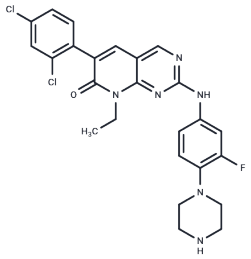
Data Sheet (Cat.No.T6840)

TargetMol

FRAX486

Chemical Properties



CAS No. : 1232030-35-1

Formula: C25H23Cl2FN6O

Molecular Weight: 513.39

Appearance: no data available

Storage: store at low temperature,keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	FRAX486 is a potent p21-activated kinase (PAK) inhibitor with IC50 values of 14, 33, 39 and 575 nM for PAK1, PAK2, PAK3 and PAK4 respectively.
Targets(IC50)	PAK
In vitro	In WPMY-1 cells, FRAX486 induces concentration-dependent (1-10 μM) degeneration of actin filaments. This was paralleled by attenuation of proliferation rate, being observed from 1 to 10 μM FRAX486. Cytotoxicity of FRAX486 in WPMY-1 cells is time- and concentration-dependent. In WPMY-1 cells, effects of FRAX486 on actin organization, survival, and proliferation occurred already at concentrations of 1-5 μM. In these concentrations, full inhibition of PAK1-3 may be expected, while PAK4 may be inhibited only partially[2].
In vivo	FRAX486 crosses the blood-brain barrier and that therapeutically useful concentrations of FRAX486 are in the brain as early as 1 h and remain as long as 24 h after administration, with the maximum concentration in the target tissue at 8 h. Daily dosing results in steady-state levels of FRAX486 in the brain. FRAX486 specifically rescues the Fmr1 KO abnormality in which the spine phenotype is present in apical neurons and not simply decreasing spine density irrespective of genotype or existence of a phenotype. Also, FRAX486 reduces hyperactivity and stereotypical movements, both of which are phenotypes that characterize the mouse model of Fragile X syndrome[3].
Cell Research	Cells are grown in 96-well plates (20,000 cells/well) for 24 h, before FRAX486, IPA3, or DMSO are added in indicated concentrations (1, 5, 10 μM). Subsequently, cells are grown for different periods (24, 48, 72 h). Separate controls are performed for each period. At the end of this period, 10 μl of [2-(2-methoxy-4-nitrophenyl)-3-(4-nitrophenyl)-5-(2,4-disulfophenyl)-2H-tetrazolium monosodium salt (WST-8) from CCK-8 is added, and absorbance in each well is measured at 450 nm after incubation for 2 h at 37°C.(Only for Reference)

Solubility Information

Solubility	DMSO: 10.3 mg/mL (20.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9478 mL	9.7392 mL	19.4784 mL
5 mM	0.3896 mL	1.9478 mL	3.8957 mL
10 mM	0.1948 mL	0.9739 mL	1.9478 mL
50 mM	0.039 mL	0.1948 mL	0.3896 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

Hayashi-Takagi A, et al. Proc Natl Acad Sci U S A. 2014, 111(17):6461-6.
Wang Y, et al. PLoS One. 2016, 11(4):e20153312.
Dolan BM, et al. Proc Natl Acad Sci U S A. 2013, 110(14):5671-6.