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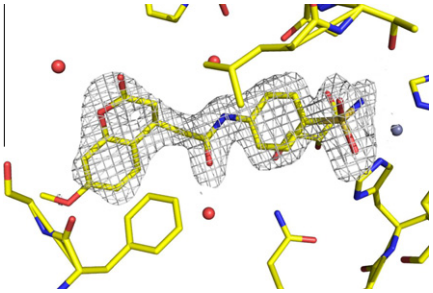
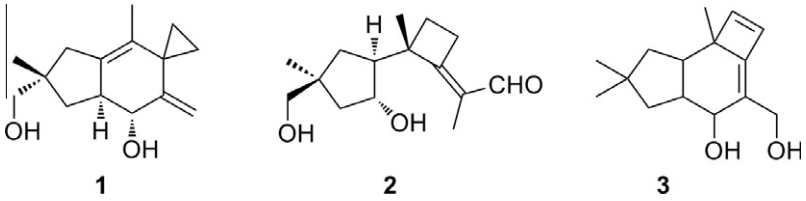
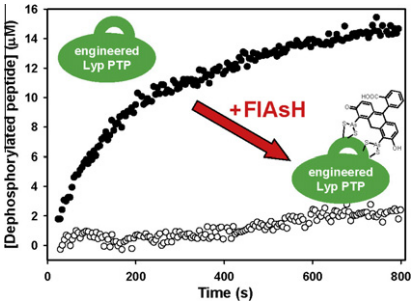
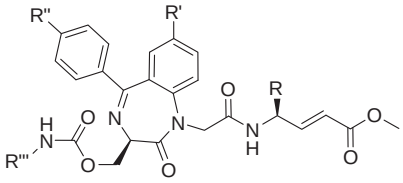
Contents



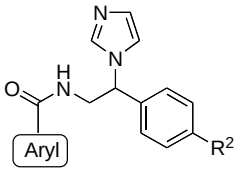


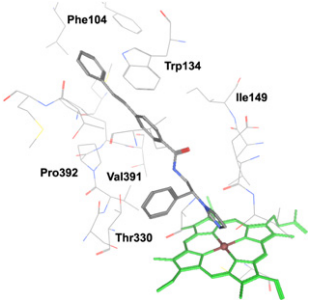
Three new sesquiterpenes were isolated from the multicellular basidiomycete *Coprinus cinereus*.

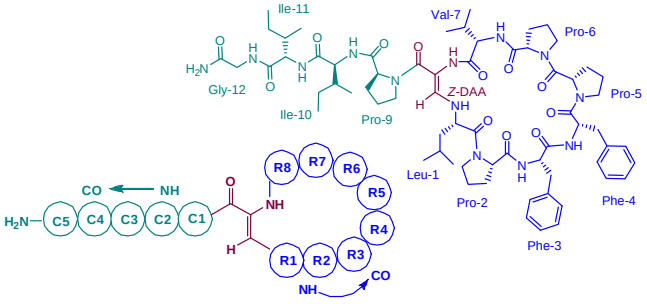


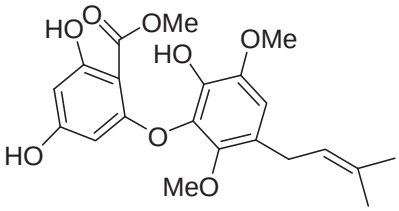


A series of *N*-(2-(1*H*-imidazol-1-yl)-2-phenylethyl)arylamides were prepared and evaluated for their inhibitory activity against human cytochrome P450C24A1 (CYP24A1) hydroxylase. The styryl derivative (**11c**) displayed enhanced activity (IC_{50} = 0.3 μM) compared with the standard ketoconazole, providing a useful lead.









