1722 (C=O), 1601, 1584, 1512, 1493, 1452, 1373, 1346, 1288, 1242, 1174, 1152, 1133, 1077, 1028, 1016, 907, 823, 754, 696 cm^{-1}.

4.5.9. Preparation of N-benzyl-N-[(2-nitrophenyl)methane-sulfonyl]anthranilate resin ***12g*** *(R^1=H, R^2=benzyl, R^3=2-O_2N-phenyl)*

The resin **12g** (508 mg) was prepared from the resin **11d** (500 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1720 (C=O), 1602, 1583, 1530 (NO_2), 1512, 1492, 1452, 1348 (NO_2), 1290, 1243, 1173, 1153, 1078, 1028, 1017, 963, 907, 863, 822, 754, 734, 696 cm^{-1}.

4.5.10. Preparation of N-benzyl-N-[(4-fluorophenyl)methane-sulfonyl]anthranilate resin ***12h*** *(R^1=H, R^2=benzyl, R^3=4-F-phenyl)*

The resin **12h** (457 mg) was prepared from the resin **11e** (450 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2919, 1720 (C=O), 1602, 1585, 1511, 1493, 1452, 1374, 1290, 1240, 1226, 1174, 1095, 1074, 1028, 1016, 907, 821, 752, 696 cm^{-1}.

4.5.11. Preparation of N-benzyl-N-[(4-chlorophenyl)methane-sulfonyl]anthranilate resin ***12i*** *(R^1=H, R^2=benzyl, R^3=4-Cl-phenyl)*

The resin **12i** (456 mg) was prepared from the resin **11f** (450 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3025, 2919, 1720 (C=O), 1601, 1584, 1511, 1493, 1452, 1373, 1241, 1225, 1174, 1093, 1028, 1016, 907, 822, 751, 696 cm^{-1}.

4.5.12. Preparation of N-benzyl-N-{[(4-trifluoromethyl)-phenyl]methanesulfonyl}anthranilate resin ***12j*** *(R^1=H, R^2=benzyl, R^3=4-F_3C-phenyl)*

The resin **12j** (442 mg) was prepared from the resin **11g** (450 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1721 (C=O), 1637, 1601, 1512, 1493, 1452, 1421, 1347, 1324, 1245, 1173, 1155, 1125, 1067, 1028, 1019, 907, 823, 755, 696 cm^{-1}.

4.5.13. Preparation of N-benzyl-N-[(4-nitrophenyl)methane-sulfonyl]anthranilate resin ***12k*** *(R^1=H, R^2=benzyl, R^3=4-O_2N-phenyl)*

The resin **12k** (447 mg) was prepared from the resin **11h** (450 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2920, 1721 (C=O), 1638, 1602, 1524 (NO_2), 1512, 1493, 1452, 1346 (NO_2), 1243, 1174, 1154, 1076, 1028, 1016, 907, 863, 822, 755, 696 cm^{-1}.

4.5.14. Preparation of N-(4-methoxybenzyl)-N-(phenylmethane-sulfonyl)anthranilate resin ***12l*** *(R^1=H, R^2=4-MeO-benzyl, R^3=Ph)*

The resin **12l** (316 mg) was prepared from the resin **11c** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1720 (C=O), 1602, 1585, 1512, 1493, 1452, 1375, 1345, 1286, 1245, 1175, 1152, 1133, 1112, 1076, 1029, 1016, 907, 872, 823, 754, 696 cm^{-1}.

4.5.15. Preparation of N-(4-fluorobenzyl)-N-(phenylmethane-sulfonyl)anthranilate resin ***12m*** *(R^1=H, R^2=4-F-benzyl, R^3=Ph)*

The resin **12m** (304 mg) was prepared from the resin **11c** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3025, 2922, 1721 (C=O), 1602, 1584, 1510, 1492, 1452, 1375, 1346, 1289, 1241, 1223, 1174, 1153, 1133, 1075, 1029, 1016, 907, 824, 756, 696 cm^{-1}.

4.5.16. Preparation of N-(4-nitrobenzyl)-N-(phenylmethane-sulfonyl)anthranilate resin ***12n*** *(R^1=H, R^2=4-O_2N-benzyl, R^3=Ph)*

The resin **12n** (306 mg) was prepared from the resin **11c** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1722 (C=O), 1602, 1585, 1513 (overlapped, NO_2), 1493, 1452, 1345 (overlapped, NO_2), 1288, 1243, 1174, 1153, 1134, 1072, 1029, 1016, 907, 857, 824, 754, 696 cm^{-1}.

4.5.17. Preparation of N-benzyl-5-methoxy-N-(phenylmethane-sulfonyl)anthranilate resin ***12o*** *(R^1=5-MeO, R^2=benzyl, R^3=Ph)*

The resin **12o** (315 mg) was prepared from the resin **11i** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2923, 1724 (C=O), 1602, 1584, 1512, 1493, 1452, 1421, 1374, 1345, 1284, 1217, 1174, 1152, 1108, 1070, 1029, 1016, 907, 821, 756, 696 cm^{-1}.

4.5.18. Preparation of 5-methoxy-N-(2-methylbenzyl)-N-(phenylmethanesulfonyl)anthranilate resin ***12p*** *(R^1=5-MeO, R^2=2-Me-benzyl, R^3=Ph)*

The resin **12p** (317 mg) was prepared from the resin **11i** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1724 (C=O), 1602, 1584, 1511, 1493, 1452, 1421, 1374, 1343, 1285, 1218, 1174, 1153, 1108, 1068, 1029, 1016, 907, 822, 756, 697 cm^{-1}.

4.5.19. Preparation of N-(3-fluorobenzyl)-5-methoxy-N-(phenyl-methanesulfonyl)anthranilate resin ***12q*** *(R^1=5-MeO, R^2=3-F-benzyl, R^3=Ph)*

The resin **12q** (314 mg) was prepared from the resin **11i** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1724 (C=O), 1602, 1584, 1512, 1493, 1452, 1422, 1373, 1285, 1219, 1174, 1152, 1108, 1068, 1029, 1016, 907, 875, 821, 756, 697 cm^{-1}.

4.5.20. Preparation of N-iso-butyl-5-methoxy-N-(phenyl-methanesulfonyl)anthranilate resin ***12r*** *(R^1=5-MeO, R^2=iso-butyl, R^3=Ph)*

The resin **12r** (312 mg) was prepared from the resin **11i** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2923, 1722 (C=O), 1601, 1584, 1511, 1493, 1452, 1421, 1373, 1346, 1285, 1217, 1174, 1152, 1108, 1062, 1030, 1016, 962, 905, 822, 756, 697 cm^{-1}.

4.5.21. Preparation of N-benzyl-4-methyl-N-(phenylmethane-sulfonyl)anthranilate resin ***12s*** *(R^1=4-Me, R^2=benzyl, R^3=Ph)*

The resin **12s** (1.07 g) was prepared from the resin **11j** (1.00 g) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1720 (C=O), 1601, 1584, 1512, 1493, 1452, 1344,

1294, 1263, 1245, 1201, 1174, 1150, 1112, 1075, 1028, 1017, 908, 875, 823, 757, 736, 696 cm^{-1}.

4.5.22. Preparation of N-benzyl-5-chloro-N-(phenylmethanesulfonyl)anthranilate resin ***12t*** *(R^1=5-Cl, R^2=benzyl, R^3=Ph)*

The resin **12t** (311 mg) was prepared from the resin **11k** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1726 (C=O), 1602, 1584, 1512, 1493, 1452, 1347, 1285, 1224, 1174, 1153, 1108, 1075, 1028, 1016, 908, 821, 755, 696 cm^{-1}.

4.5.23. Preparation of 5-chloro-N-(phenylmethanesulfonyl)-N-(pyridyl-4-methyl)anthranilate resin ***12u*** *(R^1=5-Cl, R^2=pyridyl-4-methyl, R^3=Ph)*

The resin **12u** (307 mg) was prepared from the resin **11k** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2923, 1726 (C=O), 1601, 1585, 1512, 1493, 1452, 1373, 1349, 1286, 1224, 1174, 1154, 1108, 1070, 1029, 1016, 907, 876, 822, 757, 697 cm^{-1}.

4.5.24. Preparation of 5-chloro-N-(phenylmethanesulfonyl)-N-(thienyl-3-methyl)anthranilate resin ***12v*** *(R^1=5-Cl, R^2=thienyl-3-methyl, R^3=Ph)*

The resin **12v** (306 mg) was prepared from the resin **11k** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1727 (C=O), 1602, 1585, 1512, 1493, 1452, 1373, 1349, 1285, 1232, 1175, 1153, 1108, 1075, 1029, 1017, 879, 823, 756, 696 cm^{-1}.

4.5.25. Preparation of N-benzyl-4-fluoro-N-(phenylmethanesulfonyl)anthranilate resin ***12w*** *(R^1=4-F, R^2=benzyl, R^3=Ph)*

The resin **12w** (302 mg) was prepared from the resin **11l** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2921, 1723 (C=O), 1602, 1585, 1512, 1493, 1452, 1349, 1285, 1243, 1174, 1153, 1108, 1080, 1028, 1016, 908, 824, 757, 737, 696 cm^{-1}.

4.5.26. Preparation of N-benzyl-4-chloro-N-(phenylmethanesulfonyl)anthranilate resin ***12x*** *(R^1=4-Cl, R^2=benzyl, R^3=Ph)*

The resin **12x** (304 mg) was prepared from the resin **11m** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3025, 2922, 1723 (C=O), 1601, 1587, 1512, 1493, 1452, 1348, 1264, 1239, 1175, 1152, 1133, 1100, 1075, 1028, 1016, 908, 877, 824, 757, 736, 696 cm^{-1}.

4.5.27. Preparation of N-benzyl-4-chloro-N-(phenylmethanesulfonyl)anthranilate resin ***12y*** *(R^1=3-MeO, R^2=benzyl, R^3=Ph)*

The resin **12y** (308 mg) was prepared from the resin **11n** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3026, 2922, 1724 (C=O), 1602, 1584, 1512, 1492, 1452, 1374, 1345, 1264, 1243, 1174, 1154, 1111, 1056, 1028, 907, 823, 753, 736, 696 cm^{-1}.

4.5.28. Preparation of N-benzyl-4-chloro-N-(phenylmethanesulfonyl)anthranilate resin ***12z*** *(R^1=3-Me, R^2=benzyl, R^3=Ph)*

The resin **12z** (301 mg) was prepared from the resin **11o** (300 mg) following the same procedure as described for **12a**. On-bead ATR-FTIR 3025, 2922, 1725 (C=O), 1687, 1602, 1584, 1511, 1492, 1452, 1375, 1301, 1264, 1241, 1174, 1145, 1110, 1075, 1028, 1016, 906, 822, 756, 736, 696 cm^{-1}.

