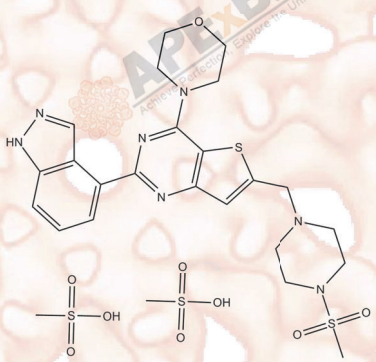
Product Data Sheet

GDC-0941 dimethanesulfonate

Cat. No.: A3432
CAS No.: 957054-33-0
Formula: C25H35N7O9S4
M.Wt: 705.85
Synonyms: GDC-0941 (2 MeSO3H salt);GDC0941 dimethanesulfonate;GDC-0941;GDC0941;GD C 0941
Target: PI3K/Akt/mTOR Signaling
Pathway: PI3K
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥207.6 mg/mL in DMSO,insoluble in EtOH,insoluble in H2O

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.4167 mL	7.0837 mL	14.1673 mL
5 mM	0.2833 mL	1.4167 mL	2.8335 mL
10 mM	0.1417 mL	0.7084 mL	1.4167 mL

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

Biological Activity

Shortsummary	PI3K inhibitor
IC_{50} & Target	15 nM (PI3Kα), 185 nM (PI3Kβ), 7 nM (PI3Kδ), 224 nM (PI3Kγ)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-231 and SKBR3 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. 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:	10 μM for MDA-MB-231 cells 1.25 μM for SKBR3 cells 72 hours
	Applications:	The cytotoxicity was determined using MTT assay, after 72 h exposure to GDC-0941, ABT-737 and the combination. 10-times greater concentration of ABT-737 as a single agent resulted in a less than 50% increase in cytotoxicity. Regardless of the sensitivity to single agents, the combination of GDC-0941 and ABT-737 induced a more significant reduction of viable cells, indicating potent synergistic effect of GDC-0941 and ABT-737.
In Vivo	**Animal experiment**	
	Animal models:	Female severe combined immunodeficient mice injected with breast cancer cells
	Dosage form:	Oral administration, 100 or 150 mg/kg
	Applications:	GDC-0941 showed excellent antitumor activity in xenograft models with HER2 amplification, PIK3CA mutations, or concomitant alterations in two pathway components (e.g., PTEN loss and PIK3CA mutation), but little or no effect in xenografts of basal-like KRAS mutant MDA-MB-231 cells. Treatment with GDC-0941 at a dose of 100 mg/kg substantially down-regulated levels of pAKT(S473) in xenograft tumors of both sensitive KPL-4 cells and resistant MDA-MB-231 cells after 1 hour, suggesting effective pharmacodynamic inhibition of PI3K signaling at this dose in both models.
	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

See more customer validations on www.apexbt.com.

References

[1] Zheng L, Yang W, Zhang C, et al. GDC-0941 sensitizes breast cancer to ABT-737 in vitro and in vivo through promoting the degradation of Mcl-1. Cancer letters, 2011, 309(1): 27-36.

[2] O'Brien C, Wallin J J, Sampath D, et al. Predictive biomarkers of sensitivity to the phosphatidylinositol 3′ kinase inhibitor GDC-0941 in breast cancer preclinical models. Clinical Cancer Research, 2010, 16(14): 3670-3683.

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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