Bioorganic & Medicinal Chemistry 18 (2010) 2987–2996

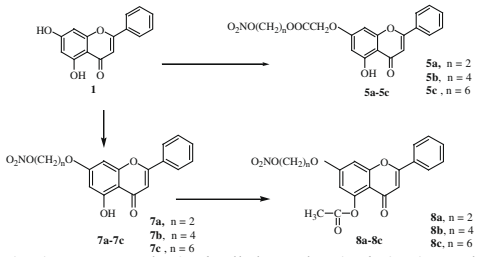
Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry

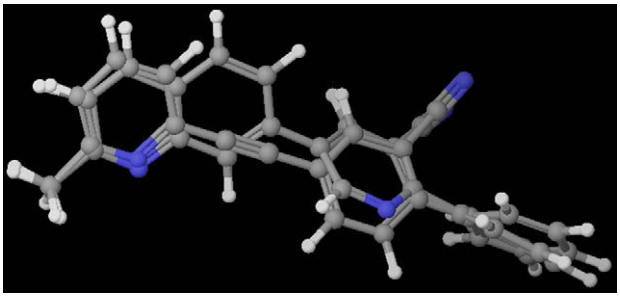
journal homepage: www.elsevier.com/locate/bmc

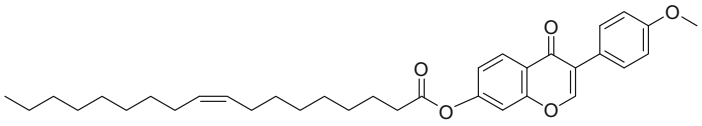
Bioorganic & Medicinal Chemistry Volume 18, Issue 9, 2010

Contents



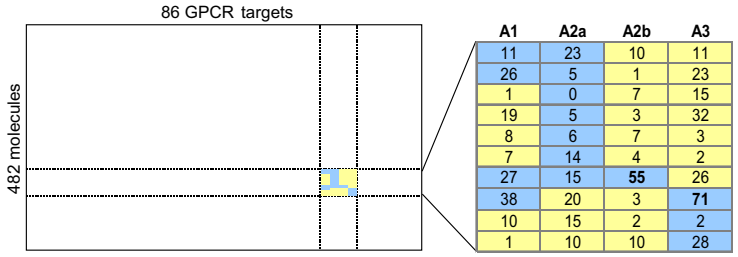
A novel group of hybrid nitric oxide-releasing chrysin derivatives was synthesized. All these chrysin derivatives released NO upon incubation with PBS at pH 7.4, exhibited inhibitory activities against aldose reductase and advanced glycation end-products formation in vitro. And some of them were even found to increase the glucose consumption of HepG2 cells.





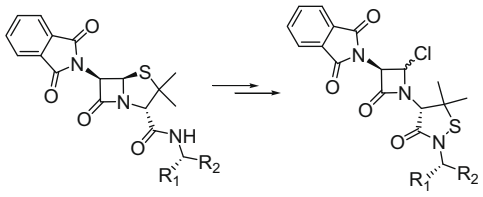
1a

A series of isoflavone fatty acid esters were synthesized and evaluated. The compound **1a** shows good weight loss activity, hypolipidemic activity and low toxicity.

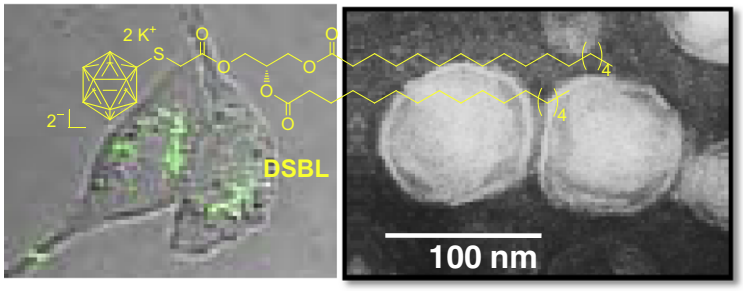


A1	A2a	A2b	A3
11	23	10	11
26	5	1	23
1	0	7	15
19	5	3	32
8	6	7	3
7	14	4	2
27	15	**55**	26
38	20	3	**71**
10	15	2	2
1	10	10	28

