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- Trockeneiszuschlag
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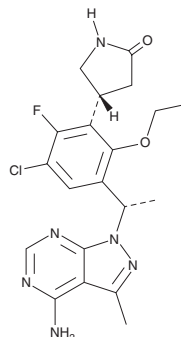
PRODUCT INFORMATION



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: C₂₀H₂₂ClFN₆O₂
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 PI3K δ (IC₅₀ = 1 nM).¹ It is selective for PI3K δ over PI3K α , PI3K β , and PI3K γ (IC₅₀s = >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 μ M. Parsaclisib inhibits the proliferation of primary human B cells (IC₅₀ = 0.2 nM) and the production of cytokines in memory T cells (IC₅₀ = 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 (IC₅₀s = <10 nM for all) and Pfeiffer, SU-DHL-5, SU-DHL-6, and WSU-NHL diffuse large B cell lymphoma (DLBCL) cells (IC₅₀s = 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., Koblisch, 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 PI3K δ inhibitor parsaclisib ameliorates pathology and reduces autoantibody formation in preclinical models of systemic lupus erythematosus and Sjögren's syndrome. *Int. Immunopharmacol.* **98**:107904, (2021).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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