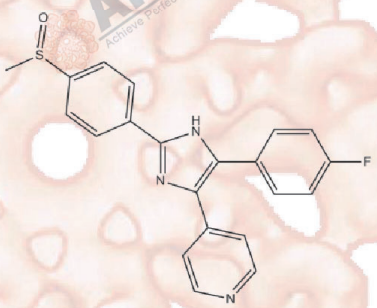
Product Data Sheet

SB 203580

Cat. No.:	A8254
CAS No.:	152121-47-6
Formula:	C21H16FN3OS
M.Wt:	377.44
Synonyms:	
Target:	MAPK Signaling
Pathway:	p38
Storage:	Desiccate at 4°C



Solvent & Solubility

insoluble in H2O; ⩾18.872 mg/mL in DMSO; ⩾3.28 mg/mL in EtOH with ultrasonic

In Vitro — Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.6494 mL	13.2471 mL	26.4943 mL
5 mM	0.5299 mL	2.6494 mL	5.2989 mL
10 mM	0.2649 mL	1.3247 mL	2.6494 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	P38 MAP kinase inhibitor
IC_{50} & Target	0.3–0.5 μM (p38 MAPK), 3–5 μM (PKB)

In Vitro

Cell Viability Assay

Cell Line:	Sf9 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	IC50: 2 μM,

	Applications:	Human c-Raf was activated in Sf9 cells by cotransfection with DNA encoding v-Ras and Lck, and activity was either measured directly in the cell lysates or after immunoprecipitation. The c-Raf in Sf9 cell extracts is inhibited by SB 203580 with an IC50 value of 2 μM. This is 40-fold higher than the IC50 for SAPK2a/p38α, but only four-fold higher than the IC50 for SAPK2b/p38β2. However, the IC50 values became 10-fold higher if the assays were carried out using c-Raf immunoprecipitated from Sf9 cells, EGF-stimulated mouse Swiss 3T3 cells or EGF-stimulated 293 cells.
In Vivo	**Animal experiment**	
	Animal models:	Female Brown Norway rats
	Dosage form:	Oral administration, 10-100 mg/kg
	Applications:	Oral administration of SB 203580 (10-100 mg/kg) had no significant effect on airway eosinophilia in comparison to the vehicle treated, challenged group. No reduction in BAL neutrophilia was observed after administration of SB 203580. In the lung tissue the basal numbers of neutrophils were not altered by pretreatment with SB 203580. The basal number of BAL monocytes/macrophages were unaffected by SB 203580 (unchallenged, vehicle 443.8±36.2: challenged, vehicle 414.1±29.7: challenged, SB 203580 100 mg/kg 381.5±41.86103 cells ml-1). However, SB 203580 produced a dose-related increase in lung tissue monocyte/macrophage cell numbers.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Wang Z, Guhl S, et al. "IL-33 and MRGPRX2-Triggered Activation of Human Skin Mast Cells-Elimination of Receptor Expression on Chronic Exposure, but Reinforced Degranulation on Acute Priming." Cells. 2019 Apr 11;8(4). pii: E341.PMID:30979016

2. Wang Q, Zhou C, et al. "The involvement of the ERK-MAPK pathway in TGF-β1-mediated connexin43-gap junction formation in chondrocytes. Connect Tissue Res." 2019 Mar 22:1-10.PMID:30897973

3. Vincent Picher-Martel. "L'implication de l'ubiquiline-2 dans l'agrégation de TDP-43 et la pathogénèse de la sclérose latérale amyotrophique." University Laval. 2019.

4.Jin X, Ding D, et al. "Phosphorylated RB Promotes Cancer Immunity by Inhibiting NF-κB Activation and PD-L1 Expression." Mol Cell. 2019 Jan 3;73(1):22-35.e6.PMID:30527665

5. Grun D, Adhikary G, et al. "NRP-1 interacts with GIPC1 and SYX to activate p38 MAPK signaling and cancer stem cell survival." Mol Carcinog. 2018 Nov 19.PMID:30456845

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References

[1] Hall-Jackson C A, Goedert M, Hedge P, et al. Effect of SB 203580 on the activity of c-Raf in vitro and in vivo. Oncogene, 1999, 18(12): 2047-2054.
[2] Escott K J, Belvisi M G, Birrell M A, et al. Effect of the p38 kinase inhibitor, SB 203580, on allergic airway inflammation in the rat. British journal of pharmacology, 2000, 131(2): 173-176.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com