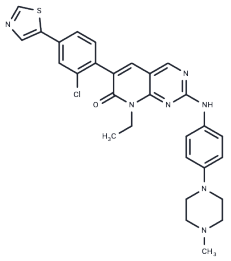
Data Sheet (Cat.No.T6014)

TargetMol
YOUR TARGET MOLECULES

FRAX597

Chemical Properties



CAS No. : 1286739-19-2

Formula: C29H28ClN7OS

Molecular Weight: 558.1

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	FRAX597 is an effective, ATP-competitive inhibitor of group I PAKs, and for PAK1(IC50=8 nM), PAK2(IC50=13 nM), and PAK3 (IC50=19 nM).
Targets(IC50)	PAK
In vitro	In NOD/SCID mice loaded with Nf2-/-SC4 Schwann cells, daily oral administration of FRAX597 (100 mg/kg) significantly inhibits tumor growth. Similarly, in SCID mice with intrinsic meningiomas, daily oral intake of FRAX597 (90 mg/kg) markedly suppresses tumor growth. Additionally, in KrasG12D mice, daily treatment with FRAX597 (90 mg/kg) leads to tumor regression and a decrease in Erk and Akt activity.
In vivo	FRAX597 exhibits potent inhibition against wild-type PAK1 (IC50=48 nM), whereas it shows significantly reduced efficacy against the V342F PAK1 mutation (IC50 > 3 μM) and the V342Y PAK1 mutation (IC50 > 2 μM). At a concentration of 100 nM, FRAX597 demonstrates substantial inhibitory effects on YES1 (87%), RET (82%), CSF1R (91%), TEK (87%), PAK1 (82%), and PAK2 (93%).
Kinase Assay	Determination of Enzyme IC50 Values: IC50 values are determined using a 10 concentration point, non-radioactive, functional assay that employs a fluorescence-based, coupled-enzyme format, according to the manufacturer's protocol (Z'-LYTE@biochemical assay). Kinase selectivity is determined using both the Z'-LYTE@ and Adapta@ kinase assay format.
Cell Research	30,000 cells/well are plated in 12-well dishes in triplicate. Cell growth media with or without FRAX597 is replaced daily. At indicated time points, cells from individual wells are trypsinized and counted using a Coulter counter.(Only for Reference)

Solubility Information

Solubility	DMSO: 11.2 mg/mL (20 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7918 mL	8.959 mL	17.9179 mL
5 mM	0.3584 mL	1.7918 mL	3.5836 mL
10 mM	0.1792 mL	0.8959 mL	1.7918 mL
50 mM	0.0358 mL	0.1792 mL	0.3584 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Licciulli S, et al. J Biol Chem. 2013, 288(4), 29105-29114.
Chow HY, et al. Oncotarget. 2015, 6(4), 1981-1994.