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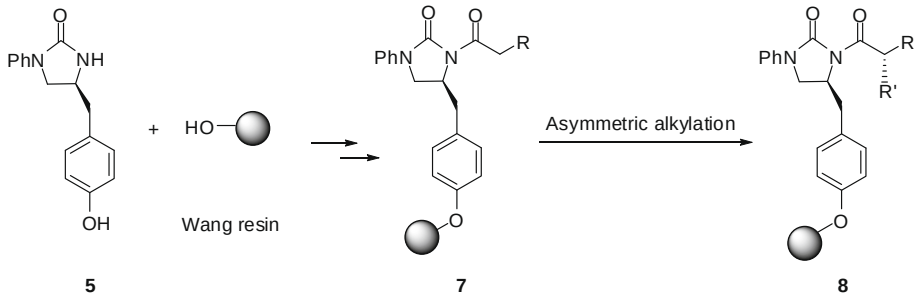
Contents

COMMUNICATIONS

Proximal β-hydroxy silyl enol ethers are prepared with high *E* stereoselectivity from the reaction of α,β-epoxyketones with silyllithium reagents. The reaction procedes via Brook rearrangement driven by opening of the adjacent epoxide.



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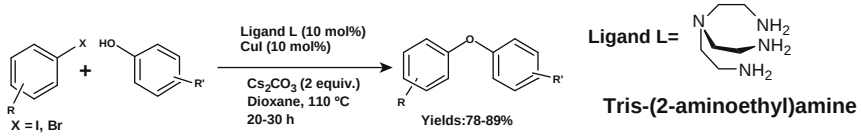
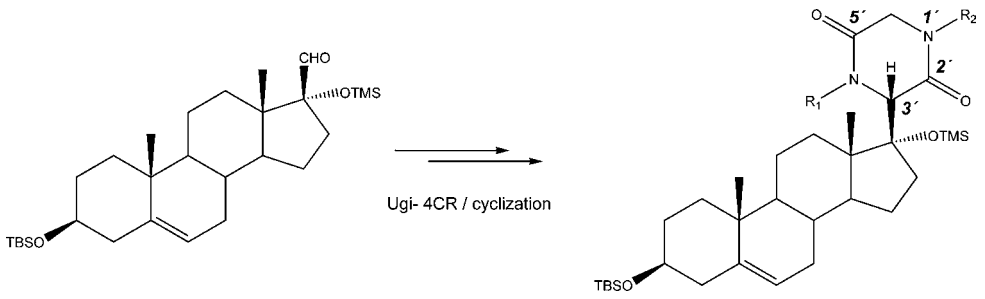
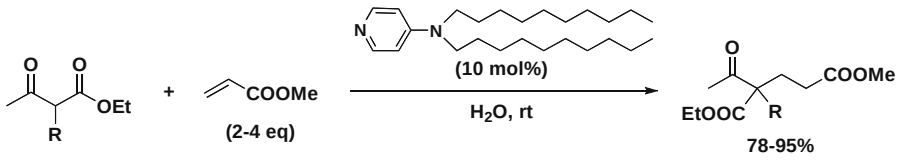
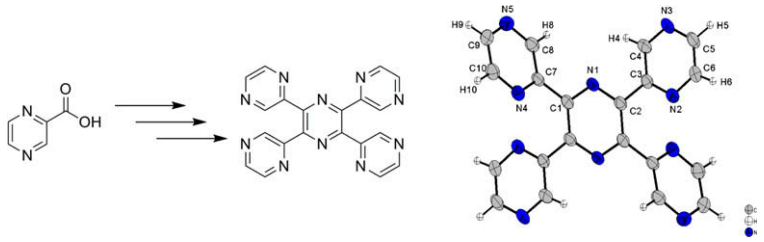
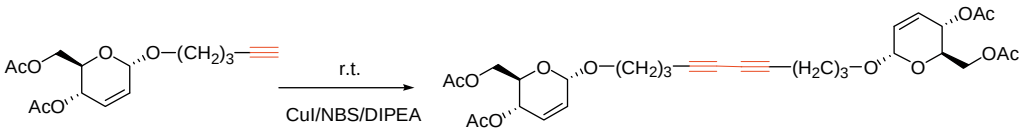


