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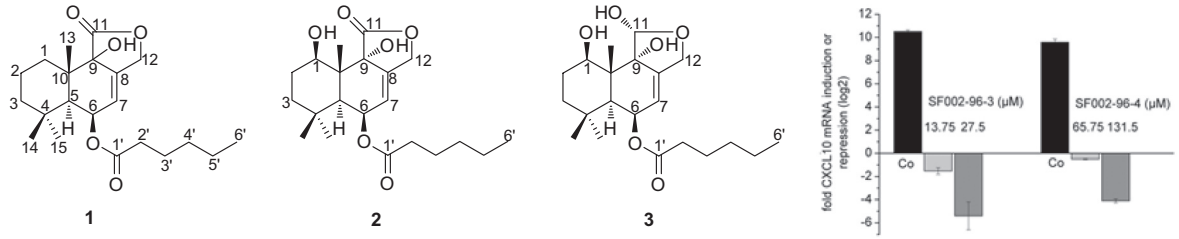
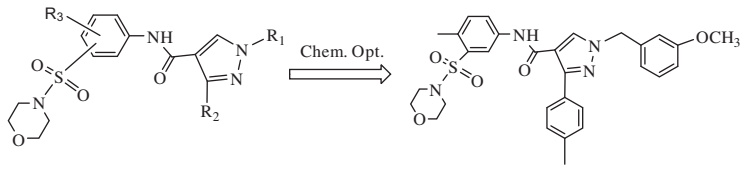
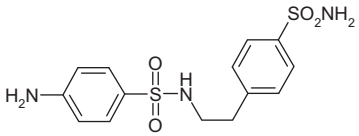
Contents

ARTICLES

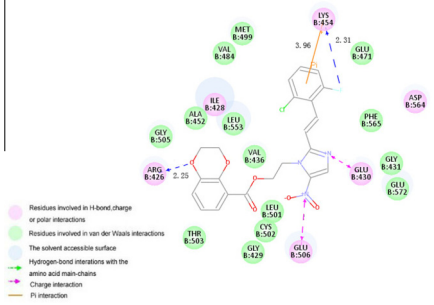




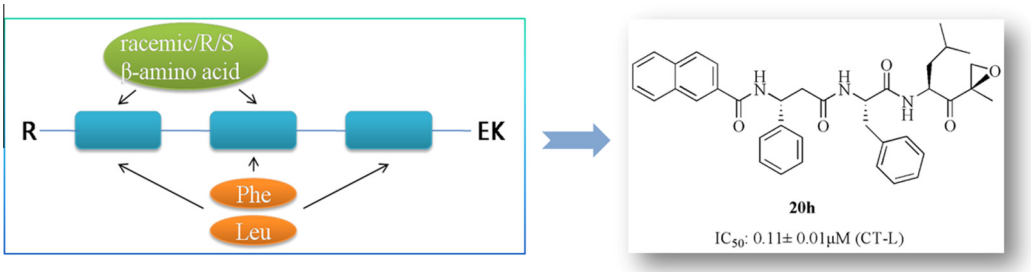
lead compound: DY268 (compd. 4j)
FXR: IC_{50} = 7.5 nM



K_I(LpCA1) = 40.3 nM
K_I(LpCA2) = 25.2 nM



A series of 2-styryl-5-nitroimidazole derivatives containing 1,4-benzodioxan moiety (**3a**–**3r**) has been designed, synthesized and their biological activities were also evaluated as potential antiproliferation and focal adhesion kinase (FAK) inhibitors. Among all the compounds, **3p** showed the most potent activity in vitro which inhibited the growth of A549 with IC_{50} value of 3.11 μM and Hela with IC_{50} value of 2.54 μM, respectively. Compound **3p** also exhibited significant FAK inhibitory activity (IC_{50} = 0.45 μM). Docking simulation was performed for compound **3p** into the FAK structure active site to determine the probable binding model.



A series of novel tripeptidyl epoxyketone derivatives constructed from β-amino acid, as exemplified by compound **20h**, were designed and synthesized. Several compounds exhibited potent proteasome inhibitory activities together with anti-proliferation activities against two multiple myeloma cell lines.