4.6. Preparation of 3,4-dihydro-1*H*-2,1-benzothiazin-4-one 2,2-dioxides 1a–m and 1o–z

4.6.1. Preparation of 1-ethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1a*** *(R^1=H, R^2=Et, R^3=H)*

To a suspension of the resin **12a** (200 mg, theoretically 0.16 mmol) in DMF (2 ml) at rt was added 60% dispersion of NaH in mineral oil (11 mg, 0.47 mmol) and the mixture was stirred at rt for 20 h. The resin was filtered and washed with MeOH (1 ml×3). The filtrate was evaporated in vacuo, acidified to pH 3–4 with 3 N hydrochloric acid, and extracted with methylene chloride (1 ml×3). The organic layer was dried over $MgSO_4$ and concentrated in vacuo. The residue was purified by a silica gel column chromatography (8:1 mixture of *n*-hexane and ethyl acetate) to give **1a** (14 mg, 40%; 93% purity on the basis of LC–UV–MS spectrum). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.41 (t, J=7.1 Hz, 3H), 4.07 (q, J=7.1 Hz, 2H), 4.29 (s, 2H), 7.20–7.27 (m, 2H), 7.66 (t, J=8.3 and 1.7 Hz, 1H), 8.14 (dd, J=8.3 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 14.4, 41.5, 62.1, 118.1, 123.6, 123.8, 129.7, 136.5, 143.2, 184.2; MS (ESI) m/z 226 ($[M+H]^+$).

4.6.2. Preparation of 1-benzyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1b*** *(R^1=H, R^2=benzyl, R^3=H)*

The compound **1b** (22 mg, 52%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12b** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.24 (s, 2H), 5.16 (s, 2H), 7.14 (d, J=8.3 Hz, 1H), 7.22 (t, J=8.3, 1H), 7.30–7.36 (m, 5H), 7.55 (dt, J=8.3 and 1.7 Hz, 1H), 8.11 (dd, J=8.3 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.3, 61.8, 119.8, 123.9, 124.3, 127.0, 128.2, 129.1, 129.4, 135.3, 136.4, 143.6, 184.1; MS (ESI) m/z 288 ($[M+H]^+$).

4.6.3. Preparation of 1,3-diethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1c*** *(R^1=H, R^2=Et, R^3=Et)*

The compound **1c** (4 mg, 11%; 94% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12c** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.17 (m, 3H), 1.43 (t, J=7.2 Hz, 3H), 1.97–2.03 (m, 1H), 2.16–2.22 (m, 1H), 3.92 (m, 1H), 4.07 (q, J=7.2 Hz, 2H), 7.15 (d, J=8.4 Hz, 1H), 7.19 (t, J=8.4 Hz, 1H), 7.63 (dt, J=8.4 and 1.7 Hz, 1H), 8.14 (dd, J=8.4 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 11.4, 14.5, 19.9, 40.4, 72.0, 116.7, 123.0, 123.2, 130.0, 136.0, 142.6, 187.2; MS (ESI) m/z 254 ($[M+H]^+$).

4.6.4. Preparation of 1-benzyl-3-ethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1d*** *(R^1=H, R^2=benzyl, R^3=Et) from the resin* ***12d*** *prepared using 1-propanesulfonyl chloride*

The compound **1d** (8 mg, 18%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12d** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.19 (t, J=7.5 Hz, 3H), 2.04–2.11 (m, 1H), 2.20–2.28 (m, 1H), 3.97 (dd, J=8.1 and 5.5 Hz, 1H), 5.08 (d, J=17.0 Hz, 1H), 5.26 (d, J=17.0 Hz, 1H), 7.03 (d, J=8.3 Hz, 1H), 7.18 (t, J=8.3 Hz, 1H), 7.31 (t, J=7.1 Hz, 1H), 7.35–7.42 (m, 4H), 7.49 (dt, J=8.3 and 1.7 Hz, 1H), 8.12 (dd, J=8.3 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 11.6, 19.7, 50.5, 72.2, 118.1, 122.4, 123.6, 126.6, 127.9, 129.1, 129.7, 135.9, 136.0, 143.2, 187.0; MS (ESI) m/z 316 ($[M+H]^+$).

4.6.5. Preparation of 1-benzyl-3-ethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1d*** *(R^1=H, R^2=benzyl, R^3=Et) from the resin* ***12d*** *prepared using 1-propanesulfonic acid*

The compound **1d** (7 mg, 15%; 99% purity on the basis of LC–MS spectrum) was prepared from the resin **12d** (200 mg) following the same procedure as described for **1a**.

4.6.6. Preparation of 1-benzyl-3-ethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1d*** *(R^1=H, R^2=benzyl, R^2=Et) from the resin* ***12d*** *prepared using sodium 1-propanesulfonate*

The compound **1d** (9 mg, 19%; 97% purity on the basis of LC–MS spectrum) was prepared from the resin **12d** (200 mg) following the same procedure as described for **1a**.

*4.6.7. Preparation of 1-ethyl-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1e** (R^1=H, R^2=Et, R^3=Ph)*

The compound **1e** (7 mg, 16%; 98% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12e** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.28 (t, J=7.1 Hz, 3H), 3.90–4.02 (m, 2H), 5.24 (s, 1H), 7.22 (d, J=8.3 Hz, 1H), 7.25–7.27 (m, 1H), 7.28–7.30 (m, 2H), 7.37–7.44 (m, 3H), 7.69 (dt, J=8.3 and 1.7 Hz, 1H), 8.24 (dd, J=7.9 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 14.5, 41.6, 76.2, 117.6, 123.7, 123.72, 127.6, 128.9, 129.7, 130.1, 130.2, 136.4, 143.0, 186.6; MS (ESI) m/z 302 ($[M+H]^+$).

*4.6.8. Preparation of 1-benzyl-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1f** (R^1=H, R^2=benzyl, R^3=Ph)*

The compound **1f** (11 mg, 21%; 97% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12f** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.00 (d, J=16.8 Hz, 1H), 5.07 (d, J=16.8 Hz, 1H), 5.18 (s, 1H), 7.14 (d, J=8.2 Hz, 1H), 7.27–7.34 (m, 8H), 7.38–7.44 (m, 3H), 7.57 (dt, J=8.2 and 1.7 Hz, 1H), 8.21 (dd, J=8.0 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.8, 76.2, 119.2, 124.0, 124.3, 126.9, 127.4, 128.1, 129.0, 129.1, 129.8, 129.9, 130.3, 135.8, 136.3, 143.6, 186.5; MS (ESI) m/z 364 ($[M+H]^+$).

