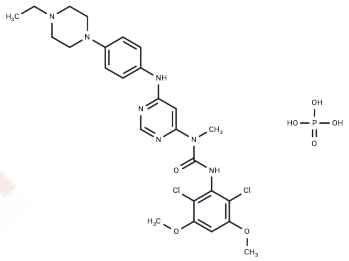
Data Sheet (Cat.No.T16364)

TargetMol

Infigratinib phosphate

Chemical Properties



CAS No. : 1310746-10-1

Formula: C26H34Cl2N7O7P

Molecular Weight: 658.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Infigratinib phosphate (BGJ-398 phosphate) is an effective inhibitor of the FGFR family (IC50: 0.9 nM, 1.4 nM, 1 nM, and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively).
Targets(IC50)	FGFR
In vitro	Infigratinib inhibits the proliferation of the FGFR1-, FGFR2-, and FGFR3-dependent BaF3 cells with IC50 values which are in the low nanomolar range and comparable to those observed for the inhibition of the receptors kinase activity in the enzymatic assay. Infigratinib phosphate suppresses FGFR1, FGFR2, and FGFR3(IC50=~1 nM), FGFR3K650E (IC50=4.9 nM), and FGFR4(IC50=60 nM). IC50 values for all other kinases are in the μM range (FYN, LCK, YES, and ABL, IC50=1.9, 2.5, 1.1, and 2.3 μM, respectively) except for VEGFR2, KIT, and LYN, which are inhibited at submicromolar concentrations (IC50=0.18, 0.75, and 0.3 μM, respectively). Infigratinib (ranging between 1 nM and 10 μM) is effective at inhibiting cell growth of FGFR2-mutant endometrial cancer cells. For the remaining cells, all IC50 values are greater than 1.5 μM except for VEGFR2 (IC50 1449 and 938 nM), for which there is at least a 400-fold selectivity versus FGFR1, FGFR2, and FGFR3[1][2].
In vivo	Infigratinib, at a dosage of 30 mg/kg, effectively inhibits the proliferation of FGFR2-mutated endometrial cancer in xenograft models using athymic nude mice. The compound is administered either as a 5 mg/kg intravenous injection in NMP/PEG200 (1:9, v/v) or orally at 20 mg/kg in a PEG300/D5W (2:1, v/v) mixture. Following intravenous administration, Infigratinib quickly disperses from the bloodstream to peripheral tissues, evidenced by a high distribution volume (26 L/kg). Pharmacokinetic studies reveal that its oral bioavailability is 32%, with a significant plasma clearance rate of 3.3 L/h/kg, approximately 61% of liver blood flow. The drug concentration in tumors relative to plasma, based on the Area Under the Curve (AUC) ratio, is 10 after oral administration, indicating significant efficacy in targeting tumor cells.

Solubility Information

Solubility	DMSO: 11.7 mg/mL (17.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5187 mL	7.5934 mL	15.1867 mL
5 mM	0.3037 mL	1.5187 mL	3.0373 mL
10 mM	0.1519 mL	0.7593 mL	1.5187 mL
50 mM	0.0304 mL	0.1519 mL	0.3037 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Guagnano V, et al. Discovery of 3-(2,6-Dichloro-3,5-dimethoxy-phenyl)-1-{6-[4-(4-ethyl-piperazin-1-yl)-phenylamino]-pyrimidin-4-yl}-1-me thyl-urea (NVP-BGJ398), A Potent and Selective Inhibitor of the Fibroblast Growth Factor Receptor Family of Receptor T

Konecny GE, et al. Activity of the fibroblast growth factor receptor inhibitors dovitinib (TKI258) and NVP-BGJ398 in human endometrial cancer cells. Mol Cancer Ther. 2013 May;12(5):632-42.

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