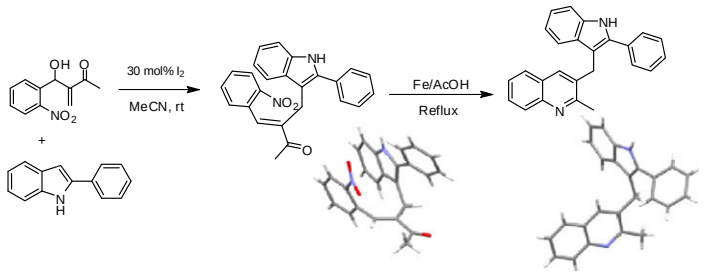
A novel copper(I)-catalyzed Ullmann diaryl ether synthesis using efficient, commercially available and inexpensive N-tridentate donor ligand tris-(2-aminoethyl) amine is described.



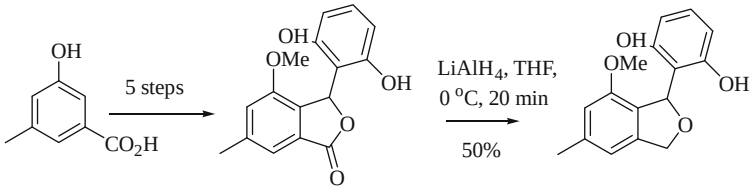


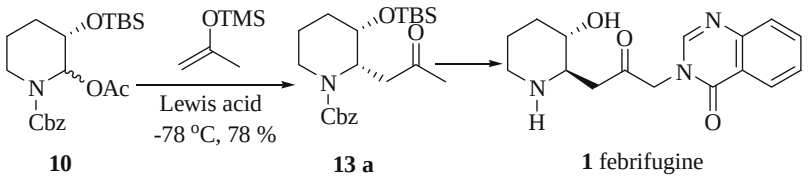




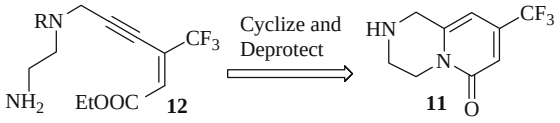


A new and simple method for the C-alkylation of indoles by various Baylis–Hillman adducts and the one-pot reductive cyclization of C-alkylated indole derivatives generated from 2-nitro-Baylis–Hillman adduct to form indolylquinoline derivatives is described.

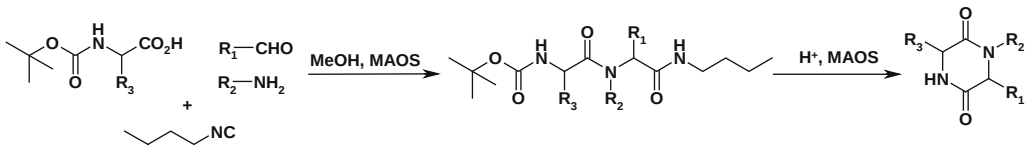




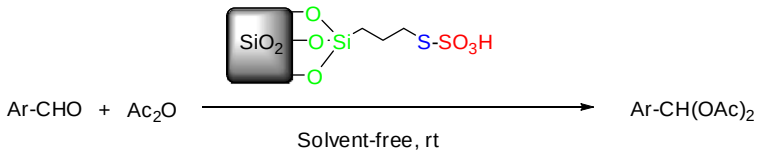
The asymmetric $BF_3{\cdot}Et_2O$-catalyzed nucleophilic reactions of 3-silyloxypiperidine *N,O*-acetal **10** with silyl enol ethers derived from ketones are described. (+)-Febrifugine **1**, an antimalarial alkaloid, was successfully synthesized based on this nucleophilic substitution.