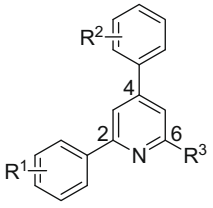
Scheme of the in silico profiling of 482 molecules across 86 GPCR targets (prediction of activity in blue) and results of the in vitro screening (% displacement of specific radioligand binding at 10 μM concentration) against the four members of the adenosine receptor family.



New azetidinone-isothiazolidinones were prepared from penam amides by a simple and efficient procedure. New compounds were tested on selected bacterial pathogens, some of them showing weak antibacterial activity.



A new series of 2,4-diphenyl-6-aryl pyridines containing hydroxyl group(s) at the *ortho*, *meta*, or *para* position of the phenyl ring were synthesized, and evaluated for topoisomerase I and II inhibitory activity and cytotoxicity against several human cancer cell lines for the development of novel anticancer agents.

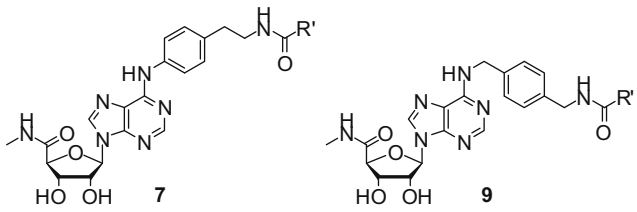


2,4-diphenyl-6-aryl pyridine

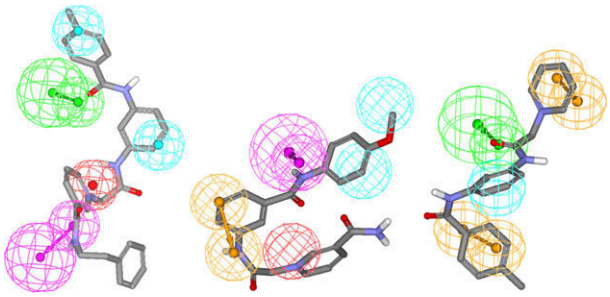
R^1 = H or OH

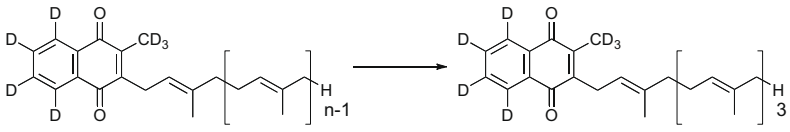
R^2 = H or OH

R^3 = phenyl
2/ 3 -thienyl
2 -furyl
2/ 3/ 4- pyridyl
o/ *m*/ *p*-OH phenyl

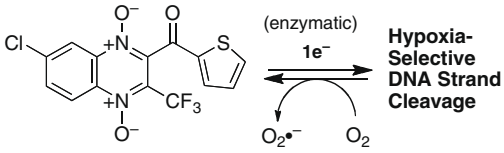


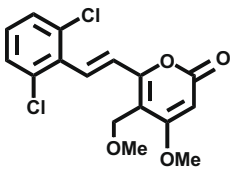
The design, synthesis and biological evaluation of some potent and selective A_3 adenosine receptor agonists are reported herein. Preliminary studies were also conducted to assess the cardioprotective effects of these compounds.



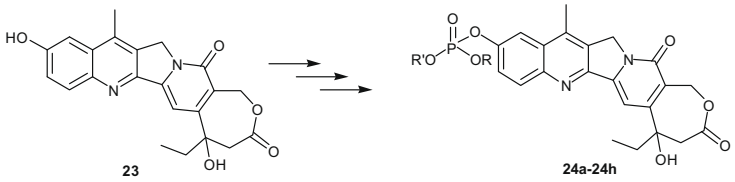


We examined structure–activity relationship in the conversion of several vitamin K analogues, with a substituted side-chain, into menaquinone-4 using cultured human cell lines.

