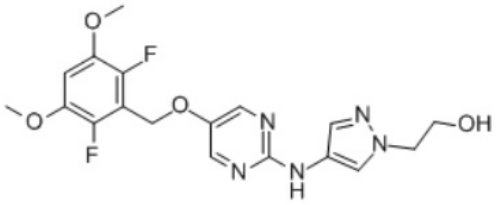
Product Data Sheet

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

Product Data Sheet

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.

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