

# 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

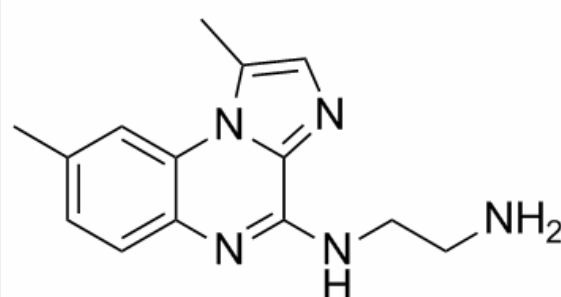
BMS-345541 free base is a selective inhibitor of the catalytic subunits of **IKK** (**IKK-2 IC<sub>50</sub>**=0.3 μM, **IKK-1 IC<sub>50</sub>**=4 μM). BMS-345541 binds at an allosteric site of IKK.

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 IκBα in cells (IC<sub>50</sub>=4 μM). 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 $\pm$ 2.8%, 69 $\pm$ 11% and 67 $\pm$ 3.4%, respectively<sup>[2]</sup>.



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