Product Data Sheet

Product Name: OSU-03012 (AR-12)
Cat. No.: GC15742

Chemical Properties

Cas. No.	742112-33-0		
Chemical Name	2-amino-N-[4-[5-phenanthren-2-yl-3-(trifluoromethyl)pyrazol-1-yl]phenyl]acetamide		
SMILES	C1=CC=C2C(=C1)C=CC3=C2C=CC(=C3)C4=CC(=NN4C5=CC=C(C=C5)NC(=O)CN)C(F)(F)F		
Formula	$C_{26}H_{19}F_3N_4O$	M.Wt	460.45
Solubility	≥ 23mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	OSU-03012 (AR-12)		

Protocol

Cell experiment [1]:

Cell lines	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℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting condition	1, 2.5, 5, 7.5, 10 μM; 6 h

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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.

Animal experiment [2]:

Animal models	nude mice bearing established s.c. Huh7 tumor xenografts
Dosage form	100 and 200 mg/kg for 28 days; gavaged
Application	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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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.

Background

OSU-03012 (AR-12) is an inhibitor of 3-phosphoinositide-dependent kinase-1 (PDK-1) with IC50 value of 5μm, which shows 2-fold higher potency over OSU-02067 [1].

OSU-03012 has represented to suppress PC-3 cell proliferation and induce apoptosis in PC-3 cells. Expression of the constitutively active forms of PDK-1 and Akt has revealed to reduce OSU-03012-induced apoptosis in PC-3 cell [1].

OSU-03012 could potently inhibit the growth of primary human VS cells and malignant schwannoma HMS-97 cells in a dose-dependent manner. In contrast, normal human Schwann cells showed to be more resistant to OSU-03012. Additionally, OSU-03012 revealed to inhibit phosphorylation of AKT at the threonine-308 site in both VS cells and HMS-97 cells [2].

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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA