Design and synthesis of novel anthranilic diamides containing 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine

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A new kind of anthranilic diamides containing 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine were designed and synthesised. Their structures were identified by means of elemental analysis, IR, ^{1}H NMR and MS spectra. As the key intermediate, ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine-2-carboxylate (**7**) was synthesised by applying microwave irradiation.

Keywords: anthranilic diamides, [1,2,4]triazolo[1,5-*a*]pyrimidine

Since the public introduction of phthalic diamides and anthranilic diamides by Nihon Nohyaku,[1] Bayer CropScience[2] and DuPont[3] respectively, diamides have been the focus of synthesis activities within the agrochemical industry.[4] Anthranilic diamides and their chemical synthesis have recently attracted considerable attention in the field of novel agricultural insecticides,[5,6] owing to their prominent insecticidal activity, unique modes of action and good environmental profiles. Rynaxypyr^{TM}, a highly potent and selective activator of insect ryanodine receptors with exceptional activity on a broad range of Lepidoptera, as the first new insecticide from this class (Fig. 1).[7] Furthermore, anthranilic diamides also displayed good herbicidal and fungicidal activities.[8,9]

In the investigation of new agrochemicals, the triazolopyrimidine heterocycle is an important bio-active moiety, which constitutes the sub-structure in certain insecticides, fungicides, herbicides, *etc*.[10,11] In addition, [1,2,4]triazolo[1,5-*a*]pyrimidines are present in some bioactive natural products and in various pharmaceutical agents. Besides, the biological importance of [1,2,4]-triazolo[1,5-*a*] pyrimidines, a purine bioisosteric analogue, it is also well documented in diverse biological fields with antihypertensive and antitumour activities, *etc*.[12-14] In search of novel anthranilic diamides with highly biological activity, the introduction of triazolopyrimidine group into the new structure was expected to improve their activity. Therefore, a series of novel anthranilic diamides containing 5,7-dimethyl[1,2,4] triazolo[1,5-*a*]pyrimidine were designed and synthesised, it is noteworthy that ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-*a*] pyrimidine-2-carboxylate (**7**), the key intermediate, was synthesised efficiently by applying microwave irradiation. The synthetic route is described in Scheme 1.

Experimental

Instruments

Melting points were conducted on a X-4 melting-point apparatus and are uncorrected. The ^{1}H NMR spectra were recorded on Bruker AC-300 and Bruker AC-400 instrument using TMS as an internal standard. Elemental analysis were performed on a Yanaco CHN Corder MF-3 automatic elemental analyser. High resolution FTICR-MS were recorded with High resolution ESI-FTICR mass spectrometry (Varion 7.0 T). Microwave activation was carried out with CEM Discover^{TM} focused microwave (2450 MHz, 300 W). Reagent grade solvents were used without further purification unless otherwise specified.

Synthesis of compounds

The title compounds were synthesised according to the route shown in Scheme 1.

2-amino-5-chloro-3-methylbenzoic acid (**2**): White solid, 76.0% yield according to the literature,[15] m.p.>197 °C (decomposition); ^{1}H NMR (DMSO-d_6, 400 MHz), δ: 2.09 (s, 3H, CH_3), 7.21 (s, 1H, ArH), 7.53 (s, 1H, ArH).

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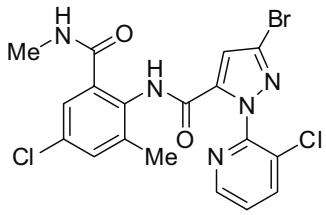


Fig. 1 Structures of anthranilic diamides insecticides – Rynaxypyr^{TM}.

General procedure for anthranilamides (**3**): To a 250 ml three-necked round-bottomed flask equipped with a reflux condenser bearing a calcium chloride tube was placed 2-aminobenzoic acid **1** or **2** (10 mmol) and then was added 50 ml of thionyl chloride. The resulting mixture was refluxed for 3 h. The mixture was evaporated *in vacuo* to dryness and then 50 ml of THF was added. To this solution was added dropwise a solution 30 mmol of amine (R^2NH_2) in 20 ml of THF in an ice bath. The temperature rise was limited to 10 °C. After all the amine was added, the resulting resolution was allowed to stir at room temperature for 12 h and then water (50 ml) was added. The aqueous solution was extracted with ethyl acetate (2 × 100 ml), dried over anhydrous $MgSO_4$ and evaporated *in vacuo*. The residual solid was purified by silica-gel column eluted with ethyl acetate/petroleum ether to give pure anthranilamides **3**.

