

# 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 :  $\geq 50$  mg/mL (103.19 mM)

**Observed Molecular Weight:**

484.52

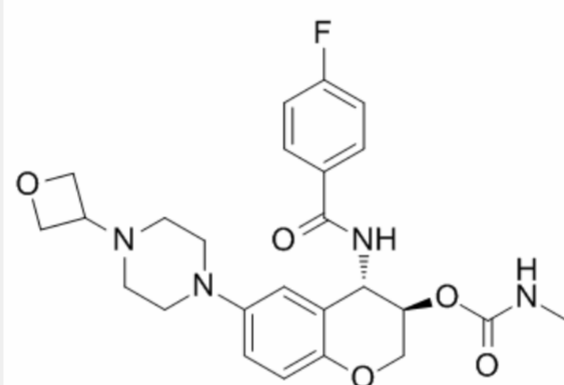
## Product Description

LY 3000328 is a potent and selective **Cathepsin S** (Cat S) inhibitor with **IC<sub>50</sub>** of  $7.7 \pm 5.85$  nM and  $1.67 \pm 1.17$  nM for hCat S and mCat S.

IC50 & Target: IC50:  $7.7 \pm 5.85$  nM (hCat S),  $1.67 \pm 1.17$  (mCat S)<sup>[1]</sup>

**In Vitro:** LY3000328 maintains excellent in vitro potency and selectivity. LY3000328 shows low in vitro CYP450 inhibition (4%). At a 100  $\mu$ M concentration of LY3000328 there is only 6% displacement of [<sup>3</sup>H]-astemizole in an assay with HEK293 membrane preparation, indicating low potential of hERG blockade<sup>[1]</sup>. 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<sup>[2]</sup>.

**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<sub>2</sub> applied to the abluminal 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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!