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

BMS-345541 (free base)

Catalog No: tcsc1238

Available Sizes

Size: 5mg

Size: 50mg

Specifications

CAS No:
445430-58-0

Formula:
$C_{14}H_{17}N_5$

Pathway:
NF-κB

Target:
IKK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
255.32

Product Description

BMS-345541 free base is a selective inhibitor of the catalytic subunits of **IKK** (**IKK-2 IC_{50}**=0.3 μM, **IKK-1 IC_{50}**=4 μM). BMS-345541 binds at an allosteric site of IKK.

IC50 & Target: IC50: 0.3 μM (IKK-2), 4 μM (IKK-1)[1]

In Vitro: BMS-345541 selectively inhibits the stimulated phosphorylation of IκBα in cells (IC_{50}=4 μM). Consistent with the role of

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IKK/NF-κB in the regulation of cytokine transcription, BMS-345541 inhibits lipopolysaccharide-stimulated tumor necrosis factor α, interleukin-1β, interleukin-8, and interleukin-6 in THP-1 cells with IC_{50} values in the 1 to 5 μM range[1]. BMS-345541 treatment results in a concentration-dependent inhibition of melanoma cell proliferation in SK-MEL-5, A375, and Hs 294T cells. BMS-345541 (0, 100 μM) shows apoptotic features as revealed by TUNEL staining and nuclear condensation[2].

In Vivo: BMS-345541 (10 mg/kg, p.o.) results in prolonged serum drug levels, with concentrations sustained at or above 1 μM for many hours in mice. BMS-345541 dose-dependently inhibits the production of TNFα measured in the serum of animals challenged with an intraperitoneal administration of LPS[1]. BMS-345541 (0, 10, 25, and 75 mg/kg, p.o.) effectively inhibits SK-MEL-5 tumor growth in a dose-dependent manner in the mice. Tumor-bearing mice treated with 75 mg/kg of BMS-345541 show effective inhibition of growth of SK-MEL-5, A375, and Hs 294T tumors by 86±2.8%, 69±11% and 67±3.4%, respectively[2].