*4.6.9. Preparation of 1-benzyl-4-hydroxy-3-(2-nitrophenyl)-1H-2,1-benzothiazine 2,2-dioxide **1g** (R^1=H, R^2=benzyl, R^3=2-O_2N-phenyl)*

The compound **1g** (13 mg, 15%; 98% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12g** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.26 (s, 2H), 7.12 (dt, J=7.7 and 1.1 Hz, 1H), 7.18–7.30 (m, 5H), 7.31–7.35 (m, 4H), 7.40–7.43 (m, 1H), 7.58 (dd, J=7.8 and 1.4 Hz, 1H), 8.01–8.04 (m, 1H), 8.83 (s, 1H, OH); ^{13}C NMR (125 MHz, $CDCl_3$) δ 49.4, 110.2, 111.4, 116.5, 119.6, 119.7, 122.2, 122.5, 122.6, 123.5, 124.8, 127.2, 127.6, 128.6, 130.0, 135.1, 135.6, 136.1, 138.5; MS (ESI) m/z 432 ($[M+H+Na]^+$).

*4.6.10. Preparation of 1-benzyl-3-(4-fluorophenyl)-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1h** (R^1=H, R^2=benzyl, R^3=4-F-phenyl)*

The compound **1h** (14 mg, 17%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12h** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.05 (d, J=16.7 Hz, 1H), 5.10 (d, J=16.7 Hz, 1H), 5.11 (s, 1H), 7.08–7.12 (m, 2H), 7.17 (dd, J=8.3 and 0.6 Hz, 1H), 7.27–7.30 (m, 5H), 7.31–7.36 (m, 3H), 7.59 (dt, J=7.9 and 1.7 Hz, 1H), 8.20 (dd, J=7.9 and 1.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.8, 75.6, 116.2 (d, J=22.1 Hz), 119.4, 122.9, 123.9, 124.4, 127.0, 128.2, 129.1, 129.9, 132.5 (d, J=8.5 Hz), 135.5, 136.4, 143.5, 163.6 (d, J=249.0 Hz), 186.2 (F coupling); MS (ESI) m/z 382 ($[M+H]^+$).

*4.6.11. Preparation of 1-benzyl-3-(4-chlorophenyl)-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1i** (R^1=H, R^2=benzyl, R^3=4-Cl-phenyl)*

The compound **1i** (7 mg, 8%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12i** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.05 (d, J=16.7 Hz, 1H), 5.09 (s, 1H), 5.10 (d, J=16.7 Hz, 1H), 7.17 (dd, J=8.3 and 0.6 Hz, 1H), 7.21–7.24 (m, 2H), 7.27–7.30 (m, 3H), 7.31–7.34 (m, 3H), 7.36–7.39 (m, 2H), 7.59 (dt, J=7.9 and 1.8 Hz, 1H), 8.20 (dd, J=7.9 and 1.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.8, 75.6, 119.4, 123.9, 124.5, 125.6, 127.1, 128.2, 129.1, 129.3, 129.9, 131.8, 135.5, 136.1, 136.4, 143.4, 186.0; MS (ESI) m/z 398 ($[M+H]^+$).

*4.6.12. Preparation of 1-benzyl-3-[4-(trifluoromethyl)phenyl]-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1j** (R^1=H, R^2=benzyl, R^3=4-F_3C-phenyl)*

The compound **1j** (14 mg, 16%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12j** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.10 (s, 2H), 5.14 (s, 1H), 7.21 (dd, J=8.4 and 0.6 Hz, 1H), 7.27–7.31 (m, 3H), 7.31–7.36 (m, 3H), 7.43 (d, J=8.3 Hz, 2H), 7.62 (dt, J=7.9 and 1.6 Hz, 1H), 7.67 (d, J=8.2 Hz, 2H), 8.20 (dd, J=7.9 and 1.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.9, 75.9, 119.6, 123.7 (q, J=271.1 Hz), 124.0, 124.6, 125.9 (q, J=3.8 Hz), 127.2, 128.4, 129.1 130.0, 130.1, 131.2, 131.9 (q, J=32.6 Hz), 135.3, 136.6, 143.3, 185.7; MS (ESI) m/z 454 ($[M+H+Na]^+$).

*4.6.13. Preparation of 1-benzyl-3-(4-nitrophenyl)-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1k** (R^1=H, R^2=benzyl, R^3=4-O_2N-phenyl)*

The compound **1k** (8 mg, 9%; 96% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12k** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 5.11 (s, 1H), 5.14 (s, 2H), 7.24 (m, 1H), 7.29–7.36 (m, 6H), 7.48–7.51 (m, 2H), 7.65 (dt, J=7.9 and 1.6 Hz, 1H), 8.20 (dd, J=7.9 and 1.6 Hz, 1H), 8.25–8.27 (m, 2H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 52.4, 75.8, 120.0, 123.9, 124.0, 124.9, 127.3, 128.5, 129.2, 130.0, 132.0, 133.8, 135.1, 136.7, 143.3, 148.7, 185.3; MS (ESI) m/z 409 ($[M+H]^+$).

*4.6.14. Preparation of 1-(4-methoxybenzyl)-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1l** (R^1=H, R^2=4-MeO-benzyl, R^3=Ph)*

The compound **1l** (9 mg, 17%; 92% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12l** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 3.78 (s, 3H), 4.94 (d, J=16.4 Hz, 1H), 5.03 (d, J=16.4 Hz, 1H), 5.09 (s, 1H), 6.83 (d, J=8.7 Hz, 2H), 7.18 (d, J=8.7 Hz, 2H), 7.21 (d, J=8.3 Hz, 1H), 7.24–7.28 (m, 3H), 7.37–7.45 (m, 3H), 7.59 (dt, J=8.3 and 1.7 Hz, 1H), 8.20 (dd, J=7.9 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.5, 55.3, 76.3, 114.3, 119.6, 124.3, 124.4, 127.4, 127.5, 128.6, 129.0, 129.7, 129.8, 130.4, 136.2, 143.6, 159.4, 186.6; MS (ESI) m/z 394 ($[M+H]^+$).

