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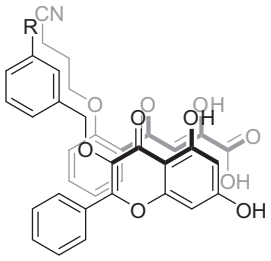
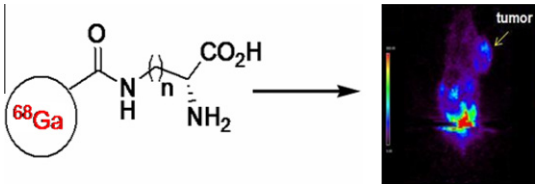
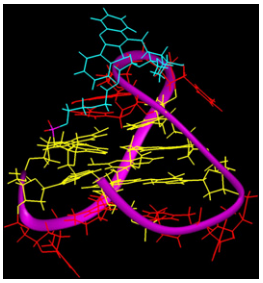
Contents

ARTICLES

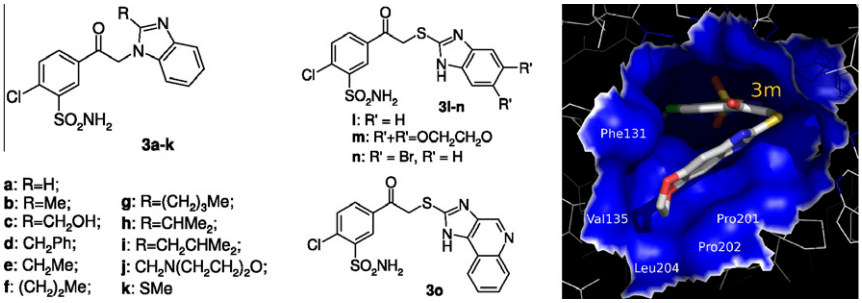


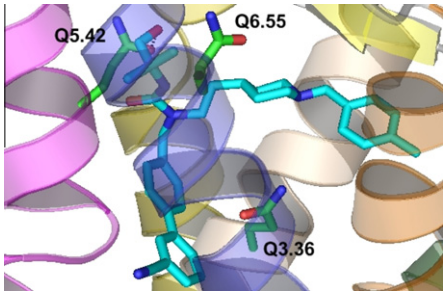


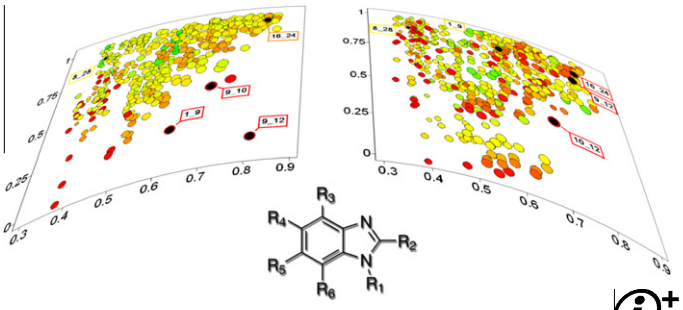
We have developed series of macrocyclic-amino acid derivatives for ^{68}Ga labeling and evaluated for tumor PET imaging.

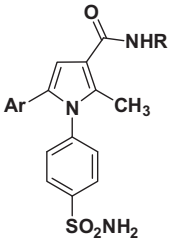


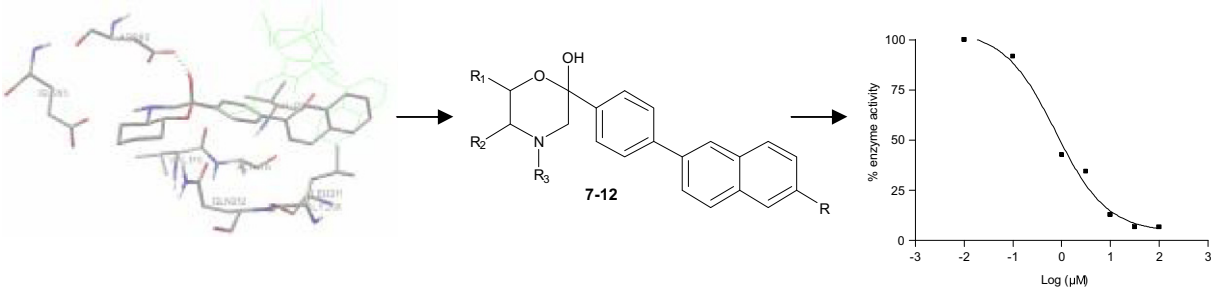
Acridine and quindoline derivatives linked to DNA stabilize duplex and quadruplex structures.



