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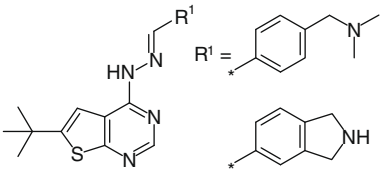
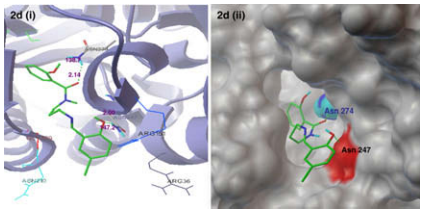
Contents

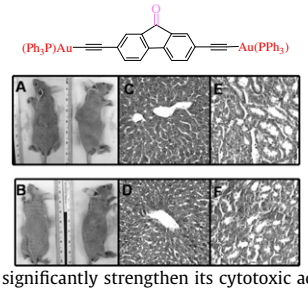
ARTICLES



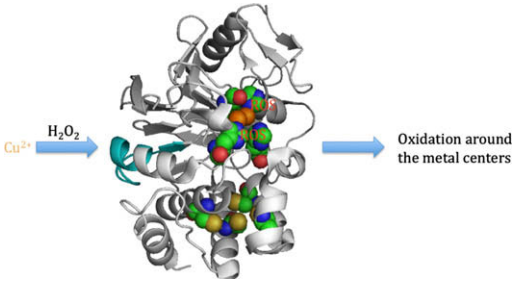
The design, synthesis and evaluation of novel thieno[2,3-*d*]pyrimidin-4-yl hydrazone analogues as CDK4 inhibitor are described. Focusing on the optimization of the heteroaryl moiety at the hydrazone with substituted phenyl groups, 4-[(methylamino)methyl]benzaldehyde (6-*tert*-butylthieno[2,3-*d*]pyrimidin-4-yl)hydrazone and 5-isoindolinecarbaldehyde (6-*tert*-butylthieno[2,3-*d*]pyrimidin-4-yl)hydrazone have been identified. The potency, selectivity profile and structure–activity relationships of our compounds are discussed.

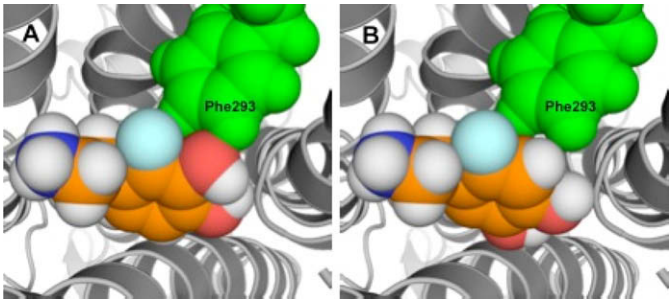


The inhibitory activities of 44 PSB against *Escherichia coli* β-ketoacyl-acyl carrier protein synthase III (ecKAS III) were investigated in vitro and molecular docking simulation also surveyed. The results demonstrate compound *N*-(3-(5-bromo-2-hydroxybenzylideneamino)propyl)-2-hydroxybenzamide (**2d**), bonding two active site of ecKAS III and fit into the mouth of the substrate tunnel, can be as a potential antibiotics agent, displaying minimal inhibitory concentration values in the range 0.39–3.13 μg/mL against various bacteria.

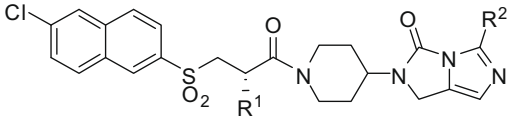


End-capping the diethynylfluorene unit with gold(I) moieties could significantly strengthen its cytotoxic activity on human cancer cells. Further study of the gold(I) derivative demonstrated its in vivo antitumor activity using Hep3B human hepatocellular carcinoma model with limited adverse effects on vital organs including liver and kidney.



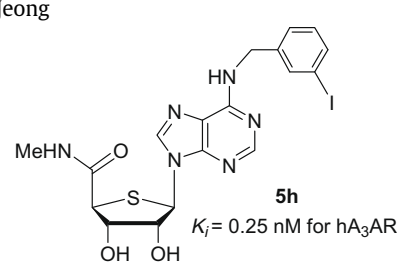


The binding modes of 2FNE and 6FNE at the N293F mutant β_2-adrenergic receptor.



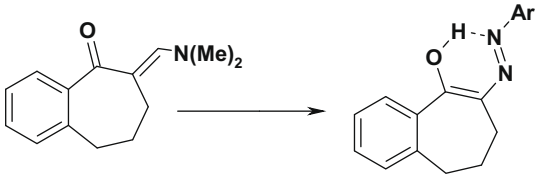
1 :R^1=H, R^2=CH_3
***S*-5** :R^1=OH, R^2=CH_3
6 :R^1=H, R^2=CH_2OH

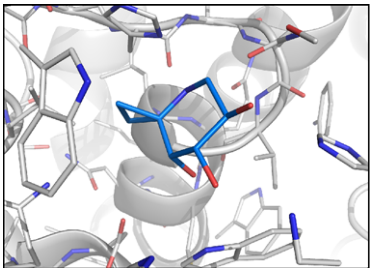
Synthesis and biological evaluation of the metabolites of our Factor Xa inhibitor **1** were performed, and the active metabolites ***S*-5** and **6** with the potent activity comparable to that of **1** were identified.

