Product Data Sheet

Product Name: NVP-BAG956
Cat. No.: GC13725

Chemical Properties

Cas. No.	853910-02-8		
Chemical Name	2-methyl-2-(4-(2-methyl-8-(pyridin-3-ylethynyl)-1H-imidazo[4,5-c]quinolin-1-yl)phenyl)propanenitrile		
SMILES	CC1=NC2=CN=C3C=CC(C#CC4=CN=CC=C4)=CC3=C2N1C5=CC=C(C(C)(C#N)C)C=C5		
Formula	$C_{28}H_{21}N_5$	M.Wt	427.5
Solubility	DMSO: 20 mg/ml	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	NVP-BAG956		

Protocol

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

Product Data Sheet

Cell experiment:

One day after plating (7×103 cells/cm2), melanoma cells (A2058, B16F1, B16F10, C32, HBL, Malme, Malme3M, NA8, SKMel2, SKMel23, A375, Hs294T, WM35, and 1205lu cells) are exposed to LY294002 (25 μM), Wortmannin (500 nM), NVP-BAG956 (1 μM), NVP-BBD130 (1 μM), NVP-BEZ235 (1 μM), and ZSTK474 (1 μM), and Rapamycin (100 nM). Compound concentrations are set 2 log units above the IC50 in vitro to ensure full PI3K inhibition, except for the μM inhibitor LY294002. Cells are trypsinized and counted, and the volume is quantified using a Casy Counter and Analyser. To determine the nuclear volume, cells are resuspended in CASYton containing 0.5% Triton X-100, followed by repetitive pipetting (8×), before volume measurements[1].

References:

[1]. Marone R, et al. Targeting melanoma with dual phosphoinositide 3-kinase/mammalian target of rapamycin inhibitors. Mol Cancer Res. 2009 Apr;7(4):601-13.

Background

NVP-BAG956 is an ATP-competitive PI3K inhibitor with IC50s of 34, 56, 112 and 444 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively.

NVP-BAG956 also inhibits PDK1 with an IC50 of 240/260 nM. NVP-BAG956 also inhibits

Product Data Sheet

VEGFR1 with an IC50 of 2.56±0.56 μM. NVP-BAG956 blocks phosphorylation of PKB/Akt in A2058 cells with an IC50 value of 67±25 nM. Inhibition of PKB/Akt phosphorylation correlated with loss of A2058 cell proliferation for NVP-BAG956 (IC50=290±20 nM). In the presence of NVP-BAG956, A2058 cells are only able to exit G2-M and then remain in G1. The p27 Kip1 expression is clearly induced by NVP-BAG956 in A2058 cells but not in C32 cells[1].

References:

[1]. Marone R, et al. Targeting melanoma with dual phosphoinositide 3-kinase/mammalian target of rapamycin inhibitors. Mol Cancer Res. 2009 Apr;7(4):601-13.

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