Bioorganic & Medicinal Chemistry 20 (2012) 4599–4607

Contents lists available at SciVerse ScienceDirect

Bioorganic & Medicinal Chemistry

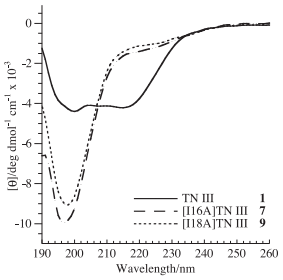
journal homepage: www.elsevier.com/locate/bmc

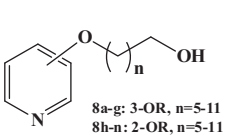
Bioorganic & Medicinal Chemistry Volume 20, Issue 15, 2012

Contents

ARTICLES

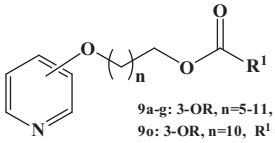
	Peptide	Proliferation activity
1	TNIIIA2	+
2	[R1A]TNIIIA2	+
3	[K9A]TNIIIA2	+
4	[R19A]TNIIIA2	+
5	[Y14A]TNIIIA2	+
6	[T15A]TNIIIA2	+
7	[I16A]TNIIIA2	–
8	[T17A]TNIIIA2	+
9	[I18A]TNIIIA2	–





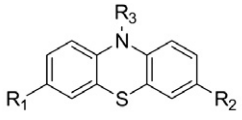
8a-g: 3-OR, n=5-11
8h-n: 2-OR, n=5-11

pyridinyloxyalkanols

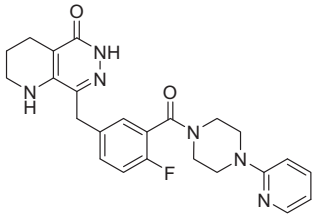


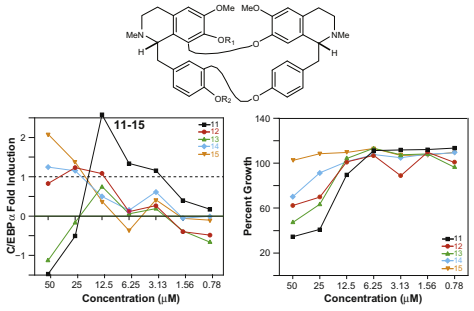
9a-g: 3-OR, n=5-11, $R^1 = CH_3$; 9h-n: 2-OR, n=5-11, $R^1 = CH_3$
9o: 3-OR, n=10, $R^1 = CH_2CH_3$; 9p: 2-OR, n=10, $R^1 = CH_2CH_3$

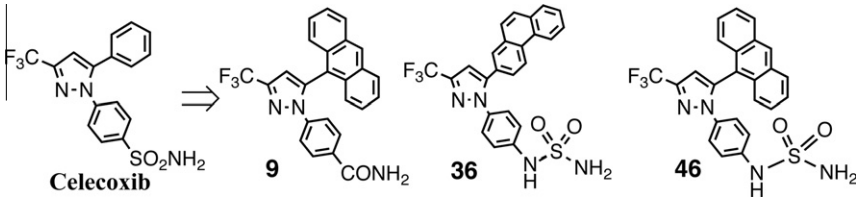
pyridinyloxyalkyl esters

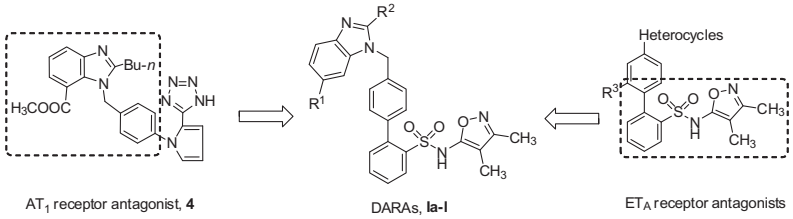


$R_1 = OCH_3$; H; Br; I; OH; OCH_2CH_2F; $OCH_2CH{=}CHI$; $OCH_2C{\equiv}CH$.
$R_2 = OCH_3$; CN; NO_2; NH_2; $NHCH_3$; $N(CH_3)_2$.
R_3 = H; CH_3; $COCH_3$.