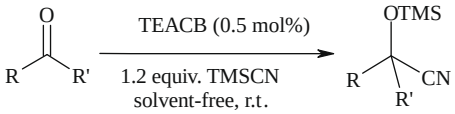
A cascade cyclization approach to afford pyrazine-one (**11**) from ynoate (**12**) is discussed.



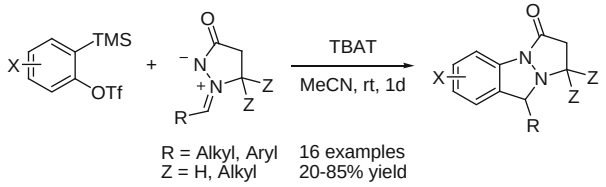
This Letter reports on the novel use of *n*-butylisonitrile as a cheaper, more atom economical alternative to currently reported 'designer convertible isonitriles' in UDC (Ugi/DeProtect/Cyclize) methodology. Transformations to diketopiperazines and 1,4-benzodiazepine-2,5-diones are facilitated by microwave irradiation and proceed in excellent yield.

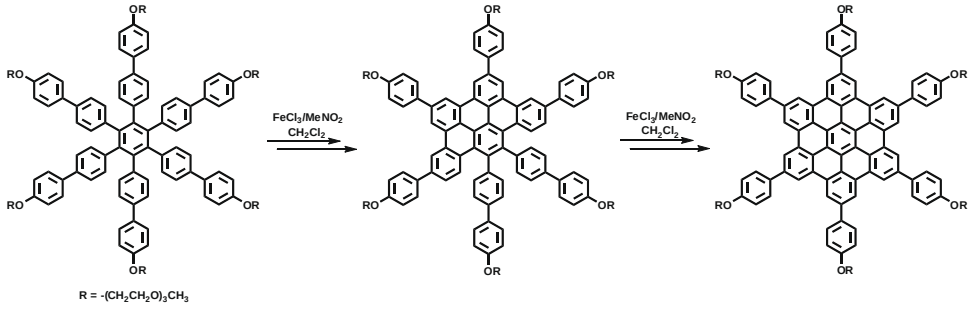


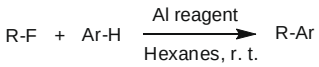
A simple and efficient procedure for the preparation of silica-bonded *S*-sulfonic acid (**SBSSA**) is described. This solid acid is employed as a catalyst for the synthesis of 1,1-diacetates under solvent-free conditions.



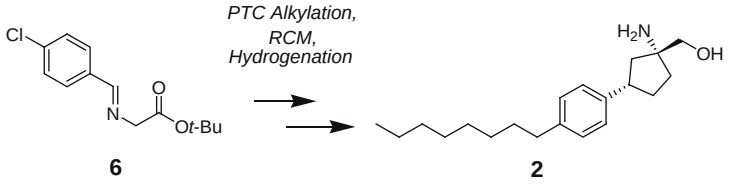
Tetraethylammonium 2-(carbamoyl)benzoate (TEACB) was found to be an effective bifunctional organocatalyst for rapid and clean cyanosilylation of carbonyl compounds under solvent-free conditions.

