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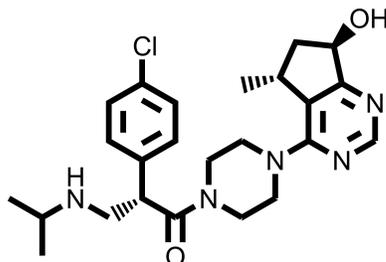
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Akt Inhibitor GDC-0068

Chemical Name: (S)-2-(4-chlorophenyl)-1-(4-((5R,7R)-7-hydroxy-5-methyl-6,7-dihydro-5H-cyclopenta[d]pyrimidin-4-yl)piperazin-1-yl)-3-(isopropylamino)propan-1-one



Molecular Weight:	458.00
Formula:	C ₂₄ H ₃₂ ClN ₅ O ₂
Purity:	≥98%
CAS#:	1001264-89-6
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

GDC-0068 is a highly potent, selective, and orally available pan-Akt inhibitor, targeting Akt1, Akt2 and Akt3 with IC₅₀ of 5 nM, 18 nM and 8 nM, respectively. It has >100-fold selectivity over a broad panel of 230 kinases, except PRKG1 α and PRKG1 β with IC₅₀ of 98 nM and 69 nM. GDC-0068 can effectively block Akt signaling and induce cell cycle arrest in human cancer cell lines in vitro, and exhibit significant efficacy in PTEN- and PI3K mutant xenograft models, including PTEN-deficient prostate cancer models LNCaP and PC3, the PIK3CA H1047R mutant breast cancer model KPL-4, and MCF7-neo/HER2 tumor model. A Phase I study of GDC-0068 in patients with refractory solid tumors is ongoing.

How to Use:

In vitro: GDC-0068 was used at 5-10 μ M final concentration in vitro and in cellular assays.

In vivo: GDC-0068 was orally dosed to mice at 50-100 mg/kg once per day, or in combination with MEK inhibitors or chemotherapy agents to significantly reduce the tumor volume. Formulation: 0.5% methylcellulose/0.2% Tween-80.

Reference:

1. Blake JF, et al. Discovery and Preclinical Pharmacology of a Selective ATP-Competitive Akt Inhibitor (GDC-0068) for the Treatment of Human Tumors. (2012) J Med Chem. 55(18):8110-27.
2. Heidi M, et al. Abstract 966: Predictive biomarkers of the AKT inhibitor, GDC-0068, in single agent and combination studies. (2012) Cancer Research. Volume 72, Issue 8, Supplement 1
3. Kui Lin. Abstract DDT02-01: GDC-0068: A novel, selective, ATP-competitive inhibitor of Akt. (2011) Cancer Research. Volume 71, Issue 8, Supplement 1
4. http://www.arraybiopharma.com/_documents/Publication/PubAttachment437.pdf

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