

产品名称: **NVP-BAG956**

产品别名: **BAG 956**

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| 生物活性: | | | | | | |
| Description | NVP-BAG956 is an ATP-competitive PI3K inhibitor with IC50s of 34, 56, 112 and 444 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively. | | | | | |
| IC50 & Target [1] | PI3Kδ | PI3Kα | PI3Kγ | PI3Kβ | PDK1 | VEGFR1 |
| | 35 nM (IC50) | 56 nM (IC50) | 117 nM (IC50) | 446 nM (IC50) | 240 nM (IC50) | 2.56 μM (IC50) |
| In Vitro | NVP-BAG956 also inhibits PDK1 with an IC50 of 240/260 nM. NVP-BAG956 also inhibits 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 p27Kip1 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. | | | | | |
| 实验参考: | | | | | | |
| Cell Assay | One day after plating (7×10 ³ cells/cm ²), 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. | | | | | |

源叶生物