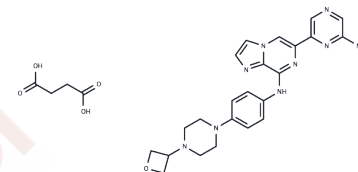


## Lanraplenib monosuccinate

## Chemical Properties

CAS No. :	1800046-97-2
Formula:	C27H31N9O5
Molecular Weight:	561.59
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 monosuccinate inhibits SYK activity in platelets via the glycoprotein VI (GPVI) receptor without prolonging bleeding time (BT) in monkeys or humans. Lanraplenib monosuccinate is a highly selective and orally active SYK inhibitor (IC <sub>50</sub> =9.5 nM) in development for the treatment of inflammatory diseases.
Targets(IC <sub>50</sub> )	Syk
In vitro	In human macrophages, Lanraplenib monosuccinate inhibits IC-stimulated TNF $\alpha$ and IL-1 $\beta$ release (EC <sub>50</sub> =121 $\pm$ 77 nM and 9 $\pm$ 17 nM, respectively). Lanraplenib monosuccinate inhibits glycoprotein VI (GPVI)-induced phosphorylation of linker for activation of T cells and phospholipase C $\gamma$ 2, platelet activation and aggregation in human whole blood, and platelet binding to collagen under arterial flow. Lanraplenib monosuccinate inhibits anti-IgM stimulated phosphorylation of AKT, BLNK, BTK, ERK, MEK, and PKC $\delta$ in human B cells with EC <sub>50</sub> values of 24-51 nM. Lanraplenib monosuccinate inhibits anti-IgM mediated CD69 and CD86 expression on B-cells (EC <sub>50</sub> =112 $\pm$ 10 nM and 164 $\pm$ 15 nM, respectively) and anti-IgM /anti-CD40 co-stimulated B cell proliferation (EC <sub>50</sub> =108 $\pm$ 55 nM).

## Solubility Information

Solubility	DMSO: 125 mg/mL (222.58 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: 3.3 mg/mL (5.88 mM), Sonication is recommended. <i>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.</i>

### Preparing Stock Solutions

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	1mg	5mg	10mg
1 mM	1.7807 mL	8.9033 mL	17.8066 mL
5 mM	0.3561 mL	1.7807 mL	3.5613 mL
10 mM	0.1781 mL	0.8903 mL	1.7807 mL
50 mM	0.0356 mL	0.1781 mL	0.3561 mL

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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).

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