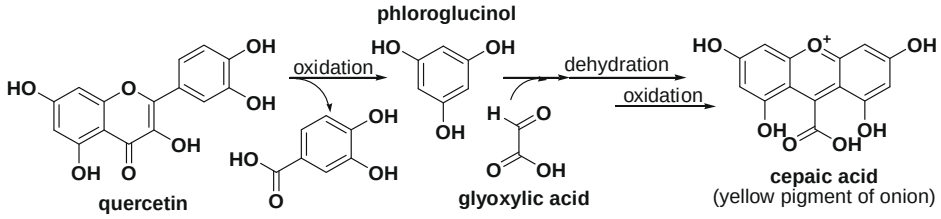




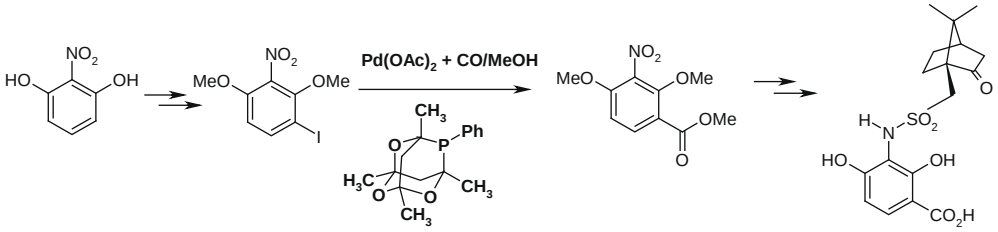


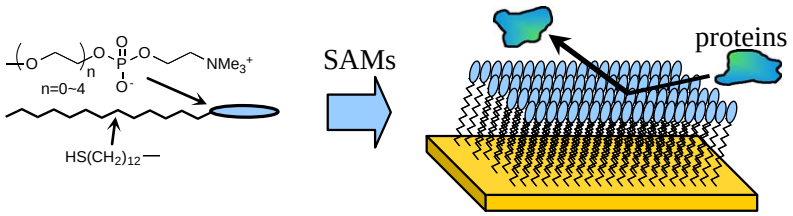
In the presence of various aluminum reagents, the difluoromethylene group (CF_2) in selected hydrofluorocarbons (HFCs) undergoes a Friedel–Crafts type reaction with aromatic compounds in satisfactory yields.



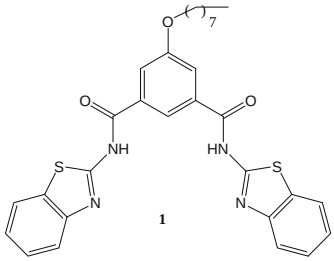


Cepaic acid was isolated as a novel xanthylium yellow pigment from the dried outer scales of the yellow onion *Allium cepa* Linne. Its structure was identified on the basis of ESI-MS and 2D NMR spectroscopy as a 9-carboxy-1,3,6,8-tetrahydroxyxanthylium.



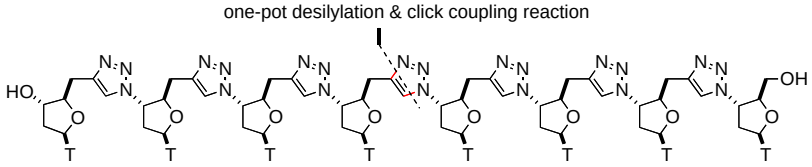


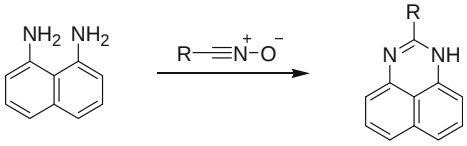
Alkane thiols bearing phosphorylcholine–oligoethylene glycol moieties were synthesized and their suppressive effect on non-specific adsorption of proteins was evaluated by comparison with corresponding oligoethylene glycol–alkane thiols.

