Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

LY 3000328

Catalog No: tcsc1120

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1373215-15-6

Formula:
$C_{25}H_{29}FN_4O_5$

Pathway:
Metabolic Enzyme/Protease

Target:
Cathepsin

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (103.19 mM)

Observed Molecular Weight:
484.52

Product Description

LY 3000328 is a potent and selective **Cathepsin S** (Cat S) inhibitor with **IC_{50}** of 7.7±5.85 nM and 1.67±1.17 nM for hCat S and mCat S.

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IC50 & Target: IC50: 7.7±5.85 nM (hCat S), 1.67±1.17 (mCat S)[1]

In Vitro: LY3000328 maintains excellent in vitro potency and selectivity. LY3000328 shows low in vitro CYP450 inhibition (4%). At a 100 μM concentration of LY3000328 there is only 6% displacement of [^{3}H]-astemizole in an assay with HEK293 membrane preparation, indicating low potential of hERG blockade[1]. LY3000328 is a potent and specific inhibitor of cathepsin S (CatS). Inhibition of CatS activity in plasma would be 50% of maximal when LY3000328 plasma concentration is approximately 60 ng/mL[2].

In Vivo: The efficacies of LY3000328 is studied in a mouse model of abdominal aortic aneurysm (AAA). In this model, inflammation is induced using $CaCl_2$ applied to the ablumenal surface. It is shown that features of the disease state in this model resemble those of human AAA. LY3000328 exhibits a dose-responsive aortic diameter reduction at 1, 3, 10, and 30 mg/kg. At the lowest dose of 1 mg/kg of LY3000328, the aortic diameter is reduced by 58%, then 83% at 3 mg/kg, and 87% at 10 mg/kg. The exposure (AUC) for both compounds increased in a dose-dependent manner, suggesting that the drug disposition properties of LY3000328 are favorable [1].