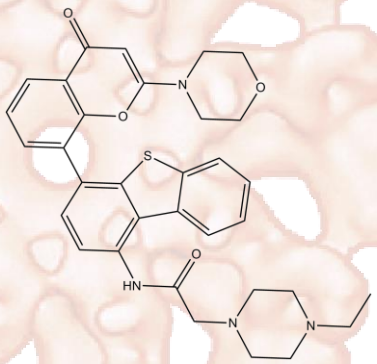
Product Data Sheet

KU-0060648



Cat. No.:	A1769
CAS No.:	881375-00-4
Formula:	C33H34N4O4S
M.Wt:	582.71
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	DNA-PK
Storage:	Store at -20°C

Solvent & Solubility

Limited solubility, soluble in HCl

In Vitro	Preparing Stock Solutions	Mass / Solvent / Concentration	1mg	5mg	10mg
		1 mM	1.7161 mL	8.5806 mL	17.1612 mL
		5 mM	0.3432 mL	1.7161 mL	3.4322 mL
		10 mM	0.1716 mL	0.8581 mL	1.7161 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Dual DNA-PK/PI3-K inhibitor, ATP-competitive
IC_{50} & Target	19 nM (DNA-PK), <0.1 nM (PI3-Kδ), 0.5nM (PI3-Kβ), 4 nM (PI3-Kα)

In Vitro

Cell Viability Assay

Cell Line:	Human breast cancer cells (MCF7, T47D and MDA-MB-231) and colon cancer cells (LoVo and SW620)
Preparation method:	The solubility of this compound in DMSO is limited. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.
Reacting conditions:	1 μM; 5 days

	Applications:	Five-day exposure to 1 μM KU-0060648 resulted in more than 50% inhibition of cell growth in all cancer cell lines. KU-0060648 showed the greatest effect on growth inhibition of LoVo and MCF7 cells, the total cell growth of which over 5 days was only 10% and 4% of that of the control group, respectively.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing MCF7 xenografts
	Dosage form:	10 mg/kg; i.p.; b.i.d.
	Applications:	In mice bearing MCF7 xenografts, KU-0060648 alone resulted in a median growth delay of 30 days with negligible toxicity, and the combination of KU-0060648 and Etoposide Phosphate caused a median growth delay of 55 days with acceptable toxicity.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Boel A, De Saffel H, et al. "CRISPR/Cas9-mediated homology-directed repair by ssODNs in zebrafish induces complex mutational patterns resulting from genomic integration of repair-template fragments." Dis Model Mech. 2018 Oct 18;11(10). pii: dmm035352.PMID:30355591

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References

[1]. Munck JM, Batey MA, Zhao Y, Jenkins H, Richardson CJ, Cano C, Tavecchio M, Barbeau J, Bardos J, Cornell L, Griffin RJ, Menear K, Slade A, Thommes P, Martin NM, Newell DR, Smith GC, Curtin NJ. Chemosensitization of cancer cells by KU-0060648, a dual inhibitor of DNA-PK and PI-3K. Mol Cancer Ther. 2012;11(8):1789-98.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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