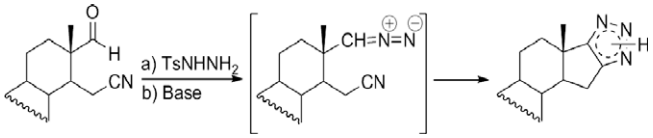


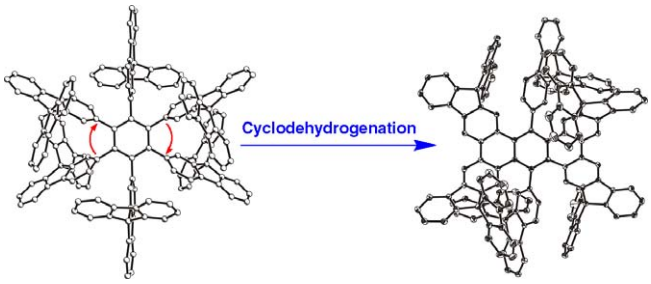
1

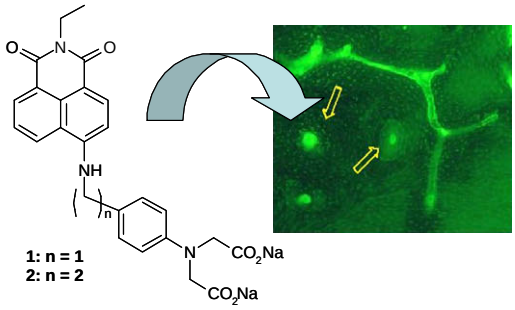
A benzthiazole-based receptor **1** has been designed and synthesized for recognition of biotin ester and urea in $CHCl_3$ containing 1% CH_3CN. The receptor binds biotin methyl ester and urea with moderate binding constant values and shows significant increase in emission of benzthiazole motif. The emission characteristics of **1** upon complexation clearly distinguishes biotin methyl ester and urea from thiourea and *N*,*N*′-dimethylurea. Characterization and sensing properties of receptor **1** were evaluated by ^1H NMR, UV–vis, and fluorescence spectroscopic methods.

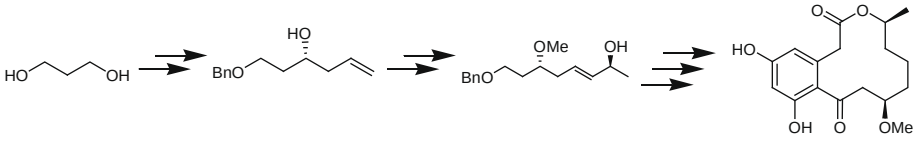


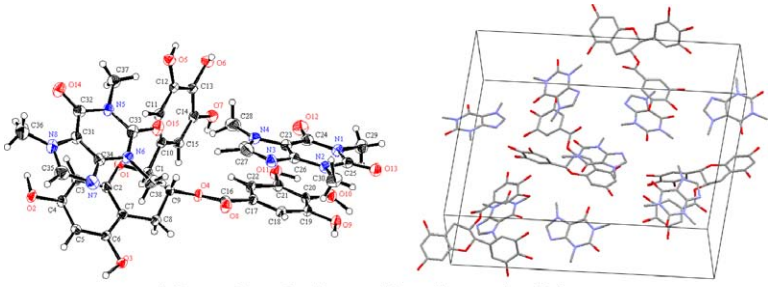




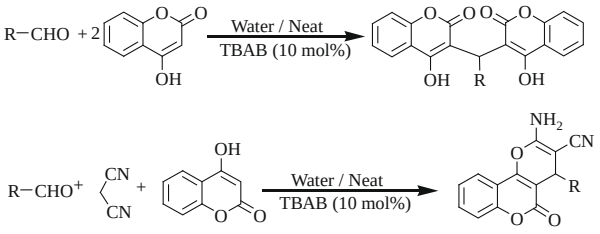


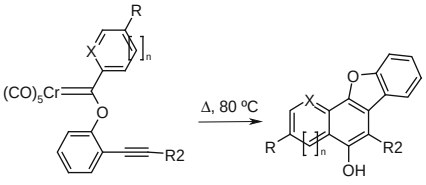






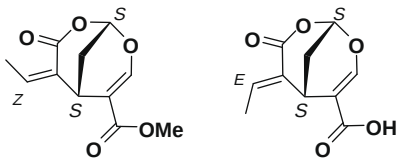
1:2 complex of gallocatechin gallate and caffeine





