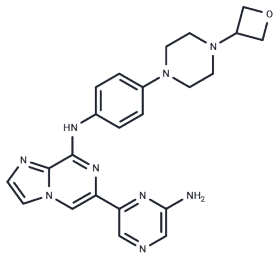
Data Sheet (Cat.No.T11824LL)

TargetMol

Lanraplenib

Chemical Properties



CAS No. : 1800046-95-0

Formula: C23H25N9O

Molecular Weight: 443.5

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	Lanraplenib (GS-9876) is a highly selective and orally active SYK inhibitor (IC50=9.5 nM) being developed for treating inflammatory diseases. It inhibits SYK activity in platelets via the glycoprotein VI (GPVI) receptor without prolonging bleeding time (BT) in monkeys or humans.
Targets(IC50)	Syk
In vitro	Lanraplenib (GS-9876) inhibits glycoprotein VI (GPVI)-induced phosphorylation of linker for activation of T cells and phospholipase Cγ2, platelet activation and aggregation in human whole blood, and platelet binding to collagen under arterial flow [2]. Lanraplenib inhibits anti-IgM stimulated phosphorylation of AKT, BLNK, BTK, ERK, MEK, and PKCδ in human B cells (EC50s: 24-51 nM). Lanraplenib inhibits anti-IgM mediated CD69 and CD86 expression on B-cells (EC50: 112±10 nM and 164±15 nM, respectively) and anti-IgM /anti-CD40 co-stimulated B cell proliferation (EC50: 108±55 nM). In human macrophages, Lanraplenib inhibits IC-stimulated TNFα and IL-1β release (EC50: 121±77 nM and 9±17 nM, respectively) [1].

Solubility Information

Solubility	DMSO: 24.2 mg/mL (54.57 mM),Sonication is 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 (4.51 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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2548 mL	11.274 mL	22.5479 mL
5 mM	0.451 mL	2.2548 mL	4.5096 mL
10 mM	0.2255 mL	1.1274 mL	2.2548 mL
50 mM	0.0451 mL	0.2255 mL	0.451 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

Di Paolo J, et al. FRI0049 Preclinical Characterization of GS-9876, A Novel, Oral SYK Inhibitor That Shows Efficacy in Multiple Established Rat Models of Collagen-Induced Arthritis.Annals of the Rheumatic Diseases 2016;75:443-444.
Clarke AS, et al. Effects of GS-9876, a novel spleen tyrosine kinase inhibitor, on platelet function and systemic hemostasis. Thromb Res. 2018 Oct;170:109-118.
Kivitz AJ, et al. GS-9876, a Novel, Highly Selective, SYK Inhibitor in Patients with Active Rheumatoid Arthritis: Safety, Tolerability and Efficacy Results of a Phase 2 Study [abstract]. Arthritis Rheumatol.2018; 70 (suppl 10).