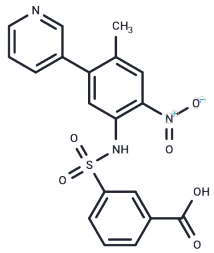
Data Sheet (Cat.No.T5122)

TargetMol

Alofanib

Chemical Properties



CAS No. : 1612888-66-0

Formula: C19H15N3O6S

Molecular Weight: 413.4

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	Alofanib (RPT835) is a selective allosteric inhibitor of FGFR2 and has a dramatic inhibitory effect on FGF2-induced phosphorylation of FRS2a in KATO III cells (IC50 <10 nM). It has no direct effect on FGF2-dependent FGFR1 and FGFR3 phosphorylation levels in either cell lines and no effects on FGF2-FGFR2 binding.
Targets(IC50)	Apoptosis,FGFR
In vitro	In SKOV3 cell line, Alofanib induces mainly apoptosis with cleavage of caspase 3, PARP and Bcl-2. It has a low cytotoxic effect on ovarian cancer cells [1]. Alofanib inhibits phosphorylation of FRS2α with the IC50 values of 7 and 9 nmol/l in cancer cells expressing different FGFR2 isoforms. In a panel of four cell lines representing several tumor types (triple-negative breast cancer, melanoma, and ovarian cancer), alofanib inhibits FGF-mediated proliferation with 50% growth inhibition (GI50) values of 16-370 nmol/l. Alofanib dose-dependently inhibits the proliferation and migration of human and mouse endothelial cells (GI50: 11-58 nmol/l) compared with brivanib and bevacizumab [2].
In vivo	Alofanib (i.v.) significantly in a dose-dependent manner potentiated the efficiency of the combination of paclitaxel and carboplatin. Alofanib suppresses angiogenesis in the ovarian cancer mouse model[1]. In an FGFR-driven human tumor xenograft model, oral administration of alofanib is well tolerated and results in potent antitumor activity[2].
Cell Research	SKOV3 cells were treated with alofanib (10, 100, and 1000 μM) for 72 h and whole-cell lysates were immunoblotted.

Solubility Information

Solubility	H2O: Insoluble, DMSO: 55 mg/mL (133.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.419 mL	12.0948 mL	24.1896 mL
5 mM	0.4838 mL	2.419 mL	4.8379 mL
10 mM	0.2419 mL	1.2095 mL	2.419 mL
50 mM	0.0484 mL	0.2419 mL	0.4838 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

Tyulyandina A, et al. Alofanib, an allosteric FGFR2 inhibitor, has potent effects on ovarian cancer growth in preclinical studies. Invest New Drugs. 2017 Apr;35(2):127-133.
Sun K, Sun J, Yan C, et al.Sympathetic Neurotransmitter, VIP, Delays Intervertebral Disc Degeneration via FGF18/FGFR2-Mediated Activation of Akt Signaling Pathway.Advanced Biology.2023: 2300250.
Tsimafeyeu I, et al. Targeting FGFR2 with alofanib (RPT835) shows potent activity in tumour models. Eur J Cancer. 2016 Jul;61:20-8.