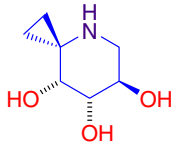


5h
K_i= 0.25 nM for hA_3AR

The structure–activity relationship of N^6-substituted-4′-thioadenosine-5′-uronamides (**5h**, K_i = 0.25 nM) as potent human A_3 adenosine receptor agonists is reported.

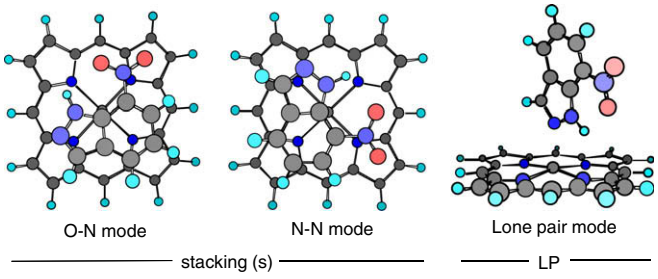


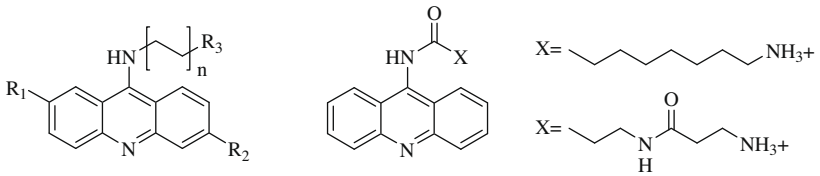




K_i = 18 μM
(α-L-fucosidase)

Nitrogen-in-the-ring analogues of L-fucose and L-rhamnose, which feature a spirocyclopropyl moiety in place of the methyl group of the natural sugar, were prepared and assayed.

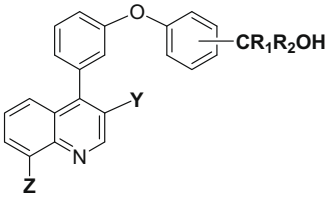




$R_1 = OCH_3$, $R_2 = Cl$, and $R_3 = NH_3^+$ or CH_3 or Acr

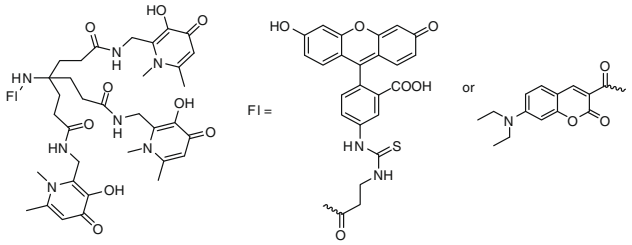
$R_1 = R_2 = H$ $R_3 = NH_3^+$

Synthesis of new 9-aminoacridines and evaluation of in vitro anti-malarial activity. Inhibitory effect on haem crystallization.

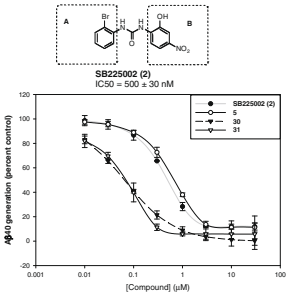


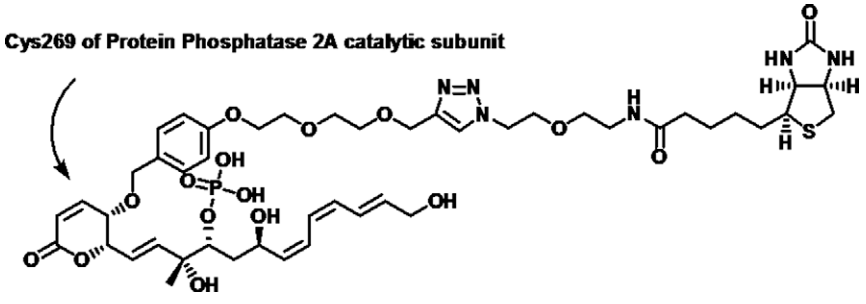
5 Y = CH_2Ph, Ph, Me
Z = Cl, CF_3
R_1, R_2 = H, Me

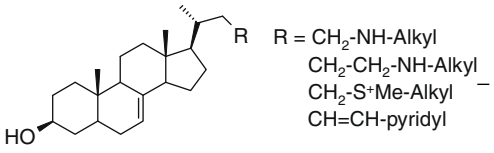
A series of 4-(3-aryloxyaryl)quinolines **5** was prepared as LXR agonists.



Coumarin or fluorescein-based hexadentate probes for iron selective quantification is described.







Novel antifungal Δ7-azasteroids and thiasteroids were prepared as inhibitors of the enzyme Δ24-sterol methyltransferase (24-SMT).

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Side chain azasteroids and thiasteroids as sterol methyltransferase inhibitors in ergosterol biosynthesis: an abstracted close-up photo of an agardiltution test with the model organism *Yarrowia lipolytica* overlaid by the three-dimensional structures of the sterols zymosterol, fecosterol, cholesta-5,7,24-trien-3β-ol and substance 19 (upper right corner) - a sterol methyltransferase (SMT) inhibitor is shown. The substance cholesta-5,7,24-trien-3β-ol (lower right) is the major sterol which is found in the unsaponifiable matter of the test organism, when the transformation of zymosterol (lower left) to fecosterol (upper left) by the SMT is inhibited. [Renard, D.; Perruchon, J.; Giera, M.; Müller, J.; Bracher, F. *Bioorg. Med. Chem.* **2009**, *17,* 8123].

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 SCOPUS®. Full text available on ScienceDirect®

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