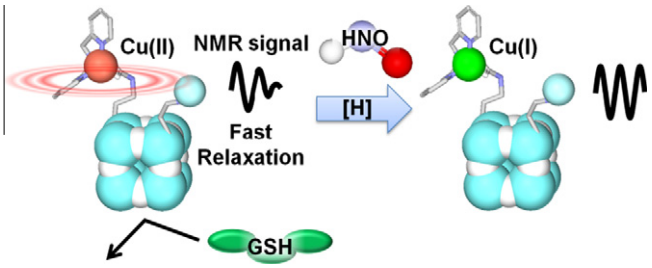


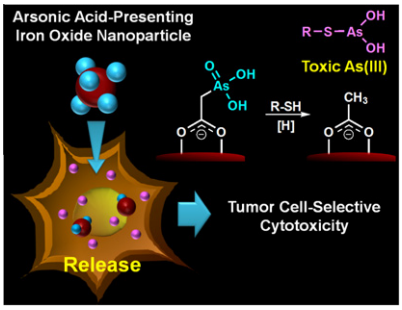


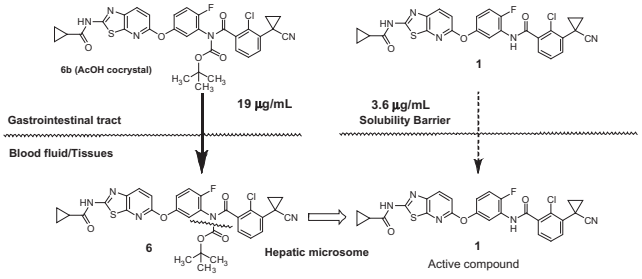


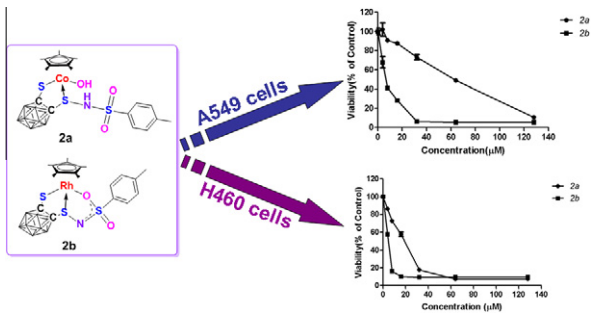


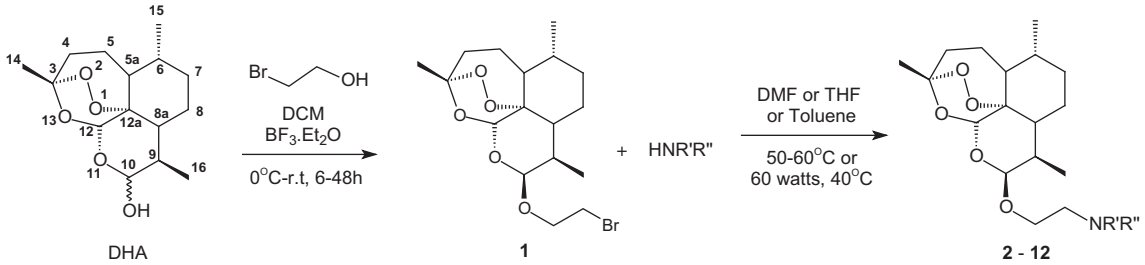
A series of 40-[(benzimidazole-1-yl)methyl]biphenyl-2-sulfonamide derivatives (**Ia-II**) were synthesized and biologically evaluated. The most prospective compound **Ig** was found to have the most effective antagonism for Ang II AT_1 and endothelin ET_A receptors, exhibiting more potent activity (AT_1 IC_{50} = 8.5 nM, ET_A IC_{50} = 8.9 nM) than losartan and equivalent activity to bosentan, and was more potent than losartan in RHRs with no significant effect on heart rate.





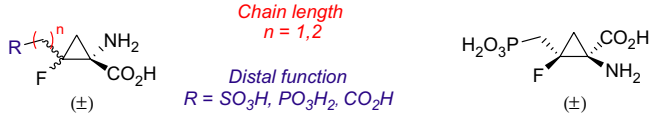




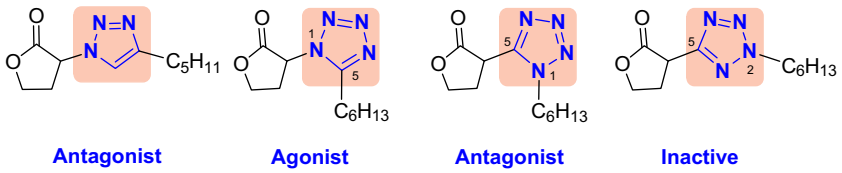


Clonidine
pKi (I_1Rs) = 6.55 ± 0.04
pKi (α_{2A}ARs) = 8.06 ± 0.11
Antihypertensive in rats

