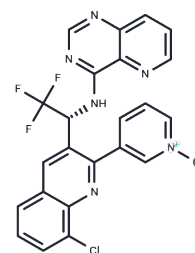


## Seletalisib

## Chemical Properties

CAS No. :	1362850-20-1
Formula:	C <sub>23</sub> H <sub>14</sub> ClF <sub>3</sub> N <sub>6</sub> O
Molecular Weight:	482.85
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	Seletalisib (UCB5857) (UCB5857) is a potent and specific PI3K $\delta$ inhibitor (IC <sub>50</sub> : 12 nM).
Targets(IC <sub>50</sub> )	PI3K
In vitro	Seletalisib is able to block AKT phosphorylation following activation of the BCR in a B-cell line. No indications of cytotoxicity are observed in PBMCs or other cell types treated with Seletalisib. Seletalisib blocks human T-cell production of several cytokines from activated T-cells. Seletalisib inhibits T-cell differentiation to Th1, Th2, and Th17 subtypes. Additionally, Seletalisib inhibits B-cell proliferation and cytokine release. In human whole blood assays, Seletalisib inhibits CD69 expression upon B-cell activation and anti-IgE-mediated basophil degranulation.
In vivo	Seletalisib markedly reduces IL-2 release in response to TCR stimulation in rats, achieving near-total suppression at doses $\geq 1$ mg/kg. This compound demonstrates strong in vivo activity, with an estimated IC <sub>50</sub> value of <10 nM across all tested concentrations.
Cell Research	Seletalisib is dissolved 1 mM solution in DMSO, and tested in a concentration-response, to explore the effects of PI3K $\delta$ -specific inhibition compared with complete inhibition of class I PI3K signaling. In addition, seletalisib is tested in the BioMap BT cell system at concentrations of 1000, 100, 10, and 1 nM. An activity profile is generated based on the effect of the compounds on the levels of cellular readouts, including cytokines, growth factors, adhesion molecules, and proliferation endpoints.
Animal Research	Rats are dosed with Seletalisib (0.1-10 mg/kg in 500 $\mu$ L volume) or vehicle via oral gavage 30 min prior to i.v. administration of anti- CD3 antibody administered in a 200 $\mu$ L dose volume. The vehicle is methylcellulose or saline for oral and i.v. administration, respectively. Seletalisib levels and IL-2 levels are measured.

## Solubility Information

Solubility	DMSO: 80 mg/mL (165.68 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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## A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (6.83 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>
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.071 mL	10.3552 mL	20.7104 mL
5 mM	0.4142 mL	2.071 mL	4.1421 mL
10 mM	0.2071 mL	1.0355 mL	2.071 mL
50 mM	0.0414 mL	0.2071 mL	0.4142 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

Allen RA, et al. Seletalisib: Characterization of a Novel, Potent, and Selective Inhibitor of PI3K $\delta$ . J Pharmacol Exp Ther. 2017 Apr 25. pii: jpet.116.237347.

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Tel: 781-999-4286 E\_mail: info@targetmol.com Address: 34 Washington Street, Wellesley Hills, MA 02481