

BMS-345541 (free base)

Catalog No: tcsc1238

Available Sizes

Size: 5mg

Size: 50mg

🗐 Spec

Specifications

CAS No:

445430-58-0

Formula:

 $C_{14}H_{17}N_5$

Pathway:

NF-ĸB

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.

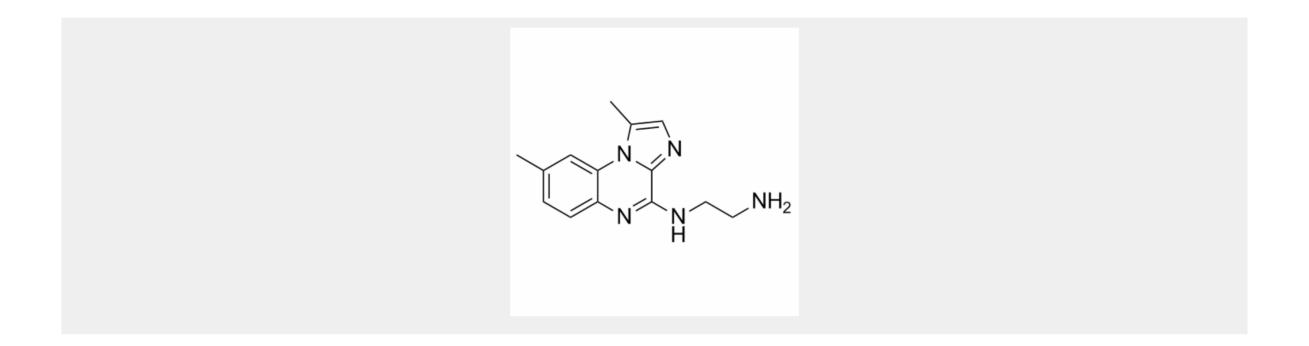
IC50 & Target: IC50: 0.3 μM (IKK-2), 4 μM (IKK-1)^[1]

In Vitro: BMS-345541 selectively inhibits the stimulated phosphorylation of $I\kappa B\alpha$ in cells ($IC_{50}=4 \mu M$). Consistent with the role of



IKK/NF- κ B in the regulation of cytokine transcription, BMS-345541 inhibits lipopolysaccharide-stimulated tumor necrosis factor α , interleukin-1 β , interleukin-8, and interleukin-6 in THP-1 cells with IC₅₀ values in the 1 to 5 μ M 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 μ M) 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 μ M for many hours in mice. BMS-345541 dose-dependently inhibits the production of TNF α 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].



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