2-amino-N-isopropyl-3-methylbenzamide (**3a**):[16] White solid, 86.7%; m.p. 137–139 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.25 (m, 6H, J = 6.6 Hz, CH_3), 2.17 (s, 3H, CH_3), 4.19–4.30 (m, 1H, CH), 5.57 (br, 2H, NH_2), 5.84 (br, 1H, NH), 6.57–7.20(m, 3H, ArH).

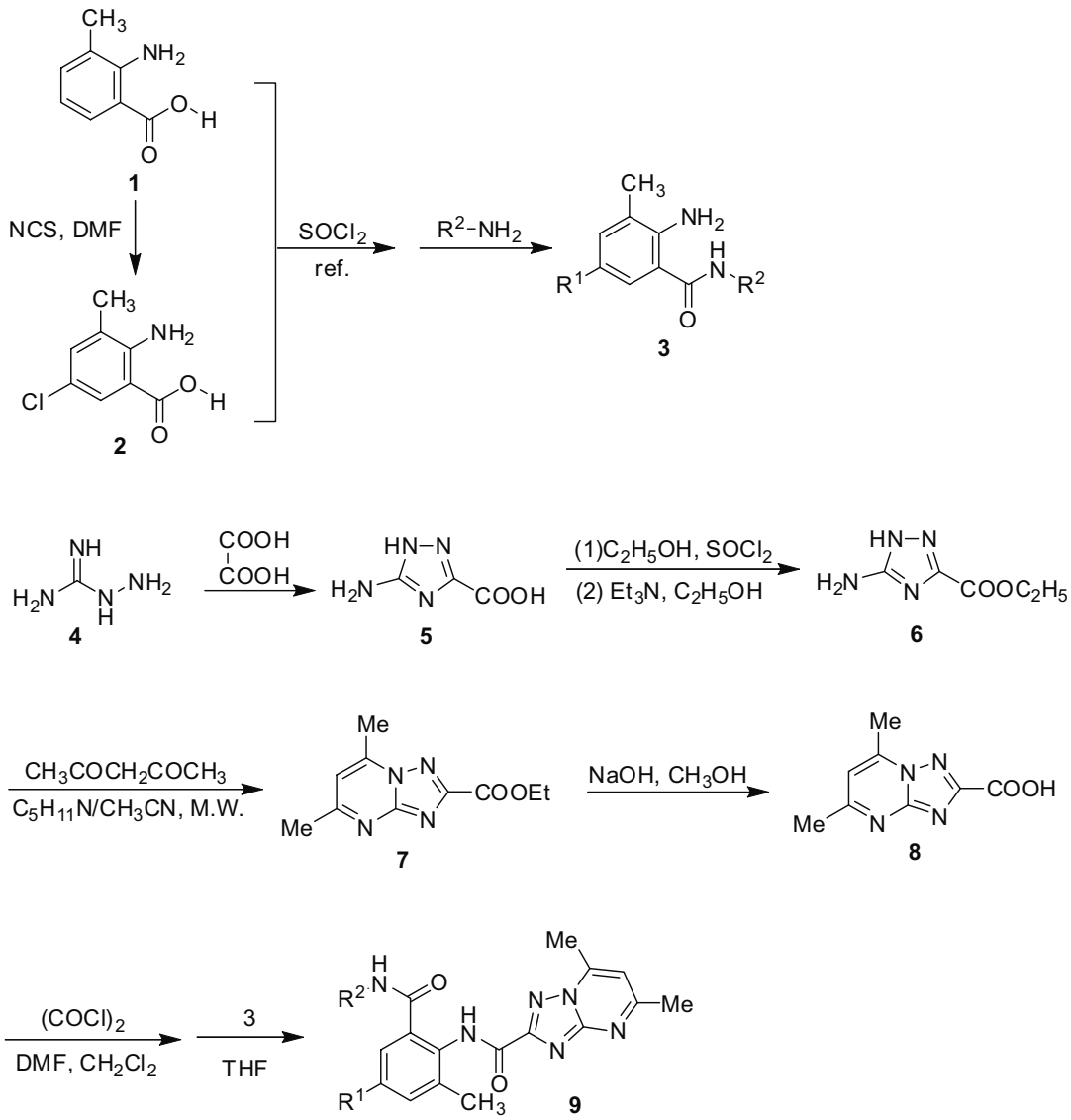
2-amino-N-cyclopropyl-3-methylbenzamide (**3b**): White solid, 60.8%; m.p. 118–120 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 0.56–0.62 (m, 2H, cyclopropyl-H), 0.82–0.89 (m, 2H, cyclopropyl-H), 2.16 (s, 3H, CH_3), 2.80–2.89 (m, 1H, cyclopropyl-H), 5.64 (br, 2H, NH_2), 6.16 (br, 1H, NH), 6.51–7.14 (m, 3H, ArH); Elemental anal. (%), calcd for $C_{11}H_{14}N_2O$: C, 69.45; H, 7.42; N, 14.73; found: C, 69.73; H, 7.15; N, 14.70%.