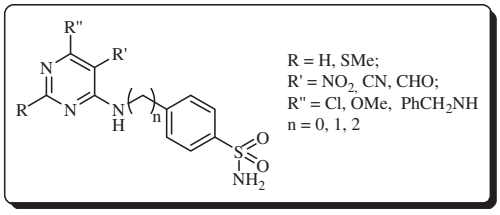


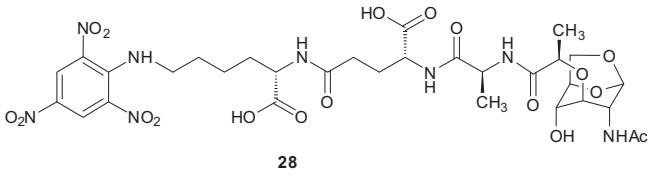






Antihyperlipidemic morpholine derivatives (**1**–**6**), combining several pharmacophore moieties, were evaluated in vitro and in vivo and optimized towards more active SQS inhibitors (**7**–**12**).





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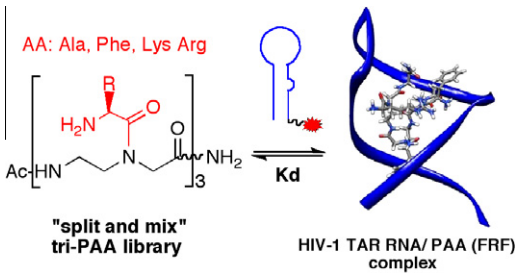
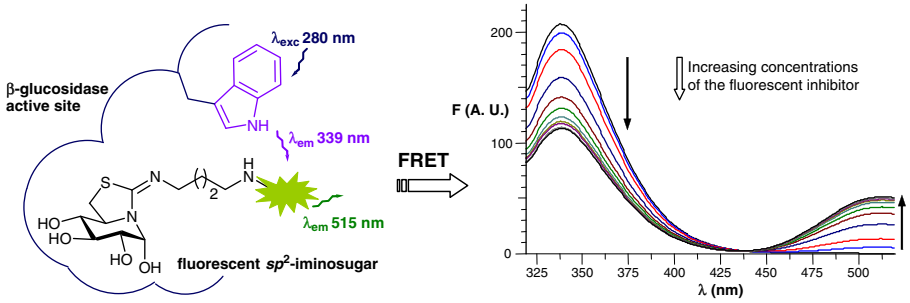
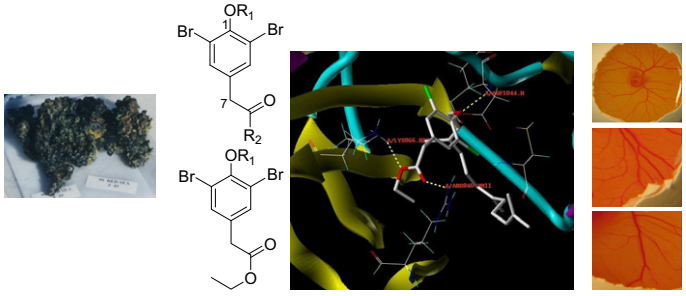
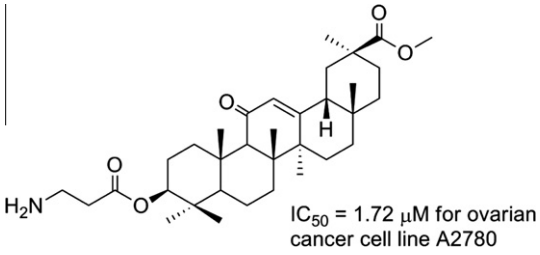
Peptido 1,6-anhMurNAc derivatives were obtained easily in good yield using a solid support synthesis. Biological studies with sAmiD showed that anhMurNAc-L-Ala-γ-D-Glu-L-Lys **28** with TNB as chromophoric group on the ε-amino group of L-Lys is a good candidate for the development of a sensitive enzyme assay of sAmiD.

