

Product Data Sheet

Product Name: Alofanib (RPT835)

Cat. No.: GC32127

Chemical Properties

Cas. No. 1612888-66-0

SMILES O=C(O)C1=CC=CC(S(=O)(NC2=CC(C3=CC=CN=C3)=C(C)C=C2[N+])([O-])=O)=O=C1

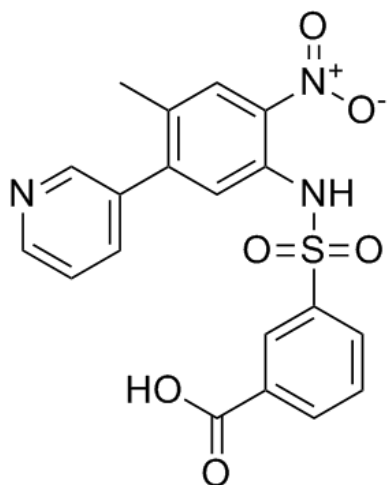
Formula $C_{19}H_{15}N_3O_6S$ M.Wt 413.4

Solubility DMSO : ≥ 30.1 mg/mL (72.81 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

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

Alofanib inhibits phosphorylation of FRS2 α with IC50s of 7 and 9 nM in cancer cells expressing different FGFR2 isoforms[1]. Alofanib (0.2, 0.4, 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 GI50s of 16-370 nM[1]. Cell Proliferation Assay[1] Cell

Caution: Product has not been fully validated for medical applications. For research use only.

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Line:|SKOV3, HS478T, Mel Kor cells|Concentration:|0.2, 0.4, 0.8 μ M|Incubation Time:|6 hours after dosing, FGF2 is added at a concentration of 25 ng/ml|Result:|Alofanib inhibits growth of SKOV3 and HS578T cells with GI50s of 0.37 and 0.21 μ M. Alofanib does not potently inhibit growth of Mel Kor cells that do not contain FGFR2 (GI50>10 μ M)[1].

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].| Animal Model:|C57Bl/6 $\times$ 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].

[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.

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