2-amino-N-butyl-3-methylbenzamide (**3c**): White solid, 89.3%; m.p. 85–86 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 0.92–0.96 (t, 3H, J = 7.2 Hz, CH_3), 1.35–1.44 (m, 2H, CH_2), 1.53–1.61 (m, 2H, CH_2), 2.15 (s, 3H, CH_3), 3.37–3.42 (q, 1H, J = 7.2 Hz, CH), 5.55 (br, 2H, NH_2), 6.10 (br, 1H, NH), 6.56–7.19 (m, 3H, ArH); Elemental anal. (%), calcd for $C_{12}H_{18}N_2O$: C, 69.87; H, 8.80; N, 13.58; found: C, 69.93; H, 8.51; N, 13.55%.

2-amino-N-tert-butyl-3-methylbenzamide (**3d**): White solid, 87.5%; m.p. 100–101 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.46 (s, 9H, CH_3), 2.16 (s, 3H, CH_3), 5.46 (br, 2H, NH_2), 5.85 (br, 1H, NH), 6.55–7.17 (m, 3H, ArH); HRMS (ESI) calcd for $C_{12}H_{18}N_2O$ (M + Na)$^+$ 229.1311, found 229.1318.

2-amino-N-cyclohexyl-3-methylbenzamide (**3e**): White solid, 69.6%; m.p. 158–159 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 1.15–2.03 (m, 10H, cyclohexyl-H), 2.15 (s, 3H, CH_3), 3.88–3.97 (m, 1H, cyclohexyl-H), 5.53 (br, 2H, NH_2), 5.90 (br, 1H, NH), 6.56–7.19 (m, 3H, ArH); Elemental anal. (%), calcd for $C_{14}H_{20}N_2O$: C, 72.38; H, 8.68; N, 12.06; found: C, 72.66; H, 8.40; N, 12.28%.

2-amino-5-chloro-N-isopropyl-3-methylbenzamide (**3f**):[17] White solid, 89.0%; m.p. 161–162 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 1.25 (d, 6H, J = 6.4 Hz, CH_3), 2.14 (s, 3H, CH_3), 4.15–4.26 (m, 1H, CH), 5.52 (br, 2H, NH_2), 5.78 (br, 1H, NH), 7.10-7.17 (m, 2H, ArH).



a: R^1 = H, R^2 = *i*-Pr; b: R^1 = H, R^2 = cyclopropyl; c: R^1 = H, R^2 = *n*-butyl; d: R^1 = H, R^2 = *tert*-butyl; e: R^1 = H, R^2 = cyclohexyl; f: R^1 = Cl, R^2 = *i*-Pr; g: R^1 = Cl, R^2 = cyclopropyl; h: R^1 = Cl, R^2 = *tert*-butyl; i: R^1 = Cl, R^2 = cyclohexyl

Scheme 1 Synthesis routes of anthranilic diamides (**9a–i**).

2-amino-5-chloro-N-cyclopropyl-3-methylbenzamide (**3g**)[17]: White solid, 92.4%; m.p. 122–124 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 0.58–0.62 (m, 2H, cyclopropyl-H), 0.84–0.87 (m, 2H, cyclopropyl-H), 2.13 (s, 3H, CH_3), 2.80–2.86 (m, 1H, cyclopropyl-H), 5.60 (br, 2H, NH_2), 6.10 (br, 1H, NH), 7.09 (br, 2H, ArH).

2-amino-N-tert-butyl-5-chloro-3-methylbenzamide (**3h**)[17]: White solid, 95.0%; m.p. 89–90 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.45 (s, 9H, CH_3), 2.13 (s, 3H, CH_3), 5.46 (br, 2H, NH_2), 5.79 (br, 1H, NH), 7.07–7.12 (m, 3H, ArH).