*4.6.15. Preparation of 1-(4-fluorobenzyl)-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1m** (R^1=H, R^2=4-F-benzyl, R^3=Ph)*

The compound **1m** (11 mg, 20%; 98% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12m** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.99 (s, 2H), 5.21 (s, 1H), 7.01 (t, J=8.6 Hz, 2H), 7.10 (d, J=8.3 Hz, 1H), 7.22–7.29 (m, 5H), 7.38–7.41 (m, 2H), 7.43–7.46 (m, 1H), 7.58 (dt, J=8.3 and 1.6 Hz, 1H), 8.22 (dd, J=7.9 and 1.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 50.8, 76.1, 116.0 (d, J=21.4 Hz), 118.8, 123.9, 124.3, 127.4, 128.7 (d, J=8.4 Hz), 129.1, 129.8, 129.9, 130.2, 131.6 (d, J=3.1 Hz), 136.4, 143.4, 162.4 (d, J=245.5 Hz), 186.3; MS (ESI) m/z 382 ($[M+H]^+$).

*4.6.16. Preparation of 1-benzyl-6-methoxy-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide **1o** (R^1=6-MeO, R^2=benzyl, R^3=Ph)*

The compound **1o** (7 mg, 14%; 97% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12o** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 3.86 (s, 3H), 4.90 (d, J=16.5 Hz, 1H), 5.01 (s, 1H), 5.04 (d, J=16.5 Hz, 1H), 7.11 (d, J=9.0 Hz, 1H), 7.17 (dd, J=9.0 and 3.1 Hz, 1H), 7.24–7.29 (m, 4H), 7.30–7.35 (m, 3H), 7.37–7.45 (m, 3H), 7.64 (d, J=3.1 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 53.1, 55.8, 75.9, 111.4, 121.8, 124.5, 125.3, 127.3, 127.6, 128.2, 128.4, 129.0, 129.7, 130.4, 135.7, 137.4, 156.5, 186.8; MS (ESI) m/z 394 ($[M+H]^+$).

4.6.17. Preparation of 6-methoxy-1-(2-methylbenzyl)-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1p*** *(R^1=6-MeO, R^2=2-Me-benzyl, R^3=Ph)*

The compound **1p** (6 mg, 11%; 96% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12p** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.28 (s, 3H), 3.86 (s, 3H), 4.91 (s, 2H), 5.26 (s, 1H), 6.85 (d, J=9.0 Hz, 1H), 7.10 (dd, J=9.0 and 3.1 Hz, 1H), 7.18–7.21 (m, 3H), 7.31–7.35 (m, 3H), 7.40–7.45 (m, 3H), 7.68 (d, J=3.1 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 19.1, 51.1, 55.8, 75.7, 111.4, 121.2, 124.5, 124.7, 126.4, 126.7, 127.7, 127.9, 129.1, 129.8, 130.2, 130.6, 133.9, 134.6, 137.8, 156.3, 186.9; MS (ESI) m/z 408 ($[M+H]^+$).

4.6.18. Preparation of 1-(3-fluorobenzyl)-6-methoxy-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1q*** *(R^1=6-MeO, R^2=3-F-benzyl, R^3=Ph)*

The compound **1q** (7 mg, 14%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12q** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 3.86 (s, 3H), 4.89 (d, J=16.8 Hz, 1H), 4.97 (d, J=16.8 Hz, 1H), 5.15 (s, 1H), 6.95–7.01 (m, 2H), 7.02 (d, J=9.0 Hz, 1H), 7.08 (d, J=7.8 Hz, 1H), 7.16 (dd, J=9.0 and 3.1 Hz, 1H), 7.27–7.33 (m, 3H), 7.38–7.45 (m, 3H), 7.67 (d, J=3.1 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.9, 55.9, 75.9, 111.6, 114.1 (d, J=22.4 Hz), 115.2 (d, J=20.9 Hz), 121.0, 122.6 (d, J=2.9 Hz), 124.5, 125.0, 127.7, 129.1, 129.9, 130.3, 130.7 (d, J=8.1 Hz), 137.2, 138.6 (d, J=7.3 Hz), 156.5, 163.1 (d, J=246.5 Hz), 186.6; MS (ESI) m/z 412 ($[M+H]^+$).

4.6.19. Preparation of 1-iso-butyl-6-methoxy-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1r*** *(R^1=6-MeO, R^2=iso-butyl, R^3=Ph)*

The compound **1r** (7 mg, 13%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12r** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.90 (d, J=6.7 Hz, 3H), 1.00 (d, J=6.7 Hz, 3H), 2.08 (m, 1H), 3.58 (dd, J=14.2 and 6.7 Hz, 1H), 3.61 (dd, J=14.2 and 6.7 Hz, 1H), 3.88 (s, 3H), 5.23 (s, 1H), 7.15 (d, J=9.0 Hz, 1H), 7.23–7.26 (m, 1H), 7.30–7.32 (m, 2H), 7.38–7.43 (m, 3H), 7.68 (d, J=3.1 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 19.9, 20.2, 28.2, 54.6, 55.8, 75.7, 111.5, 120.2, 124.5, 124.7, 127.7, 128.9, 129.7, 130.5, 137.7, 156.0, 187.0; MS (ESI) m/z 360 ($[M+H]^+$).

