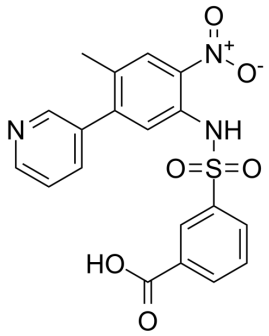
Alofanib

Cat. No.:	HY-17601
CAS No.:	1612888-66-0
Molecular Formula:	$C_{19}H_{15}N_3O_6S$
Molecular Weight:	413.4
Target:	FGFR; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30.1 mg/mL (72.81 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4190 mL	12.0948 mL	24.1896 mL
5 mM	0.4838 mL	2.4190 mL	4.8379 mL
10 mM	0.2419 mL	1.2095 mL	2.4190 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Alofanib (RPT835) is a potent and selective allosteric inhibitor of fibroblast growth factor receptor 2 (FGFR2). Anticancer and antiangiogenic activity[1][2].

IC_{50} & Target

FGFR2

In Vitro

Alofanib inhibits phosphorylation of FRS2α with IC_{50}s of 7 and 9 nM in hFOB and SUM 52PE cells expressing different FGFR2 isoforms[1].

Alofanib (0.2-0.8 μM, 6 hours) inhibits FGF-mediated proliferation in a panel of four cell lines representing several tumour types (triple-negative breast cancer, melanoma, and ovarian cancer) with GI_{50}s of 16-370 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	SKOV3, HS478T, Mel Kor cells
Concentration:	0.2, 0.4, 0.8 μM
Incubation Time:	6 hours after dosing, FGF2 is added at a concentration of 25 ng/ml
Result:	Inhibited growth of SKOV3 and HS578T cells with GI_{50}s of 0.37 and 0.21 μM. Did not potently inhibit growth of Mel Kor cells that do not contain FGFR2 (GI_{50}>10 μM)[1].

In Vivo

In a FGFR-driven human tumour xenograft model, oral administration of alofanib (30 mg/kg,gavage, daily, 40 days, N=10) is well tolerated and results in potent antitumour activity[1].

Treatment with alofanib (10 mg/kg/d, 0, 3 and 6 d, intraperitoneally) ablates experimental FGF-induced angiogenesis in vivo [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57Bl/6 × DBA/2 F1 mice of 22–30 g[1]
Dosage:	10 mg/kg/d
Administration:	Intraperitoneally, 0, 3 and 6 d
Result:	Alofanib inhibits angiogenesis in mouse models[1].

CUSTOMER VALIDATION

- Stem Cell Res Ther. 2021 Dec 20;12(1):608.
- J Cell Physiol. 2021 May 16.
- Exp Eye Res. 2021, 108517.

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REFERENCES

[1]. Tsimafeyeu I, et al. Targeting FGFR2 with alofanib (RPT835) shows potent activity in tumour models. Eur J Cancer. 2016 Jul;61:20-8.

[2]. Khochenkov DA, et al. Antiangiogenic Activity of Alofanib, an Allosteric Inhibitor of Fibroblast Growth Factor Receptor 2. Bull Exp Biol Med. 2015 Nov;160(1):84-7.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA