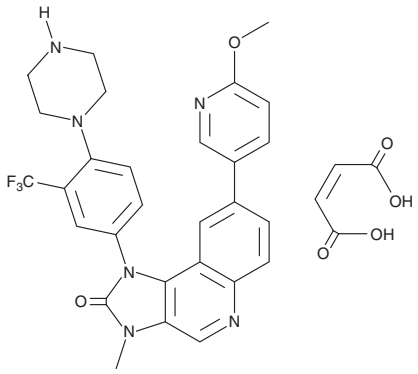
PRODUCT INFORMATION

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:	$C_{28}H_{25}F_3N_6O_2 \bullet C_4H_4O_4$
FW:	650.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 273 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥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).[1] It inhibits class I PI3Ks with EC_{50} values of 4, 63, and 38 nM for PI3Kα, β, and γ 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 HsPI3Kβ and HsVps34, respectively.[2] It decreases protein levels of phosphorylated mTOR and Akt, a downstream target of PI3K signaling.[3] 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.[4] 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).

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