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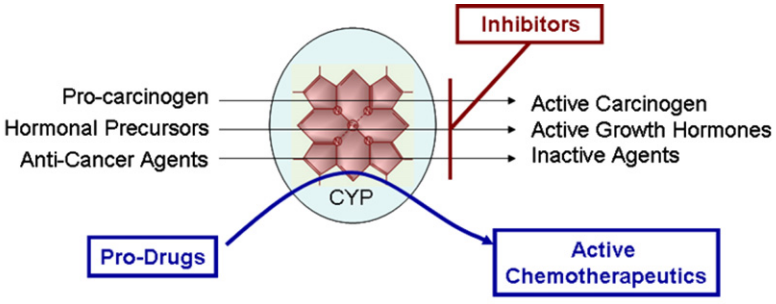
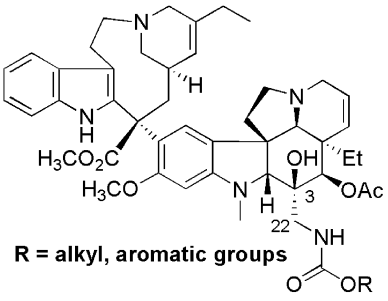
Contents

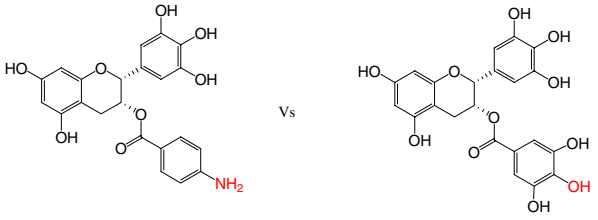
REVIEW

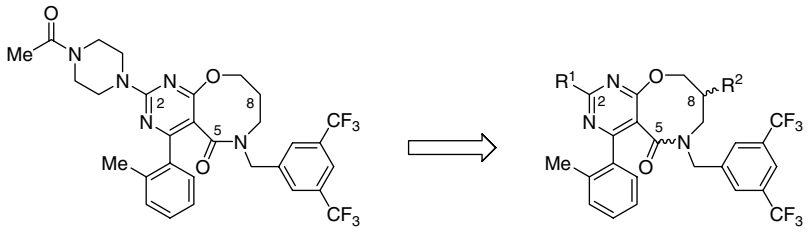


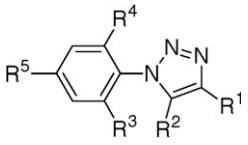
ARTICLES

A new series of 3-demethoxycarbonyl-3-carbamate methyl anhydrovinblastine derivatives were synthesized and evaluated the cytotoxic activity in vitro against A549 and HeLa cell lines (IC_{50}: 38–609 nM and 6–387 nM, respectively). The SARs of this new series are reported.



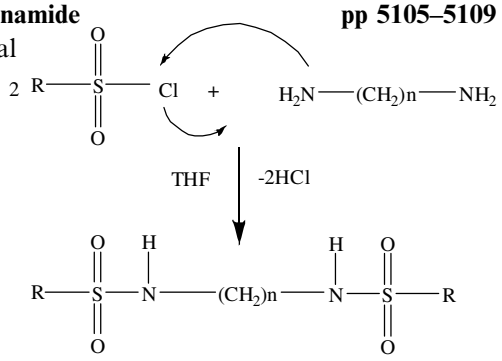




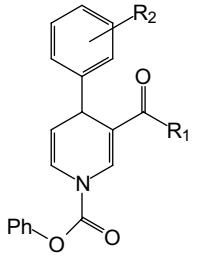


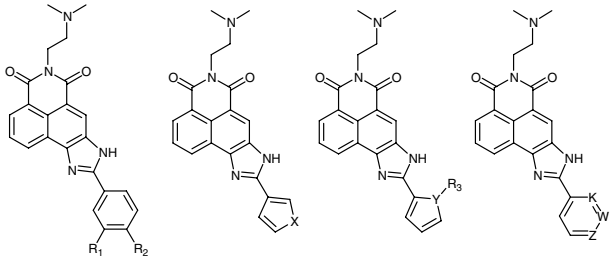
1-Phenyl-1*H*-1,2,3-triazoles showed higher affinity for human β3 than for α1β2γ2 GABA receptors. 3D-QSAR and molecular docking studies revealed the favorable and unfavorable moieties of 1-phenyl-1*H*-1,2,3-triazole antagonists for high affinity and their putative binding site in the receptors.

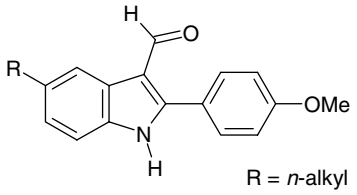
Preparation of symmetric aliphatic sulfonamide.



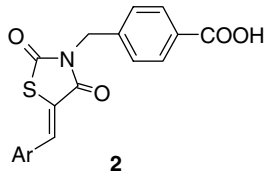
Preparation of symmetric aliphatic sulfonamide







5-*n*-Alkyl-2-phenylindole-3-carbaldehydes strongly inhibit the growth of MDA-MB 231 breast cancer cells (IC_{50}: 5–20 nM) through interference with tubulin polymerization and subsequent cell cycle arrest in G_2/M phase.



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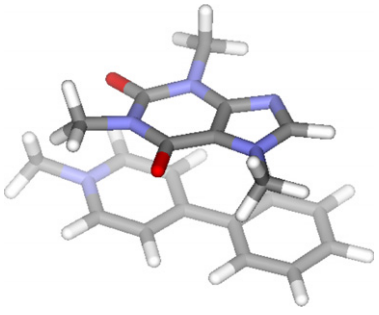
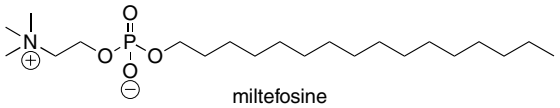
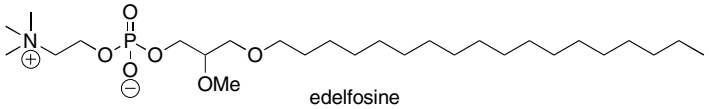
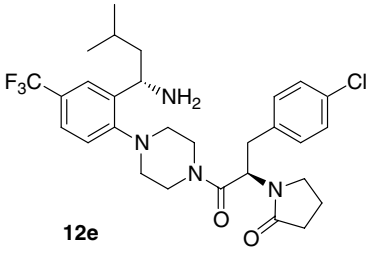
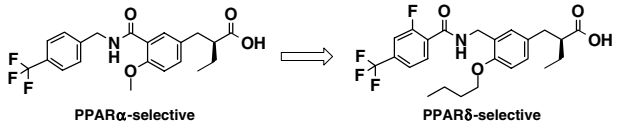


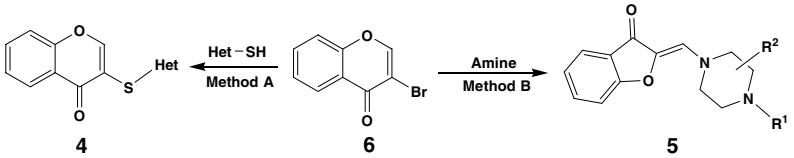


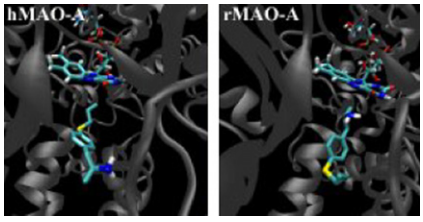




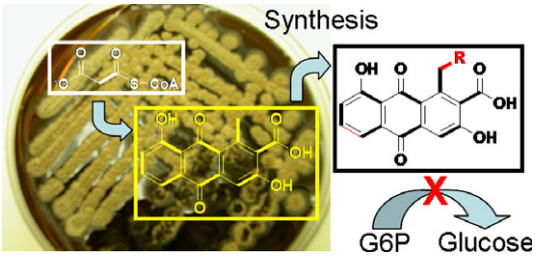


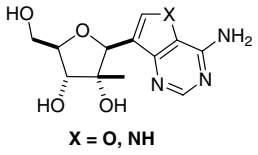
A series of 3-(4-alkoxypheny)propanoic acid derivatives was prepared as candidate peroxisome proliferator-activated receptor (PPAR) δ-selective agonists.



