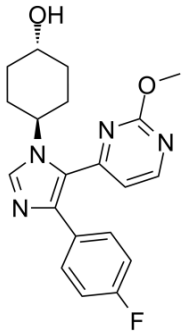
SB 239063



Cat. No.:	HY-11068
CAS No.:	193551-21-2
Molecular Formula:	$C_{20}H_{21}FN_4O_2$
Molecular Weight:	368.4
Target:	p38 MAPK; Autophagy
Pathway:	MAPK/ERK Pathway; Autophagy
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 : 33.33 mg/mL (90.47 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7144 mL	13.5722 mL	27.1444 mL
5 mM	0.5429 mL	2.7144 mL	5.4289 mL
10 mM	0.2714 mL	1.3572 mL	2.7144 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 (6.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SB 239063 is a potent, selective and orally active p38 MAPK inhibitor, exhibits an IC_{50} of 44 nM for recombinant purified human p38α, with equipotent inhibitory activity against p38α and p38β. SB 239063 has no effect on p38γ or p38δ. With anti-asthma activity and also be used to enhance memory which is impaired due to aging or medical conditions, such as, AD[1][2].

IC_{50} & Target

IC50: 44 nM (Human p38α)[1]

In Vitro

SB 239063 (0.1–10 μM ; 29 hours, 47 hours) increases apoptosis of eosinophils in a dose-related in the presence of 10 pM IL-5 at every time point from 21 hours onwards[1].
SB 239063 potently inhibits IL-1 and TNF- a production in LPS-stimulated human peripheral blood monocytes with IC_{50}

values of 120 nM and 350 nM, respectively[1].

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

Apoptosis Analysis[1]

Cell Line:	Eosinophils (guinea pig BALs)
Concentration:	0.1μM, 1μM, 10μM
Incubation Time:	29 hours, 47 hours
Result:	Increased apoptosis of eosinophils in a dose-related in the presence of 10 pM IL-5 at every time point from 21 hours onwards.

In Vivo

SB 239063 (12 mg/kg; p.o.; 1 hour before and 4 hours after OA challenge; b.i.d. for 3 days) significantly inhibits the resultant antigen-induced airway eosinophilia[1].

SB 239063 (12 mg/kg; p.o.) almost abolishes ovalbumin (OA)-induced airway eosinophilia (~ 93% inhibition) by inhalation[1].

SB 239063 is a potent inhibitor of LPS-induced TNF-alpha production in the mouse peritoneal cavity with an EC_{50} of 5.8 mg/kg (2.8–10.3; 95% CL)[1].

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

Animal Model:	Male BALB/c mice (18–20 g)[1]
Dosage:	12 mg/kg
Administration:	Oral administration; 1 h before and 4 h after OA challenge; bis in die for 3 days
Result:	Significantly inhibited the resultant antigen-induced airway eosinophilia.

CUSTOMER VALIDATION

- Carbohyd Polym. 2019 Mar 1;207:371-381.
- J Exp Clin Cancer Res. 2018 Jun 28;37(1):128.
- Cell Death Dis. 2019 Sep 18;10(10):687.
- Int J Biol Macromol. 2018 Dec;120(Pt A):1039-1047.
- Cells. 2020 Jun 16;9(6):1472.

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REFERENCES

[1]. Underwood DC, et al. SB 239063, a potent p38 MAP kinase inhibitor, reduces inflammatory cytokine production, airways eosinophil infiltration, and persistence. J Pharmacol Exp Ther. 2000 Apr;293(1):281-8.

[2]. Matthew Huentelman, et al. Methods of treating memory loss and enhancing memory performance. US 20120245188 A1.

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

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