

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

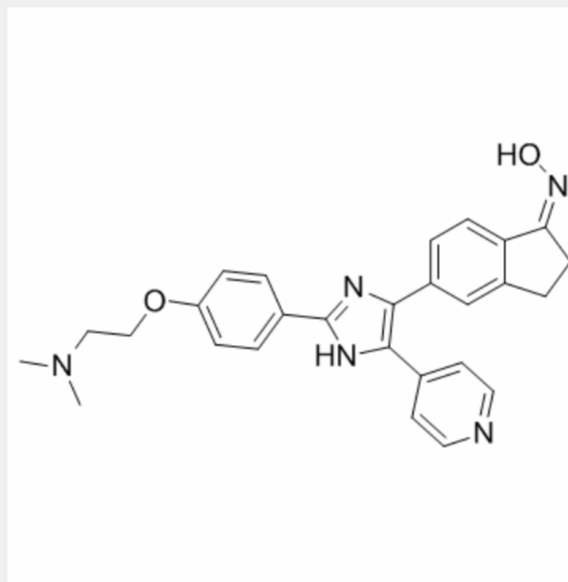
Product Description

SB-590885 is a potent **B-Raf** inhibitor with K_i 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^{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 μ M, 0.87 μ M, 0.37 μ M, 0.12 μ M, and 0.15 μ M, 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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