Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

SB 242235

Catalog No: tcsc2097

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
193746-75-7

Formula:
$C_{19}H_{20}FN_5O$

Pathway:
MAPK/ERK Pathway

Target:
p38 MAPK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 48 mg/mL (135.83 mM)

Observed Molecular Weight:
353.39

Product Description

SB-242235 is a potent and selective p38 MAP kinase inhibitor with IC50 of 1.0 uM.

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

IC50 Value: 1.0 uM [1]

Target: p38 MAPK

in vitro: SB 242235 inhibited intracellular p38 activity, human chondrocytes were treated with different doses of SB 242235 prior to stimulation with IL-1_ for 15 min. MAPKAP K2 was then isolated from these cells and assayed using HSP27 as a substrate. SB 242235 dose-dependently inhibited the activation of MAPKAP K2 with an IC50 of 1.0 uM [1].

in vivo: SB-242235 demonstrates generally favourable pharmacokinetic properties in all species examined(including rat, dog and monkey). Systemic plasma clearance was high in rat, but in the non-rodent species SB-242235 demonstrated low to moderate clearance with plasma half-lives > 4h. Oral bioavailability in each preclinical species was high. In rat and monkey, SB-242235 demonstrated non-linear elimination kinetics that manifested as a decrease in clearance with increasing dose and apparent oral bioavailability > 100% at high oral doses [2].In the skin of SKH-1 hairless mice, SB242235, prior to UVB irradiation, blocked activation of the p38 MAPK cascade, and abolished MAPKAPK-2 kinase activity and phosphorylation of HSP27. Moreover, SB242235 inhibited expression of the pro-inflammatory cytokines interleukin (IL)-6 and KC (murine IL-8) and COX-2 [3]. The preclinical pharmacokinetics of SB-242235 have been described previously. The present studies were conducted to describe the in vitro metabolic rates and routes of SB-242235 metabolism, to characterize its in vivo preclinical metabolism, and to use these data to aid in the prediction of the pharmacokinetic behaviour of SB-242235 in man [4].