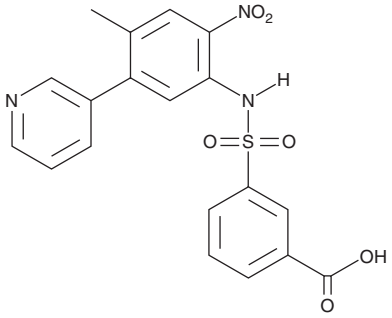
PRODUCT INFORMATION

Alofanib

Item No. 31754



CAS Registry No.: 1612888-66-0
Formal Name: 3-[[[4-methyl-2-nitro-5-(3-pyridinyl) phenyl]amino]sulfonyl]-benzoic acid
Synonym: RPT835
MF: $C_{19}H_{15}N_3O_6S$
FW: 413.4
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Alofanib is supplied as a crystalline solid. A stock solution may be made by dissolving the alofanib in the solvent of choice, which should be purged with an inert gas. Alofanib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of alofanib in these solvents is approximately 30 mg/ml.

Alofanib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, alofanib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Alofanib has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Alofanib is an allosteric inhibitor of FGFR2.[1,2] It inhibits FGF2-induced phosphorylation of FGFR substrate 2 (FRS2α) in KATO III gastric carcinoma cells (IC_{50} = <10 nM).[2] Alofanib inhibits the growth of SKOV3 ovarian and Hs 578T breast cancer cells (GI_{50}s = 370 and 210 nM, respectively). It inhibits proliferation of human umbilical vein endothelial cells (HUVECs) induced by basic FGF (bFGF; IC_{50} = 11 nM) and tube formation of SVEC4-10 endothelial cells when used at a concentration of 50 nM.[1] It also inhibits migration of SVEC4-10 cells in a wound healing assay. Alofanib (50 mg/kg) decreases the number of microvessels in tumor tissue by 50% in a SKOV3 ovarian serous carcinoma mouse model. It reduces tumor growth in mouse xenograft models when administered at a dose of 30 mg/kg per day, and the degree of tumor volume reduction positively correlates with the expression level of FGFR2.[2]

References

1. Khochenkov, D.A., Solomko, E.S., Peretolchina, N.M., *et al.* Antiangiogenic activity of alofanib, an allosteric inhibitor of fibroblast growth factor receptor 2. *Bull. Exp. Biol. Med.* **160(1)**, 84-87 (2015).
2. Tsimafeyeu, I., Ludes-Meyers, J., Stepanova, E., *et al.* Targeting FGFR2 with alofanib (RPT835) shows potent activity in tumour models. *Eur. J. Cancer* **61**, 20-28 (2016).

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