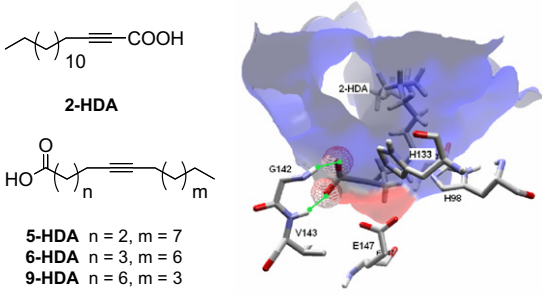


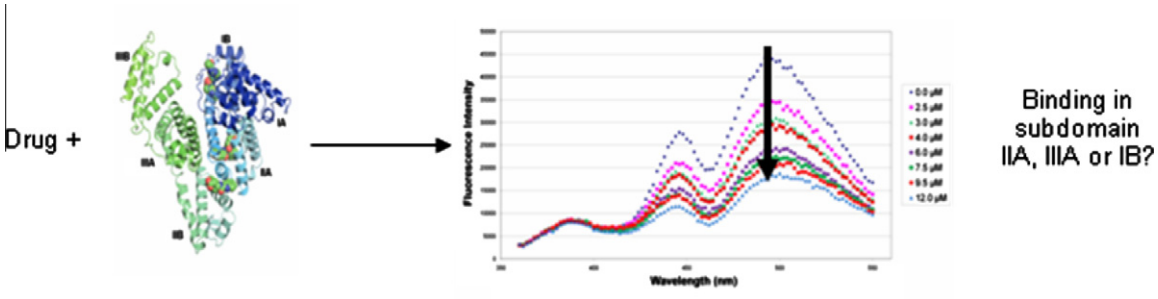


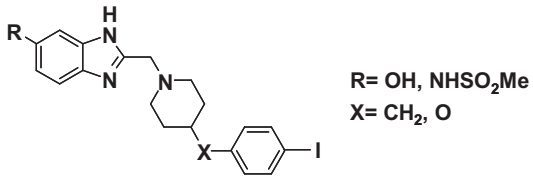




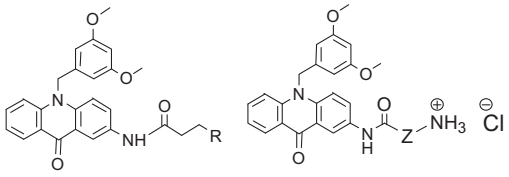
2-, 5-, 6-, and 9-hexadecynoic acids (HDAs) were synthesized and evaluated in vitro against various parasitic protozoa. 2-HDA inhibited both liver and blood stage *Plasmodium* infections and multiple plasmodial FAS-II target enzymes. 2-HDA was further studied through enzyme kinetics, docking studies and for pharmacokinetic properties.



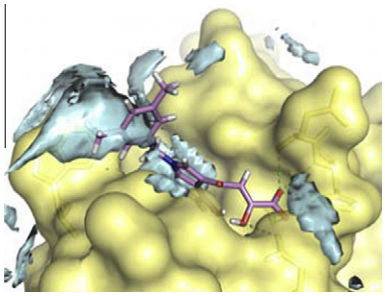




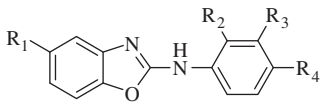
A series of radio-iodinated benzimidazole derivatives have been synthesized and evaluated in vitro and in vivo as potential SPECT tracers for imaging of the NR2B subtype of the NMDA receptor.



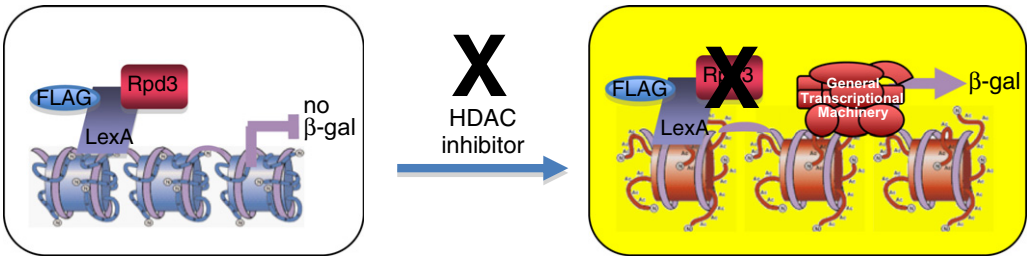
A novel series of 9(10*H*)-acridinone derivatives with terminal amino substituents at C2 position on the acridinone ring were designed and synthesized to studied for their antiproliferative activity and underlying mechanisms.

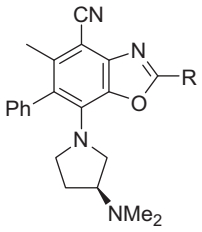


GRID approach was used to decipher structural requirements helpful to design and synthesize new inhibitors able to disrupt LEDGF/p75-IN binding.

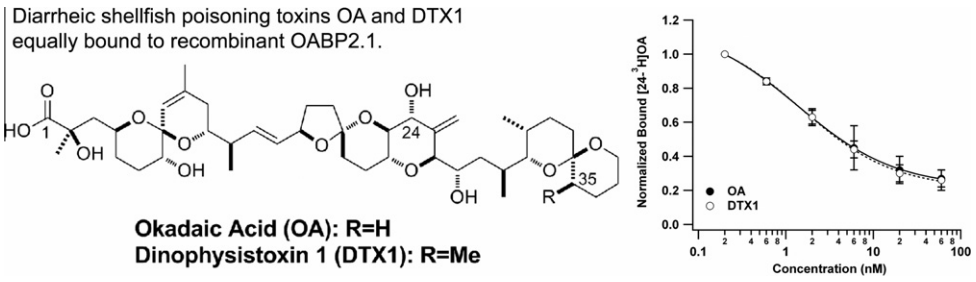


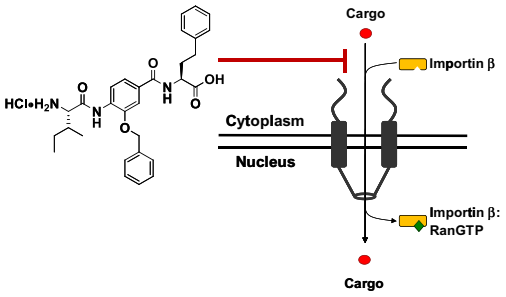
2a-n

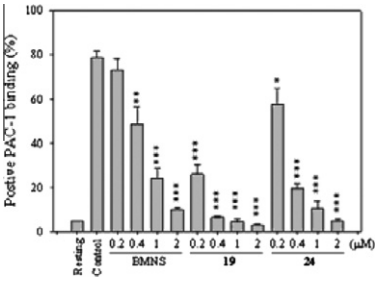




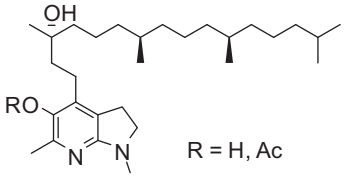
We discovered 1,3-benzoxazole-4-carbonitirle as a novel scaffold of β-1,6-glucan synthesis inhibitors with potent antifungal activity against *Candida* species.



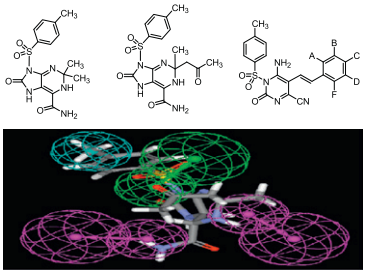


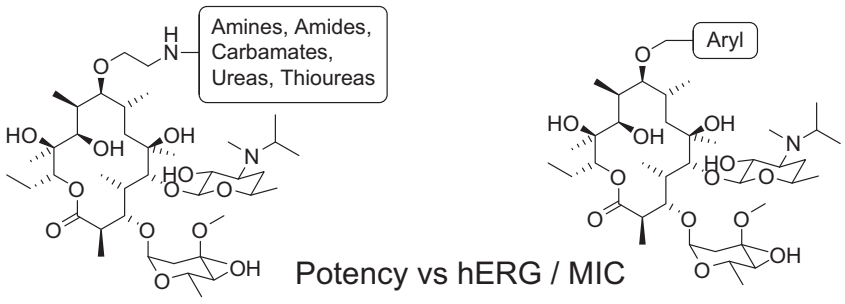


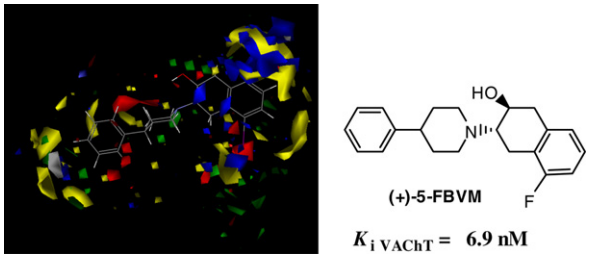
A series of β-nitrostyrenes were synthesized and subjected to anti-platelet aggregation assay and cytotoxicity assay. Most of them exhibited the most potent inhibitory effects on thrombin- and collagen-induced platelet aggregation.

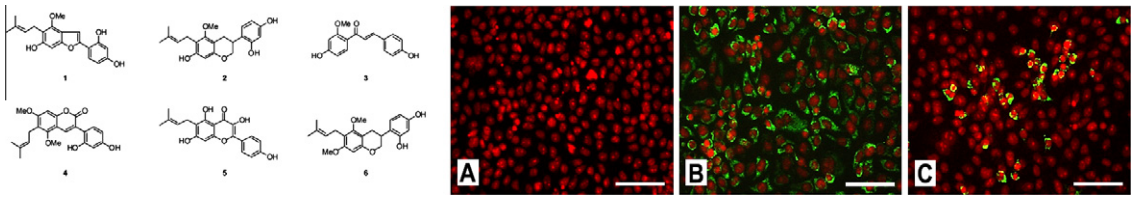


The manuscript describes the investigation of a series of novel purine and pyrimidine derivatives, which were prepared in good yield by using diaminomaleonitrile and tosylisocyanate in acetonitrile. Molecular modeling studies, including fitting to a 3D-pharmacophore model their docking into cycline-dependent kinase2 (CDK2) active site were performed to understand the structural features of CDK2 inhibitors. Biological evaluation for both in vitro CDK2/cyclinA3 inhibition activity and antitumor activity in Ehrlich ascites carcinoma (EAC) cell based assay were also carried out.

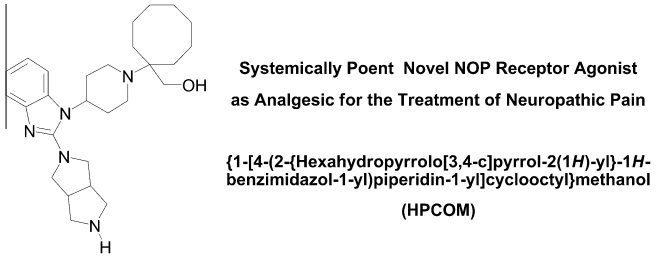








Polyphenols isolated from *Glycyrrhiza uralensis* have proven to be anti-rotavirus agents in vitro, acting by inhibiting both viral absorption and viral replication.



OTHER CONTENT

*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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