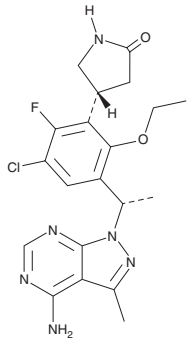
PRODUCT INFORMATION

Parsaclisib

Item No. 29784

CAS Registry No.:	1426698-88-5
Formal Name:	(4R)-4-[3-[(1S)-1-(4-amino-3-methyl-1H-pyrazolo[3,4-d]pyrimidin-1-yl) ethyl]-5-chloro-2-ethoxy-6-fluorophenyl]-2-pyrrolidinone
Synonym:	INCB 050465
MF:	$C_{20}H_{22}ClFN_6O_2$
FW:	432.9
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Parsaclisib is supplied as a solid. A stock solution may be made by dissolving the parsaclisib in the solvent of choice, which should be purged with an inert gas. Parsaclisib is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile

Description

Parsaclisib is an inhibitor of PI3Kδ (IC_{50} = 1 nM).[1] It is selective for PI3Kδ over PI3Kα, PI3Kβ, and PI3Kγ (IC_{50}s = >10,000 nM for all), as well as a panel of 192 additional kinases and a panel of 70 ion channels and transporters at 1 µM. Parsaclisib inhibits the proliferation of primary human B cells (IC_{50} = 0.2 nM) and the production of cytokines in memory T cells (IC_{50}s = 0.2-1.5 nM). It also inhibits the differentiation of naïve isolated human T cells into Th1, Th2, or Th17 T helper cells. It inhibits the proliferation of JeKo-1, Mino, Rec-1, and JVM-2 lymphoma cells (IC_{50}s = <10 nM for all) and Pfeiffer, SU-DHL-5, SU-DHL-6, and WSU-NHL diffuse large B cell lymphoma (DLBCL) cells (IC_{50}s = 2-8 nM). *In vivo*, parsaclisib (0.1-10 mg/kg) reduces intratumoral phosphorylation of Akt and tumor growth in a Pfeiffer mouse xenograft model. Parsaclisib (3 mg/kg) reduces proteinuria, lymphadenopathy, and the severity of skin lesions in an MRL/MpJ-Fas lpr mouse model of spontaneous systemic lupus erythematosus (SLE).[2] It also reduces salivary levels of TNF superfamily member 13B (TNFSF13B), also known as B cell activating factor (BAFF), and plasma levels of anti-SS-related antigen A (anti-SSA) and anti-SSB autoantibodies in a NOD.ShiLtJ mouse model of Sjögren's syndrome.

References

1. Shin, N., Stubbs, M., Koblish, H., *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.* **374(1)**, 211-222 (2020).
2. Scuron, M.D., Fay, B.L., Connell, A.J., *et al.* The PI3Kδ inhibitor parsaclisib ameliorates pathology and reduces autoantibody formation in preclinical models of systemic lupus erythematosus and Sjögren's syndrome. *Int. Immunopharmacol.* **98:107904**, (2021).

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