4.6.20. Preparation of 1-benzyl-6-methyl-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1s*** *(R^1=6-Me, R^2=benzyl, R^3=Ph)*

The compound **1s** (18 mg, 24%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12s** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.37 (s, 3H), 4.95 (d, J=16.7 Hz, 1H), 5.04 (s, J=16.7 Hz, 1H), 5.12 (s, 1H), 7.04 (d, J=8.4 Hz, 1H), 7.26–7.29 (m, 4H), 7.30–7.34 (m, 3H), 8.00 (d, J=1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 20.5, 51.9, 76.1, 119.4, 123.9, 127.1, 127.7, 128.0, 128.9, 129.0, 129.6, 129.7, 130.3, 134.3, 135.9, 137.2, 141.3, 186.8; MS (ESI) m/z 378 ($[M+H]^+$).

4.6.21. Preparation of 1-benzyl-6-chloro-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1t*** *(R^1=6-Cl, R^2=benzyl, R^3=Ph)*

The compound **1t** (10 mg, 19%; 98% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12t** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.97 (d, J=16.8 Hz, 1H), 5.05 (d, J=16.8 Hz, 1H), 5.16 (s, 1H), 7.08 (d, J=8.8 Hz, 1H), 7.25–7.28 (m, 4H), 7.31–7.34 (m, 3H), 7.39–7.45 (m, 3H), 7.51 (dd, J=8.8 and 2.6 Hz, 1H), 8.16 (d, J=2.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.8, 76.0, 120.8, 125.1, 126.9, 127.0, 128.3, 129.1, 129.3, 130.0, 130.2, 130.3, 135.3, 136.0, 142.0, 185.5; MS (ESI) m/z 398 ($[M+H]^+$).

4.6.22. Preparation of 6-chloro-3-phenyl-1-(pyridin-4-ylmethyl)-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1u*** *(R^1=6-Cl, R^2=pyridyl-4-methyl, R^3=Ph)*

The compound **1u** (5 mg, 10%; 94% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12u** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.94 (d, J=18.0 Hz, 1H), 4.98 (d, J=18.0 Hz, 1H), 5.36 (s, 1H), 6.86 (d, J=8.8 Hz, 1H), 7.21 (d, J=5.9 Hz, 2H), 7.28 (d, J=7.3 Hz, 2H), 7.40–7.44 (m, 2H), 7.47 (d, J=7.3 Hz, 1H), 7.51 (dd, J=8.8 and 2.6 Hz, 1H), 8.21 (d, J=2.6 Hz, 1H), 8.58 (d, J=5.9 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 50.4, 75.8, 119.5, 121.2, 124.6, 127.0, 129.3, 129.6, 130.0, 130.2, 130.5, 136.2, 141.5, 144.9, 150.6, 185.0; MS (ESI) m/z 399 ($[M+H]^+$).

4.6.23. Preparation of 6-chloro-3-phenyl-1-(thiophen-3-ylmethyl)-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1v*** *(R^1=6-Cl, R^2=thienyl-3-methyl, R^3=Ph)*

The compound **1v** (9 mg, 17%; 95% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12v** (200 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.97 (d, J=16.6 Hz, 1H), 5.01 (s, 1H), 5.08 (d, J=16.6 Hz, 1H), 6.91 (dd, J=5.0 and 1.3 Hz, 1H), 7.20–7.25 (m, 4H), 7.31 (dd, J=5.0 and 3.0 Hz, 1H), 7.38–7.46 (m, 3H), 7.57 (dd, J=8.8 and 2.6 Hz, 1H), 8.15 (d, J=2.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 47.8, 76.0, 121.2, 123.6, 125.3, 126.6, 126.7, 127.3, 129.1, 129.3, 129.9, 130.4, 130.6, 136.0, 136.1, 141.9, 185.5; MS (ESI) m/z 404 ($[M+H]^+$).

4.6.24. Preparation of 1-benzyl-7-fluoro-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1w*** *(R^1=7-F, R^2=benzyl, R^3=Ph)*

The compound **1w** (10 mg, 15%; 94% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12w** (250 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.99 (d, J=16.9 Hz, 1H), 5.04 (d, J=16.9 Hz, 1H), 5.23 (s. 1H), 6.81 (dd, J=10.2 and 2.4 Hz, 1H), 6.95 (dt, J=7.6 and 2.4 Hz, 1H), 7.26–7.30 (m, 4H), 7.31–7.36 (m, 3H), 7.39–7.46 (m, 3H), 8.25 (dd, J=8.9 and 6.4 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.2, 76.0, 106.1 (d, J=26.6 Hz), 111.9 (d, J=21.9 Hz), 120.4, 126.8, 127.1, 128.2, 129.1, 129.2, 129.9, 130.3, 132.7 (d, J=10.9 Hz), 135.2, 145.8 (d, J=11.6 Hz), 167.3 (d, J=257.5 Hz), 184.9; MS (ESI) m/z 382 ($[M+H]^+$).

4.6.25. Preparation of 1-benzyl-7-chloro-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1x*** *(R^1=7-Cl, R^2=benzyl, R^3=Ph)*

The compound **1x** (17 mg, 25%; 99% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12x** (250 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.98 (d, J=16.8 Hz, 1H), 5.04 (d, J=16.8 Hz, 1H), 5.19 (s, 1H), 7.14 (d, J=1.9 Hz, 1H), 7.23 (dd, J=8.6 and 1.9 Hz, 1H), 7.26–7.28 (m, 4H), 7.31–7.36 (m,3H), 7.39–7.47 (m, 3H), 8.15 (d, J=8.6 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 51.4, 76.1, 119.1, 122.3, 124.7, 127.0, 127.1, 128.3, 129.1, 129.2, 129.9, 130.3, 131.1, 135.1, 142.7, 144.4, 185.4; MS (ESI) m/z 398 ($[M+H]^+$).

