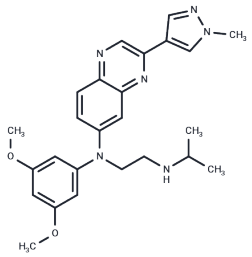
Data Sheet (Cat.No.T3726)

TargetMol

Erdafitinib

Chemical Properties



CAS No. : 1346242-81-6

Formula: C25H30N6O2

Molecular Weight: 446.54

Appearance: no data available

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

Biological Description

Description	Erdafitinib (JNJ-42756493), a quinoxaline derivative, targets FGFR1/2/3/4.
Targets(IC50)	Apoptosis,FGFR
In vitro	JNJ-42756493 is a potent, oral pan-FGFR tyrosine kinase inhibitor with half-maximal inhibitory concentration values in the low nanomolar range for all members of the FGFR family (FGFR1 to FGFR4), with minimal activity on vascular endothelial growth factor receptor (VEGFR) kinases compared with FGFR kinases (approximately 20-fold potency difference). In vitro, the proliferation of cells treated with JNJ-42756493 is decreased, associated with increased apoptotic death and decreased cell survival[2].
In vivo	In vivo, growth of NCI-H716 tumors is delayed by 5 days by drug treatment alone, although when drug delivery is stopped the relative tumor volume increased compared to control[2]. JNJ-42756493 shows favorable drug like properties and displays a high distribution to lung, liver and kidney tissue. JNJ-42756493 is well tolerated at efficacious doses and results in potent dose-dependent antitumor activity accompanied by pharmacodynamic modulation of tumor FGFR and downstream pathway components [1].
Cell Research	The effect of varying drug concentrations on cell growth and survival is evaluated at 72 h using sulforhodamine B (SRB) assay for the adherent cells (HCT116, HCA7, Caco2) and trypan blue dye exclusion for the suspension cells, NCI-H716. (Only for Reference)

Solubility Information

Solubility	Ethanol: 15 mg/mL (33.59 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 5.63 mg/mL (12.6 mM),Sonication is recommended. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.2 mg/mL (18.36 mM),Solution. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2394 mL	11.1972 mL	22.3944 mL
5 mM	0.4479 mL	2.2394 mL	4.4789 mL
10 mM	0.2239 mL	1.1197 mL	2.2394 mL
50 mM	0.0448 mL	0.2239 mL	0.4479 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

Verstraete M, et al. In vitro and in vivo evaluation of the radiosensitizing effect of a selective FGFR inhibitor (JNJ-42756493) for rectal cancer. BMC Cancer. 2015 Dec 16;15:946.
Tao Z, Cui Y, Xu X, et al. FGFR redundancy limits the efficacy of FGFR4-selective inhibitors in hepatocellular carcinoma. Proceedings of the National Academy of Sciences. 2022, 119(40): e2208844119.
Perera TPS, et al. Discovery and Pharmacological Characterization of JNJ-42756493 (Erdafitinib), a Functionally Selective Small-Molecule FGFR Family Inhibitor. Mol Cancer Ther. 2017 Jun;16(6):1010-1020.