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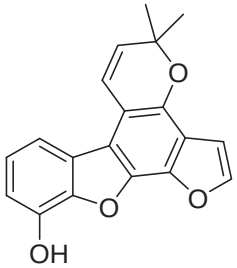

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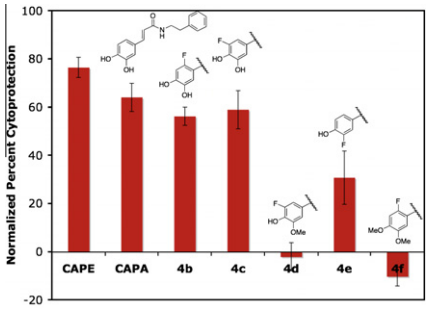
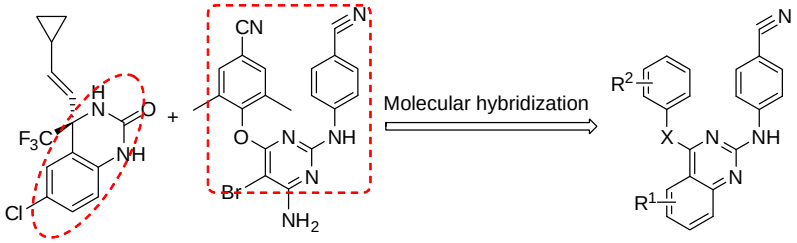
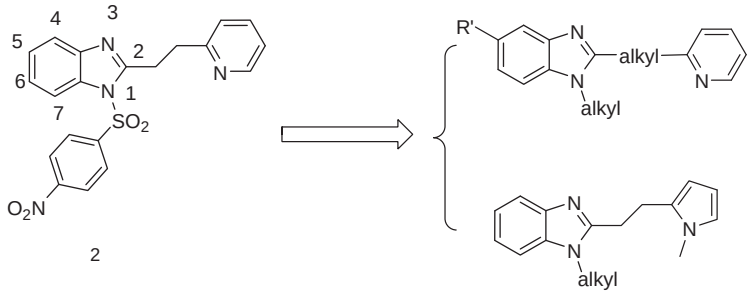
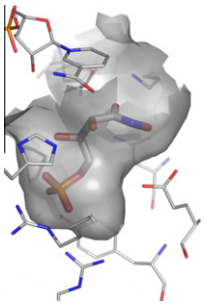


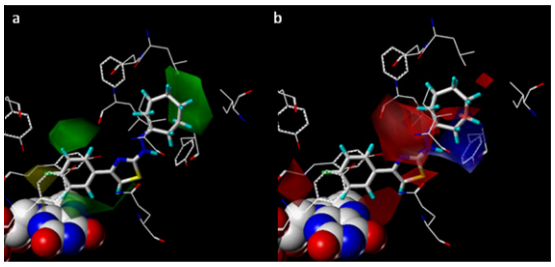
Molecular hybridization of the known anti-HIV-1 template DPC083 and etravirine based on docking modeling overlay has been set up to generate a novel series of diarylbenzopyrimidine analogues (DABPs).

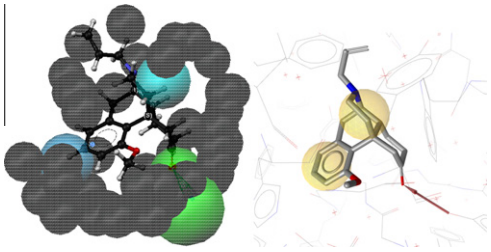




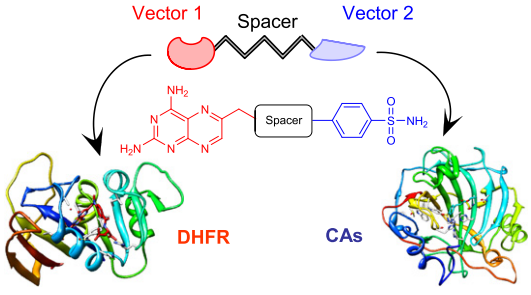


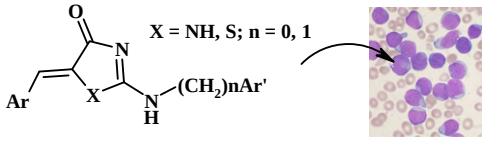
We report the identification of novel inhibitors of *Trypanosoma brucei* 6PGDH enzyme by virtual fragment screening.



