Web: www.taiclone.com
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SB-203580 (RWJ64809;PB203580)

Catalog No: tcsc0140

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
152121-47-6

Formula:
$C_{21}H_{16}FN_3OS$

Pathway:
MAPK/ERK Pathway;PI3K/Akt/mTOR;Autophagy;Autophagy

Target:
p38 MAPK;Akt;Autophagy;Mitophagy

Form:
Pale-Yellow Solid

Purity / Grade:
99.35%

Solubility:
DMSO : ≥ 33 mg/mL (87.43 mM)

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

Alternative Names:
RWJ 64809; PB-203580

Observed Molecular Weight:
377.43

Product Description

The stress-activated protein kinase (SAPK) p38 isoforms are mitogen-activated protein kinase (MAPK) family members. MAPKs act as an integration point for multiple biochemical signals, and are involved in a wide variety of cellular processes such as proliferation, differentiation, transcription regulation and development. SB 203580 is a p38 MAPK inhibitor. SB 203580 inhibited the ATPase activity of non-phosphorylated p38 MAPK with a Km of 9.6 mM. SB 203580 was competitive with ATP with a Ki of 21 nM, detected in a kinetic study of yeast expressed active p38 MAPK. 24h treatment of SB 203580 dose-dependently inhibited IL-1β-induced PGE2 release with an EC50 of 0.18 μM. SB 203580 was dissolved in drinking water at the concentration of 250 μM and orally administrated 0.4 ml/day to MRL/lpr mice aged from 14 to 22 weeks. SB203580 improved renal function by decreasing the levels of proteinuria and serum BUN, ameliorating the pathologic changes of kidney and reducing Ig and C3 depositions in the kidney. Moreover, hepatocytes necrosis, recruitment and proliferation of leucocytes in liver and spleen were found to be inhibited by administration of SB203580.

SB 203580 is a **p38 MAPK** inhibitor with **IC_{50}** of 0.3-0.5 μM, also blocks **PKB** phosphorylation with **IC_{50}** of 3-5 μM.

IC50 & Target: IC50: 0.3-0.5 μM (p38 MAPK)

In Vitro: SB 203580 inhibits IL-2-driven T cell proliferation with an IC_{50} of 3-5 μM, SB 203580 is able to inhibit the activity of PDK1 in a dose-dependent manner with an IC_{50} in the 3-10 μM range. SB 203580 at a concentration of 1 μM is sufficient for inhibiting p38 kinase activity in TF-1 cells. SB 203580 at 5 and 10 μM enhances NF-κB-mediated gene transcription independently of phosphorylation on the transactivation domains of the p65 subunit. SB 203580 at 10 μM enhances phosphorylation of ERK1/2 and JNK .

In Vivo: SB 203580 decreases protein concentrations of IL-1β from 106.49±10.93 to 67.85±7.39 pg/mL and TNF-α from 462.54±50.16 to 252.71±44.03 pg/mL. Similarly, the protein levels of MMP-2 and MMP-9 are significantly lower in the SB 203580 than the EM group. After treatment with SB 203580, the protein levels of MMP-2 and MMP-9 decreases from 2.70±0.14 to 1.74±0.26 ng/mL and from 3.17±0.31 to 1.98±0.24 ng/mL, respectively. SB 203580 is evaluated in several models of cytokine inhibition and inflammatory disease. It is demonstrated clearly to be a potent inhibitor of inflammatory cytokine production in both mice and rats with IC_{50} values of 15 to 25 mg/kg.

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