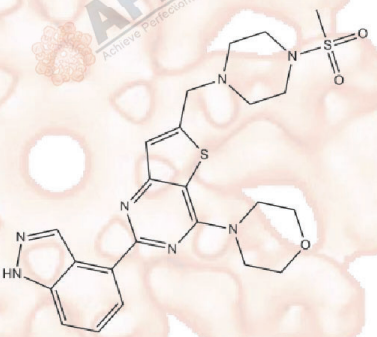
Product Data Sheet

GDC-0941



Cat. No.: A8210
CAS No.: 957054-30-7
Formula: C23H27N7O3S2
M.Wt: 513.64
Synonyms:
Target: PI3K/Akt/mTOR Signaling
Pathway: PI3K
Storage: Store at -20°C

Solvent & Solubility

In Vitro

⩾25.7 mg/mL in DMSO; insoluble in H2O; ⩾3.59 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.9469 mL	9.7344 mL	19.4689 mL
5 mM	0.3894 mL	1.9469 mL	3.8938 mL
10 mM	0.1947 mL	0.9734 mL	1.9469 mL

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

Biological Activity

Shortsummary	PI3K inhibitor,potent and selective
IC_{50} & Target	3 nM (PI3Kα), 33 nM (PI3Kβ), 3 nM (PI3Kδ), 75 nM (PI3Kγ)

In Vitro

Cell Viability Assay

Cell Line:	Trastuzumab-Sensitive and -Insensitive HER2-Amplified Cells
Preparation method:	Limited solubility. 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:	2 h

	Applications:	250 nM GDC-0941 treatment for 2 hours leads to 40%–85% inhibition of pAKT in all cell lines tested. GDC-0941 also inhibits the PI3K/AKT pathway by reducing cell proliferation/viability in a dose dependent manner. GDC-0941 inhibits the growth of both trastuzumab-sensitive and -insensitive cells.
In Vivo	**Animal experiment**	
	Animal models:	U87MG human glioblastoma xenografts
	Dosage form:	Orally at 75 mg/kg daily
	Applications:	GDC-0941 inhibits the tumor growth by 83% after 21 days with no body weight loss. A dose-response relationship is also observed over the range 25-150 mg/kg/day. GDC-0941 also decreases the p-Akt levels as an indication of target inhibition.
	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.Iniguez AB, Alexe G, et al. "Resistance to Epigenetic-Targeted Therapy Engenders Tumor Cell Vulnerabilities Associated with Enhancer Remodeling." Cancer
Cell. 2018 Dec 10;34(6):922-938.e7.PMID:30537514

2.Jiang H, Xu M, et al. "Concurrent HER or PI3K Inhibition Potentiates the
Anti-tumor Effect of ERK Inhibitor Ulixertinib in Preclinical Pancreatic Cancer Models." Mol Cancer Ther. 2018 Jul 31. pii: molcanther.1142.2017.PMID:30065098

3.Wang YN, Lee HH, et al. "Angiogenin/Ribonuclease 5 Is an EGFR Ligand and a Serum Biomarker for Erlotinib Sensitivity in Pancreatic Cancer." Cancer Cell. 2018 Apr 9;33(4):752-769.e8.PMID:29606349

4.Zhang X, Zhao F, et al. "PDGF-mediated PI3K/AKT/β-catenin signaling regulates gap junctions in corpus cavernosum smooth muscle cells." Exp Cell Res. 2017 Nov 22. pii: S0014-4827(17)30627-4.PMID:29174980

5. Tian C, Yuan Z, et al. "Inhibition of glycolysis by a novel EGFR/HER2 inhibitor KU004 suppresses the growth of HER2+cancer." Exp Cell Res. 2017 May 19. pii: S0014-4827(17)30297-5.PMID:28532652

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References

1. Junttila TT, Akita RW, Parsons K et al. Ligand-independent HER2/HER3/PI3K complex is disrupted by trastuzumab and is effectively inhibited by the PI3K inhibitor GDC-0941. Cancer Cell. 2009 May 5;15(5):429-40.

2. Folkes AJ, Ahmadi K, Alderton WK et al. The identification of 2-(1H-indazol-4-yl)-6-(4-methanesulfonyl-piperazin-1-ylmethyl)-4-morpholin-4-yl-t hieno[3,2-d]pyrimidine (GDC-0941) as a potent, selective, orally bioavailable inhibitor of class I PI3 kinase for the treatment of cancer . J Med Chem. 2008 Sep 25;51(18):5522-32.

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.

APExBIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com