Seletalisib

Cat. No.: HY-16754

CAS No.: 1362850-20-1

Molecular Formula: $C_{23}H_{14}ClF_3N_6O$

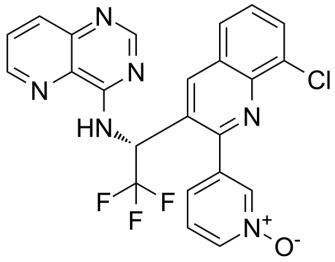
Molecular Weight: 482.85

Target: PI3K

Pathway: PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (172.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration / Solvent / Mass	1 mg	5 mg	10 mg
1 mM	2.0710 mL	10.3552 mL	20.7104 mL
5 mM	0.4142 mL	2.0710 mL	4.1421 mL
10 mM	0.2071 mL	1.0355 mL	2.0710 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Seletalisib (UCB5857) is potent and selective PI3Kδ inhibitor with an IC_{50} of 12 nM.

IC_{50} & Target

PI3Kδ

12 nM (IC_{50})

In Vitro

Seletalisib is a potent, ATP-competitive and highly selective PI3Kδ inhibitor able to block AKT phosphorylation following activation of the BCR in a B-cell line. Seletalisib inhibits N-formyl peptides (fMLP)-stimulated but not phorbol myristate acetate (PMA)-stimulated superoxide release from human neutrophils consistent with a PI3Kδ-specific activity. 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Seletalisib significantly inhibits IL-2 release following TCR stimulation in the rat. The inhibition is observed at all tested doses of seletalisib with almost complete inhibition reached at dose levels ≥1 mg/kg. Seletalisib has potent in vivo effects with an estimated IC_{50} value of <10 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Seletalisib is dissolved 1 mM solution in DMSO, and tested in a concentration response (seletalisib), to explore the effects of PI3Kδ-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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats: Rats are dosed with seletalisib (0.1-10 mg/kg in 500 µL volume) or vehicle via oral gavage 30 min prior to i.v. administration of anti- CD3 antibody administered in a 200 µL dose volume. The vehicle is methylcellulose or saline for oral and i.v. administration, respectively. Seletalisib levels and IL-2 levels are measured[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cells. 2021, 10(10), 2636.

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REFERENCES

[1]. Allen RA, et al. Seletalisib: Characterization of a Novel, Potent, and Selective Inhibitor of PI3Kδ. J Pharmacol Exp Ther. 2017 Apr 25. pii: jpet.116.237347.

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