PRODUCT INFORMATION



BAY-1082439

Item No. 35456

CAS Registry No.: 1375469-38-7

Formal Name: N-[2,3-dihydro-8-[(2R)-2-hydroxy-3-(4-

> morpholinyl)propoxy]-7-methoxyimidazo[1,2-c] quinazolin-5-yl]-2-methyl-3-pyridinecarboxamide

MF: $C_{25}H_{30}N_6O_5$

FW: 494.6 ≥98% **Purity:**

 λ_{max} : 227, 275 nm UV/Vis.:

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

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



BAY-1082439 is supplied as a solid. A stock solution may be made by dissolving the BAY-1082439 in the solvent of choice, which should be purged with an inert gas. BAY-1082439 is soluble in DMSO.

Description

BAY-1082439 is an inhibitor of PI3K α , PI3K β , and PI3K δ (IC $_{50}$ s = 5, 15, and 1 nM, respectively). It is selective for PI3Kα, -β, and -δ over PI3Kγ (IC₅₀ = 52 nM). BAY-1082439 selectively inhibits proliferation of PTEN-null LNCaP and PC3 prostate cancer cells over wild-type PTEN isogenic PC3 cells. BAY-1082439 (75 mg/kg) decreases intratumoral levels of phosphorylated Akt and reduces tumor growth in a mouse model of castration-resistant prostate cancer using castrated Pten conditional knockout mice (Pten-null mice). Intermittent administration of BAY-1082439 (180 mg/kg) reverses resistance to an anti-PD-1 antibody and induces CD8+ T cell clonal expansion and T cell-mediated inflammation in the tumor microenvironment in castrated Pten-null mice.2

References

- 1. Zou, Y., Qi, Z., Guo, W., et al. Cotargeting the cell-intrinsic and microenvironment pathways of prostate cancer by PI3Kα/β/δ inhibitor BAY1082439. Mol. Cancer Ther. 17(10), 2091-2099 (2018).
- Qi, J., Xu, Z., Zhang, L., et al. Overcoming resistance to immune checkpoint therapy in PTEN-null prostate cancer by intermittent anti-PI3K $\alpha/\beta/\delta$ treatment. Nat. Commun. 13(1), 182 (2022).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM