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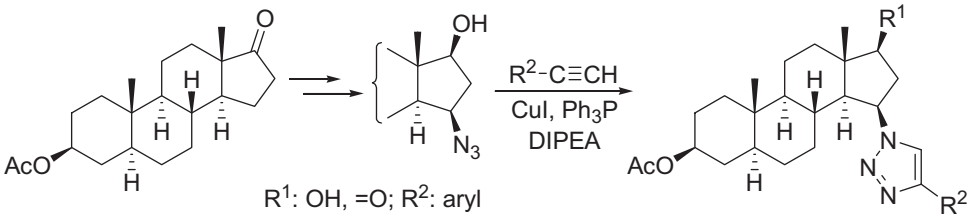
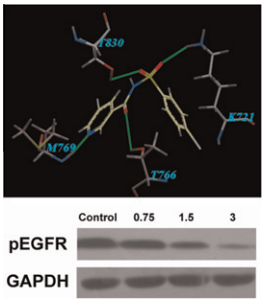
Contents

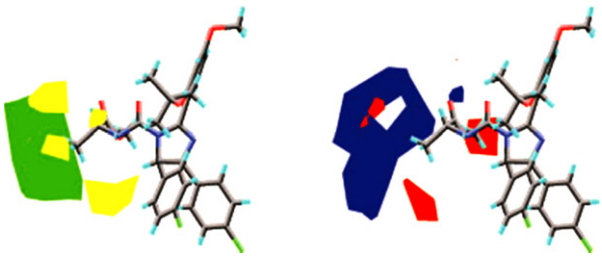
ARTICLES

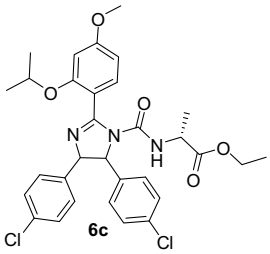


Astrosclerin: k_{cat} = 0.9 × 10^6 s^{-1} and k_{cat}/K_m = 1.1 × 10^8 M^{-1} × s^{-1}, K_I(acetazolamide) = 51 nM.

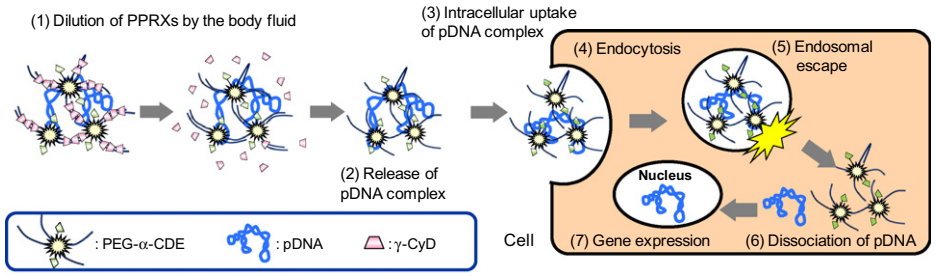


A series of novel *N*-phenylsulfonylnicotinamide derivatives (**1**–**24**) have been synthesized and evaluated as potential EGFR tyrosine kinase (TK) inhibitors. Among all the compounds, compound **10** (5-bromo-*N*-(4-chlorophenylsulfonyl)nicotinamide) showed the most potent growth inhibitory activity against EGFR TK and antiproliferative activity of MCF-7 cancer cell line in vitro, with IC_{50} value of 0.09 and 0.07 μM. Docking simulation was performed to insert compound **10** into the EGFR TK active site to determine the probable binding model.

