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Zuschläge

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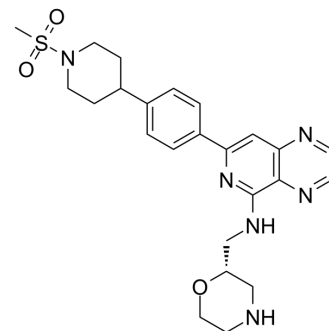
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Sovleplenib

Cat. No.:	HY-145598
CAS No.:	1415792-84-5
Molecular Formula:	C ₂₄ H ₃₀ N ₆ O ₃ S
Molecular Weight:	482.6
Target:	Syk
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (51.80 mM); ultrasonic and warming and heat to 60°C)				
		Mass			
		Solvent	1 mg	5 mg	10 mg
		Concentration			
	Preparing Stock Solutions	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
	Please refer to the solubility information to select the appropriate solvent.				
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.31 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.31 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Sovleplenib (HMPL-523) is a highly potent, orally available and selective SYK inhibitor with an IC ₅₀ of 25 nM. Anti-tumor activity. Sovleplenib can be used for the research of immune thrombocytopenia (ITP) ^[1] .
IC ₅₀ & Target	SYK ^[1]
In Vitro	Sovleplenib (HMPL-523) inhibits SYK, FLT3, KDR, LYN, FGFR2, and AUR A with IC ₅₀ s of 0.025, 0.063, 0.390, 0.921, 3.214, 3.969 μM, respectively ^[1] . Sovleplenib (HMPL-523) blocks phosphorylation of BLNK, downstream protein of Syk, in human mantle cell line REC-1 and human plasma cell line ARH-7777 with IC ₅₀ s of 0.105 μM and 0.173 μM, respectively ^[2] . Sovleplenib also inhibits cell viability of Ba/F3 Tel-Syk with the IC ₅₀ of 0.033 μM ^[2] .

Sovleplenib also increases the apoptotic rate of REC-1 cells^[2].

Sovleplenib shows the synergistic activities on killing human diffused large B cell lymphoma (DLBCL) in combination with other drugs such as BTK inhibitor, PI3K δ inhibitors and Bcl2 family inhibitor^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Sovleplenib (HMPL-523) shows anti-tumor activity in vivo. Sovleplenib (100 mg/kg) inhibits tumor growth in REC-1 subcutaneous xenograft model^[1].

Sovleplenib (HMPL-523; 100 mg/kg; daily oral administration) shows potent anti-tumor activity in B cell lymphoma REC-1 (TGI: 59%) in Syk dependent xenograft models ^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Balb/c nude mice bearing subcutaneously implanted REC-1 cells or intravenously injected BA/F3 cells or BA/F3 TEL-SYK cells ^[1]
Dosage:	10 and 100 mg/kg
Administration:	q.d.; for 8 days
Result:	Inhibited tumor growth in REC-1 subcutaneous xenograft model at 100 mg/kg.

REFERENCES

[1]. Su WG, et al. Preparation of pyridopyrazine derivatives for use as Syk inhibitors. WO2012167733 A1.

[2]. Na Yang, et al. HMPL-523, a Novel SYK Inhibitor Showed Anti-Tumor Activities In Vitro and In Vivo. Blood (2016) 128 (22): 3970.

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

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