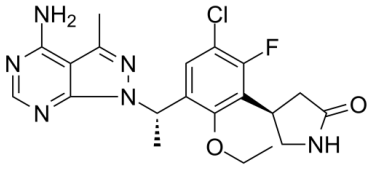
Data Sheet

Product Name:	Parsaclisib
Cat. No.:	CS-0033435
CAS No.:	1426698-88-5
Molecular Formula:	$C_{20}H_{22}ClFN_6O_2$
Molecular Weight:	432.88
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Solubility:	DMSO : 125 mg/mL (288.76 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Parsaclisib (INCB050465) is a potent, selective and orally active inhibitor of **PI3Kδ**, with an **IC_{50}** of 1 nM at 1 mM ATP. Parsaclisib shows approximately 20000-fold selectivity over other PI3K class I isoforms. Parsaclisib can be used for the research of relapsed or refractory B-cell malignancies[1][2][3]. IC50 & Target: IC50: 1 nM (PI3Kδ, 1 mM ATP)[1] **In Vitro:** Parsaclisib (0.1-3000 nM; 4 d) inhibits proliferation of MCL and DLBCL cell lines[2].

Parsaclisib (0.1-1000 nM; 2 h) inhibits anti-IgM-induced pAKT (Ser473) in the Ramos Burkitt's lymphoma cell line, with an IC_{50} of 1 nM[2].

Parsaclisib inhibits the proliferation of human, dog, rat, and mouse primary B cells after activation of these receptors, with IC_{50}s ranging from 0.2 to 1.7 nM[2].

In Vivo: Parsaclisib (10 mg/kg; oral gavage twice daily for 7-19 days) inhibits tumor growth in the BALB/c mice bearing the A20 murine lymphoma cells[2].

Parsaclisib (0.1-10 mg/kg; p.o. twice daily) slows Pfeiffer xenograft tumor growth in a dose-dependent manner. And Parsaclisib was well tolerated[2].

Parsaclisib (0.5-1 mg/kg; a single p.o.) inhibits pAKT (Ser473) in Pfeiffer subcutaneous mouse xenograft models[2].

References:

[1]. Niu Shin, et al. Abstract 2671: INCB050465, a novel PI3Kδ inhibitor, synergizes with PIM protein kinase inhibition to cause tumor regression in a model of DLBCL. Cancer Research. 2015, Aug. 75(15).

[2]. Shin N, et, al. Parsaclisib Is a Next-Generation Phosphoinositide 3-Kinase δ Inhibitor with Reduced Hepatotoxicity and Potent Antitumor and Immunomodulatory Activities in Models of B-Cell Malignancy. J Pharmacol Exp Ther. 2020 Jul;374(1):211-222.

[3]. Yue EW, et, al. INCB050465 (Parsaclisib), a Novel Next-Generation Inhibitor of Phosphoinositide 3-Kinase Delta (PI3Kδ). ACS Med Chem Lett. 2019 Oct 17;10(11):1554-1560.

CAIndexNames:

2-Pyrrolidinone, 4-[3-[(1S)-1-(4-amino-3-methyl-1H-pyrazolo[3,4-d]pyrimidin-1-yl)ethyl]-5-chloro-2-ethoxy-6-fluorophenyl]-, (4R)-

SMILES:

CCOC1=C([C@@H](C2)CNC2=O)C(F)=C(Cl)C=C1[C@@H](N3C4=NC=NC(N)=C4C(C)=N3)C

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

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