2-amino-5-chloro-N-cyclohexyl-3-methylbenzamide (**3i**): White solid, 80.0%; m.p. 167–168 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.18–2.04 (m, 10H, cyclohexyl-H), 2.13 (s, 3H, CH_3), 3.82–3.94 (m, 1H, cyclohexyl-H), 5.46 (br, 2H, NH_2), 5.81 (br, 1H, NH), 7.05–7.14 (m, 2H, ArH); IR (KBr), ν/cm^{-1}: 3480, 3410, 3320, 3031, 2936, 2856, 1622. Elemental anal. (%), calcd for $C_{14}H_{19}ClN_2O$: C, 63.03; H, 7.18; N, 10.50; found: C, 62.74; H, 7.01; N, 10.36%.

5-Amino-1H-1,2,4-triazole-3-carboxylic acid (**5**): Prepared from commercially available aminoguanidine **4** according to methods described in the literature,[18] m.p. 181–182 °C (Lit.[19] 182–183 °C)

Ethyl 5-amino-1H-1,2,4-triazole-3-carboxylate (**6**): Ethanol (50 ml) was cooled to –10 °C, $SOCl_2$ (11 ml, 150 mmol) was added dropwise under stirring and followed by 5-amino-1*H*-1,2,4-triazole-3-carboxylic acid **5** (6.854 g, 50 mmol). Stirring was continued at room temperature for 24 h and volatiles were evaporated. The crude hydrochloride was dissolved in MeOH (60 ml) and 5% aqueous $NaHCO_3$ (150 ml) was added in portions. The resulting precipitate was filtered and wash with water and acetone to give pure ethyl 5-amino-1*H*-1,2,4-triazole-3-carboxylate **6** (5.85 g, 75%) as a white solid; m.p. 237–239 °C (Lit.[20] m.p. 242–243).

Ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxylate (**7**): A mixture of ethyl 5-amino-1*H*-1,2,4-triazole-3-carboxylate **6** (0.62 g, 4 mmol), acetylacetone (0.50 g, 5 mmol), piperidine (0.5 ml) and acetonitrile (20 ml) was taken in a 35 ml heavy-walled Pyrex tube, a magnetic stirring bar added and sealed with a silicon septum. The tube was shaken well and irradiated under focused monomode microwave system for 20 min (100 W, 120 °C). The cooled mixture was filtered, and the residual solid was washed with acetonitrile (10 ml). The solvent was evaporated under reduced pressure to obtain a crude solid, which was purified by silica gel chromatography to give ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine-2-carboxylate **7** (0.74 g, 83.9%) as a white solid; m.p. 184–186 °C (Lit.[21] m.p. 180–181 °C).

5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-acetic acid (**8**): NaOH (1.0 g, 25 mmol) was added to a solution of ester **7** (4.4 g, 20 mmol) in MeOH (100 ml). After the mixture had been stirred for 12 h at room temperature, the organic solvents were evaporated off,

water (70 ml) was added, and the aqueous solution was acidified using dilute HCl to pH 1.5, and was stirred for 30 min, the resulting precipitate was filtered to give product **8** (3.7 g, 97%) as a white solid, m.p. 176–177 °C (Lit.[22] 179–180 °C), which was used without further purification.

General procedure for the synthesis of anthranilic diamides (**9a–j**)
To a suspension of 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine-2-acetic acid **8** (1 mmol) in dichloromethane (20 ml) was added oxalyl chloride (3 mmol), followed by dimethylformamide (2 drops). The solution was stirred at room temperature. After 4 h the mixture was concentrated *in vacuo* to obtain the crude acid chloride. The crude acid chloride in dichloromethane (10 ml) was added slowly to a stirred solution of anthranilamides **3** (1.2 mmol) in dichloromethane (20 ml) in an ice bath. After 20 min, diisopropylethylamine (1 mmol) was added dropwise. The solution was warmed to room temperature and stirred for 12 h. The solution was diluted with CH_2Cl_2 (20 ml), and washed with 1 N aq HCl solution (10 ml), saturated aq. $NaHCO_3$ (10 ml), and brine(10 ml). The organic extract was separated, dried, filtered, and concentrated and purified by silica gel chromatography to afford the desired anthranilic diamides **9**.

N-(2-(isopropylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9a**): White solid, 75.6%; m.p. 259–262 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 1.12–1.14 (d, 6H, J = 6.4 Hz, CH_3), 2.35 (s, 3H, CH_3), 2.71 (s, 3H, CH_3), 2.88 (s, 3H, CH_3), 4.08–4.20 (m, 1H, CH), 6.05 (d, 1H, J = 7.6 Hz, NH), 6.92 (s, 1H, triazolopyrimidine-H), 7.23–7.37 (m, 3H, ArH), 9.92 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3432, 3300, 2965, 1683, 1624. HRMS (ESI) calcd for $C_{19}H_{22}N_6O_2$ $(M + Na)^+$ 389.1696, found 389.1700.

