

# 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.

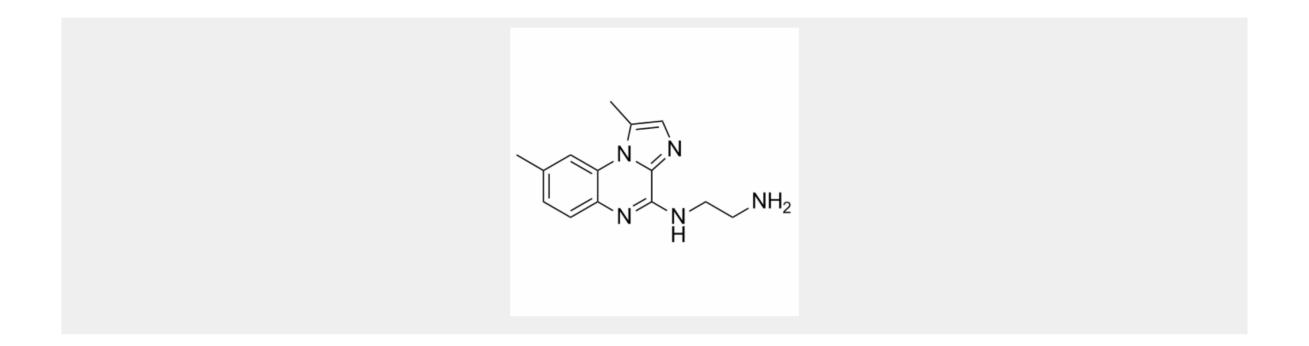
IC50 & Target: IC50: 0.3 μM (IKK-2), 4 μM (IKK-1)<sup>[1]</sup>

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- $\kappa$ B in the regulation of cytokine transcription, BMS-345541 inhibits lipopolysaccharide-stimulated tumor necrosis factor  $\alpha$ , interleukin-1 $\beta$ , interleukin-8, and interleukin-6 in THP-1 cells with IC<sub>50</sub> values in the 1 to 5  $\mu$ M range<sup>[1]</sup>. 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  $\mu$ M) shows apoptotic features as revealed by TUNEL staining and nuclear condensation<sup>[2]</sup>.

*In Vivo:* BMS-345541 (10 mg/kg, p.o.) results in prolonged serum drug levels, with concentrations sustained at or above 1  $\mu$ M for many hours in mice. BMS-345541 dose-dependently inhibits the production of TNF $\alpha$  measured in the serum of animals challenged with an intraperitoneal administration of LPS<sup>[1]</sup>. 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<sup>[2]</sup>.



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