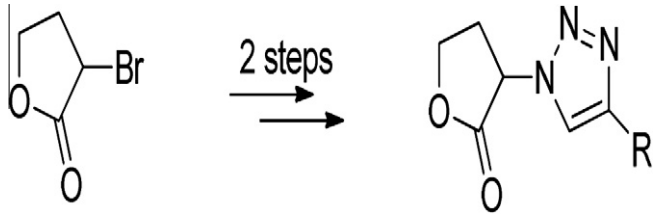
LNP 630
pKi (I_1Rs) = 9.03 ± 0.19
pKi (α_{2A}ARs) = 5.71 ± 0.05
Antihypertensive in rats

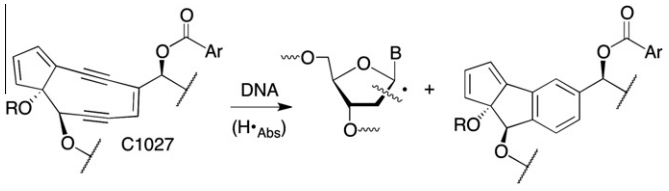


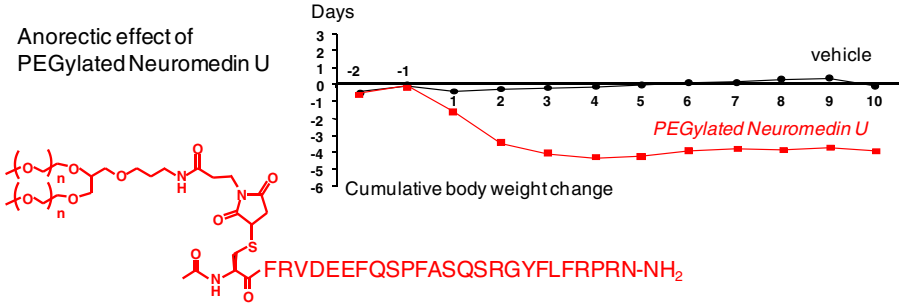
EC50 = 0.34 μM

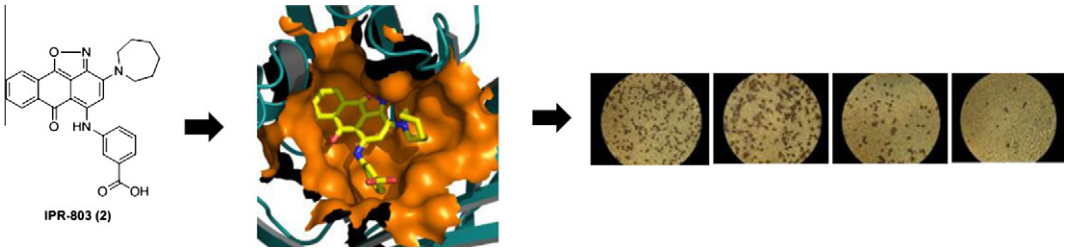


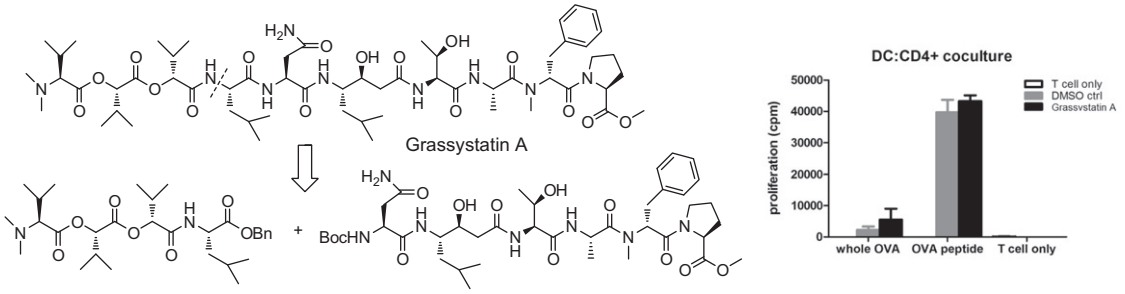
New 1,2,3-triazolic or 1,2,3,4-tetrazolic N-acyl-homoserine-lactone analogues showed activities either antagonist or agonist in LuxR dependent quorum sensing, notably some 1,4-triazolic and 1,5-tetrazolic ones, whereas 2,5-tetrazolic systems are inactive. Activity (agonistic or antagonistic) also depends on the connection between the heterocycle and the lactone moiety.

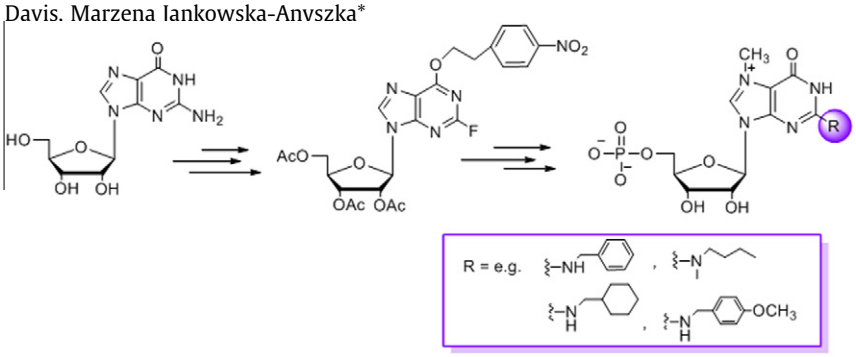


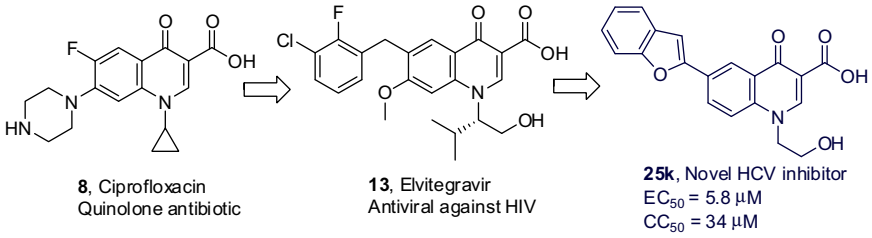


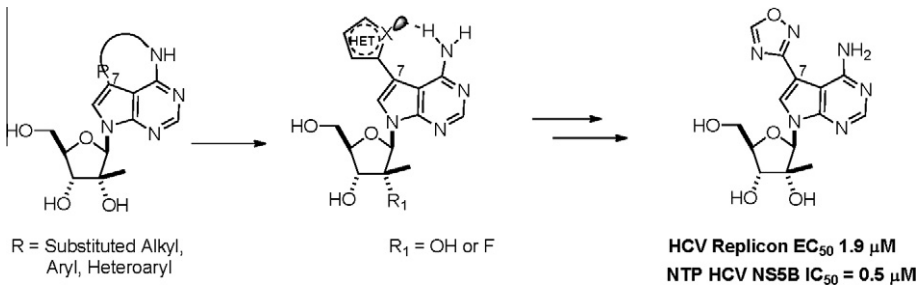


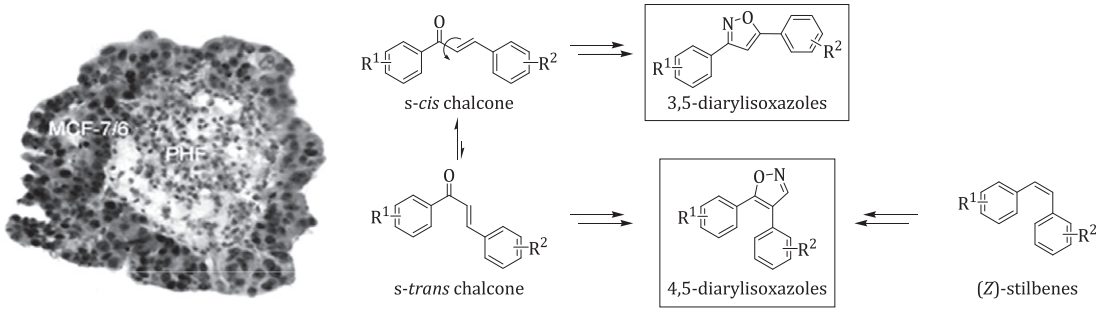


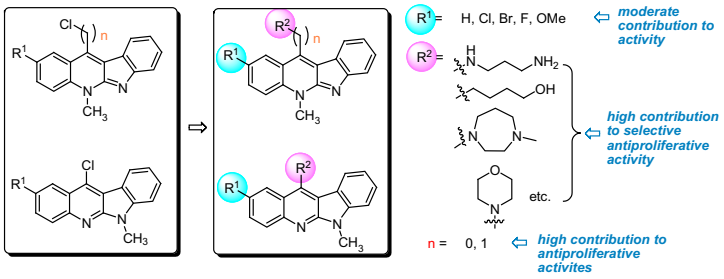


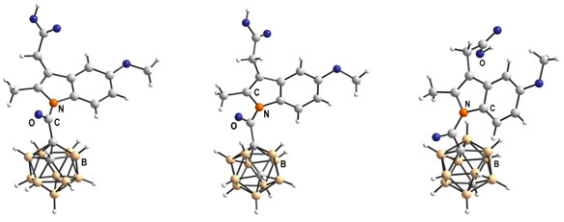




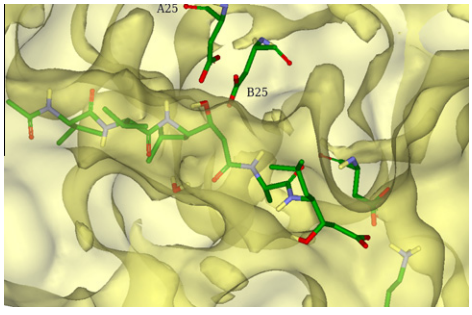


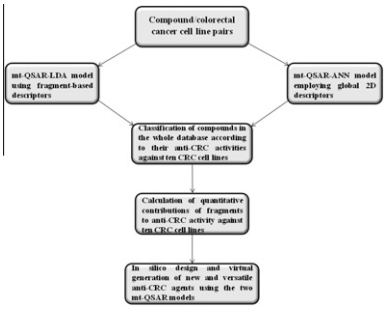


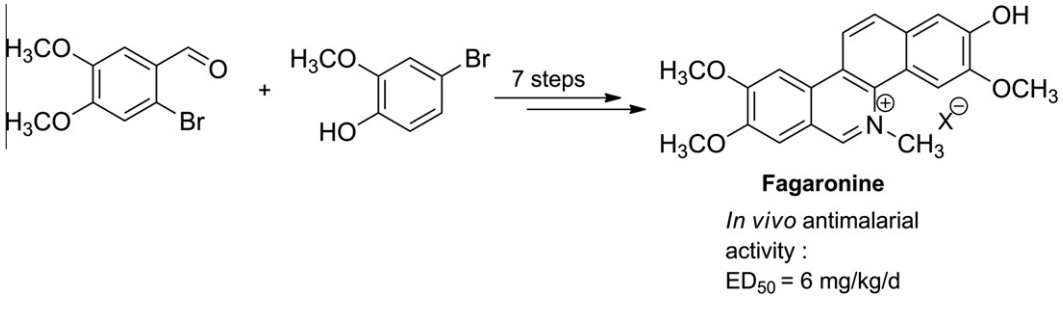


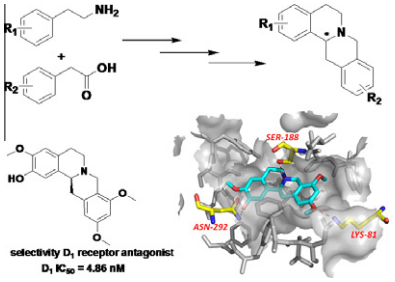


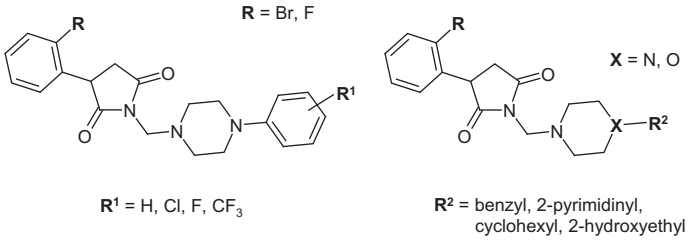
	ortho	*meta*	*para*
IC_{50} (COX-1)	> 25 μM	inactive	inactive
IC_{50} (COX-2)	3.680 μM	inactive	inactive











The library of 24 new N-Mannich bases derived from 3-(2-fluorophenyl)- and 3-(2-bromophenyl)-pyrrolidine-2,5-diones have been synthesized as potential anticonvulsants. The in vivo results showed that majority of compounds were active in maximal electroshock test (MES).

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via SciVerse ScienceDirect

COVER

Thioglycine and l-thiovaline are stable under acidic and basic conditions but in the presence of bicarbonate they liberate the gasotransmitter H_2S. They will help to shed light on the biological role of this gasotransmitter. [Zhou, Z.; von Wantoch Rekowski, M.; Coletta, C.; Szabo, C.; Bucci, M.; Cirino, G.; Topouzis, S.; Papapetropoulos, A.; Giannis, A. **2012,** *20,* 2675–2678.]

Available online at www.sciencedirect.com

SciVerse ScienceDirect

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. Also covered in the abstract and citation database SciVerse Scopus®. Full text available on SciVerse ScienceDirect®

ELSEVIER

ISSN 0968-0896