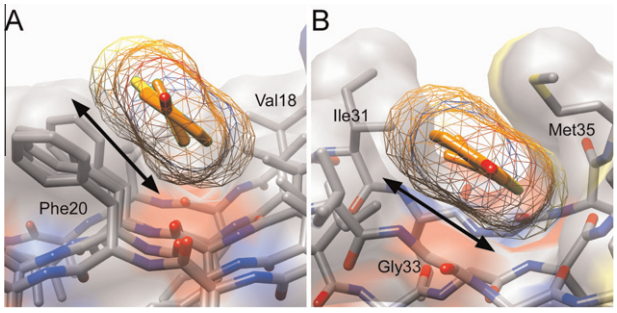


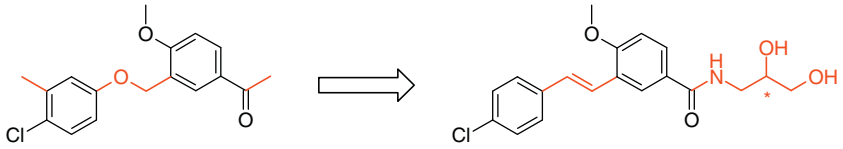


Twenty-three novel N1-amino-acid substituted 2,4,5-triphenyl imidazoline derivatives were designed and synthesized as p53–MDM2 binding inhibitors. And statistically significant CoMFA model with high predict abilities was established.



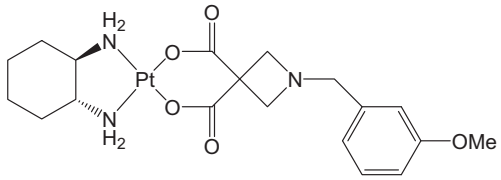
Proposed scheme for gene transfer mechanism of PEG-α-CDE/γ-CyD PPRX suspension after intramuscular injection to mice.

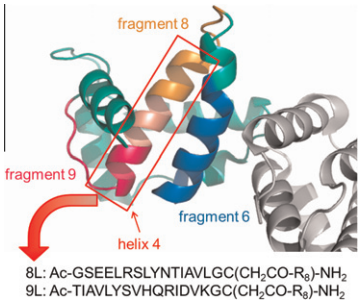


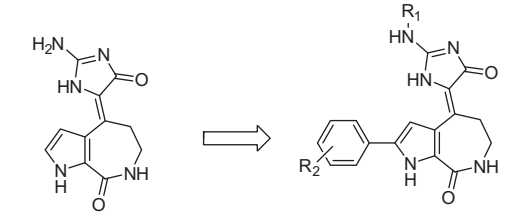


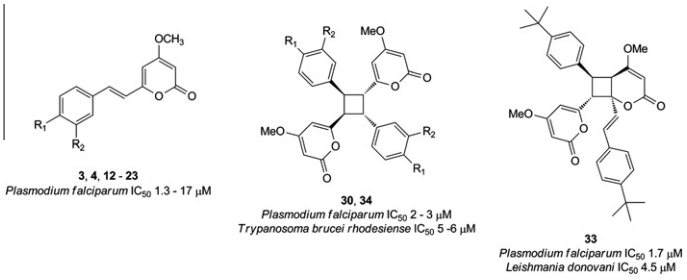
1 (RO0123743) (*R*): **32f** (*S*): **32g**

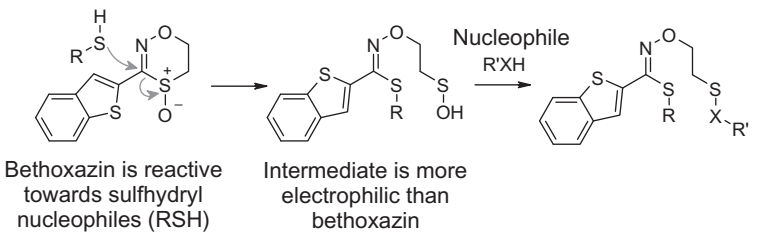
Orally available, in vivo active angiogenesis inhibitors which specifically inhibit endothelial cell proliferation are reported.