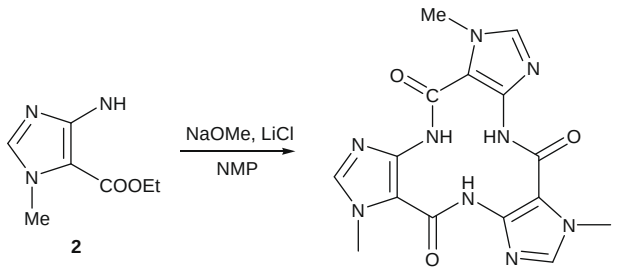
1a-i **2a-i**

1a-e / **2a-e**: X = O, n = 0, R = H, R2 = *n*-butyl, *n*-propyl, cyclohexyl, phenyl and *n*-pentyl respectively.
1f-i / **2f-i**: X= CH, n = 1, R = *p*-OMe, and *p*-Me, R2 = *n*-butyl, *n*-propyl, *n*-butyl and *n*-pentyl respectively



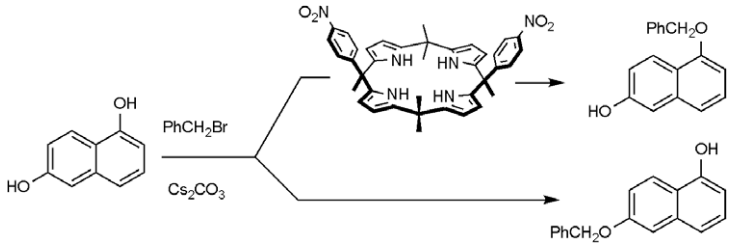
triohima A (1) **triohima C (3)**

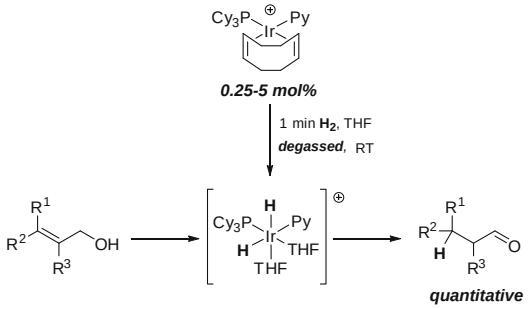
Two novel iridoids triohimas A (**1**) and C (**3**) with an unusual δ-lactone-containing skeleton were isolated from *Triosteum himalayanum* Wall. Their structures were determined by NMR spectroscopic analyses and X-ray crystallography. The absolute configuration was established by computational methods. They were also tested for the in vitro cytotoxicity against L1210 cell line.

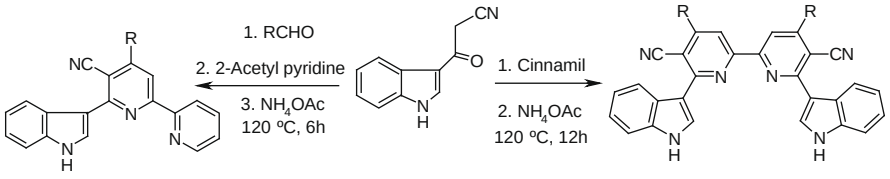


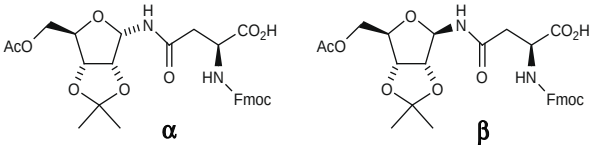
2 **1**

A novel cyclic trimer of imidazole, in which N1 and N3 atoms of imidazole rings projecting outward from the macrocycle, has been synthesized with the help of LiCl as a template.

