

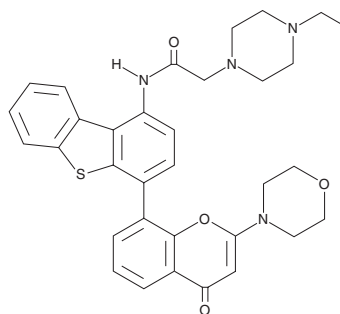
PRODUCT INFORMATION



Ku-0060648

Item No. 15344

CAS Registry No.: 881375-00-4
Formal Name: 4-ethyl-N-[4-[2-(4-morpholinyl)-4-oxo-4H-1-benzopyran-8-yl]-1-dibenzothiényl]-1-piperazineacetamide
MF: C₃₃H₃₄N₄O₄S
FW: 582.7
Purity: ≥98%
UV/Vis.: λ_{max}: 237, 289 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

Ku-0060648 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ku-0060648 in the solvent of choice, which should be purged with an inert gas. Ku-0060648 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of Ku-0060648 in these solvents is approximately 1 mg/ml.

Description

Ku-0060648 is an ATP-competitive inhibitor of DNA-dependent protein kinase (DNA-PK) and an inhibitor of PI3K. In a cell-free assay, it has IC₅₀s of 5, 4, 0.5, <0.1, and 594 nM for DNA-PK, PI3Kα, PI3Kβ, PI3Kδ, and PI3Kγ, respectively.¹ In cells, the level of PI3K inhibition is variable, depending upon the cell line utilized. In MCF-7 cells, it has IC₅₀s of 19 and 39 nM, for DNA-PK and PI3K, respectively, while in SW620 cells, it has an IC₅₀ of 170 nM for DNA-PK but >10,000 nM for PI3K.¹ Ku-0060648 is useful for Cas9 editing due to its DNA-PK inhibition, which reduces the frequency of non-homologous end joining and increases homology-directed recombination.² It also shows promise in cancer research *in vitro* and *in vivo*.^{3,4}

References

1. Munck, J.M., Batey, M.A., Zhao, Y., *et al.* Chemosensitization of cancer cells by KU-0060648, a dual inhibitor of DNA-PK and PI-3K. *Mol. Cancer Ther.* **11(8)**, 1789-1798 (2012).
2. Robert, F., Barbeau, M., Éthier, S., *et al.* Pharmacological inhibition of DNA-PK stimulates Cas9-mediated genome editing. *Genome Med.* **7**, 93 (2015).
3. Chen, M.-B., Zhou, Z.-T., Yang, L., *et al.* KU-0060648 inhibits hepatocellular carcinoma cells through DNA-PKcs-dependent and DNA-PKcs-independent mechanisms. *Oncotarget* **7(13)**, 17047-17059 (2016).
4. Lan, T., Zhao, Z., Qu, Y., *et al.* Targeting hyperactivated DNA-PKcs by KU0060648 inhibits glioma progression and enhances temozolomide therapy via suppression of AKT signaling. *Oncotarget* **7(34)**, 55555-55571 (2016).

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.

WARRANTY AND LIMITATION OF REMEDY

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