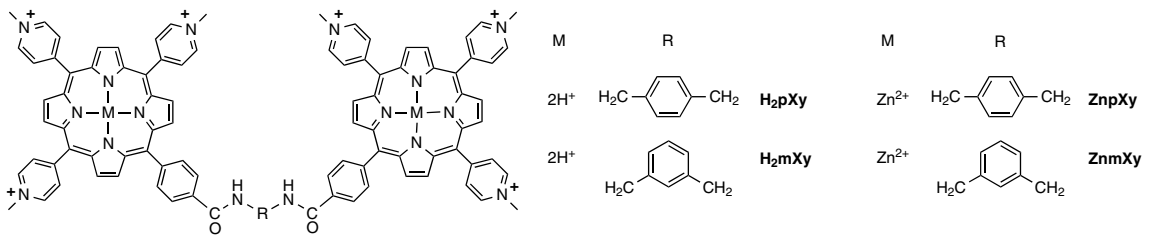


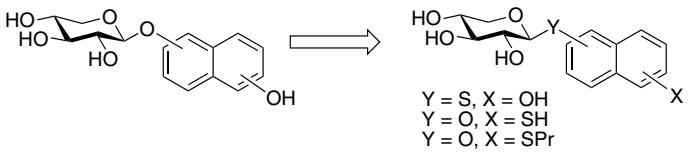
Amphetamine derivatives differentially inhibit human or rat MAO-A and exhibit different binding modes into the active site of both enzymes.

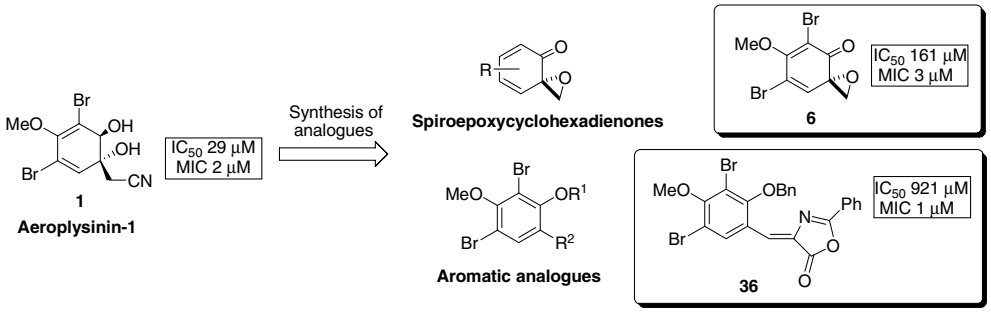


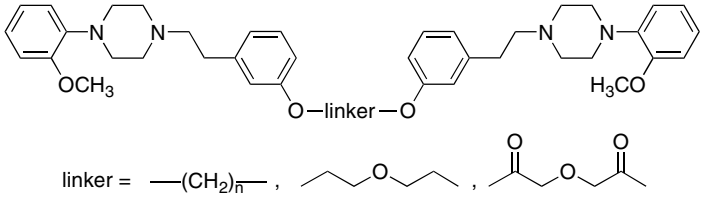


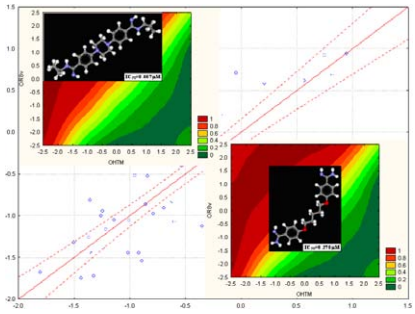
2′-*C*-Methyl analogs of 7-oxa- and 7-aza-7,9-dideazadenosines were synthesized and their metabolic stability as well as antiviral (HCV) properties evaluated.

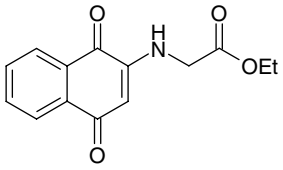




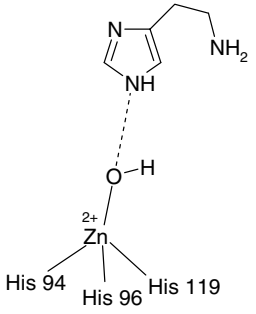








1,4-Naphthoquinone derivatives were found to be irreversible inhibitors for papain and bovine spleen cathepsin B. The chemical reactivity of the compounds towards cysteine as a model thiol is dependent on the naphthoquinone LUMO energy, whereas papain inactivation is not.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

L-Phenylalanine is a good activator of carbonic anhydrase (CA) isozymes II and VI. The figure shows the activator bound to CA II (K_A = 13 nM), as determined by X-ray crystallography. In CA VI all amino acid involved in the binding of the activator are the same as for CA II, except Asn67 which is Gln67. This leads to a diminished affinity of the activator for the enzyme active site (K_A = 1.23 μM) [Nishimori, I.; Onishi, S.; Vullo, D.; Innocenti, A.; Scozzafava, A.; Supuran, C. T. *Bioorg. Med. Chem.* **2007**, *15*, 5351–5357].

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