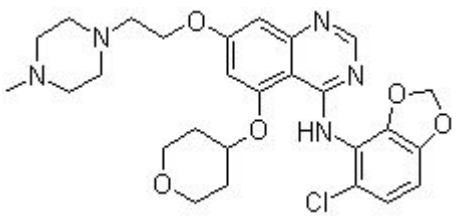
Product Introduction

Saracatinib (AZD0530)

Saracatinib (AZD0530) is a potent Src inhibitor with **IC50** of 2.7 nM, and potent to c-Yes, Fyn, Lyn, Blk, Fgr and Lck; less active for Abl and EGFR (L858R and L861Q). Phase 1/2.

Technical Data:

Molecular Weight (MW):	542.03	
Formula:	$C_{27}H_{32}ClN_5O_5$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	379231-04-6	

Biological Activity

Saracatinib also potently inhibits other Src tyrosine kinase family members including c-Yes, Fyn, Lyn, Blk, Fgr, and Lck with IC50 from 4-10 nM. Saracatinib sensitively inhibits Src Y530F NIH 3T3 with IC50 of 80 nM. Saracatinib significantly impairs the invasion of HT1080 cells through a 3-dimensional collagen matrix and completely inhibits EGF-induced cell scattering in NBT-II bladder cancer cells. [1] Saracatinib potent inhibits Src activation in DU145 and PC3 cells, which through inhibition of Y419 phosphorylation. Saracatinib inhibits the growth of prostate cancer including PC3, DU145, CWR22Rv1 and LNCaP, while

Saracatinib shows low activity in LAPC-4, PZ-HPV7 and RWPE-1 cells. Saracatinib induces cell cycle arrest at G1/S but not caspase 3 cleavages. Saracatinib also significantly inhibits DU145 and PC3 migration in the Boyden chamber. [2] Saracatinib gives a potent and sustained blockage of AKT and enhances the sensitivity to irradiation in A549 and Calu-6 cells. [3] Saracatinib inhibits osteoclast in activity, resorption and formation. Saracatinib also reversibly prevents osteoclast precursor migration. [4]

Saracatinib shows great tumor growth inhibition in Src3T3 allografts and a moderate growth delay in Calu-6, MDA-MB-231, AsPc-1 and BT474C xenografts. [1] Saracatinib shows great antitumor activity in orthotopic DU145 xenograft mice at a dose of 25mg/kg (orally administered, daily). [2]

The 1st Src inhibitor to show inhibition of the Src pathway in human tumor tissue.

References

[1] Chang YM, Oncogene, 2008, 27(49), 6365-6375.

[2] Green TP, et al. Mol Oncol, 2009, 3(3), 248-261.

[3] Purnell PR, et al. J Thorac Oncol, 2009, 4(4), 448-454.

[4] de Vries TJ, et al. Mol Cancer Res, 2009, 7(4), 476-488.

[5] Gwanmesia PM, et al. BMC Cancer, 2009, 13;9:53.

[6] Hiscox S, et al. Breast Cancer Res Treat, 2009, 115(1), 57-67.

[7] Chen Y, et al. Clin Cancer Res, 2009, 15(10), 3396-3405.

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