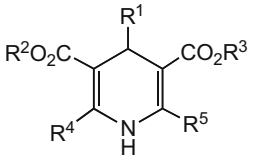




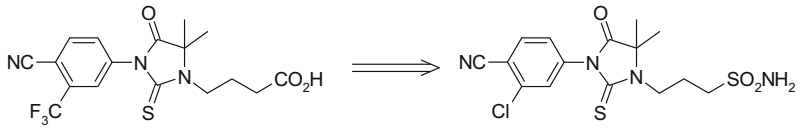
A novel kavalactone derivative has a methoxymethyl group at the position of 5 and two chlorine groups at the positions of 2′ and 6′ added after dehydrogenation of the positions of 5 and 6 (2′,6′-dichloro-5-methoxymethyl-5,6-dehydrokawain).



Some diphosphates and triphosphates homocamptothecin derivatives were designed and synthesized based on our previous synthetic route. Among them compounds **24e** and **24f** exhibited higher cytotoxic activity than IRT and the former exhibited the best antitumor activity in vivo and the solution stability both at pH 7.4 and at pH 3.0.



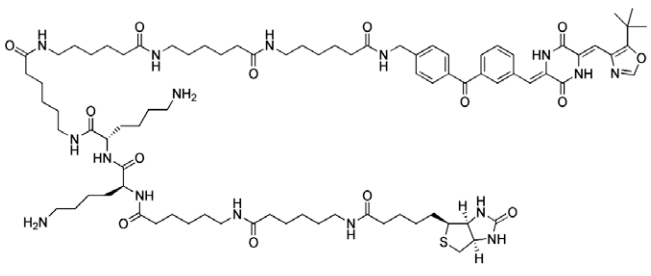
Hundred and twenty four analogues made to identify a selective $Ca_V1.3$ Ca^{+2} channel antagonist.

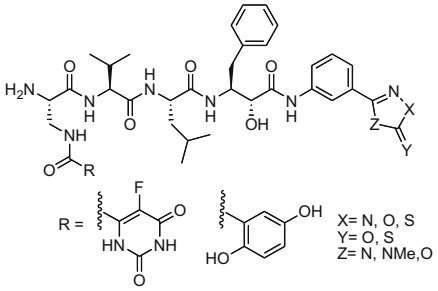


5 RGA sIC_{50} = 2000 nM

CH4933468 (32d) RGA sIC_{50} = 190 nM

We designed and synthesized novel AR pure antagonists for the treatment of hormone refractory prostate cancer. **CH4933468** with a sulfonamide side chain showed higher activities than lead compound **5**.





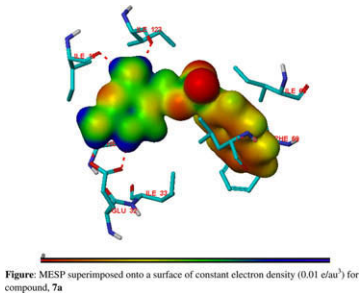
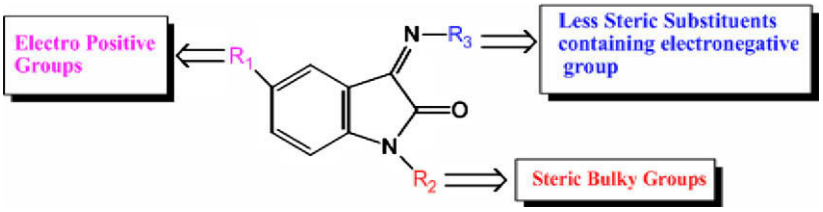
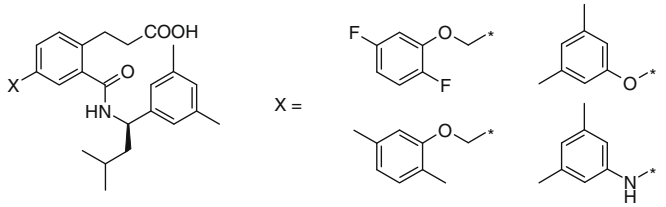
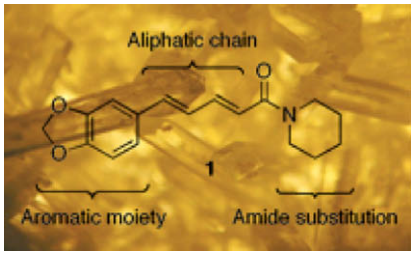