N-(2-(cyclopropylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9b**): White solid, 60.0%; m.p. 257–262 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 0.51–0.55 (m, 2H, cyclopropyl-CH_2), 0.73–0.78 (m, 2H, cyclopropyl-CH_2), 2.35 (s, 3H, CH_3), 2.71 (s, 3H, CH_3), 2.88 (s, 3H, CH_3), 2.76–2.83 (m, 1H, cyclopropyl-CH), 6.32 (br, 1H, NH), 6.93 (s, 1H, triazolopyrimidine-H), 7.22–7.41 (m, 3H, ArH), 9.87 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3424, 3300, 1697, 1624. HRMS (ESI) calcd for $C_{19}H_{20}N_6O_2$ $(M + Na)^+$ 387.1540, found 387.1537.

N-(2-(butylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9c**): White solid, 66.8%; m.p. 179–180 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 0.82 (t, 3H, J = 7.2 Hz, CH_3), 1.25–1.37 (m, 2H, CH_2), 1.41–1.53 (m, 2H, CH_2), 2.37 (s, 3H, CH_3), 2.72 (s, 3H, CH_3), 2.89 (s, 3H, CH_3), 3.32 (q, 2H, J = 6.9 Hz, CH_2), 6.21 (t, 1H, J = 4.8 Hz, NH), 6.93 (s, 1H, triazolopyrimidine-H), 7.23–7.38 (m, 3H, ArH), 9.98 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3402, 3322, 2951, 2907, 2863, 1697, 1624. Elemental anal. (%), calcd for $C_{20}H_{24}N_6O_2$: C, 63.14; H, 6.36; N, 22.09; found: C, 62.84; H, 6.64; N, 21.91%.

N-(2-(tert-butylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9d**): White solid, 60.5%; m.p. 175–177 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.35 (s, 9H, CH_3), 2.35 (s, 3H, CH_3), 2.72 (s, 3H, CH_3), 2.89 (s, 3H, CH_3), 6.04 (br, 1H, NH), 6.94 (s, 1H, triazolopyrimidine-H), 7.22–7.35 (m, 3H, ArH), 9.79 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3410, 3351, 2965, 2921, 1697, 1624. Elemental anal. (%), calcd for $C_{20}H_{24}N_6O_2$: C, 63.14; H, 6.36; N, 22.09; found: C, 63.41; H, 6.07; N, 21.91%.

N-(2-(cyclohexylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9e**): White solid, 68.5%; m.p. 251–253 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 1.07–1.94 (m, 10H, cyclohexyl-CH_2), 2.34 (s, 3H, CH_3), 2.71 (s, 3H, CH_3), 2.88 (s, 3H, CH_3), 3.80–3.90 (m, 1H, cyclohexyl-CH), 6.07 (br, 1H, NH), 6.92 (s, 1H, triazolopyrimidine-H), 7.23–7.37 (m, 3H, ArH), 9.94 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3432, 3293, 2921, 2856, 1697, 1624. HRMS (ESI) calcd for $C_{22}H_{26}N_6O_2$ $(M + Na)^+$ 429.2010, found 429.2014.

N-(4-chloro-2-(isopropylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9f**): White solid, 81.5%; m.p. 270–279 °C; ^{1}H NMR (DMSO-d_6, 400 MHz) δ: 1.00–1.01 (d, 6H, J = 6.4 Hz, CH_3), 2.19 (s, 3H, CH_3), 2.59 (s, 3H, CH_3), 2.74 (s, 3H, CH_3), 3.85–3.93 (m, 1H, CH), 7.29 (s, 1H, triazolopyrimidine-H), 7.38 (s, 1H, ArH), 7.50 (s, 1H, ArH), 8.28 (d, 1H, J = 3.6 Hz, NH), 10.50 (s, 1H, NH); IR (KBr), ν/cm^{-1}: 3395, 3279, 2980, 2929, 1690, 1632. HRMS (ESI) calcd for $C_{19}H_{21}ClN_6O_2$ $(M + Na)^+$ 423.1307, found 423.1312.

