Dasatinib

货号： D6497

储存条件： 粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

The oncogenic tyrosine kinase Bcr-Abl plays a central role in the pathogenesis of chronic myelogenous leukemia, thus makes it as the therapy drug target. However, it is demonstrated that the mutations of Bcr-Abl kinase have been the most common mechanism of drug resistance, such as imatinib. Dasatinib is a potent Bcr-Abl inhibitor with IC50 values of 0.6 nM, 0.8 nM and 2.8 nM for Abl, Src and Lyn, as well as IC50 values ranging in 0.1 - 1.8 nM for different Abl mutations except the T315I mutation (measured by in vitro kinase assays). Consistent with the results from the kinase assays, dasatinib showed more potent growth inhibition in Ba/F3 expressing various Abl mutations with a narrow range of low nanomoles, as well as the inhibition on Bcr-Abl tyrosine phosphorylation with IC50 values below 10 nM， compared with imatinib Oral administration of Dasatinib at dose of 5 or 20 mg/kg robustly reduced the CML phenotype and included stem and progenitor populations in tetracycline-controlled transgenic BCR-ABL mice, more potent than imatinib. Dasatinib also showed inhibitory activity against Lck, yes and c-kit with IC50 values of 0.4 nM, 0.5 nM and 5 nM, respectively, and possessed cellular antiproliferative activities on tumor cells of different origins, most potent to CML cell line K562 with IC50 < 1 nM. Oral treatment with Dasatinib, at dose of both 5 mg/kg and 50mg/kg on a 5 day on and 2 day off schedule for two cycles, demonstrated complete tumor regressions in a K562 xenograft model of CML.

作用机制

Dasatinib is a ATP-competitive inhibitor of both Abl and Src.

产品信息

CAS 号	302962-49-8	
分子式	C22H26ClN7O2S	
分子量	488.01	
溶解度	DMSO	98.0 mg/mL(200.8 mM)
	Water	Insoluble
	Ethanol	Insoluble