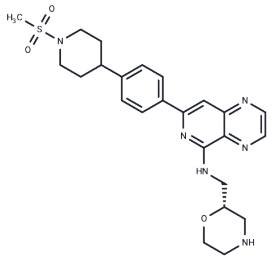
Data Sheet (Cat.No.T32088)

TargetMol

Sovleplenib

Chemical Properties



CAS No. : 1415792-84-5

Formula: C24H30N6O3S

Molecular Weight: 482.6

Storage: 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	Sovleplenib (HMPL523) is an orally available, selective and potent inhibitor of the splenic tyrosine kinase SYK with an IC50 of 25 nM.Sovleplenib has antitumor activity and may be used in studies of immune thrombocytopenia (ITP).
Targets(IC50)	Syk
In vitro	Sovleplenib (HMPL-523) exhibits inhibitory activity with IC50 values of 0.025 μM, 0.063 μM, 0.390 μM, 0.921 μM, 3.214 μM, and 3.969 μM against SYK, FLT3, KDR, LYN, FGFR2, and AUR A, respectively.[2] Sovleplenib effectively blocks the phosphorylation of BLNK, a downstream protein of Syk, in human mantle cell line REC-1 and human plasma cell line ARH-7777, with IC50 values of 0.105 μM and 0.173 μM, respectively.[2] Sovleplenib also significantly reduces cell viability in Ba/F3 Tel-Syk cells with an IC50 of 0.033 μM and increases the rate of apoptosis in REC-1 cells.[2] Sovleplenib demonstrates synergistic effects in combination with other drugs, including BTK inhibitors, PI3Kδ inhibitors, and Bcl2 family inhibitors, in killing human-diffuse large B cell lymphoma (DLBCL).[2]
In vivo	Sovleplenib (HMPL-523) (10 and 100 mg/kg; once daily for 8 days; Balb/c nude mice with subcutaneously implanted REC-1 cells or intravenously injected BA/F3 cells or BA/F3 TEL-SYK cells) Inhibited tumor growth in REC-1 subcutaneous xenograft model at 100 mg/kg.[1] Sovleplenib (HMPL-523; 100 mg/kg; daily oral administration; for 8 days) shows potent anti-tumor activity in B cell lymphoma REC-1 (TGI: 59%) in Syk-dependent xenograft models.[2]

Solubility Information

Solubility	DMSO: 14.48 mg/mL (30 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.14 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0721 mL	10.3605 mL	20.7211 mL
5 mM	0.4144 mL	2.0721 mL	4.1442 mL
10 mM	0.2072 mL	1.0361 mL	2.0721 mL
50 mM	0.0414 mL	0.2072 mL	0.4144 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

Su WG, et al. Preparation of pyridopyrazine derivatives for use as Syk inhibitors. WO2012167733 A1.
Na Yang, et al. HMPL-523, a Novel SYK Inhibitor Showed Anti-Tumor Activities In Vitro and In Vivo. Blood (2016) 128 (22): 3970.