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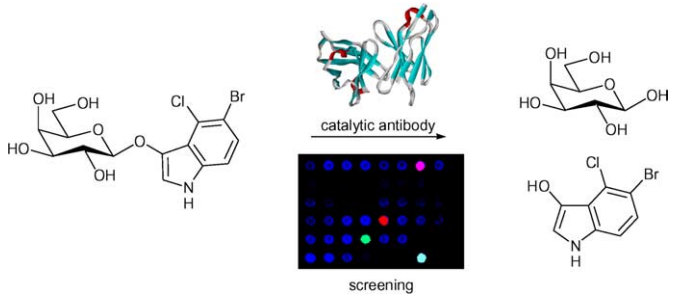
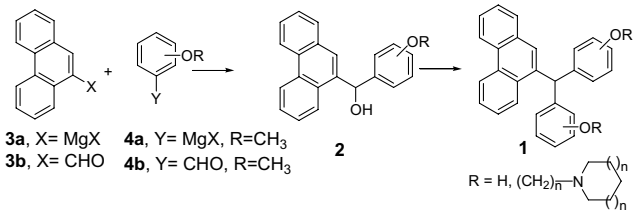
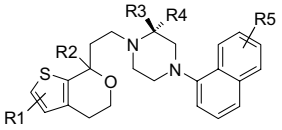
Contents

REVIEW

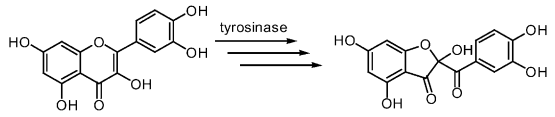


ARTICLES

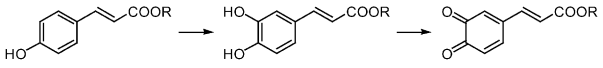




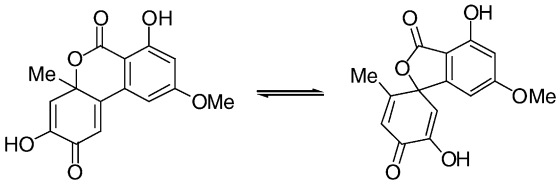
The synthesis and in vitro activity of a novel series of thienopyran derivatives is described. The compounds exhibit dual potency as 5-HT reuptake inhibitors and 5-HT_{1D} antagonists, and feature desirable selectivity with respect to 5-HT_{1B}, α_1 and D_2.

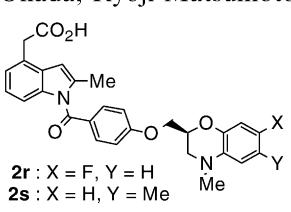


Quercetin was oxidized as a substrate catalyzed by mushroom tyrosinase to the corresponding *o*-quinone and subsequent isomerization to *p*-quinone methide type intermediate; followed by the addition of water on C-2 yielding a relatively stable intermediate, 2-(3,4-dihydroxybenzoyl)-2,4,6-trihydroxy-3(2*H*)-benzofuranone.

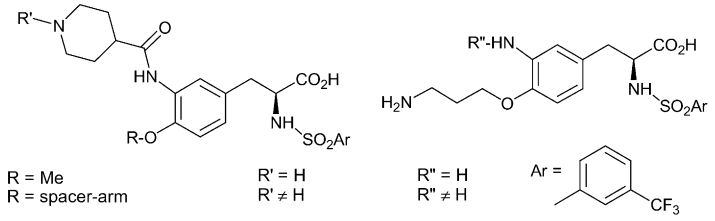


p-Coumaric acid and methyl *p*-coumarate inhibit the oxidation of L-tyrosine catalyzed by mushroom tyrosinase. However, both were oxidized as monophenol substrate analogues at an extremely slow rate. Methyl *p*-coumarate significantly suppressed the melanin formation in B16 mouse melanoma cells, whereas *p*-coumaric acid did not show this activity.

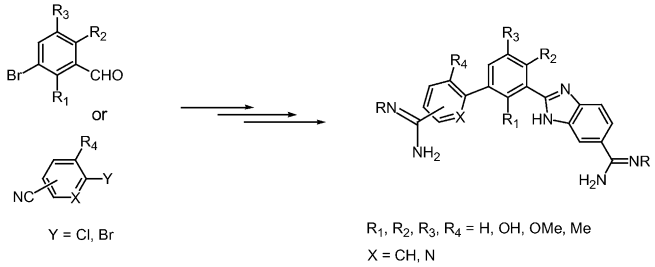




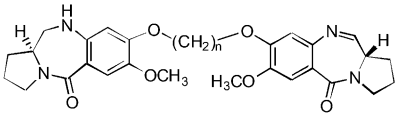
N-(*p*-Alkoxy)benzoyl-2-methylindole-4-acetic acids **2r** and **2s** were identified as a new class of orally active prostaglandin D_2 (PGD_2) receptor antagonists.



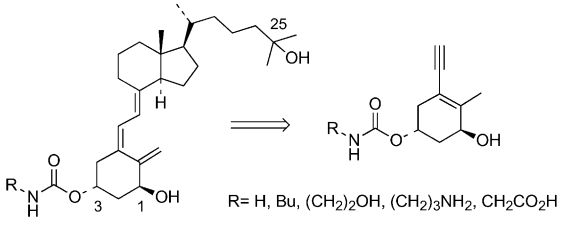
Acidity, pK_a, lipophilicity, and solubility of 19 biologically active substituted sulfonamides (including clinically useful acetazolamide, methazolamide, ethoxzolamide, dichlorophenamide, dorzolamide, and brinzolamide) have been theoretically determined.

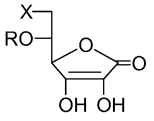


To improve their anticoagulant activity after oral administration, prodrug strategy was applied to fXa inhibitors based on a 1,4-diazepane template by conversion of the amidine group into amidoxime and alkoxycarbonyloxyamidine groups. This study revealed that amidoxime prodrugs bearing an ester moiety are efficient for the expression of oral anticoagulant activity.



Concise total syntheses of (*R*)- and (*S*)-argentilactone have been developed via enantioselective catalytic allylation (ECA) and ring-closing metathesis pathways. Both enantiomers were obtained in four steps and 39% overall yield and 82–84% ee from 2-octynal. In addition, we present the results of in vitro activity of (*R*)- and (*S*)-argentilactone against cancer cell line.





*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The cover image displays a sculpture on The Scripps Research Institute campus, entitled 'The Flame of Knowledge'. This statue was dedicated in memory of our colleague Norton B. Gilula, the first dean of the graduate program at TSRI and chair of the Department of Cell Biology, and was created by John Safer. The molecules surrounding the statue represent a variety of catalytic antibody substrates and products.

Indexed/Abstracted in: Beilstein, Biochemistry & Biophysics Citation Index, CANCERLIT, Chemical Abstracts, Chemistry Citation Index, Current Awareness in Biological Sciences/BIOBASE, Current Contents: Life Sciences, EMBASE/Excerpta Medica, MEDLINE, PASCAL, Research Alert, Science Citation Index, SciSearch, TOXFILE

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