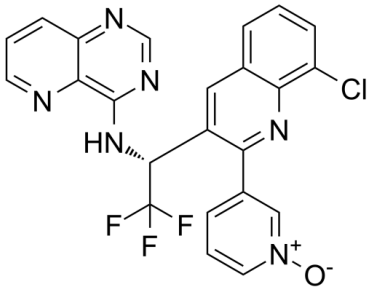
Data Sheet

Product Name:	Seletalisib
Cat. No.:	CS-6470
CAS No.:	1362850-20-1
Molecular Formula:	C23H14ClF3N6O
Molecular Weight:	482.85
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Solubility:	DMSO : ≥ 83.3 mg/mL (172.52 mM)



BIOLOGICAL ACTIVITY:

Seletalisib (UCB5857) is potent and selective **PI3Kδ** inhibitor with an **IC_{50}** of 12 nM. IC50 & Target: IC50: 12 nM (PI3Kδ)[1] **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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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]. **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].

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.

CAIndexNames:

Pyrido[3,2-d]pyrimidin-4-amine, N-[(1R)-1-[8-chloro-2-(1-oxido-3-pyridinyl)-3-quinolinyl]-2,2,2-trifluoroethyl]-

SMILES:

FC(F)(F)[C@H](NC1=C2C(C=CC=N2)=NC=N1)C3=CC4=CC=CC(Cl)=C4N=C3C5=CC=C[N+]([O-])=C5

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

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