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Bioorganic &
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Chemistry

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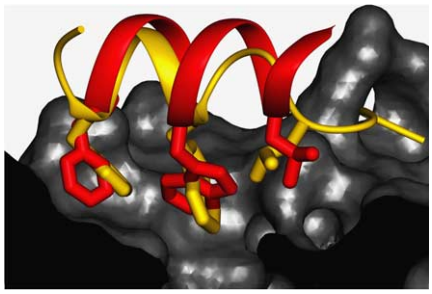
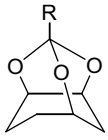
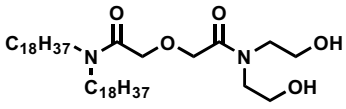
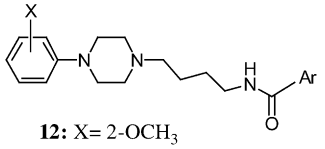
Contents





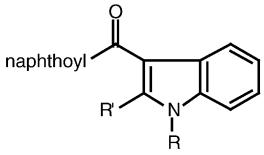
This review summarizes the natural occurrence, biological activities and synthetic approaches of the tetracyclic cage-like orthoesters with a 1,2,4-trioxygenated pattern of cyclohexane.



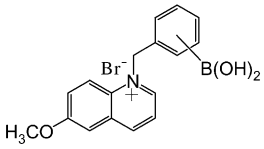


12: X= 2-OCH_3
13: X = 2,3-dichloro

The synthesis of a series of *N*-(2-methoxyphenyl)piperazine and *N*-(2,3-dichlorophenyl)piperazine analogs and their in vitro binding affinities for dopamine D_2, D_3, D_4 are presented.

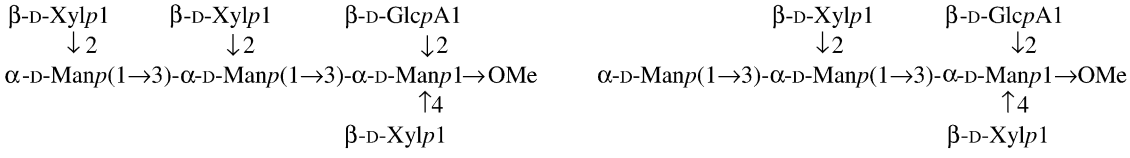


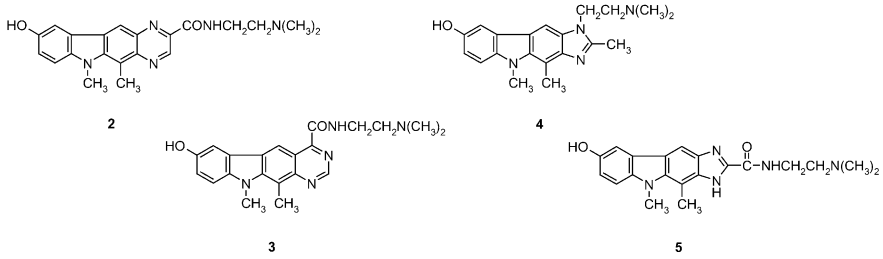
The synthesis and pharmacology of 47 1-alkyl-3-(1-naphthoyl)indoles (R = C_3H_7 and C_5H_{11}, R′ = H and CH_3) is described. Naphthoyl substituents include 4- and 7-alkyl groups, plus 2, 4, 6, and 7-methoxy groups. Three of these compounds are highly selective CB_2 receptor agonists.

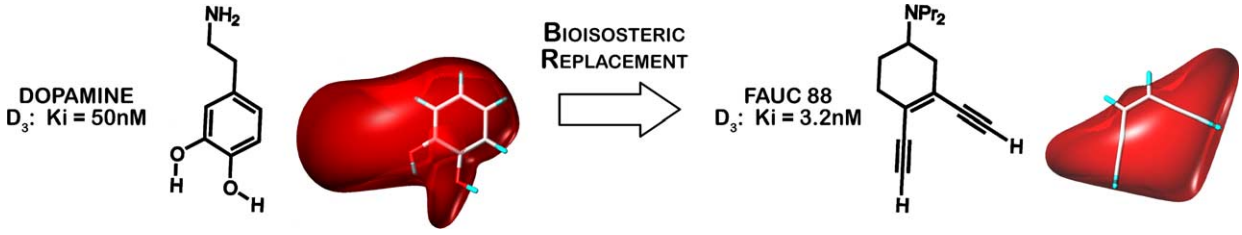


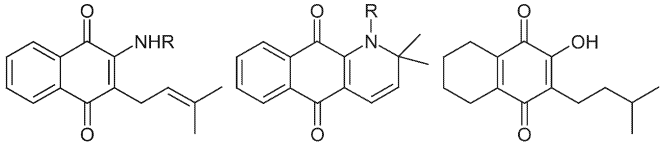
BMOQBAs

Glucose sensing probes based on 6-methoxyquinolinum boronic acid are potential candidates for the noninvasive and continuous glucose monitoring.



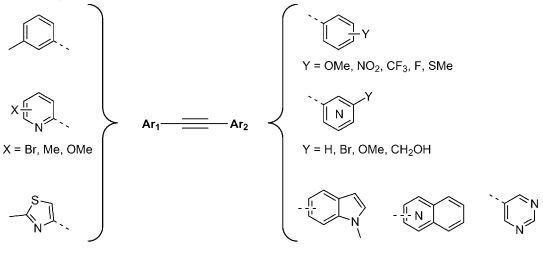


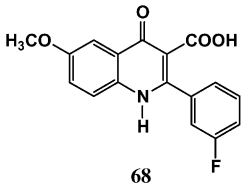




A series of new amino derivatives and a new partially hydrogenated derivative of the natural naphthoquinone lapachol were found to exhibit molluscicidal activity against *Biomphalaria glabrata*.

Noncompetitive antagonists of the human metabotropic glutamate receptor subtype 5 (mGluR5) have been implicated as potential therapeutics for the treatment of a variety of nervous system disorders, including pain, anxiety, and drug addiction. To discover novel noncompetitive antagonists to the mGluR5, we initiated an SAR study around the known lead compounds MPEP and M-MPEP. Our results pointed out the critical role of the *para* position of the two aromatic rings, which leads to inactive products and permitted the discovery of potent mGluR5 antagonists (e.g., **16**, **25**, **28**, **34** IC_{50} = 13.5, 11.9, 21, 15 nM, respectively).





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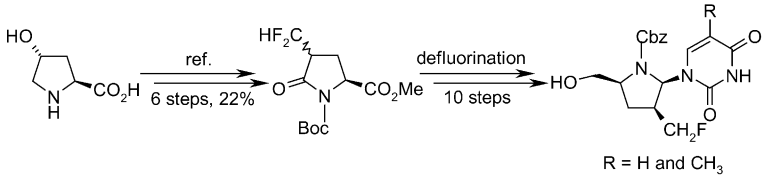

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Model illustrating how the rationally designed β-peptide **b53-1** might interact with its target, the oncoprotein hDM2. The mean solution structure of **b53-1** (red) was docked into the crystal structure of the hDM2 oncoprotein (gray surface) complexed to a peptide derived form p53 (gold). For clarity, some sidechains and part of the hDM2 surface were omitted. [Kritzer, J. A.; Stephens, O. M.; Guarracino, D. A.; Reznik, S. K.; Schepartz, A. *Bioorg. Med. Chem.* **2005**, *13*, 11–16].

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