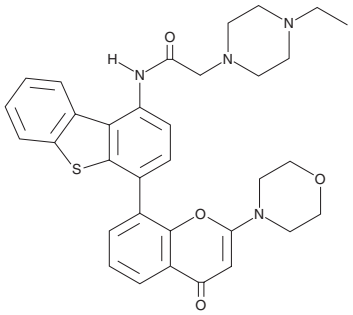
PRODUCT INFORMATION

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-dibenzothienyl]-1-piperazineacetamide
MF:	$C_{33}H_{34}N_4O_4S$
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 IC_{50}s 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 IC_{50}s of 19 and 39 nM, for DNA-PK and PI3K, respectively, while in SW620 cells, it has an IC_{50} 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).

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