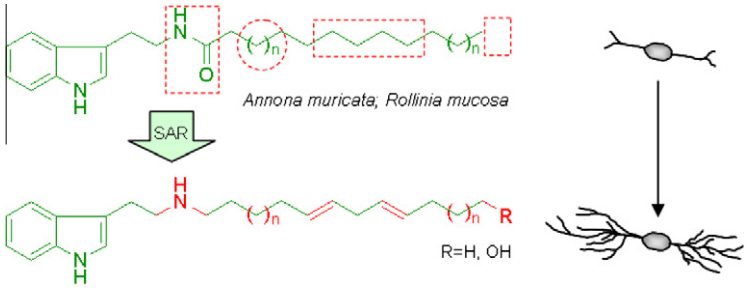


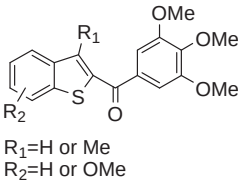
Rationalized discovery of acetylcholinesterase inhibitors from the chemical classes of morphinans and isoquinolins by pharmacophore modeling.

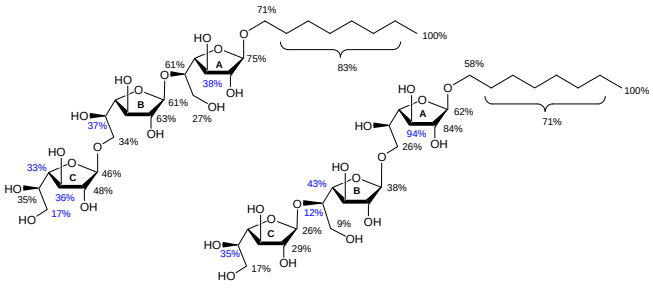


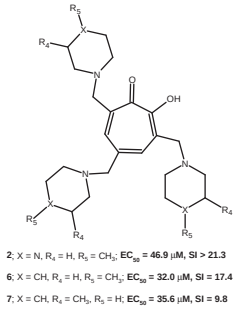


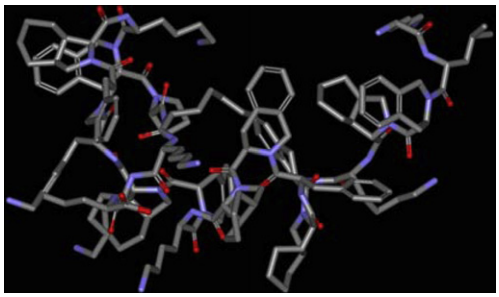
Synthesis, structural studies and anticancer activity of 5-arylidene-2-amino-4-azolones are discussed.

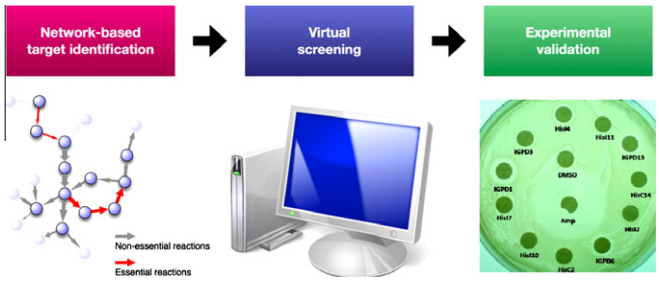


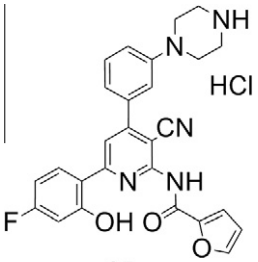




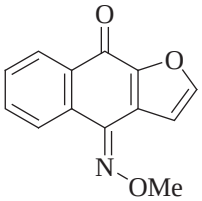






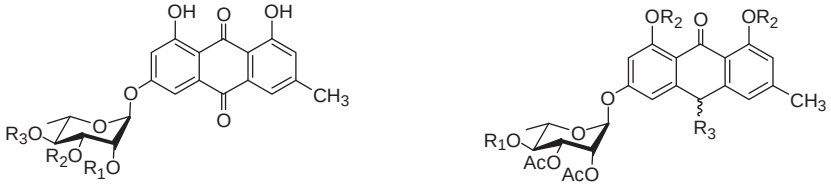


15a



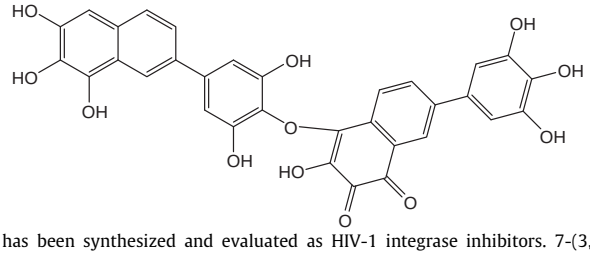
9

(*Z*)-4-Methoxyiminonaphtho[2,3-*b*]furan-9(4*H*)-one (**9**) exhibited a GI_{50} value of 0.60 μM against the growth of K562 cells and was inactive against the normal fibroblast Detroit 551. The selectivity index (SI) on K562 cell for **9** was >166.67 which is comparable to daunorubicin (SI = 239) and is more favorable than camptothecin (SI = 16.5).

