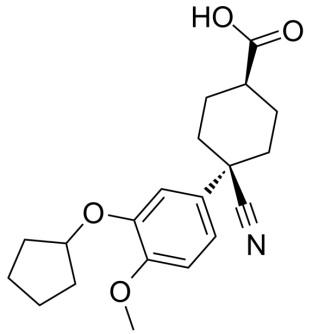
Cilomilast



Cat. No.:	HY-10790
CAS No.:	153259-65-5
Molecular Formula:	$C_{20}H_{25}NO_4$
Molecular Weight:	343.42
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 100 mg/mL (291.19 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9119 mL	14.5594 mL	29.1189 mL
	5 mM	0.5824 mL	2.9119 mL	5.8238 mL
	10 mM	0.2912 mL	1.4559 mL	2.9119 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 (7.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cilomilast (SB-207499) is a potent, selective and orally active inhibitor of Phosphodiesterase 4 (PDE4), with IC_{50}s of ~100 and 120 nM for LPDE4 and HPDE4, respectively. Cilomilast shows selectivity for PDE4 over PDE1, PDE2, PDE3 and PDE5 (IC_{50}=74, 65, >100, and 83 μM, respectively). Cilomilast has anti-inflammatory and immunomodulatory effects and can be used for thr research of asthma and chronic obstructive pulmonary disease (COPD)[1][2][3].

IC_{50} & Target	LPDE4	HPDE4

	~100 nM (IC_{50})	120 nM (IC_{50})

In Vitro

Cilomilast (0.1 nM-10 μM; 5 min) inhibits human neutrophil functions[2].
Cilomilast (0.1 nM-10 μM; 5 min) inhibits eosinophil chemiluminescence response[2].
Cilomilast (0.001-100 μM; 30 min) inhibits the synthesis of TNFα in human monocytes and in human whole blood[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SB-207499 (1-100 mg/kg; p.o.) significantly inhibits the production of human TNFα in a dose-dependent manner in mice[1].
SB-207499 (0.1-100 mg/kg; oral gavage) reverses reserpine-induced hypothermia in mice, with an ED_{50} of 2.3 mg/kg[1].
SB-207499 (500 μg/ear; b.i.d. for 6 d) inhibits the chronic oxazolone-induced inflammatory response and intralesional IL-4 concentrations in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Balb/c mice (18-25 g) are injected with human monocytes and LPS[1]
Dosage:	1, 5, 10, 50, 100 mg/kg
Administration:	P.o. after the injection of human monocytes and before LPS challenge
Result:	Inhibited the production of human TNFα, with an ED_{50} of 4.9 mg/kg.

CUSTOMER VALIDATION

- Int J Biol Sci. 2020 Jun 27;16(13):2382-2391.

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REFERENCES

[1]. Griswold DE, et, al. SB 207499 (Ariflo), a second generation phosphodiesterase 4 inhibitor, reduces tumor necrosis factor alpha and interleukin-4 production in vivo. J Pharmacol Exp Ther. 1998 Nov;287(2):705-11.

[2]. Hatzelmann A, et, al. Anti-inflammatory and immunomodulatory potential of the novel PDE4 inhibitor roflumilast in vitro. J Pharmacol Exp Ther. 2001 Apr;297(1):267-79.

[3]. Barnette MS, et, al. SB 207499 (Ariflo), a potent and selective second-generation phosphodiesterase 4 inhibitor: in vitro anti-inflammatory actions. J Pharmacol Exp Ther. 1998 Jan;284(1):420-6.

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