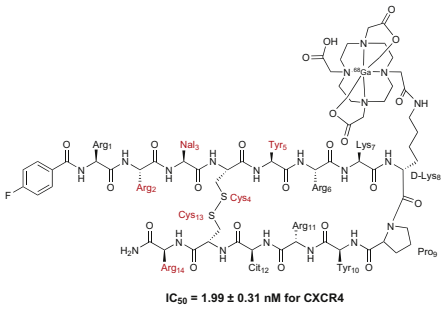


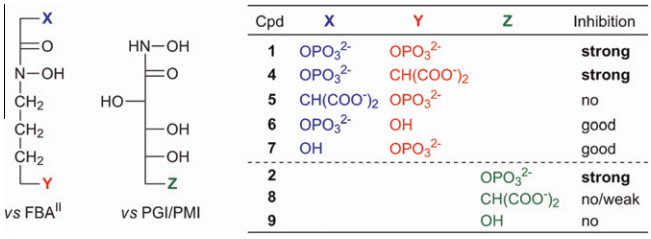




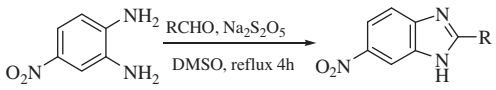




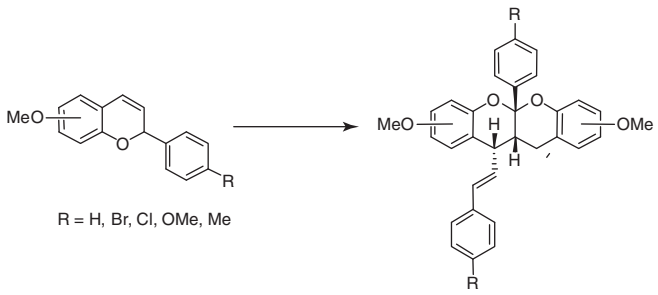


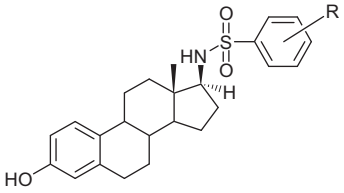


Cpd	X	Y	Z	Inhibition
1	OPO_3^{2-}	OPO_3^{2-}		strong
4	OPO_3^{2-}	$CH(COO^-)_2$		strong
5	$CH(COO^-)_2$	OPO_3^{2-}		no
6	OPO_3^{2-}	OH		good
7	OH	OPO_3^{2-}		good
2			OPO_3^{2-}	strong
8			$CH(COO^-)_2$	no/weak
9			OH	no

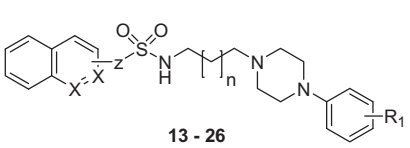


Synthesis of 6-nitrobenzimidazole derivatives **1**–**30** showed excellent phosphodiesterase inhibitory activities some of which are superior to the standard EDTA and thus are potential molecules for the development of a new class of phosphodiesterase inhibitors. A structure–activity relationship is evaluated.





IC_{50}'s with STS
range between 9 (R = Ph) -500 nM



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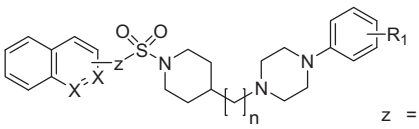

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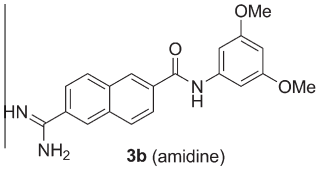
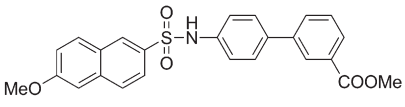
z = 3-Q, 6-Cl-3-Q, 6-Q, 7-Q, 8-Q, 4-isoQ
X = N, CH
R_1 = 3-Cl, 4-Cl
n = 1, 2, 3



3b (amidine)

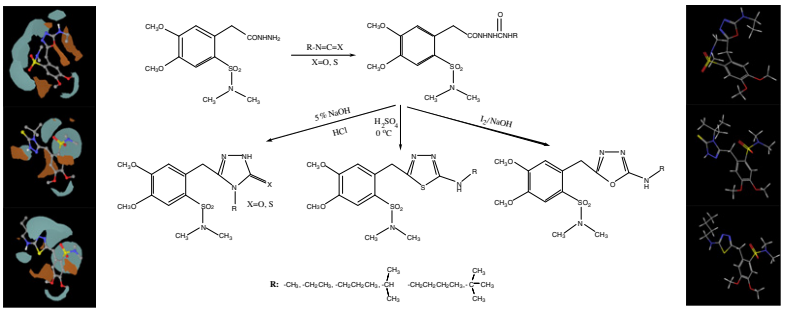
	IC50 (μM)
uPA	2.6 ± 0.7
tPA	> 62
thrombin	> 62
plasmin	13 ± 2

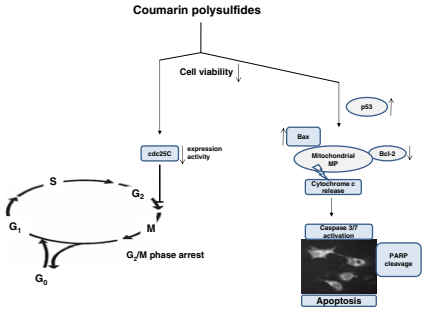


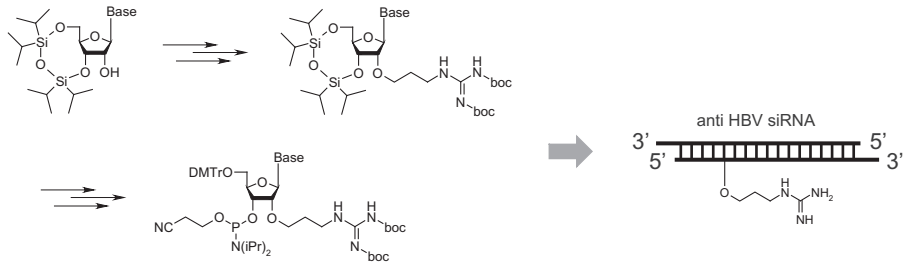
11e (non-basic sulphonamide)

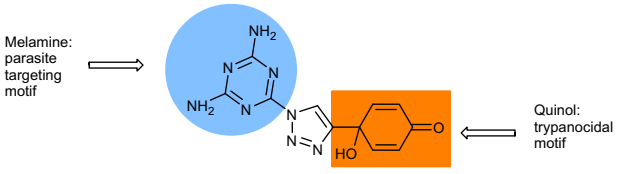
	IC50 (μM)
uPA	2.8 ± 0.1
tPA	26 ± 3.0
thrombin	> 62
plasmin	> 62

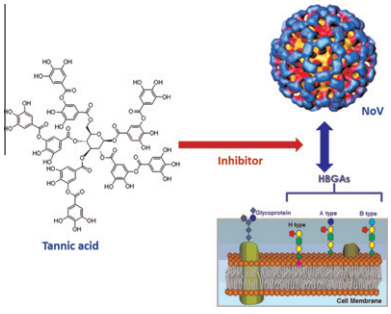
A series of non-basic naphthamides and naphthalene sulfonamides were synthesized and evaluated for uPA inhibition. Several non-basic compounds showed comparable uPA inhibition and selectivity with reference amidines.



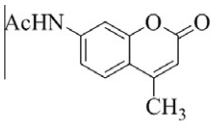








Tannic acid, a common composition of Chinese Gall and Pomegranate, has proven to be a strong inhibitor in the binding of NoV to HBGAs receptor.



7-AAMC (17)

Compound	IC_{50} values (μM)	
	ADP	AA
7-AAMC	145±2.4	77±3.0
ASA	Nil	80±2.5

*Corresponding author

Supplementary data available via SciVerse ScienceDirect

COVER

Astrosclerin, a carbonic anhydrase from a living fossil sponge and human carbonic anhydrase II have similar catalytic activity and affinity for sulfonamide inhibitors, although their last common ancestor lived more than 550 million years ago. [Ohradanova, A.; Vullo, D.; Pastorekova, S.; Pastorek, J.; Jackson, D.J.; Wörheide, Supuran, C.T. *Bioorg. Med. Chem*. **2012**, 20, 1403–1410.]

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