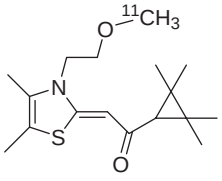


1 R_1=R_2=Ac, R_3=H;
2 R_1=R_2=R_3=H;
3 R_1=R_3=Ac, R_2=H;
4 R_2=R_3=Ac, R_1=H;
5 R_1=R_2=n-PrCO, R_3=H;
6 R_1=R_2=H, R_3=Ac;
7 R_1=R_2=Ac, R_3= 2',3'-di-O acetyl-L-rhamnopyranosyl
8 R_1=H, R_2=Me, R_3=CO;
9 R_1=Ac, R_2=H, R_3=Ac;
10 R_1=R_2=H; R_3=Ac

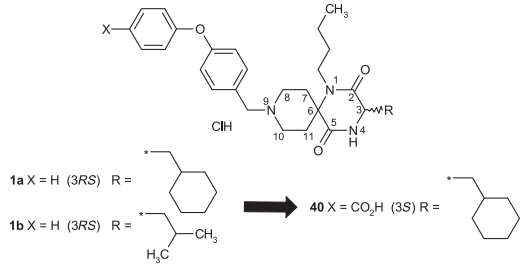
A series of anthracene L-rhamnopyranosides were designed and synthesized in a practical way. Most compounds exhibited both potent cytotoxicity against several tumor cell lines and high DNA binding capacity.



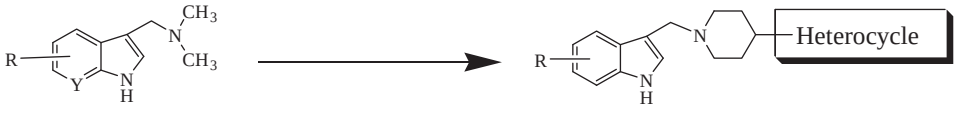
A series of 13 hydroxylated 2-arylnaphthalenes has been synthesized and evaluated as HIV-1 integrase inhibitors. 7-(3,4,5-Trihydroxyphenyl)naphthalene-1,2,3-triol was converted to a dimer. This dimer displays submicromolar activity against HIV-1 IN and was found to present antiviral properties with a low cytotoxicity on two different cell lines.



[^{11}C]A-836339, a new potential PET radioligand for imaging of cannabinoid subtype 2 receptors (CB_2), demonstrated high CB_2 specific binding in the mouse models of Alzheimer's disease and neuroinflammation.



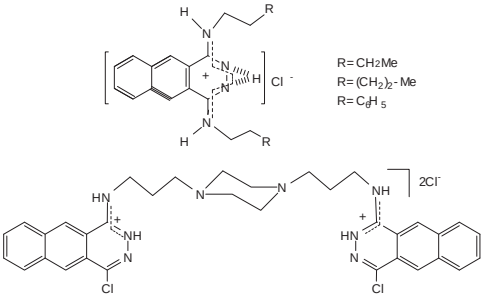
Novel spirodiketopiperazine-based CCR5 antagonists, which showed improved pharmacokinetic (PK) profiles without reduction of antagonist activity, were identified.

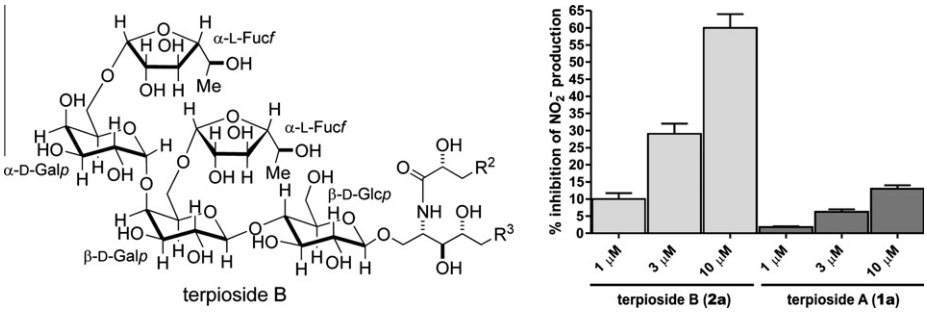


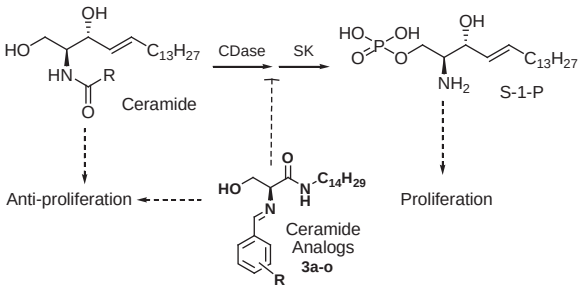
2

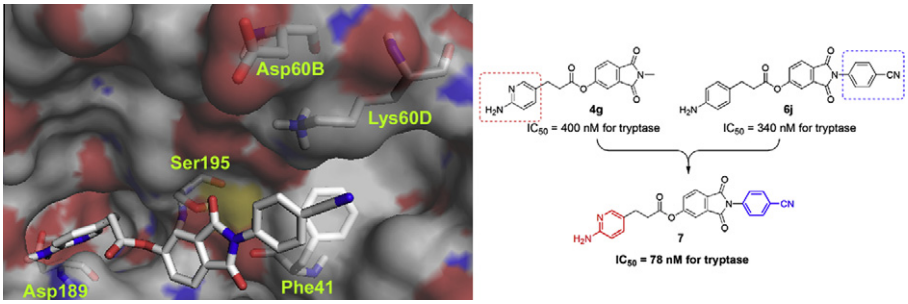
A series of indole, 7-azaindole, benzofuran, and benzothiophene compounds were prepared and evaluated for affinity at $D_{2\text{-like}}$ dopamine receptors.

The synthesis of new 1,4-bis(alkylamino)- and 1-alkylamino-4-chloro-benzo[*g*]phtalazine derivatives is reported, and the in vitro activity of their mono- or diprotonated forms against human colon, breast and lung carcinoma cells, and also against human glioblastoma cells is tested.

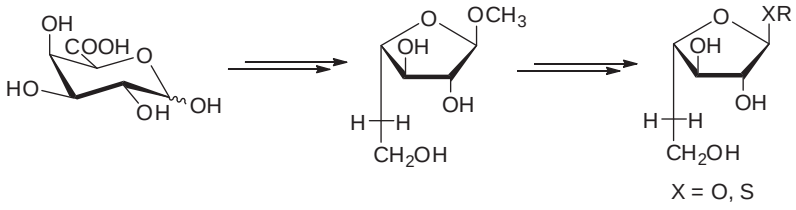




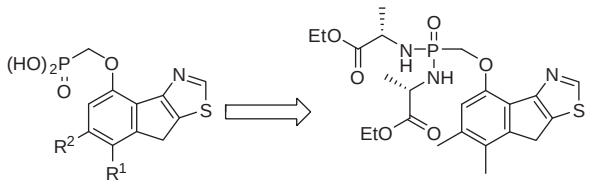




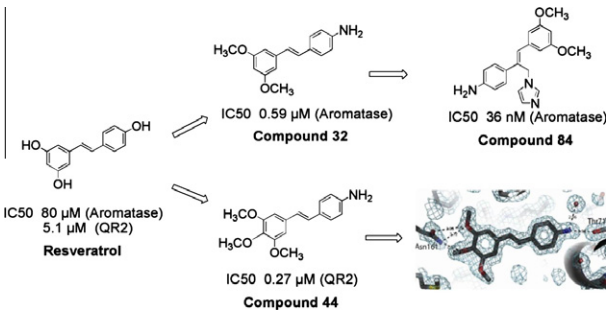
Compound **7**, structurally derived from thalidomide, is a potent and selective tryptase inhibitor.

