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# 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 $\mathbf{K_i}$ of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.

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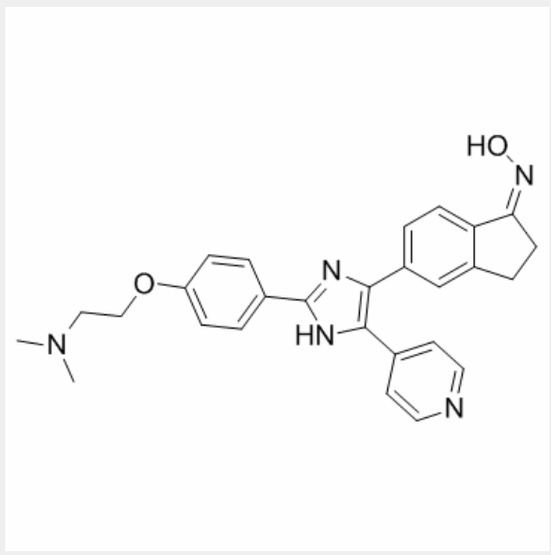
IC50 & Target: IC50: 0.16 nM (B-Raf)

***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$^{V600E}$, 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 μM, 0.87 μM, 0.37 μM, 0.12 μM, and 0.15 μM, respectively. SB-590885 decreases anchorage-independent growth of melanoma cell lines in a BRAF mutant-selective manner[1]. SB-590885 displays high affinity for B-Raf with $K_d$ of 0.3 nM[2]. 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[1].

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