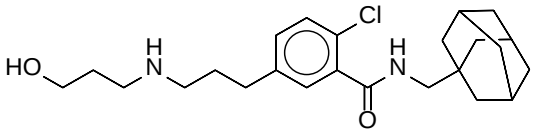
Product data sheet

MedKoo Cat#: 524364 Name: BAG-956 CAS#: 853910-02-8 Chemical Formula: $C_{24}H_{35}ClN_2O_2$ Exact Mass: 418.2387 Molecular Weight: 419.00	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
BAG 956, also known as NVP-BAG956, is a dual PDK1 and class I PI 3-kinase inhibitor. BAG 956 has been shown to inhibit cellular AKT phosphorylation at Thr308. BAG 956 also blocks cell proliferation and causes arrest in the G1 phase of the cell cycle. BAG 956 has been shown to slow tumor progression in mouse xenograft models.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	18.55	44.27
Ethanol	8.55	20.41

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.39 mL	11.93 mL	23.87 mL
5 mM	0.48 mL	2.39 mL	4.77 mL
10 mM	0.24 mL	1.19 mL	2.39 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Weisberg E, Banerji L, Wright RD, Barrett R, Ray A, Moreno D, Catley L, Jiang J, Hall-Meyers E, Sauveur-Michel M, Stone R, Galinsky I, Fox E, Kung AL, Griffin JD. Potentiation of antileukemic therapies by the dual PI3K/PDK-1 inhibitor, BAG956: effects on BCR-ABL- and mutant FLT3-expressing cells. Blood. 2008 Apr 1;111(7):3723-34. doi: 10.1182/blood-2007-09-114454. Epub 2008 Jan 9. PMID: 18184863; PMCID: PMC2275029.

In vivo study

1. Weisberg E, Banerji L, Wright RD, Barrett R, Ray A, Moreno D, Catley L, Jiang J, Hall-Meyers E, Sauveur-Michel M, Stone R, Galinsky I, Fox E, Kung AL, Griffin JD. Potentiation of antileukemic therapies by the dual PI3K/PDK-1 inhibitor, BAG956: effects on BCR-ABL- and mutant FLT3-expressing cells. Blood. 2008 Apr 1;111(7):3723-34. doi: 10.1182/blood-2007-09-114454. Epub 2008 Jan 9. PMID: 18184863; PMCID: PMC2275029.

7. Bioactivity
Biological target: NVP-BAG956 is a PI3K inhibitor with IC50s of 34, 56, 112 and 444 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively.

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In vitro activity

Treatment of MOLM14 for 24 hours with 250 and 500 nM BAG956 caused significant cell-cycle (G1) arrest (42.693% G1 in control; 80.748% G1 in 250 nM BAG956-treated; 77.981% G1 in 500 nM BAG956-treated; Figure S2A). Treatment of MOLM14 cells for 72 hours with 250 and 500 nM BAG956 led to an induction of apoptosis (93.2% viable/6.7% apoptotic control cells; 77.5% viable/22.4% apoptotic for 250 nM BAG956-treated cells; 63.9% viable/35% apoptotic for 500 nM BAG956-treated cells; Figure S3A). These data suggest that BAG956 is both cytostatic and cytotoxic in nature.

Reference: Blood. 2008 Apr 1;111(7):3723-34. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2275029/

In vivo activity

Effects of BAG956 were investigated in vivo alone (at a dose of 100 mg/kg) and in combination with nilotinib (at a dose of 20 mg/kg) using mice IV injected with 32D.p210-luc+ cells. Overall tumor burden, as assessed by measured levels of bioluminescence in vehicle- and drug-treated mice, was observed to be the lowest in the BAG956 (100 mg/kg) + nilotinib (20 mg/kg)-treated group, compared with mice treated with vehicle or either agent alone (Figure 6A,B). At the time of death, there was no significant difference in percentage of spleen/total weights observed between vehicle- and drug-treated mice (Figure S9). For the last imaging day (day 9 after intravenous injection), Student t test was used for statistical evaluation of differences in bioluminescence and yielded: $P \leqslant .049$ (vehicle vs nilotinib), $P \leqslant .595$ (vehicle vs BAG956), $P \leqslant .006$ (vehicle vs drug combination), $P \leqslant .006$ (drug combination vs nilotinib), and $P \leqslant .222$ (drug combination vs BAG956).

Reference: Blood. 2008 Apr 1;111(7):3723-34. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2275029/