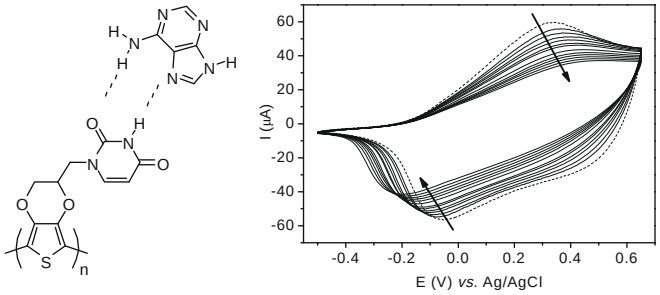


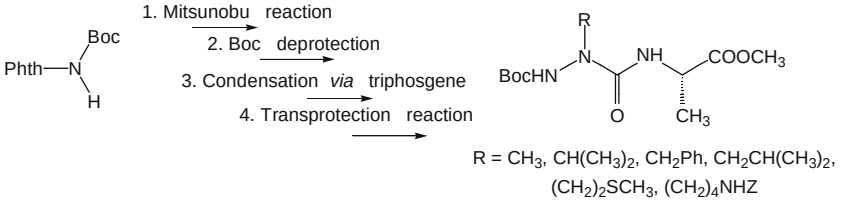




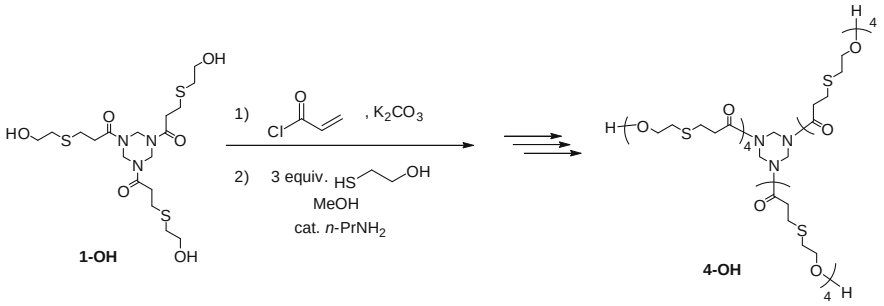


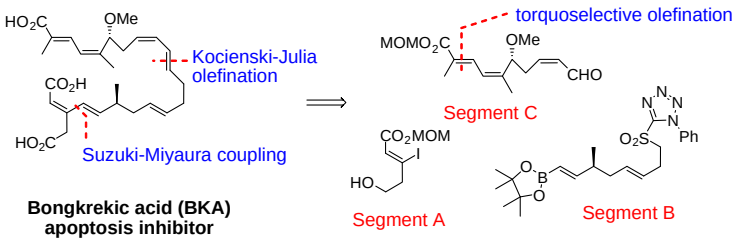
We performed the first synthesis of Asn derivatives bearing α- or β-ribose, linked by an *N*-glycosidic bond on the side chain of the Asn residue orthogonally protected for Fmoc/^{t}Bu SPPS.

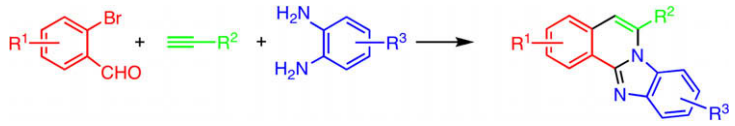




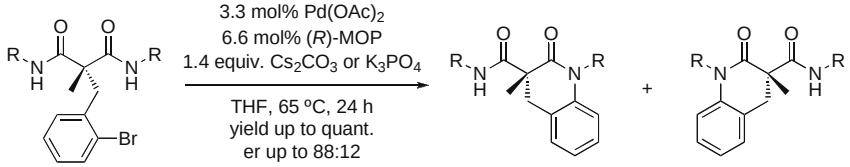
Six examples of Boc-AzaXaa-Xbb-OMe.



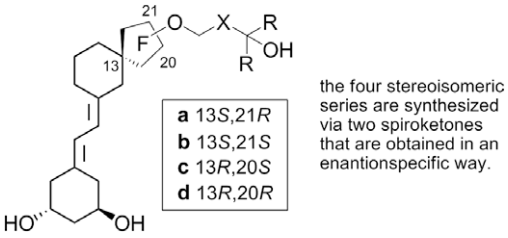




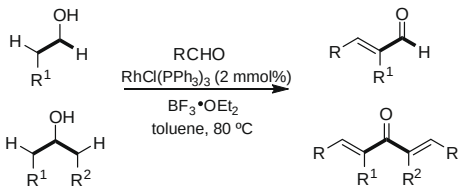
Direct, efficient syntheses of the benzimidazo[2,1-*a*]isoquinoline ring system have been achieved with 2-bromoarylaldehydes, terminal alkynes, and 1,2-phenylenediamines by a microwave-accelerated tandem process in which a Sonogashira coupling, 5-*endo* cyclization, oxidative aromatization, and 6-*endo* cyclization can be performed in a single synthetic operation.



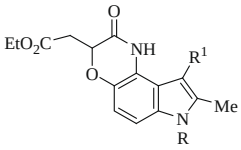
A new form of enantioselective nitrogen arylation reaction is described. Beginning with symmetrical α-(2-bromobenzyl)malonamides, intramolecular palladium-catalyzed cross-coupling using a catalyst system including 3.3 mol % $Pd(OAc)_2$ and 6.6 mol % of the chiral biaryl monophosphine (*R*)-MOP, desymmetrized quinolinone products are obtained in nearly quantitative yields in enantiomeric ratios up to 88:12. This report represents a rare example of enantioselective Buchwald–Hartwig reaction.



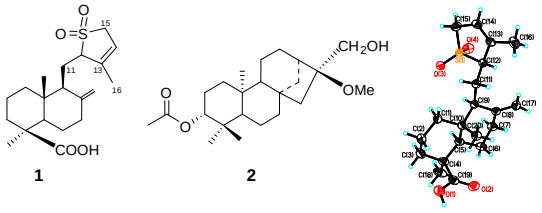
In search of analogs of 1α,25-dihydroxyvitamin D_3 featuring a dissociation of calcemic and other activities, a series of stereoisomeric 19-nor-22-oxa derivatives, characterized by a spiro[4.5]decane cyclic system instead of the classical CD-ring system, have been synthesized in an enantioselective way.



A novel $RhCl(PPh_3)_3/BF_3{\cdot}OEt_2$ co-promoted direct C–C cross-coupling of primary and secondary alcohols at β-position with aldehyde was developed. This reaction could provide an efficient synthesis of a series of α,β-unsaturated aldehydes and diarylidene ketones, just from simple and easily available alcohols and aldehydes.

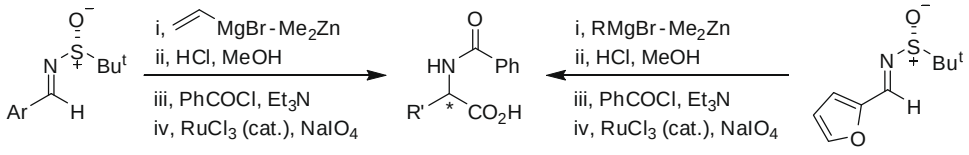


A series of 2-oxo-[1,4]oxazino[3,2-*e*]indoles, heteroanalogues of Angelicin, have been synthesized by a multistep sequence consisting of the annelation of the oxazine ring on the indole moiety. The phototoxicity and the antiproliferative activity of the new derivatives were investigated.



1 **2**

Two novel diterpenoids were isolated from the bulbs of *Fritillaria anhuiensis* S. C. Chen and S. E. Yin. Compound **1** was the first diterpenoid containing a sulfonyl group isolated from nature. Compound **2** was a novel kaurane-type diterpenoid. Their structures were determined by extensive spectroscopic analysis (IR, MS, NMR, and X-ray diffraction). Compound **1** significantly attenuated nitric oxide (NO) production of a macrophage cell line of Raw 264.7 cells stimulated with IFN-γ.



1 **4** (ee up to 96%) **1d**

*Corresponding author
Supplementary data available via ScienceDirect

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