

# 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 :  $\geq$  48 mg/mL (135.83 mM)

**Observed Molecular Weight:**

353.39

## Product Description

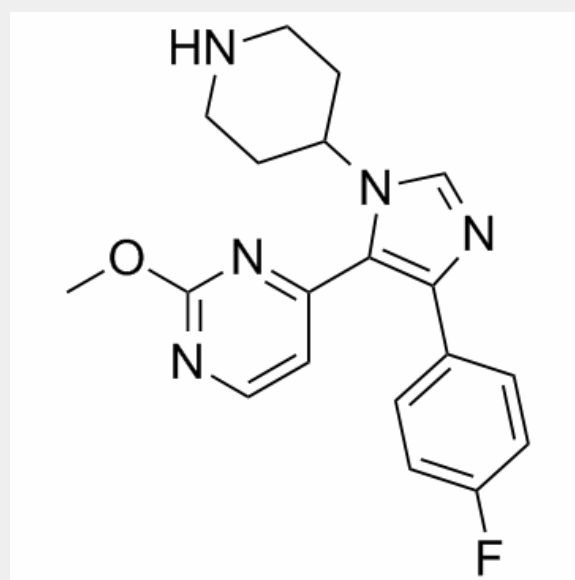
SB-242235 is a potent and selective p38 MAP kinase inhibitor with IC50 of 1.0 uM.

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 $\beta$  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].



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