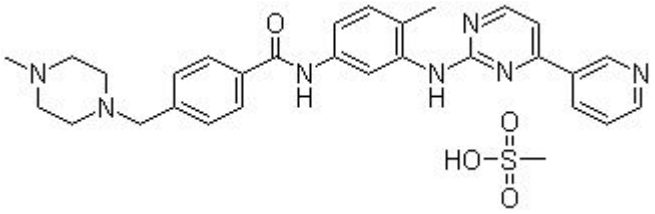
Product Introduction

Imatinib Mesylate (STI571)

Imatinib Mesylate (STI571) is an orally bioavailability mesylate salt of Imatinib, which is a multi-target inhibitor of **v-Abl**, **c-Kit** and **PDGFR** with **IC50** of 0.6 μM, 0.1 μM and 0.1 μM, respectively.

Technical Data:

Molecular Weight (MW):	589.71	
Formula:	C29H31N7O.CH4SO3	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 118 mg/mL	
	Water 118 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	220127-57-1	

Biological Activity

In vitro assays for inhibition of a panel of tyrosine and serine/threonine protein kinases show that Imatinib inhibits the v-Abl tyrosine kinase and PDGFR potently with an IC50 of 0.6 and 0.1 μM, respectively. [1] Imatinib inhibits the SLF-dependent activation of wild-type c-kit kinase activity with a IC50 for these effects of approximately 0.1 μM, which is similar to the concentration required for inhibition of PDGFR. [2] Imatinib exhibits growth-inhibitory activity on the human bronchial carcinoid cell line NCI-H727 and the human pancreatic carcinoid cell line BON-1 with an IC50 of 32.4 and 32.8 μM, respectively. [3] A recent

study shows that Imatinib has the potential to exert its antileukemia effects in chronic myelogenous leukemia by down-regulating hERG1 K(+) channels, which are highly expressed in leukemia cells and appear of exceptional importance in favoring leukemogenesis. [4]

Imatinib produces a different antitumor effect on three xenografted tumors derived from surgical samples of fresh human small cell lung cancers, with 80%, 40% and 78% growth inhibition of SCLC6, SCLC61 and SCLC108 tumors, respectively, and no significant inhibition of SCLC74 growth. [5] In high fat fed ApoE(-/-) mice, Imatinib significantly reduces the high fat-induced lipid staining area by 30%, 27% and 35% compared to high-fat diet untreated controls when dosed by gavage at 10, 20 and 40 mg/kg, respectively, and suppresses carotid artery lipid accumulation. [6]

References

[1] Buchdunger E, et al. Proc Natl Acad Sci USA, 1995, 92(7), 2558–2562.

[2] Heinrich MC, et al. Blood. 2000, 96(3), 925-932.

[3] Yao JC, et al. Clin Cancer Res. 2007, 13(1), 234-240.

[4] Zheng F, et al. Med Oncol, 2012, 29(3), 2127-2135.

[5] Decaudin D, et al. Int J Cancer. 2005, 113(5), 849-856.

[6] Ballinger ML, et al. J Cell Mol Med. 2010,14(6B), 1408-1418.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.