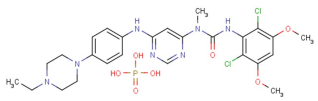
Data Sheet (Cat.No.T16364)

TargetMol
A DRUG SCREENING EXPERT

Infigratinib phosphate

Chemical Properties



CAS No.:	1310746-10-1
Formula:	C26H34Cl2N7O7P
Molecular Weight:	658.47
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Infigratinib 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(IC_{50})	FGFR1: 0.9 nM FGFR2: 1.4 nM FGFR3: 1 nM FGFR4: 60 nM
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 (30 mg/kg) significantly suppresses the growth of FGFR2-mutated endometrial cancer xenograft models. Infigratinib is administered to athymic nude mice implanted subcutaneously with RT112/luc1 tumors: either as a 5 mg/kg intravenous bolus in NMP/PEG200 (1:9, v/v) or orally by gavage as a suspension in PEG300/D5W (2:1, v/v) at a 20 mg/kg dose. Infigratinib shows a rapid distribution from the vascular compartment into the peripheral tissues after intravenous dosing, translating into a high volume of distribution (26 L/kg). The relevant pharmacokinetic (PK) parameters indicate that the oral bioavailability of Infigratinib in this study is 32%. The plasma clearance is high at 3.3 L/h/kg (61% of liver blood flow). The ratio of tumor to plasma after oral dosing based on AUC is determined to be 10 [1][2].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.519 mL	7.593 mL	15.187 mL
5 mM	0.304 mL	1.519 mL	3.037 mL
10 mM	0.152 mL	0.759 mL	1.519 mL
50 mM	0.03 mL	0.152 mL	0.304 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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
2. 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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