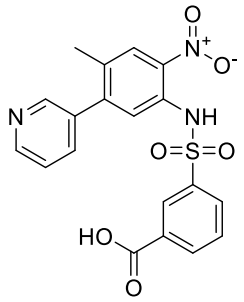
Product data sheet

MedKoo Cat#: 206428 Name: Alofanib CAS#: 1612888-66-0 Chemical Formula: $C_{19}H_{15}N_3O_6S$ Exact Mass: 413.06816 Molecular Weight: 413.4	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Alofanib, also known as RPT835, is a potent and selective allosteric inhibitor of FGFR2 with potential anticancer activity. Alofanib blocks the extracellular part of the receptor and prevents its binding with the ligand. Alofanib suppressed proliferation of endothelial cells, their migration activity, and ability to form vessellike structures in vitro and significantly decreased the number of microvessels in Matrigel implant and in ovarian cancer (SKOV-3) xenograft in vivo. RPT835 potently inhibited growth of KATO III gastric cancer cells expressing FGFR2, with GI50 value of 10 nmol/L.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	47.7	115.38
DMSO:PBS (pH 7.2) (1:6)	0.14	0.34
DMF	30.0	72.57

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.42 mL	12.09 mL	24.19 mL
5 mM	0.48 mL	2.42 mL	4.84 mL
10 mM	0.24 mL	1.21 mL	2.42 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Tyulyandina A, Harrison D, Yin W, Stepanova E, Kochenkov D, Solomko E, Peretolchina N, Daeyaert F, Joos JB, Van Aken K, Byakhov M, Gavrilova E, Tjulandin S, Tsimafeyeu I. Alofanib, an allosteric FGFR2 inhibitor, has potent effects on ovarian cancer growth in preclinical studies. Invest New Drugs. 2017 Apr;35(2):127-133. doi: 10.1007/s10637-016-0404-1. Epub 2016 Nov 3. PMID: 27812884.

2. Tsimafeyeu I, Ludes-Meyers J, Stepanova E, Daeyaert F, Khochenkov D, Joose JB, Solomko E, Van Akene K, Peretolchina N, Yin W, Ryabaya O, Byakhov M, Tjulandin S. Targeting FGFR2 with alofanib (RPT835) shows potent activity in tumour models. Eur J Cancer. 2016 Jul;61:20-8. doi: 10.1016/j.ejca.2016.03.068. Epub 2016 Apr 29. Erratum in: Eur J Cancer. 2017 Jan;70:156. PMID: 27136102.

In vivo study

Product data sheet

1. Tyulyandina A, Harrison D, Yin W, Stepanova E, Kochenkov D, Solomko E, Peretolchina N, Daeyaert F, Joos JB, Van Aken K, Byakhov M, Gavrilova E, Tjulandin S, Tsimafeyeu I. Alofanib, an allosteric FGFR2 inhibitor, has potent effects on ovarian cancer growth in preclinical studies. Invest New Drugs. 2017 Apr;35(2):127-133. doi: 10.1007/s10637-016-0404-1. Epub 2016 Nov 3. PMID: 27812884.
2. Khochenkov DA, Solomko ES, Peretolchina NM, Ryabaya OO, Stepanova EV. Antiangiogenic Activity of Alofanib, an Allosteric Inhibitor of Fibroblast Growth Factor Receptor 2. Bull Exp Biol Med. 2015 Nov;160(1):84-7. doi: 10.1007/s10517-015-3104-5. Epub 2015 Nov 24. PMID: 26597690.

7. Bioactivity

Biological target:

Alofanib (RPT835) is a potent and selective allosteric inhibitor of fibroblast growth factor receptor 2 (FGFR2).

In vitro activity

Alofanib was evaluated for antiproliferative activity against the human ovarian cancer FGFR-expressing cell line in FGF-mediated signaling model. FGF2 significantly increased proliferation of the ovarian cancer cells in untreated control group ($P = 0.001$). Cells were treated with increasing concentrations of alofanib ranging from 0 to 1 μmol/L. Compound significantly inhibited FGF-triggered cell proliferation in dose-dependent manner ($P < 0.001$). To find out whether alofanib could demonstrate cytotoxic activity in vitro, this study examined the effect of compound on SKOV3 cell line by MTT assay. Alofanib displayed low cytotoxic effect on ovarian cancer cells. Compound inhibited growth of SKOV3 cells with GI50 value of 0.37 μmol/L (Fig. 2a).

Reference: Invest New Drugs. 2017 Apr;35(2):127-133. https://pubmed.ncbi.nlm.nih.gov/27812884/

In vivo activity

Ability of alofanib to block tumor angiogenesis in vivo in tumors was evaluated on the model of human serous ovarian cancer SKOV-3 inoculated to mice with immune deficiency. Peroral administration of alofanib (50 mg/kg) reduced the number of CD31+ microvessels in tumor tissue by 48.9% in comparison with the control ($p<0.001$, Table 2). Histological analysis revealed significant dilatation and plethora of tumor microvessels and extensive hemorrhages.

Reference: Bull Exp Biol Med. 2015 Nov;160(1):84-7. https://pubmed.ncbi.nlm.nih.gov/26597690/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.