

Product Data Sheet

Product Name: ASP5878

Cat. No.: GC34476

Chemical Properties

Cas. No. 1453208-66-6

SMILES OCCN1N=CC(NC2=NC=C(OCC3=C(F)C(OC)=CC(OC)=C3F)C=N2)=C1

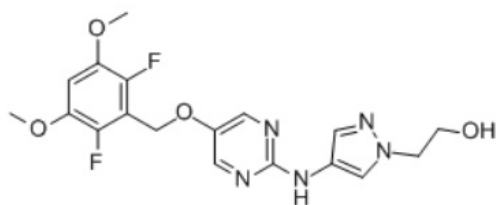
Formula $C_{18}H_{19}F_2N_5O_4$ M.Wt 407.37

Solubility DMSO : ≥ 250 mg/mL (613.69 mM); Water : < 0.1 mg/mL (insoluble) 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

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

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ASP5878 is an oral active inhibitor of FGFR 1, 2, 3, and 4, with IC₅₀ values of 0.47 nM, 0.6 nM, 0.74 nM and 3.5 nM for FGFR 1, 2, 3, and 4 kinase activity. ASP5878 has potential antineoplastic activity[1]. FGFR1|0.47 nM (IC₅₀)|FGFR2|0.6 nM (IC₅₀)|FGFR3|0.74 nM (IC₅₀)|FGFR4|3.5 nM (IC₅₀)

ASP5878 shows potent antiproliferative activity in most human HCC cell lines[1]. ASP5878 inhibits FGFR4 phosphorylation in a concentration-dependent manner. ASP5878 treatment results in the suppression of phosphorylation, mobility shift of FRS2, and suppression of ERK phosphorylation[1]. Cell Viability Assay[1] Cell Line: Human HCC cell lines.

ASP5878 (3 mg/kg, orally, once daily) shows antitumor activity in a Hep3B2.1-7 subcutaneous xenograft and HCC orthotopic xenograft mouse model[1]. ASP5878 induces shrinkage of FGF19-expressing HCC xenograft model[1]. Animal Model: Four-week-old male nude mice (CAnN.Cg-Foxn1nu/CrlCrlj [nu/nu]) (Hep3B2.1-7 cells inoculated subcutaneously)[1].

[1]. Futami T, et al. ASP5878, a Novel Inhibitor of FGFR1, 2, 3, and 4, Inhibits the Growth of FGF19-Expressing Hepatocellular Carcinoma. Mol Cancer Ther. 2017 Jan;16(1):68-75.

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