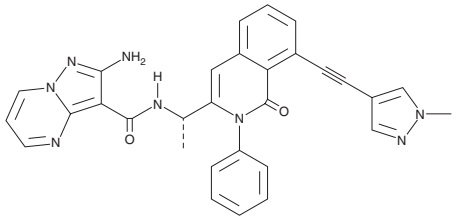
PRODUCT INFORMATION

Eganelisib

Item No. 26416

CAS Registry No.: 1693758-51-8
Formal Name: 2-amino-N-[(1S)-1-[1,2-dihydro-8-[2-(1-methyl-1H-pyrazol-4-yl)ethynyl]-1-oxo-2-phenyl-3-isoquinolinyl]ethyl]-pyrazolo[1,5-a]pyrimidine-3-carboxamide
Synonym: IPI-549
MF: $C_{30}H_{24}N_8O_2$
FW: 528.6
Purity: ≥98%
UV/Vis.: λ_{max}: 268, 360 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Eganelisib is supplied as a crystalline solid. A stock solution may be made by dissolving the eganelisib in the solvent of choice. Eganelisib is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas. The solubility of eganelisib in these solvents is approximately 8 and 100 mg/ml, respectively.

Description

Eganelisib is an inhibitor of PI3Kγ (IC_{50}s = 16, 3,200, 3,500, and >8,400 nM for PI3Kγ, PI3Kα, PI3Kβ, and PI3Kδ, respectively).[1] It is greater than 100-fold selective for PI3Kγ over a panel of 468 mutant and nonmutant protein and lipid kinases, including Class II PI3K isoforms, and a panel of 80 G protein-coupled receptors, ion channels, and transporters at 10 µM. It inhibits phosphorylation of AKT S473 in SKOV3, 786-0, RAW 264.7, and RAJI cells and inhibits migration of bone marrow-derived macrophages (BMDMs) (IC_{50} = 85 nM). Eganelisib sensitizes doxorubicin-resistant SW620/Ad300 cells to P-glycoprotein (P-gp) substrates, such as paclitaxel (IC_{50}s = 710 and 6.7 nM for paclitaxel alone and in combination with IPI-549, respectively), and increases the level of intracellular paclitaxel in SW620/Ad300 cells.[2] It also enhances the tumor growth reduction of paclitaxel (Item No. 10461) in an SW620/Ad300 mouse xenograft model when administered at a dose of 3 mg/kg in combination with paclitaxel.

References

1. Evans, C.A., Liu, T., Lescarbeau, A., *et al.* Discovery of a selective phosphoinositide-3-kinase (PI3K)-γ inhibitor (IPI-549) as an immuno-oncology clinical candidate. *ACS Med. Chem. Lett.* **7(9)**, 862-867 (2016).
2. De Vera, A.A., Gupta, P., Lei, Z., *et al.* Immuno-oncology agent IPI-549 is a modulator of P-glycoprotein (P-gp, MDR1, ABCB1)-mediated multidrug resistance (MDR) in cancer: *In vitro* and *in vivo*. *Cancer Lett.* **442**, 91-103 (2019).

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