Dabrafenib

货号：D3802

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 RAS/RAF signaling pathway is an important mediator of tumor cell proliferation and angiogenesis. Among them, B-RAF is the most frequently mutated protein kinase in human cancers. Dabrafenib is a potent, selective and efficacious inhibitor of B-RafV600E with IC50 value of 0.7 nM, and less potent to B-Raf and C-Raf with IC50 values of 5.2 nM and 6.3 nM (measured by enzymatic activity), respectively. Consistent with the in vitro kinase assay, Dabrafenib displayed compelling inhibitory on p-ERK in SKMEL28 cells with IC50 value of 4 nM, as well as on cell proliferation of B-RafV600E-driven melanoma lines such as SKMEL28 and A375P F11 (IC50=3 nM and 8 nM, respectively) and colorectal carcinoma line Colo205 (IC50=7 nM). Meanwhile, Dabrafenib had a minimal effect on cells with wild-type B-Raf (HFF IC50=3.0 μM) and in tumor cells not harboring the activating B-RafV600E mutation. Oral administration of Dabrafenib at doses of 0.1, 1, 10, and 100 mg/kg once daily for 14 days dose-dependently reduce tumor growth with notable pharmacodynamic response, measured by pERK levels after a single oral dose, in CD1 nu/nu mice bearing A375P F11 (B-RafV600E) tumors. Dabrafenib is currently in phase III clinical development for the treatment of activating B-Raf mutant tumors.

作用机制

Dabrafenib binding to BRAF is ATP-competitive.

产品信息

CAS 号	1195765-45-7	
分子式	C23H20F3N5O2S2	
分子量	519.56	
溶解度	DMSO	150.0 mg/mL (288.7 mM)
	Water	Insoluble