

SB 202190

Catalog No: tcsc0141



Available Sizes

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g



Specifications

CAS No:

152121-30-7

Formula:

$C_{20}H_{14}FN_3O$

Pathway:

MAPK/ERK Pathway;Autophagy

Target:

p38 MAPK;Autophagy

Form:

Pale-yellow Solid

Purity / Grade:

99.86%

Solubility:

DMSO : ≥ 40 mg/mL (120.72 mM)

Storage Instruction:

Powder: -20°C for 3 years In solvent: -80°C for 12 months

Observed Molecular Weight:

331.34

Notes

SB-202190 is a pyridinyl imidazole that inhibits p38 MAPK via competing with ATP.

Product Description

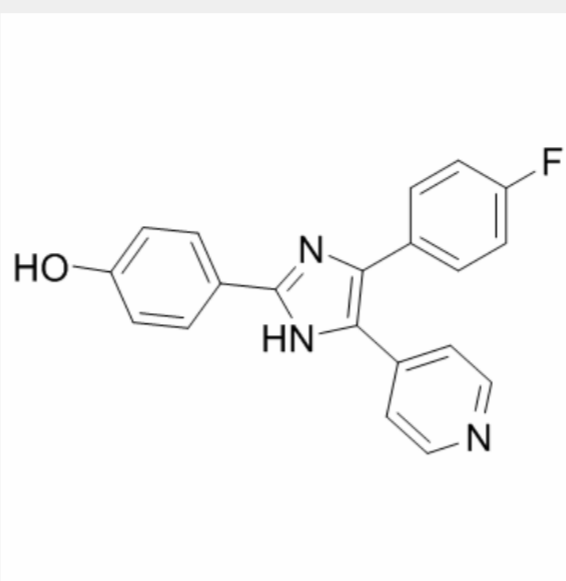
Mitogen-activated protein kinase (MAPK) cascades regulate signal transduction involved in cell proliferation and death. SB-202190 is a potent cell-permeable inhibitor of p38 MAPK that inhibits p38 and p38 β with IC₅₀ values of 50 nM and 100 nM, respectively. SB-202190 at 5 μ M inhibited the activation of p38 in HaCaT cells. The protein expression of COX-2 was almost completely blocked by 5 μ M SB-202190 at 8 and 12 h post the exposure to UVB irradiation (250 J/m²). SB-202190 at the same concentration also significantly abrogated UVB induced cox-2mRNA in HaCaT cells. The inhibitory effect of SB-202190 on PGE₂ production after UVB was observed in HaCaT cells treated by 5 μ M SB-202190 for one hour. Bull serum albumin induced the gene expression of the inflammation marker MCP-1 more than 30-fold in renal tubular cells, while pre-incubation with 10 μ M SB-202190 decreased the gene expression to the basal level. In HK-2 cells, 10 μ M SB202190 treatment significantly reduced TGF- β 1-induced gene expression. Two doses of SB-202190 (6.25 μ g/dose, i.d. administered) prevented the development of blisters and a positive Nikolsky's skin induced by PV IgG injection (1.5 mg of IgG/g body weight) in neonatal mice. The PV IgG-mediated activation of phospho-p38MAPK immunoreactivity in the skin was abrogated in SB-202190-treated mice.

SB 202190 inhibits **p38** and **p38 β 2** with **IC₅₀** values of 50 nM and 100 nM. respectively.

IC₅₀ & Target: IC₅₀: 50 nM (p38), 100 nM (p38 β 2)

In Vitro: Treatment of cells with SB 202190 (SB202190) significantly inhibits both basal and anti-Fas antibody-induced MAPKAPK 2 activity in a dose-dependent manner as measured in immune complex kinase assays with GST-hsp27 as a substrate. Jurkat cells are treated with SB202190 or left untreated. After 24 h, cells are harvested, and the activity of CPP32-like caspases in cell extracts is measured by cleavage of the fluorescent peptide DEVD-AMC, which is a specific substrate of CPP32-like caspases. The cleavage of DEVD-AMC is significantly increased in cells treated with SB202190 but not in the control.

In Vivo: In HCT-116-derived colorectal tumors, administration of SB 202190 (SB202190), Sorafenib or a combination of both give similar results in terms of measurement of external tumor size (around 58% growth reduction compare with control tumors). SB202190 induces a 28% reduction of tumor growth, compare with a 31% reduction promoted by Sorafenib, while combination of both drugs reduce tumor growth by 55%. Compare to the model group, the SB202190 group exhibits significantly shorter escape latencies in the Morris water maze hidden platform trials (P < 0.01) and longer times in the original platform quadrant during probe trials (P < 0.01). The SB202190 group also shows significantly reduced neuronal apoptosis in the hippocampus compared to VaD model rats (P < 0.01) as well as higher (antiapoptotic) Bcl-2 expression and lower (proapoptotic) caspase-3 expression (P < 0.01 for both). In conclusion, blockade of the p38 MAPK signaling pathway by SB202190 following permanent 2-OV reduced apoptosis of hippocampal neurons and rescued spatial learning and memory deficits.



Cell Study

Cell Lines	Concentration	Assay Type	Time	Activity Description	Data Sources
A 549	50 μ M	Function Assay	1 h	decreases the level of IL-8	24179688
A549	0.3/3/30 μ M	Function Assay	1 h	significantly attenuates ATP γ S-mediated COX-2 protein and mRNA expression and promoter activity	23680674
A549	10 μ M	Function Assay	0-30 min	inhibits ATP γ S induced p42/p44 MAPK and p38 MAPK phosphorylation	23680674

Animal Study

Dose Nude Mice: 5 mg/kg^[3] (i.p.), 25 μ g/kg^[4] (i.p.) Mice: 20 mg/kg^[5] (i.p.)

Protocol

Preparing Stock Solution	Volume	Mass	1 mg	5 mg	10 mg
	Concentration				
	1mM		3.0180 mL	15.0902 mL	30.1805 mL
	5mM		0.6036 mL	3.0180 mL	6.0361 mL
	10mM		0.3018 mL	1.5090 mL	3.0180 mL

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!