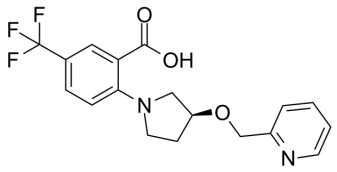
XEN445

Cat. No.:	HY-12246		
CAS No.:	1515856-92-4		
Molecular Formula:	$C_{18}H_{17}F_3N_2O_3$		
Molecular Weight:	366		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 40 mg/mL (109.29 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7322 mL	13.6612 mL	27.3224 mL
5 mM	0.5464 mL	2.7322 mL	5.4645 mL
10 mM	0.2732 mL	1.3661 mL	2.7322 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

XEN445 is a potent and selective EL inhibitor(IC50=0.237 uM), that showed good ADME and PK properties, and demonstrated in vivo efficacy in raising plasma HDLc concentrations in mice.IC50 value: 0.237 uM [1]Target: Endothelial lipase inhibitorXEN445 can be readily prepared in good yield from commercial available chemicals, which was selected for further evaluation of this series of EL inhibitors. After a 30 min preincubation of EL-expressing HEK cells with XEN445, the IC50 value for XEN445 of 0.25 lM was obtained. This value was very similar to that determined in the cell-free assay. Wild-type mice were orally dosed with XEN445 at 30 mg/kg b.i.d. for 3 days and blood was taken on the morning of day 4, 16 h post final dose. At termination, the average plasma levels of XEN445 was 9.9 lM and the drug caused an 18% and 16% increase in total plasma cholesterol and HDLc, respectively.

REFERENCES

[1]. Sun S, et al. Discovery of XEN445: a potent and selective endothelial lipase inhibitor raises plasma HDL-cholesterol concentration in mice. Bioorg Med Chem. 2013 Dec

15;21(24):7724-34.

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