

# SB-590885

Catalog No: tcsc0093



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

405554-55-4

**Formula:**

$C_{27}H_{27}N_5O_2$

**Pathway:**

MAPK/ERK Pathway

**Target:**

Raf

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 33.33 mg/mL (73.49 mM; Need ultrasonic)

**Observed Molecular Weight:**

453.54

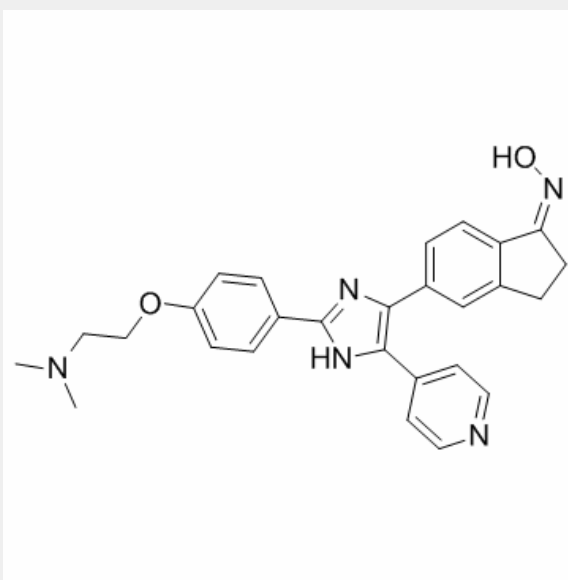
## Product Description

SB-590885 is a potent **B-Raf** inhibitor with **K<sub>i</sub>** of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.

IC50 & Target: IC50: 0.16 nM (B-Raf)

***In Vitro:*** SB-590885 displays significant selectivity for B-Raf over c-Raf with  $K_i$  of 0.16 nM over 1.72 nM. SB-590885 is a more potent inhibitor than the previously described Raf/VEGFR kinase inhibitor BAY 439006 ( $K_i$ =38 nM for mutant B-Raf, 6 nM for c-Raf). SB-590885 displays potent selectivity over 46 other kinases. Unlike the multi-kinase inhibitor BAY43-9006, SB-590885 stabilizes the oncogenic B-Raf kinase domain in an active configuration. In Colo205, HT29, A375P, SKMEL28, and MALME-3M cells expressing oncogenic B-Raf<sup>V600E</sup>, SB-590885 treatment potently inhibits ERK phosphorylation with  $EC_{50}$  of 28 nM, 58 nM, 290 nM, 58 nM, and 190 nM, respectively, and consistently, inhibits the proliferation with  $EC_{50}$  of 0.1  $\mu$ M, 0.87  $\mu$ M, 0.37  $\mu$ M, 0.12  $\mu$ M, and 0.15  $\mu$ M, respectively. SB-590885 decreases anchorage-independent growth of melanoma cell lines in a BRAF mutant-selective manner<sup>[1]</sup>. SB-590885 displays high affinity for B-Raf with  $K_d$  of 0.3 nM<sup>[2]</sup>. Most of the melanoma cell lines that harbor the BRAF V600E mutation and lack CDK4 mutations (451Lu, WM35, and WM983) are highly sensitive to SB-590885 with  $IC_{50}$  of [3].

***In Vivo:*** Administration of SB-590885 potently decreases tumorigenesis in murine xenografts established from mutant B-Raf-expressing A375P melanoma cells, and modestly inhibits tumor growth<sup>[1]</sup>.



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