PRODUCT INFORMATION



PF-04979064

Item No. 39527

CAS Registry No.: 1220699-06-8

Formal Name: 1,3-dihydro-1-[1-[(2S)-2-hydroxy-1-

> oxopropyl]-4-piperidinyl]-3-methyl-8-(6methyl-3-pyridinyl)-2H-imidazo[4,5-c][1,5]

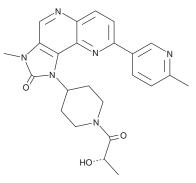
naphthyridin-2-one

MF: $C_{24}H_{26}N_6O_3$ FW: 446.5 **Purity:** ≥98%

UV/Vis.: λ_{max} : 272, 325 nm

Supplied as: A solid -20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

PF-04979064 is supplied as a solid. A stock solution may be made by dissolving the PF-04979064 in the solvent of choice, which should be purged with an inert gas. PF-04979064 is soluble in methanol.

Description

PF-04979064 is a dual inhibitor of PI3K and mTOR (K_i s = 0.13, 0.111, 0.122, and 1.42 nM for PI3K α , PI3Kγ, PI3Kδ, and mTOR, respectively). It is selective for PI3K and mTOR over a panel of 36 other kinases at 1 μ M. PF-04979064 inhibits phosphorylation of Akt in BT-20 breast cancer cells (IC₅₀ = 9.1 nM). It reduces tumor volume by 88% in a U87MG glioblastoma mouse xenograft model when administered at a dose of 40 mg/kg. PF-04979064 also increases alveolar epithelial cell apoptosis in a mouse model of chronic obstructive pulmonary disease (COPD) induced by fine particulate matter less than 2.5 μm (PM_{2.5}).²

References

- 1. Cheng, H., Li, C., Bailey, S., et al. Discovery of the highly potent PI3K/mTOR dual inhibitor PF-04979064 through structure-based drug design. ACS Med. Chem. Lett. 4(1), 91-97 (2012).
- 2. Zhang, F., Ma, H., Wang, Z.L., et al. The PI3K/AKT/mTOR pathway regulates autophagy to induce apoptosis of alveolar epithelial cells in chronic obstructive pulmonary disease caused by PM2.5 particulate matter. J. Int. Med. Res. 48(7), 300060520927919 (2020).

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

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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