4.6.26. Preparation of 1-benzyl-8-methoxy-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1y*** *(R^1=8-MeO, R^2=benzyl, R^3=Ph)*

The compound **1y** (7 mg, 9%; 92% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12y** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.04 (s, 1H), 4.13 (s, 1H), 5.12 (d, J=15.2 Hz, 1H), 5.17 (d, J=15.2 Hz, 1H), 7.10 (dd, J=7.5 and 1.6 Hz, 1H), 7.14 (dd, J=7.8 and 1.4 Hz, 1H), 7.29–7.34 (m, 4H), 7.35–7.38 (m,3H), 7.41 (t, J=8.1 Hz, 1H), 7.73 (dd, J=7.8 and 1.4 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 54.2, 56.6, 76.7, 118.5, 121.1, 126.8, 127.4, 128.7, 128.9, 129.0, 129.1, 129.3, 129.7, 131.2, 132.0, 134.4, 153.3, 187.9; MS (ESI) m/z 394 ($[M+H]^+$).

4.6.27. Preparation of 1-benzyl-8-methyl-3-phenyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1z*** *(R^1=8-Me, R^2=benzyl, R^3=Ph)*

The compound **1z** (7 mg, 9%; 91% purity on the basis of LC–UV–MS spectrum) was prepared from the resin **12z** (300 mg) following the same procedure as described for **1a**. ^{1}H NMR (500 MHz, $CDCl_3$) δ 2.59 (s, 3H), 4.07 (s, 1H), 4.65 (d, J=15.0 Hz, 1H), 5.20 (d, J=15.0 Hz, 1H), 7.05–7.08 (m, 4H), 7.31–7.38 (m, 6H), 7.40 (t, J=7.7 Hz, 1H), 7.67 (dd, J=7.6 and 0.8 Hz, 1H), 7.96 (dd, J=7.9 and 1.2 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 18.1, 55.6, 76.2, 127.2, 127.4, 127.5, 128.7, 129.1, 129.3, 129.4, 130.0, 131.3, 133.2, 134.7, 138.6, 141.7, 188.7; MS (ESI) m/z 378 ($[M+H]^+$).

4.7. Solution-phase model study

4.7.1. Preparation of methyl N-(1-propanesulfonyl)anthranilate ***13***

A mixture of methyl anthranilate (500 mg, 3.31 mmol) and Et_3N (1.00 g, 9.92 mmol) in CH_2Cl_2 (20 ml) at rt was added 1-propanesulfonyl chloride (1.41 g, 9.92 mmol). The mixture was stirred at rt for 6 h. The mixture was washed with water, and the organic layer was dried over $MgSO_4$ and concentrated in vacuo. The residue was purified by a silica gel column chromatography (8:1 mixture of *n*-hexane and ethyl acetate) to give methyl *N*-(1-propanesulfonyl)anthranilate (686 mg, 81%; 99 % purity on the basis of LC–UV–MS spectrum). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.01 (t, J=7.5 Hz, 3H), 1.81–1.87 (m, 2H), 3.13 (t, J=7.9 Hz, 2H), 3.94 (s, 3H), 7.10 (t, J=7.4 Hz, 1H), 7.54 (t, J=8.7 Hz, 1H), 7.76 (d, J=8.5 Hz, 1H), 8.05 (dd, J=8.1 and 1.6 Hz, 1H), 10.4 (s, 1H, NH); ^{13}C NMR (125 MHz, $CDCl_3$) δ 12.8, 17.2, 52.5, 53.9, 115.1, 117.8, 122.5, 131.5, 134.9, 141.1, 168.4; MS (ESI) m/z 258 ($[M+H]^+$).

4.7.2. Preparation of methyl N-benzyl-N-(1-propanesulfonyl)-anthranilate ***14***

To a mixture of diisopropyl azodicarboxylate (707 mg, 3.50 mmol) and triphenylphosphine (917 mg, 3.50 mmol) in THF (15 ml) were added methyl *N*-(1-propanesulfonyl)anthranilate (300 mg, 1.17 mmol) and benzyl alcohol (378 mg, 3.50 mmol). The mixture was stirred at rt for 2 h. The mixture was evaporated in vacuo and the residue was purified by a silica gel column chromatography (8:1 mixture of *n*-hexane and ethyl acetate) to give methyl *N*-benzyl-*N*-(1-propanesulfonyl)anthranilate (404 mg, 99 %; 99% purity on the basis of LC–UV–MS spectrum). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.01 (t, J=7.5 Hz, 3H), 1.84–1.89 (m, 2H), 3.03 (t, J=7.0 Hz, 2H), 3.92 (s, 3H), 4.64 (br s, 1H), 4.96 (br s, 1H), 7.06 (dd, J=7.3 and 1.6 Hz, 1H), 7.23–7.30 (m, 4H), 7.32–7.39 (m, 3H), 7.88 (dd, J=7.5 and 1.9 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 13.1, 17.2, 52.4, 55.2, 55.7, 127.9, 128.3, 128.4, 128.6, 129.5, 131.0, 131.4, 132.3, 133.3, 136.5, 138.2, 166.5; MS (ESI) m/z 348 ($[M+H]^+$).

