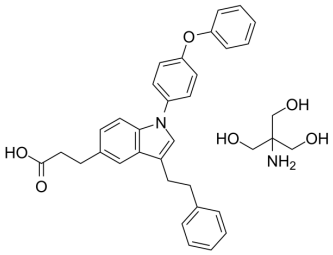
ASB14780

Cat. No.:	HY-119019
CAS No.:	1069046-00-9
Molecular Formula:	$C_{35}H_{38}N_2O_6$
Molecular Weight:	582.69
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (171.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7162 mL	8.5809 mL	17.1618 mL
	5 mM	0.3432 mL	1.7162 mL	3.4324 mL
	10 mM	0.1716 mL	0.8581 mL	1.7162 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: ≥ 2.5 mg/mL (4.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ASB14780 is a 4-phenoxy derivative, the cytosolic Phospholipase A_2α (cPLA_2α) inhibitor, with an IC_{50} value of 20 nM[1].

IC_{50} & Target

IC50: 20 nM (cPLA2α); 0.54 μM (guinea pig cPLA2α); 0.64 μM (human cPLA2α)[1]

In Vitro

ASB14780 shows selectivity to cPLA2α (IC_{50} =20 nM) over type II sPLA2 (IC_{50} >10 μM)[1].
ASB14780 (30 min pre-incucation) inhibits cPLA2α in guinea pig whole blood (GWB) and human whole blood (HWB) in a species-derived differences, with IC_{50}s of 0.54 μM and 0.64 μM, respectively, 15 min after being stimulated by 5 μM calcium ionophore A23187[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TPA (tetradecanoyl phorbol acetate) causes acute inflammation with ear, resulting in edema[1].
ASB14780 (50 mg/kg; p.o.; once) suppresses the increase in the ear thickness elicited by the application of TPA (tetradecanoyl phorbol acetate) in mouse[1].
ASB14780 (5 mg/kg; 20 mg/kg; p.o.; once daily) attenuates AHR (airway hyperreactivity), and inhibits IAR (immediate

asthmatic response) and LAR (late asthmatic response) in a dose-dependent manner[1].
ASB14780 shows well oral activity of 89.6% in mouse calculated from the ratio of oral administration's AUC (10 mg/kg; p.o.) and intravenonous administration's AUC (1 mg/kg; i.v.)[1].
Oral Bioavailabilities and Pharmacokinetic Parameters of ASB14780[1]

Model	*F* (%)	AUC (h•μg/mL)	C_{max} (μg/mL)
mouse	89.6	7.12	5.12
dog	34.3	17.1	4.96
monkey	30.9	4.96	2.29

Note: Based on 10 mg/kg p.o. dosing data.
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	TPA (Tetradecanoyl Phorbol Acetate)-induced Ear Edema Model in mouse (female C57BL/6 mice)[1]
Dosage:	50 mg/kg
Administration:	Oral gavage; 30 min before TPA stimulation
Result:	Showed high potency and inhibited ear swelling by 30% and indicated the in vivo anti-inflammatory activity.

Animal Model:	Guinea Pig Ovalbumin (OVA)-induced Asthma Model[1]
Dosage:	5 mg/kg, 20 mg/kg
Administration:	Oral gavage; two single doses; 1 h before and 8 h after passively sensitized administration
Result:	Exhibits suppression on IAR (immediate asthmatic response) and LAR (late asthmatic response) in a dose-dependent manner.

REFERENCES

[1]. Tomoo T, et al. Design, synthesis, and biological evaluation of 3-(1-Aryl-1H-indol-5-yl)propanoic acids as new indole-based cytosolic phospholipase A2α inhibitors. J Med Chem. 2014. 57(17):7244-62.

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