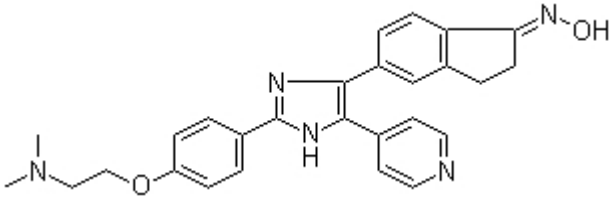
Product Introduction

SB590885

SB590885 is a potent **B-Raf** inhibitor with K_I of 0.16 nM, 11-fold greater selectivity for B-Raf over c-Raf, no inhibition to other human kinases.

Technical Data:

Molecular Weight (MW):	453.54	
Formula:	$C_{27}H_{27}N_5O_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 5 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	405554-55-4	

Biological Activity

SB590885 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). SB590885 displays potent selectivity over 46 other kinases. Unlike the multi-kinase inhibitor BAY43-9006, SB590885 stabilizes the oncogenic B-Raf kinase domain in an active configuration. In Colo205, HT29, A375P, SKMEL28, and MALME-3M cells expressing oncogenic B-RafV600E, SB590885 treatment potently inhibits ERK phosphorylation with EC50 of 28 nM, 58 nM, 290

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nM, 58 nM, and 190 nM, respectively, and consistently, inhibits the proliferation with EC50 of 0.1 μM, 0.87 μM, 0.37 μM, 0.12 μM, and 0.15 μM, respectively. SB590885 decreases anchorage-independent growth of melanoma cell lines in a BRAF mutant-selective manner. [1] SB590885 displays high affinity for B-Raf with Kd 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 SB590885 with IC50 of <1 μM. Increased levels of cyclin D1 resulting from genomic amplification mediate SB590885 resistance in B-Raf V600E-mutated melanomas. [3]

Administration of SB590885 potently decreases tumorigenesis in murine xenografts established from mutant B-Raf-expressing A375P melanoma cells, and modestly inhibits tumor growth. [1]

Displays significant selectivity for B-Raf over c-Raf.

References

[1] King AJ, et al. Cancer Res, 2006, 66(23), 11100-11105.

[2] Takle AK, et al. Bioorg Med Chem Lett, 2006, 16(2), 378-381.

[3] Smalley KS, et al. Mol Cancer Ther, 2008, 7(9), 2876-2883.

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