

Product Data Sheet

Product Name: SB 242235

Cat. No.: GC37595

Chemical Properties

Cas. No. 193746-75-7

SMILES COC1=NC=CC(C2=C(C3=CC=C(F)C=C3)N=CN2C4CCNCC4)=N1

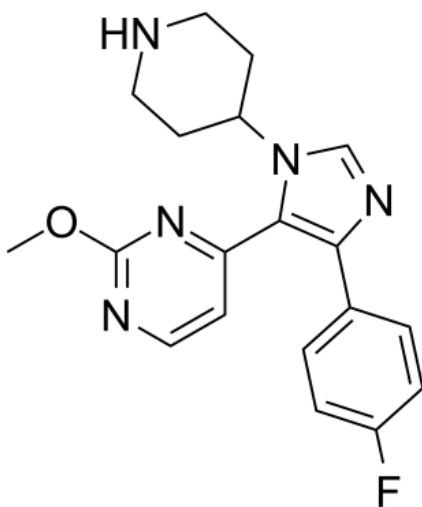
Formula $C_{19}H_{20}FN_5O$ M.Wt 353.39

Solubility DMSO: ≥ 48 mg/mL (135.83 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

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SB-242235 is a potent and selective p38 MAP kinase inhibitor, with an IC₅₀ of 1.0 μM in primary human chondrocytes[1]. IC₅₀: 1.0 μM (p38 MAPK, primary human chondrocytes)[1]

SB 242235 (0-10 μM) dose-dependently inhibits the activation of MAPKAP K2 with an IC₅₀ of 1.0 μM in human chondrocytes stimulated with IL-1β[1]. SB 242235 inhibits intracellular p38 activity, MAPKAP K2 was then isolated from these cells and assayed using HSP27 as a substrate[1].

Western Blot Analysis[1] Cell Line: Human chondrocytes

SB242235 (100 mg/kg; p.o.) abolishes MAP-KAPK-2 activity and HSP27 phosphorylation[2]. SB242235 inhibits expression of the pro-inflammatory cytokines interleukin (IL)-6 and KC (murine IL-8) and COX-2[2]. SB-242235 is demonstrated non-linear elimination kinetics that manifested as a decrease in clearance with increasing dose and apparent oral bioavailability > 100% at high oral doses in rat and monkey[3]. Animal Model: Female SKH-1 hairless mice (4-6 weeks)[2]

[1]. Badger, A.M., et al., Differential effects of SB 242235, a selective p38 mitogen-activated protein kinase inhibitor, on IL-1 treated bovine and human cartilage/chondrocyte cultures. *Osteoarthritis Cartilage*, 2000. 8(6): p. 434-43. [2]. Kim AL, et al. Role of p38 MAPK in UVB-induced inflammatory responses in the skin of SKH-1 hairless mice. *J Invest Dermatol*. 2005 Jun;124(6):1318-25. [3]. Ward, K.W., et al., SB-242235, a selective inhibitor of p38 mitogen-activated protein kinase. I: preclinical pharmacokinetics. *Xenobiotica*, 2002. 32(3): p. 221-33.

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