Product Data Sheet

Product Name: BMS-817378
Cat. No.: GC17772

Chemical Properties

Cas. No.	1174161-69-3		
Chemical Name	(Z)-N-(4-((3-chloro-2-imino-1,2-dihydropyridin-4-yl)oxy)-3-fluorophenyl)-5-(4-fluorophenyl)-4-oxo-1-((phosphonooxy)methyl)-1,4-dihydropyridine-3-carbimidic acid		
SMILES	ClC1=C(OC2=C(F)C=C(/N=C(O)/C3=CN(COP(O)(O)=O)C=C(C3=O)C4=CC=C(F)C=C4)C=C2)C=CNC1=N		
Formula	$C_{24}H_{18}ClF_2N_4O_7P$	M.Wt	578.85
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	BMS-817378		

Background

Description:

IC50: 1.7 nM/15 nM for Met/VEGFR2

The signaling pathway of the receptor tyrosine kinase MET and its ligand hepatocyte growth factor is important for cell growth, survival, and motility and is functionally linked to the signaling pathway of VEGF, which is widely recognized as a key effector in angiogenesis and cancer progression. BMS-817378 is a potent ATP competitive inhibitor of Met/VEGFR2.

In vitro: BMS-794833, which is the active moiety of BMS-817378, is a potent ATP competitive inhibitor of Met/VEGFR2 with IC50 of 1.7 nM/15 nM, also inhibits Ron, Axl and Flt3 with IC50 of <3 nM. BMS-794833 also inhibits Met receptor activated gastric carcinoma cell line, GTL-16, with IC50 of 39 nM [1].

In vivo: BMS-798433 shows greater than 50% TGI for at least one tumor doubling time with no toxicity observed during 14 days in GTL-16 human gastric tumor xenografts model. BMS-798433 also shows complete tumor stasis at 25 mg/kg against U87 glioblastoma model [1].

Clinical trial: BMS-817378 is now in its ascending multiple-dose study in subjects with advanced cancers.

Reference:
[1]http://worldwide. espacenet.com/publicationDetails/biblio?DB=EPODOC&II=0&adjacent=true&locale=en_EP&FT=D&date=20090730&CC=WO&NR=2009094417A1&KC=A1

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