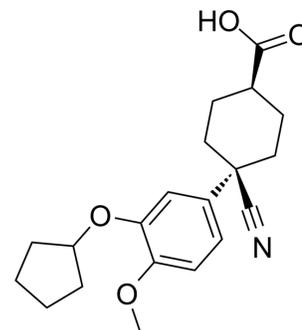


Cilomilast

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	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
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

- 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
- 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
- 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 IC₅₀s of ~100 and 120 nM for LPDE4 and HPDE4, respectively. Cilomilast shows selectivity for PDE4 over PDE1, PDE2, PDE3 and PDE5 (IC₅₀=74, 65, >100, and 83 μM, respectively). Cilomilast has anti-inflammatory and immunomodulatory effects and can be used for the research of asthma and chronic obstructive pulmonary disease (COPD)^{[1][2][3]}.

IC₅₀ & Target

LPDE4

HPDE4

	~100 nM (IC ₅₀)	120 nM (IC ₅₀)								
In Vitro	<p>Cilomilast (0.1 nM-10 μM; 5 min) inhibits human neutrophil functions^[2].</p> <p>Cilomilast (0.1 nM-10 μM; 5 min) inhibits eosinophil chemiluminescence response^[2].</p> <p>Cilomilast (0.001-100 μM; 30 min) inhibits the synthesis of TNFα in human monocytes and in human whole blood^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>									
In Vivo	<p>SB-207499 (1-100 mg/kg; p.o.) significantly inhibits the production of human TNFα in a dose-dependent manner in mice^[1].</p> <p>SB-207499 (0.1-100 mg/kg; oral gavage) reverses reserpine-induced hypothermia in mice, with an ED₅₀ of 2.3 mg/kg^[1].</p> <p>SB-207499 (500 μg/ear; b.i.d. for 6 d) inhibits the chronic oxazolone-induced inflammatory response and intralésional IL-4 concentrations in mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male Balb/c mice (18-25 g) are injected with human monocytes and LPS^[1]</td> </tr> <tr> <td>Dosage:</td> <td>1, 5, 10, 50, 100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>P.o. after the injection of human monocytes and before LPS challenge</td> </tr> <tr> <td>Result:</td> <td>Inhibited the production of human TNFα, with an ED₅₀ of 4.9 mg/kg.</td> </tr> </table>		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.
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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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