

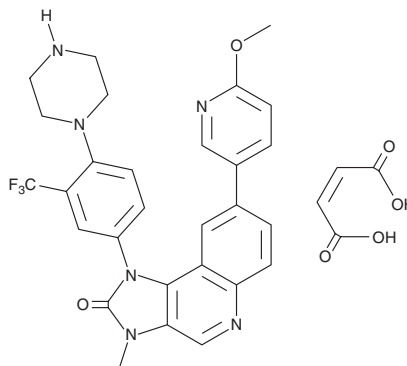
# PRODUCT INFORMATION



## NVP-BGT226

Item No. 22142

**CAS Registry No.:** 1245537-68-1  
**Formal Name:** 1,3-dihydro-8-(6-methoxy-3-pyridinyl)-3-methyl-1-[4-(1-piperazinyl)-3-(trifluoromethyl)phenyl]-2H-imidazo[4,5-c]quinolin-2-one, (2Z)-2-butenedioate  
**MF:**  $C_{28}H_{25}F_3N_6O_2 \cdot C_4H_4O_4$   
**FW:** 650.6  
**Purity:**  $\geq 98\%$   
**UV/Vis.:**  $\lambda_{max}$ : 273 nm  
**Supplied as:** A crystalline 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-BGT226 is supplied as a crystalline solid. A stock solution may be made by dissolving the NVP-BGT226 in the solvent of choice. NVP-BGT226 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of NVP-BGT226 in these solvents is approximately 5 mg/ml.

NVP-BGT226 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NVP-BGT226 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. NVP-BGT226 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

NVP-BGT226 is an orally bioavailable dual inhibitor of phosphatidylinositol 3-kinase (PI3K) and the mammalian target of rapamycin (mTOR).<sup>1</sup> It inhibits class I PI3Ks with  $EC_{50}$  values of 4, 63, and 38 nM for PI3K $\alpha$ ,  $\beta$ , and  $\gamma$  isoforms, respectively, in a filter binding assay. It binds to both class I and class III PI3Ks in a quantitative ELISA assay with  $EC_{50}$  values of 55.8 and 7.03 nM, for HsPI3K $\beta$  and HsVps34, respectively.<sup>2</sup> It decreases protein levels of phosphorylated mTOR and Akt, a downstream target of PI3K signaling.<sup>3</sup> NVP-BGT226 inhibits the growth of squamous cell carcinoma cell lines, HONE-1, and a variant of HONE-1 resistant to cisplatin (Item No. 13119) with  $IC_{50}$  values of 7.4-27.8, 22.6, and 30.1 nM, respectively.<sup>4</sup> It halts the cell cycle at the  $G_0/G_1$  phase and induces autophagy. NVP-BGT226 (2.5 and 5 mg/kg daily for three weeks) inhibits tumor growth in a FaDu head and neck cancer mouse xenograft model.

### References

1. Markman, B., Tabernero, J., Krop, I., et al. *Ann. Oncol.* **23**(9), 2399-2408 (2012).
2. Hassett, M.R., Sternberg, A.R., and Roepe, P.D. *Biochemistry* **56**(33), 4326-4334 (2017).
3. Baumann, P., Schneider, L., Mandl-Weber, S., et al. *Anticancer Drugs* **23**(1), 131-138 (2012).
4. Chang, K.-Y., Tsai, S.-Y., Wu, C.-M., et al. *Clin. Cancer Res.* **17**(22), 7116-7126 (2011).

#### 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.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
[WWW.CAYMANCHEM.COM](http://WWW.CAYMANCHEM.COM)