4.7.3. Preparation of 1-benzyl-3-ethyl-3,4-dihydro-1H-2,1-benzothiazin-4-one 2,2-dioxide ***1d***

To a methyl *N*-benzyl-*N*-(1-propanesulfonyl)anthranilate (300 mg, 0.86 mmol) in DMF (25 ml) at rt was added 60% dispersion of NaH in mineral oil (44 mg, 1.3 mmol) and the mixture was stirred at rt for 2 h. The mixture was evaporated in vacuo, acidified to pH 3–4 with 3 N hydrochloric acid, and extracted with methylene chloride. The organic layer was dried over $MgSO_4$ and concentrated in vacuo. The residue was purified by a silica gel column chromatography (8:1 mixture of *n*-hexane and ethyl acetate) to give **1d** (121 mg, 44%; 99% purity on the basis of LC–UV–MS spectrum). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.18 (t, J=7.5 Hz, 3H), 2.04–2.12 (m, 1H), 2.20–2.28 (m, 1H), 3.97 (dd, J=8.1 and 5.5 Hz, 1H), 5.08 (d, J=17.0 Hz, 1H), 5.26 (d, J=17.0 Hz, 1H), 7.03 (dd, J=8.3 and 0.6 Hz, 1H), 7.18 (dt, J=8.1 and 0.9 Hz, 1H), 7.31 (t, J=7.1 Hz, 1H), 7.36–7.41 (m, 4H), 7.49 (dt, J=8.9 and 1.7 Hz, 1H), 8.12 (dd, J=8.0 and 1.7 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 11.6, 19.7, 29.7, 50.5, 72.2, 118.1, 122.4, 123.6, 126.6, 127.9, 129.1, 129.7, 135.9, 136.0, 143.2, 187.0; MS (ESI) m/z 316 ($[M+H]^+$). In addition to the desired product, two unknown side products were isolated by subsequent elution (65 mg eluted by an 8:1 mixture of *n*-hexane and ethyl acetate, and 33 mg eluted by a 9:1 mixture of CH_2Cl_2 and methanol).

4.8. Experiment on the reusability of the post-cleavage resin

The resin (255 mg), recovered from a reaction repeated as described in Section 4.6.8, in THF (2 ml) at rt was treated with 25 wt % of NaOMe in MeOH (760 μl, 3.52 mmol) and the mixture was stirred at rt for 12 h. The resin was filtered, washed several times with MeOH, H_2O, and MeOH, and dried in a vacuum oven. To a mixture of the pretreated resin and 2-nitrobenzoic acid (79 mg, 0.47 mmol) in CH_2Cl_2/DMF (4:1, 3 ml) at rt were added DIC (89 mg, 0.71 mmol) and DMAP (86 mg, 0.71 mmol). The mixture was stirred at rt for 20 h and the resin was filtered, washed several times with CH_2Cl_2, DMF, and MeOH, and dried in a vacuum oven. To a suspension of the resultant resin in THF (2 ml) at rt was added 25 wt % of NaOMe in MeOH (223 μl, 1.03 mmol) and the mixture was stirred at rt for 12 h. The resin was filtered and washed with MeOH (1 ml×3). The filtrate was evaporated in vacuo, neutralized with 3 N aqueous hydrochloric acid, and extracted with methylene chloride (1 ml×3). The organic layers were dried over $MgSO_4$ and concentrated in vacuo to give a residue, from which methyl 2-nitrobenzoate (4 mg) was isolated by silica gel column chromatography (5:1 mixture of *n*-hexane and ethyl acetate).

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9. Besides the preparation of 3,4-dihydro-1*H*-2,1-benzothiazin-4-one 2,2-dioxide skeleton, the *N*-sulfonylanthranilates have been utilized as intermediates

in solution phase for the synthesis of various N-containing heterocyclic ring systems such as 1-benzazocin-6-one,[9a] dibenz[*b*,*e*]azepin-11-one,[9b] benzo[*b*]acridin-12-one,[9c] pyrrolo[3,2-*c*]quinoline,[9d–g] 5-spirocyclopropane isoxazolidine,[9h,i] quinoline,[9j] indole,[9k] 1-benzoazepin-5-one,[9l,m] and benzo[*e*]-1,4-diazepine[9n] through further transformations. However, there has been no report regarding utilization of *N*-sulfonyl anthranilate resin for the synthesis of heterocyclic systems on solid phase to the best of our knowledge: (a) Proctor, G. R.; Ross, W. I. *J. Chem. Soc., Perkin Trans. 1* **1972**, 885–889; (b) Dunn, J. P.; Muchowski, J. M.; Nelson, P. H. *J. Med. Chem.* **1981**, *24*, 1097–1099; (c) Mongrain, C.; Brassard, P. *Heterocycles* **1993**, *36*, 2108–2127; (d) Lovely, C. J.; Mahmud, H. *Tetrahedron Lett.* **1999**, *40*, 2079–2082; (e) Hara, O.; Sugimoto, K.; Makino, K.; Hamada, Y. *Synlett* **2004**, 1625–1627; (f) Takeda, Y.; Nakabayashi, T.; Shirai, A.; Fukumoto, D.; Kiguchi, T.; Naito, T. *Tetrahedron Lett.* **2004**, *45*, 3481–3484; (g) Hara, O.; Sugimoto, K.; Hamada, Y. *Tetrahedron* **2004**, *60*, 9381–9390; (h) Cordero, F. M.; Pisaneschi, F.; Goti, A.; Ollivier, J.; Salaun, J.; Brandi, A. *J. Am. Chem. Soc.* **2000**, *122*, 8075–8076; (i) Cordero, F. M.; Pisaneschi, F.; Salvati, M.; Paschetta, V.; Ollivier, J.; Salaun, J.; Brandi, A. *J. Org. Chem.* **2003**, *68*, 3271–3280; (j) Theeraladanon, C.; Arisawa, M.; Nishida, A.; Nakagawa, M. *Tetrahedron* **2004**, *60*, 3017–3035; (k) Arisawa, M.; Terada, Y.; Takahashi, K.; Nakagawa, M.; Nishida, A. *J. Org. Chem.* **2006**, *71*, 4255–4261; (l) Seto, M.; Aramaki, Y.; Okawa, T.; Miyamoto, N.; Aikawa, K.; Kanzaki, N.; Niwa, S.-i.; Iizawa, Y.; Baba, M.; Shiraishi, M. *Chem. Pharm. Bull.* **2004**, *52*, 577–590; (m) Boeglin, D.; Bonnet, D.; Hibert, M. *J. Comb. Chem.* **2007**, *9*, 487–500; (n) Mishra, J. K.; Panda, G. *J. Comb. Chem.* **2007**, *9*, 321–338.

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