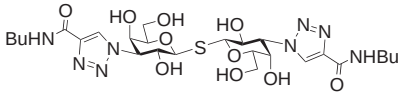


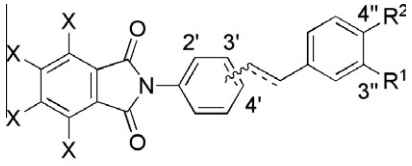
Starting from D-galacturonic acid, 5-deoxy-β-D-galactofuranosides were synthesized as tools for the characterization of β-D-galactofuranosidases. They were evaluated against the *exo*-β-D-galactofuranosidase from *Penicillium fellutanum*.

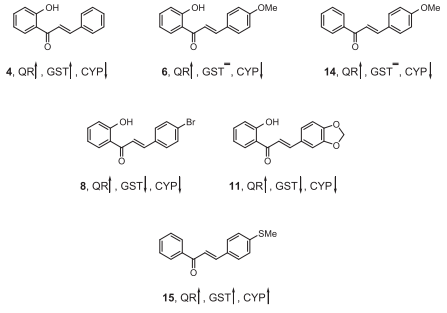


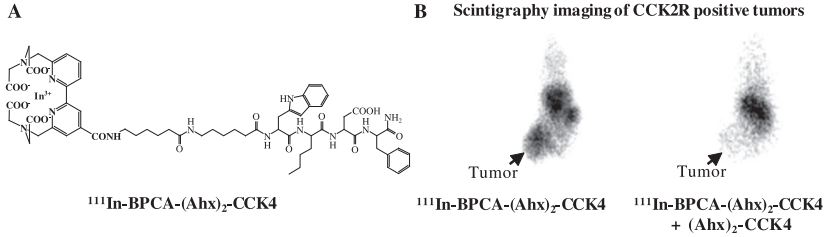
Introduction of prodrug moieties into tricyclic-based FBPase inhibitors led to the discovery of prodrug **15c**, which strongly inhibited glucose production in monkey hepatocytes. Furthermore, prodrug **15c** lowered blood glucose levels in fasted cynomolgus monkeys.



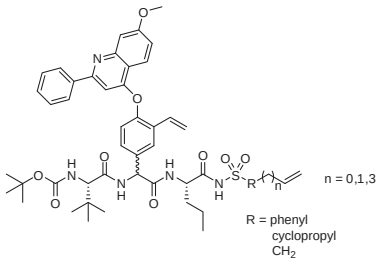


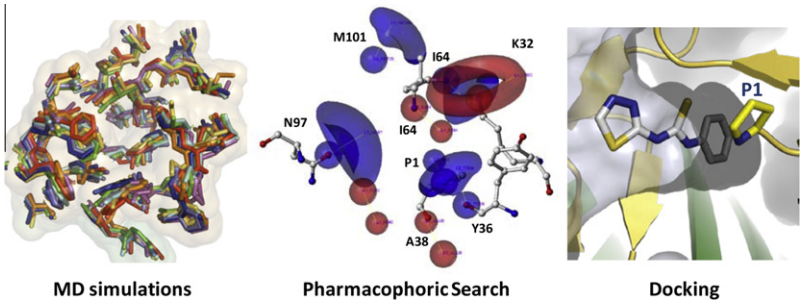


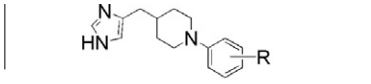




We synthesized ^{111}In-BPCA-(Ahx)$_2$-CCK4, a novel CCK4-based analog conjugated to an original bipyridine-chelator (A) which shows a high and specific targeting of CCK2R-expressing tumors with limited kidney uptake (B).

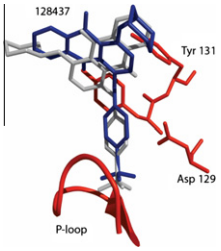






17d, Ki = 1.8 nM, EC_{50} = 1.1 nM, ia = 59%
17h, Ki = 5.4 nM, EC_{50} = 7.6 nM, ia = 77%

This paper reports the synthesis and in vitro biological evaluation of *N*-aryl-piperidine derivatives as new human histamine H3 receptor agonist.



Computational screening with multiple scoring functions was used to identify novel small molecule inhibitors for the human low molecular weight protein tyrosine phosphatase.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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