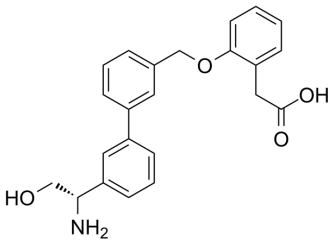
FD-IN-1

Cat. No.:	HY-128570
CAS No.:	1646682-14-5
Molecular Formula:	$C_{23}H_{23}NO_4$
Molecular Weight:	377.43
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (165.59 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6495 mL	13.2475 mL	26.4950 mL
	5 mM	0.5299 mL	2.6495 mL	5.2990 mL
	10 mM	0.2649 mL	1.3247 mL	2.6495 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FD-IN-1 (Compound 12) is an orally bioavailable and selective factor D (FD) inhibitor with an IC_{50} of 12 nM. Complement FD, a highly specific S1 serine protease, plays a central role in the alternative complement pathway of the innate immune system. FD-IN-1 also inhibits factor XIa (FXIa) and Tryptase β2 with IC_{50}s of 7.7 and 6.5 μM, respectively[1].

In Vitro

FD-IN-1 (Compound 12) exhibits functional inhibition of AP activation (IC_{50}=0.26 μM) in vitro in a membrane attack complex (MAC) deposition assay using 50% human whole blood (WB)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

FD-IN-1 (Compound 12) demonstrates systemic suppression of AP activation in a lipopolysaccharide-induced alternative complement pathway (AP) activation model as well as local ocular suppression in intravitreal injection-induced AP activation model in mice expressing human FD[1].

FD-IN-1 (Compound 12) exhibits high oral bioavailability (C57BL6 mice 83%, Beagle dogs 70%) following oral administration (mice and dogs 10 mg/kg)[1].

FD-IN-1 (Compound 12) exhibits terminal elimination half-lives (C57BL6 mice 1.6 h and Beagle dogs 3.8 h) following intravenous administration (mice and dogs 1 mg/kg)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Human FD knock-in mice [1]
Dosage:	3 and 10 mg/kg
Administration:	Oral gavage
Result:	The AP pathway was fully inhibited for up to 10 h at the 10 mg/kg dose.

REFERENCES

[1]. Karki RG, et al. Design, Synthesis, and Preclinical Characterization of Selective Factor D Inhibitors Targeting the Alternative Complement Pathway. J Med Chem. 2019 May 9;62(9):4656-4668.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA