Data Sheet (Cat.No.T14669)

TargetMol

BMS-066

Chemical Properties

CAS No. :	914946-88-6
Formula:	C19H21N7O2
Molecular Weight:	379.42
Storage:	Keep away from moisture,Store at low temperature Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	BMS-066 is an IKKβ/Tyk2 pseudokinase inhibitor with IC50 values of 9 nM and 72 nM, respectively. BMS-066 is used in kinase signaling research systems to investigate NF-κB pathway regulation, JAK/STAT-associated signaling modulation, and inflammatory response control in cellular disease models.
Targets(IC50)	IκB/IKK,Tyrosine Kinases
In vitro	BMS-066 demonstrates significant inhibition of IKKβ-catalyzed phosphorylation in vitro, with a potent IC50 of 9 nM, exhibiting over 500-fold selectivity towards IKKβ compared to IKKα. In assays with six kinases that were more than 75% inhibited at 10 μM, BMS-066 displayed over 30-fold selectivity over the next most susceptible kinase (Brk), indicating its specificity. Additionally, BMS-066 showed IC50 values of 72 and 1020 nM in Tyk2 pseudokinase domain probe displacement and IL-23-stimulated reporter assays respectively. A broader selectivity assessment against 155 kinases at 10 μM revealed that only six were inhibited more than 75%, confirming BMS-066's greater than 400-fold selectivity for IKKβ over 95% of the kinases tested. BMS-066 also effectively inhibits LPS-stimulated cytokine production in human peripheral blood mononuclear cells (PBMCs) at both protein and mRNA levels, with similar IC50 values around 200 nM, and it inhibits IKKβ-mediated phosphorylation of IkBα in LPS-stimulated cells with a comparable efficacy.
In vivo	Method: Dose-dependent evaluation of BMS-066 was performed in an experimental arthritis model using histological analysis, bone density measurement, and microcomputed tomography. Result: Low-dose BMS-066 (5 mg/kg) reduced inflammation and produced more focal and less severe bone resorption compared with control. At 10 mg/kg, joints were normal or showed minimal inflammation and bone resorption. Bone density and microCT analysis showed dose-dependent protection against bone loss, pitting, porous bone formation, and bone fusion. Serum exposure indicated approximately 3 h coverage of mouse whole-blood IC50 after a single dose, and IKKβ inhibition reduced TNF-α and IL-1β production in tissues.

Solubility Information

Solubility	DMSO: 80 mg/mL (210.85 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6356 mL	13.178 mL	26.356 mL
5 mM	0.5271 mL	2.6356 mL	5.2712 mL
10 mM	0.2636 mL	1.3178 mL	2.6356 mL
50 mM	0.0527 mL	0.2636 mL	0.5271 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gillooly KM, et al. Periodic, partial inhibition of IkappaB Kinase beta-mediated signaling yields therapeutic benefit in preclinical models of rheumatoid arthritis. J Pharmacol Exp Ther. 2009 Nov;331(2):349-60.
Tokarski JS, et al. Tyrosine Kinase 2-mediated Signal Transduction in T Lymphocytes Is Blocked by Pharmacological Stabilization of Its Pseudokinase Domain. J Biol Chem. 2015 Apr 24;290(17):11061-74.