

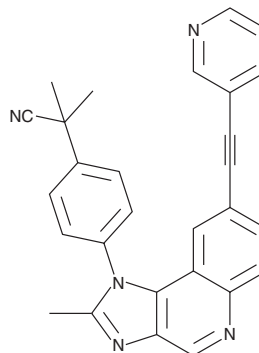
# PRODUCT INFORMATION



## NVP-BAG956

Item No. 24195

**CAS Registry No.:** 853910-02-8  
**Formal Name:**  $\alpha,\alpha$ -dimethyl-4-[2-methyl-8-[2-(3-pyridinyl)ethynyl]-1H-imidazo[4,5-c]quinolin-1-yl]-benzeneacetonitrile  
**Synonym:** BAG956  
**MF:**  $C_{28}H_{21}N_5$   
**FW:** 427.5  
**Purity:**  $\geq 98\%$   
**Supplied as:** A solid  
**Storage:**  $-20^{\circ}\text{C}$   
**Stability:**  $\geq 4$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

NVP-BAG956 is supplied as a solid. A stock solution may be made by dissolving the NVP-BAG956 in the solvent of choice, which should be purged with an inert gas. NVP-BAG956 is soluble in the organic solvent DMSO at a concentration of approximately 20 mg/ml.

### Description

NVP-BAG956 is a dual inhibitor of 3-phosphoinositide-dependent protein kinase 1 (PDK1;  $IC_{50} = 245$  nM) and class I phosphatidylinositol 3-kinase catalytic subunits (PI3Ks;  $IC_{50}$ s = 56, 446, 35, and 117 nM for p110 $\alpha$ , p110 $\beta$ , p110 $\delta$ , and p110 $\gamma$ , respectively).<sup>1</sup> It inhibits phosphorylation of T308-PKB ( $IC_{50} = 45$  nM) in and proliferation of U87MG cells ( $EC_{50} = 143$  nM). NVP-BAG956 decreases cell viability in a panel of human leukemia cell lines ( $IC_{50}$ s =  $<100$  nM).<sup>2</sup> It induces cell cycle arrest at the G<sub>1</sub> phase in MOLM-14 cells and reduces colony formation by patient-derived acute myeloid leukemia (AML) cells. NVP-BAG956 (75 mg/kg) reduces tumor burden in mice injected with 32D.p210-luc+cells when administered in combination with everolimus (Item No. 11597).

### References

1. Stauffer, F., Maira, S.M., Furet, P., *et al.* Imidazo[4,5-c]quinolines as inhibitors of the PI3K/PKB-pathway. *Bioor. Med. Chem. Lett.* **18**(3), 1027-1030 (2008).
2. Weisberg, E., Banerji, L., Wright, R.D., *et al.* Potentiation of antileukemic therapies by the dual PI3K/PDK-1 inhibitor, BAG956: Effects on BCR-ABL- and mutant FLT3-expressing cells. *Blood* **111**(7), 3723-3734 (2008).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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