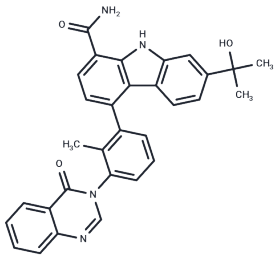
Data Sheet (Cat.No.T14681)

TargetMol

BMS-935177

Chemical Properties



CAS No. : 1231889-53-4

Formula: C31H26N4O3

Molecular Weight: 502.56

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	BMS-935177 is a reversible BTK inhibitor with IC50 value of 3 nM.
Targets(IC50)	BTK
In vitro	In human Ramos B cells, BMS-935177 inhibits calcium flux with an IC50 of 27 nM. BMS-935177 inhibits CD69 surface expression in peripheral B cells stimulated with antiIgM and anti-IgG. Against IgG-containing immune complexdriven low affinity activating FcγRIIa and FcγRIII end points in peripheral blood mononuclear cells, BMS-935177 effectively inhibits TNFα production (IC50 = 14 nM). BMS-935177 shows mean IC50 values of 550 and 2060 nM in human and mouse whole blood, respectively[1].
In vivo	BMS-935177(5, 20, and 45 mg/kg) inhibits anti-KLH antibodies of the IgG isotype at day 14, with statistically significant reductions at all doses. In satellite mice, BMS-935177 (5 mg/kg) maintains the plasma concentration above the mouse whole blood BCR-stimulated CD69 IC50 value of 2 μM for only 5 h. BMS-935177(10, 20, and 30 mg/kg) provides dose-dependently reduces both the severity and incidence of clinically evident disease in this rodent model of RA. BMS-935177(10 mg/kg) reduces the disease severity about 40%, and the percentage of animals showing any signs of disease is reduced by a third[1].

Solubility Information

Solubility	DMSO: 117 mg/mL (232.81 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9898 mL	9.9491 mL	19.8981 mL
5 mM	0.398 mL	1.9898 mL	3.9796 mL
10 mM	0.199 mL	0.9949 mL	1.9898 mL
50 mM	0.0398 mL	0.199 mL	0.398 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.

Reference

De Lucca GV, et al. Small Molecule Reversible Inhibitors of Bruton's Tyrosine Kinase (BTK): Structure-Activity Relationships Leading to the Identification of 7-(2-Hydroxypropan-2-yl)-4-[2-methyl-3-(4-oxo-3,4-dihydroquinazolin-3-yl)phenyl]-9H-carbazole-1-carboxamide (BMS-935177). J Med Chem. 2016 Sep 8;59(17):7915-35.