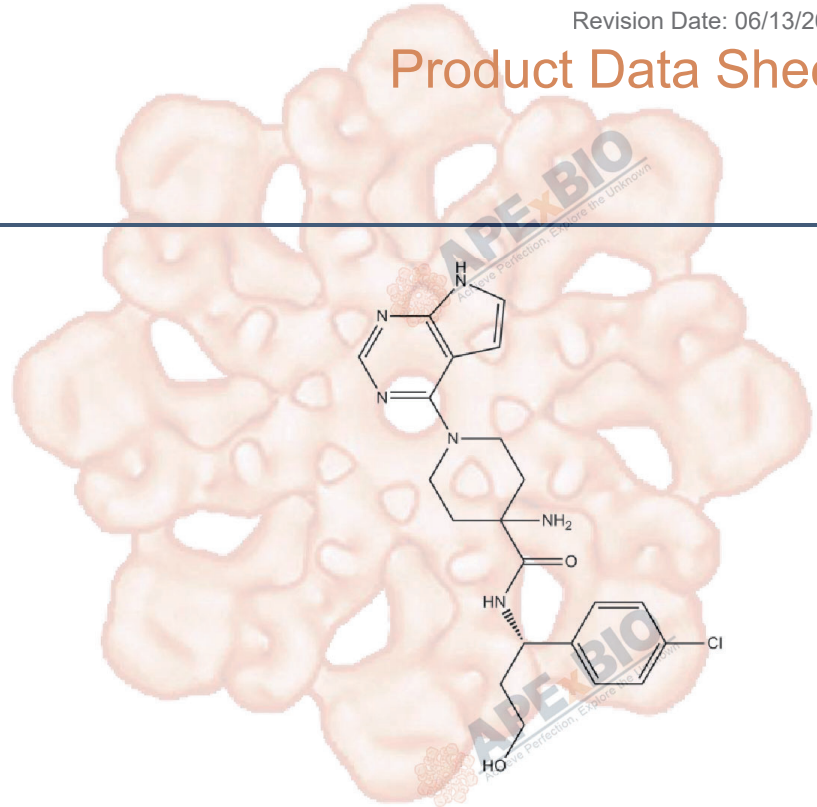


Product Data Sheet

AZD5363

Cat. No.:	A1387
CAS No.:	1143532-39-1
Formula:	C ₂₁ H ₂₅ CIN ₆ O ₂
M.Wt:	428.92
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	Akt
Storage:	Store at -20°C



Solvent & Solubility

≥21.45 mg/mL in DMSO; insoluble in H₂O; ≥5.04 mg/mL in EtOH with ultrasonic

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.3314 mL	11.6572 mL	23.3144 mL
	5 mM		0.4663 mL	2.3314 mL	4.6629 mL
	10 mM		0.2331 mL	1.1657 mL	2.3314 mL

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

Biological Activity

Shortsummary

AKT inhibitor, pyrrolopyrimidine derived

IC₅₀ & Target

<10 nM (Akt)

In Vitro

Cell Viability Assay

Cell Line: GSK3 in BT474c (Her2p PIK3CAmutant breast), LNCaP (PTEN-null prostate) and MDA-MB-468 (PTEN-null breast) cancer 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:	pGSK3β (IC50: 0.76 μM in BT474c, 0.06 μM in LNCaP, 0.38 μM in MDA-MB-468) pPRAS40 (IC50: 0.31 μM in BT474c, 0.22 μM in LNCaP, 0.39 μM in MDA-MB-468) pFOXO3a translocation (IC50: 0.69 μM in BT474c)
	Applications:	AZD5363 inhibited phosphorylation of AKT substrates with IC50 values of 0.06 to 0.76 μM in the 3 cell lines. AZD5363 also effectively inhibited phosphorylation of S6 and 4E-BP1 in BT474c cells and LNCaP cells.
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing BT474c xenografts
	Dosage form:	The treatment groups received 300 or 100 mg/kg acute dose of AZD5363 solubilized in a DMSO/Kleptose buffer, by oral gavage.
	Applications:	Oral dosing of AZD5363 to nude mice caused dose and time-dependent reduction of PRAS40, GSK3, and S6 phosphorylation. Following a 300 mg/kg dose of AZD 5363, phosphorylation of all 3 biomarkers was significantly inhibited for at least 24 hours. 100 mg/kg dose of AZD5363 significantly inhibited phosphorylation of the 3 biomarkers was for at least 8 hours.
	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. Wang C, Bai F, et al. "Estrogen promotes estrogen receptor negative BRCA1-deficient tumor initiation and progression." *Breast Cancer Res.* 2018 Jul 11;20(1):74.PMID:29996906
2. Du Q, Zhang S, et al. "Astragaloside IV Inhibits Adipose Lipolysis and Reduces Hepatic Glucose Production via Akt Dependent PDE3B Expression in HFD-Fed Mice." *Front Physiol.* 2018 Jan 23;9:15.PMID:29410630
3. Peng T, Dou QP. "Everolimus Inhibits Growth of Gemcitabine-Resistant Pancreatic Cancer Cells via Induction of Caspase-Dependent Apoptosis and G(2) /M Arrest." *JCell Biochem.* 2017 Feb 6.PMID:28165150

See more customer validations on www.apexbt.com.

References

- [1] Davies B R, Greenwood H, Dudley P, et al. Preclinical pharmacology of AZD5363, an inhibitor of AKT: pharmacodynamics, antitumor activity, and correlation of monotherapy activity with genetic background. *Molecular cancer therapeutics*, 2012, 11(4): 873-887.

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. Short-term 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

