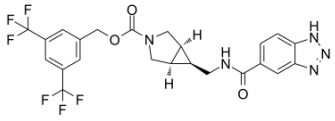
BI-2545

Cat. No.:	HY-124772
CAS No.:	2162961-71-7
Molecular Formula:	$C_{23}H_{19}F_6N_5O_3$
Molecular Weight:	527.42
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
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 : 250 mg/mL (474.01 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8960 mL	9.4801 mL	18.9602 mL
5 mM	0.3792 mL	1.8960 mL	3.7920 mL
10 mM	0.1896 mL	0.9480 mL	1.8960 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 (3.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI-2545 is a potent autotaxin (ATX) inhibitor that significantly reduces LPA, with IC_{50}s of 2.2 nM and 3.4 nM for human ATX and rat ATX, respectively[1].

IC_{50} & Target

C50: 2.2 nM (human ATX), 3.4 nM (rat ATX)[1]

In Vitro

BI-2545 displays good potency in the LPA and rat whole blood assay with IC_{50}s of 29 nM and 96 nM, respectively[1].

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

In Vivo

BI-2545 (10 mg/kg; p.o.) has high and sustained in vivo efficacy in reducing LPAs[1].

BI-2545 (10 mg/kg; p.o.) has a half-life of $t_{1/2}$=3.4 hours[1].

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

Animal Model:	Rat[1]
Dosage:	10 mg/kg
Administration:	Oral administration
Result:	Reduces the sum of the plasma LPA species up to 90%.

REFERENCES

[1]. Kuttruff, C. A., et al. Discovery of BI-2545: A Novel Autotaxin Inhibitor That Significantly Reduces LPA Levels in Vivo. ACS Medicinal Chemistry Letters, 8(12), 1252–1257.

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