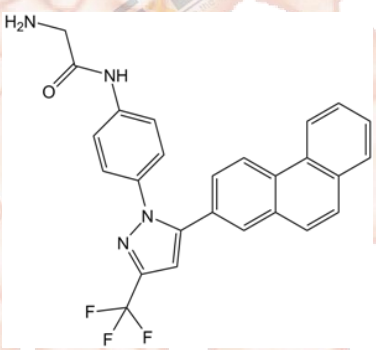
Product Data Sheet

OSU-03012 (AR-12)

Cat. No.:	A2846
CAS No.:	742112-33-0
Formula:	C26H19F3N4O
M.Wt:	460.45
Synonyms:	
Target:	PI3K/Akt/mTOR Signaling
Pathway:	PDK-1
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥23 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.1718 mL	10.8589 mL	21.7179 mL
5 mM	0.4344 mL	2.1718 mL	4.3436 mL
10 mM	0.2172 mL	1.0859 mL	2.1718 mL

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

Biological Activity

Shortsummary	Potent PDK1 inhibitor
IC_{50} & Target	5 μM (recombinant PDK-1)

In Vitro

Cell Viability Assay

Cell Line:	PC-3 (p53-/-) human androgen-nonresponsive prostate cancer cells
Preparation method:	The solubility of this compound in DMSO is >23mg/mL. 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, 2.5, 5, 7.5, 10 μM; 6 h

	Applications:	In PC-3 (p53-/-) human androgen-nonresponsive prostate cancer cells, OSU-03012 reduced the activity of immunoprecipitated p70S6K in a dose-dependent way. OSU-03012 at sub-μM was effective in suppressing PC-3 cell proliferation.
In Vivo	**Animal experiment**	
	Animal models:	nude mice bearing established s.c. Huh7 tumor xenografts
	Dosage form:	100 and 200 mg/kg for 28 days; gavaged
	Applications:	In nude mice bearing established s.c. Huh7 tumor xenografts, OSU-03012 (100 and 200 mg/kg for 28 days) inhibited Huh7 tumor growth by 39.52% and 57.59%, respectively. Compared with vehicle-treated control, OSU-03012 significantly reduced tumor volumes. OSU-03012 induced autophagy in xenograft.
	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. Rausch JL, Boichuk S, et al. "Opposing roles of KIT and ABL1 in the therapeutic response of gastrointestinal stromal tumor (GIST) cells to imatinibmesylate." Oncotarget. 2017 Jan 17;8(3):4471-4483.PMID:27965460

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References

[1] Zhu J1, Huang JW, Tseng PH, Yang YT, Fowble J, Shiau CW, Shaw YJ, Kulp SK, Chen CS. From the cyclooxygenase-2 inhibitor celecoxib to a novel class of 3-phosphoinositide-dependent protein kinase-1 inhibitors. Cancer Res. 2004 Jun 15;64(12):4309-18.
[2] Lee TX1, Packer MD, Huang J, Akhmametyeva EM, Kulp SK, Chen CS, Giovannini M, Jacob A, Welling DB, Chang LS. Growth inhibitory and anti-tumour activities of OSU-03012, a novel PDK-1 inhibitor, on vestibular schwannoma and malignant schwannoma cells. Eur J Cancer. 2009 Jun;45(9):1709-20.

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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