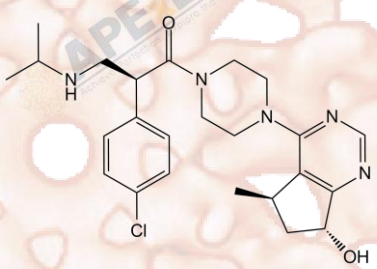
Product Data Sheet

GDC-0068 (RG7440)

Cat. No.:	A3006
CAS No.:	1001264-89-6
Formula:	C24H32ClN5O2
M.Wt:	458
Synonyms:	GDC0068,RG7440,CS0975
Target:	PI3K/Akt/mTOR Signaling
Pathway:	Akt
Storage:	Desiccate at -20°C



Solvent & Solubility

In Vitro

⩾22.9 mg/mL in DMSO; insoluble in H2O; ⩾28.35 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.1834 mL	10.9170 mL	21.8341 mL
5 mM	0.4367 mL	2.1834 mL	4.3668 mL
10 mM	0.2183 mL	1.0917 mL	2.1834 mL

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

Biological Activity

Shortsummary	Pan-AKT inhibitor,highly selective
IC_{50} & Target	5 nM (Akt1), 18 nM (Akt2), 8 nM (Akt3)

In Vitro

Cell Viability Assay

Cell Line:	PC-3, BT474M1 and IGROV-1 cell lines
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:	1 h; 25 μmol/L

	Applications:	The biologic activity of GDC-0068 was evaluated in cell-based assays in vitro . Similar to other ATP-competitive Akt inhibitors, GDC-0068 induced a dose-dependent increase in Akt phosphorylation at both Thr308 (T308) and Ser 473 (S473) residues in all cell lines tested, including lines in which the PI3K/Akt pathway is activated, such as PC-3 (PTEN homozygous deletion mutant, prostate), BT474M1 (PIK3CAK111N mutant and HER2-amplified, breast), IGROV-1 (PTENT319fsX1/Y155C and PIK3CA1069 W, ovarian).
In Vivo	**Animal experiment**	
	Animal models:	Nude mice
	Dosage form:	100 mg//kg; Oral taken
	Applications:	The in vitro sensitivity profile of GDC-0068 was recapitulated in vivo in xenograft models representing a spectrum of cancer types including prostate, breast, ovarian, colorectal, non–small cell lung, glioblastoma, and melanoma. GDC-0068 was typically efficacious in xenograft models in which Akt was activated because of genetic alterations including PTEN loss, PIK3CA mutations/amplifications, or HER2 overexpression. In these models, tumor growth delay, stasis, or regression was achieved at or below 100 mg/kg daily oral dose, which was the maximum dose tested in immunocompromised mice that was well tolerated.
	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] Lin J, Sampath D, Nannini M A, et al. Targeting activated Akt with GDC-0068, a novel selective Akt inhibitor that is efficacious in multiple tumor models[J]. Clinical Cancer Research, 2013, 19(7): 1760-1772.

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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