Figure: MESP superimposed onto a surface of constant electron density (0.01 e/au^3) for compound, **7a**

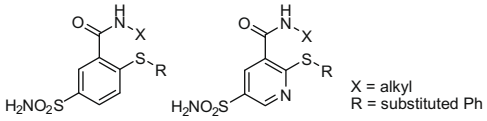


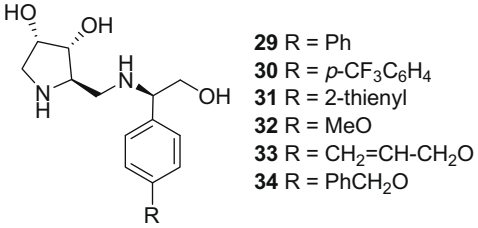


Optically active analogs with a (1*R*)-1-(3,5-dimethylphenyl)-3-methylbutylamine moiety on the carboxyamide side chain were synthesized. Several analogs exhibited potent inhibitory effect against the PGE_2-induced uterine contraction in pregnant rats after oral administration.

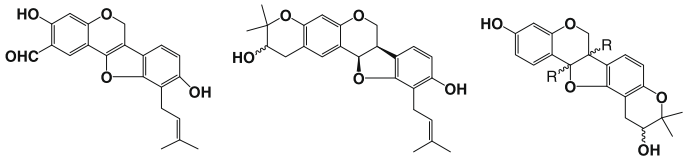


We have evaluated the in vitro hTRPV1 activity of natural and synthetic piperine derivatives with modifications according to key structural regions using fluorometric calcium imaging.

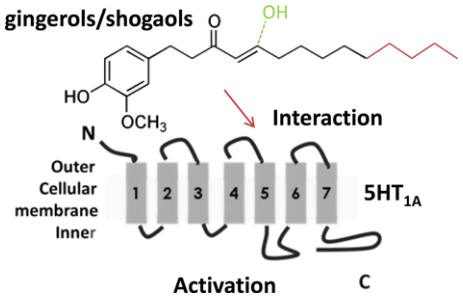




The novel α-mannosidase inhibitors **29**–**34** act as cell cycle modulators on tumor cells of different origins.



Four new pterocarpenoid derivatives (**6**, **8**, **11**, and **12**) and eleven known ones (**1**–**5, 7, 9, 10,** and **13**–**15**) were isolated from the stem bark of *Erythrina abyssinica*. All compounds exhibited strong inhibitory effects on the neuraminidase from *Clostridium perfringens* and *Vibrio cholerae* with IC_{50} values ranging from 1.32 ± 0.2 to 77.10 ± 2.2 μM and 0.35 ± 0.02 to 77.73 ± 11.01 μM, respectively. This finding suggests that *E. abyssinica* and its constituents, pterocarpanoids, can be considered as promising therapeutic agent in the treatment of bacterial infections.



*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The most active bisnaphthalimide tested using the Malstat assay and in blood cultures. Details: Most active bisnaphthalimide (center); Malstat assay well plate (lower left); anopheles mosquito (lower right); plasmodia infected blood culture (background). Source: Mosquito picture CDC (PHIL)/ James Gathany. [Tischer, M.; Sologub, L.; Pradel, G.; Holzgrabe, U. *Bioorg. Med. Chem.* **2010,** *18,* 2998.]

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ISSN 0968-0896