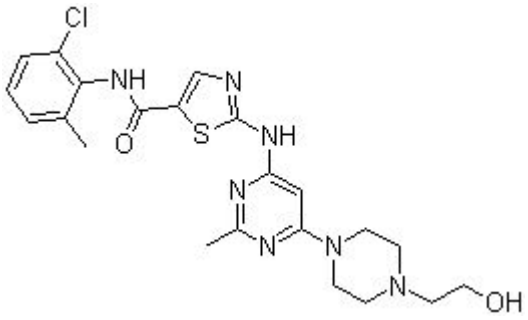
Product Introduction

Dasatinib

Dasatinib is a novel, potent and multi-targeted inhibitor that targets **Abl**, **Src** and c-Kit, with **IC50** of ＜ 1 nM, 0.8 nM and 79 nM, respectively.

Technical Data:

Molecular Weight (MW):	488.01	
Formula:	$C_{22}H_{26}ClN_7O_2S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 98 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	302962-49-8	

Biological Activity

Dasatinib is more effective than imatinib in inhibiting the proliferation of Ba/F3 cells expressing wild-type Bcr-Abl and Bcr-Abl mutants, with the exception of T315I. Dasatinib has a two-log (～325-fold) increased potency relative to imatinib. Dasatinib potently inhibits wild-type Abl kinase and all mutants except T315I over a narrow range. Dasatinib directly targets wild-type and mutant Abl kinase domains and inhibits autophosphorylation and substrate phosphorylation in a concentration-dependent manner. Dasatinib displays 325-fold greater potency compared with imatinib against cells expressing wild-type Bcr-Abl. [1]

The percent of colonies of TgE bone marrow cells are decreased from 100% in untreated wells to 4.12% in Dasatinib treated wells. In the presence of Dasatinib, the difference in the percentage of colonies formed by WT and TgE bone marrow cells is statistically significant. Expression of LMP2A is able to promote B lymphocyte survival and proliferation, which can be inhibited by targeting Lyn and/or c-Abl kinases through Dasatinib. [3] Dasatinib treatment inhibits Src signaling, decreases growth, and induces cell cycle arrest and apoptosis in a subset of thyroid cancer cells. Treatment with increasing doses of Dasatinib (0.019 μM to 1.25 μM) for 3 days inhibits the growth of the C643, TPC1, BCPAP, and SW1736 cell lines by about 50% at low nanomolar concentrations, while higher concentrations are required to inhibit the growth of the K1 cell line. Treatment with 10 nM or 50 nM Dasatinib results in a 9-22% increase of cells in the G1 population among BCPAP and SW1736 and K1 cells, and a corresponding 7-18% decrease in the percentage of cells in the S phase. [4]

Dasatinib reverses splenomegaly in LMP2A/MYC double transgenic mice. Dasatinib specifically prevents colony formation by LMP2A expressing bone marrow B cells and decreased spleen size in the TgE mice. Spleen mass is significantly decreased among Dasatinib treated Tg6/λ-MYC mice when compared to the control group. Dasatinib inhibits lymphadenopathy in LMP2A/MYC double transgenic mice. Dasatinib reverses splenomegaly in Rag1KO mice engrafted with tumor cells from LMP2A/MYC double transgenic mice. Dasatinib therapy inhibits Lyn phosphorylation in B lymphocyte tumors expressing LMP2A. [3]

References

[1] O'Hare T, et al. Cancer Res. 2005, 65(11), 4500-4505.

[2] Shah NP, et al. Blood, 2006, 108(1), 286-291.

[3] Chan CM, et al. Clin Cancer Res. 2012, 18(13), 3580-3591.

[4] Dargart JL, et al. Antiviral Res. 2012, 95(1), 49-56.

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