N-(4-chloro-2-(cyclopropylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9g**): White solid, 67.3%; m.p. 252–254 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 0.52–0.56 (m, 2H, cyclopropyl-CH_2), 0.72–0.77 (m, 2H, cyclopropyl-CH_2), 2.32 (s, 3H, CH_3), 2.71 (s, 3H, CH_3), 2.74-2.80 (m, 1H, cyclopropyl-CH), 2.87 (s, 3H, CH_3), 6.37 (br, 1H, NH), 6.93 (s, 1H, triazolopyrimidine-H), 7.30 (s, 1H, ArH), 7.34 (s, 1H, ArH), 9.82 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3453, 3279, 1697, 1639. Elemental anal. (%), calcd for $C_{19}H_{19}ClN_6O_2$: C, 57.22; H, 4.80; N, 21.07; found: C, 57.10; H, 4.92; N, 20.80%.

N-(2-(tert-butylcarbamoyl)-4-chloro-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9h**): White solid, 75.0%; m.p. 202–204 °C; ^{1}H NMR ($CDCl_3$, 300 MHz) δ: 1.32 (s, 9H, CH_3), 2.31 (s, 3H, CH_3), 2.71 (s, 3H, CH_3), 2.87 (s, 3H, CH_3), 5.98 (br, 1H, NH), 6.92 (s, 1H, triazolopyrimidine-H), 7.30 (s, 1H, ArH), 7.32 (s, 1H, ArH), 9.70 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3453, 3337, 2958, 2921, 1697, 1639. Elemental anal. (%), calcd for $C_{20}H_{23}ClN_6O_2$: C, 57.90; H, 5.59; N, 20.26; found: C, 57.67; H, 5.70; N, 20.01%.

N-(4-chloro-2-(cyclohexylcarbamoyl)-6-methylphenyl)-5,7-dimethyl[1,2,4]triazolo[1,5-a]pyrimidine-2-carboxamide (**9i**): White solid, 78.2% m.p. 277–279 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 1.09–1.89 (m, 10H, cyclohexyl-CH_2), 2.32 (s, 3H, CH_3), 2.70 (s, 3H, CH_3), 2.87 (s, 3H, CH_3), 3.79–3.87 (m, 1H, cyclohexyl-CH), 6.07 (d, 1H, J = 8.0 Hz, NH), 6.92 (s, 1H, triazolopyrimidine-H), 7.32 (s, 1H, ArH), 7.34 (s, 1H, ArH), 9.86 (br, 1H, NH); IR (KBr), ν/cm^{-1}: 3432, 3293, 2929, 2856, 1697, 1624. Elemental anal. (%), calcd for $C_{22}H_{25}ClN_6O_2$: C, 59.93; H, 5.71; N, 19.06; found: C, 59.73; H, 5.59; N, 18.80%.

Results and discussion

Synthesis
Under conventional heating (CH_3CN, at least 30 h under reflux), ethyl 5-amino-1*H*-1,2,4-triazole-3-carboxylate (**6**) reacts with acetylacetone to afford ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine-2-carboxylate (**7**) in 78% yield,[18] a longer reaction time was needed. It is well documented that microwave synthesis has received a great deal of attention in recent years.[23] Microwave irradiation can circumvent the need for prolonged heating and generally accelerate the rate of chemical reactions, often with increased yields. Recently, Sagar *et al.* reported that acyclic polyols fused with [1,2,4]triazolo[1,5-*a*]pyrimidines as novel carbohybrids can be efficiently prepared through the condensation of 2-*C*-formyl glycols with 3-amino-1,2,4-triazoles in excellent yields under the microwave irradiation.[24] To optimise the synthetic reaction conditions for **7,** we exploited the method of microwave for this transformation. Without much difficulty, the desired **7** from 5-amino-1*H*-1,2,4-triazole-3-carboxylate (**6**) with acetylacetone was successfully obtained in fairly good yields (83.9%) by the microwave irradiation (100 W, 120 °C, 20 min) in CH_3CN. Thus we have developed a efficient synthetic method for the synthesis of ethyl 5,7-dimethyl[1,2,4]triazolo[1,5-*a*]pyrimidine-2-carboxylate (**7**), an important bioactive moiety, under microwave irradiation. Considerable attempts was be made in order to optimise the reaction condition under the microwave irradiation by changing solvents, temperatures, and microwave energy, which will be reported in due course.

We gratefully thank the National Natural Science Foundation of China (grant No. 20432010) for financial support of this research.

Received 16 June 2008; accepted 4 August 2008
Paper 08/5329 *doi: 10.3184/030823408X349970*
Published online: 8 September 2008

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