Product Datasheet

IPI-549

Catalog No. **BP-02318** · CAS **1693758-51-8** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-10**

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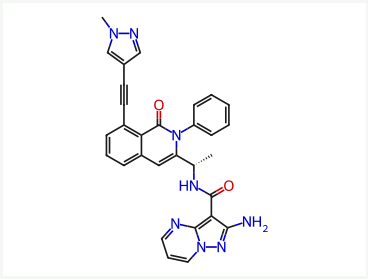
Target	Research area
PI3K	**Cancer**

Molecular formula	$C_{30}H_{24}N_8O_2$
Molecular weight	**528.5640**

STRUCTURE



DESCRIPTION

IPI-549 is a potent and selective phosphoinositide-3-kinase (PI3Kγ) Inhibitor as an Immuno-Oncology Clinical Candidate (Kd = 0.29 nM).

BIOLOGICAL ACTIVITY

In Vitro

Eganelisib (IPI549) inhibits PI3Kγ with IC50 of 16 nM, with >100-fold selectivity over other lipid and protein kinases (PI3Kα IC50=3.2 μM, PI3Kβ IC50=3.5 μM, PI3Kδ IC50>8.4 μM). Eganelisib is evaluated for activity across all Class I PI3K isoforms. The binding affinity of Eganelisib for PI3K-γ is determined by measuring the individual rates constants and for PI3K-α, β and δ using equilibrium fluorescent titration. Eganelisib is a remarkably tight binder to PI3Kγ with a Kd of 290 pM and >58-fold weaker affinity for other Class I PI3K isoforms (PI3Kα Kd=17 nM, PI3Kβ Kd=82 nM, PI3Kδ Kd=23 M). In PI3K-α, -β, -γ, and -δ dependent cellular phospho-AKT assays, Eganelisib demonstrates excellent PI3K-γ potency (IC50=1.2 nM) and selectivity against other Class I PI3K isoforms (>146-fold). Cellular IC50s for Class I PI3Kα (250 nM), PI3Kβ (240 nM), PI3Kγ (1.2 nM), PI3Kδ (180 nM) are determined in SKOV-3, 786-O, RAW 264.7, and RAJI cells, respectively, by monitoring inhibition of pAKT S473 by ELISA. Furthermore, Eganelisib dose dependently inhibits PI3Kγ dependent bone marrow-derived macrophage (BMDM) migration. Eganelisib is selective against a panel of 80 GPCRs, ion channels, and transporters at 10 μM.

In Vivo

Eganelisib (IPI549) demonstrates favorable pharmacokinetic properties and robust inhibition of PI3K-γ mediated neutrophil migration. In vivo (mice, rats, dog, and monkeys), Eganelisib has excellent oral bioavailability, low clearance, and distributed into tissues with a mean volume of distribution of 1.2 L/kg. Overall, Eganelisib has a favorable pharmacokinetic profile to allow potent and selective inhibition of PI3K-γ in vivo. The t1/2 of IPI-549 for mouse, rat, dog and monkey is 3.2, 4.4, 6.7 and 4.3 h, respectively. Eganelisib significantly reduces neutrophil migration in a dose dependent manner in this model when administered orally at all of the tested doses.

SOLUBILITY

Soluble in DMSO

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