

Product Data Sheet

Product Name: NVP-BGT226

Cat. No.: GC16145

Chemical Properties

Cas. No. 1245537-68-1

Chemical Name (Z)-but-2-enedioic acid;8-(6-methoxypyridin-3-yl)-3-methyl-1-[4-piperazin-1-yl-3-(trifluoromethyl)phenyl]imidazo[4,5-c]quinolin-2-one

SMILES CN1C2=CN=C3C=CC(=CC3=C2N(C1=O)C4=CC(=C(C=C4)N5CCNCC5)C(F)(F)F)C6=CN=C(C=C6)OC.C(=CC(=O)O)C(=O)O

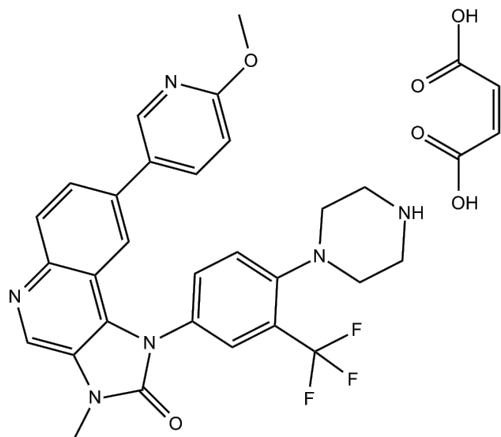
Formula $C_{28}H_{25}F_3N_6O_2 \cdot C_4H_4O_4$ M.Wt 650.6

Solubility $\geq 16.275\text{mg/mL}$ in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

BGT226 (NVP-BGT226) is a novel inhibitor of PI3K/mTOR for PI3K $\alpha/\beta/\gamma$ with IC₅₀ of 4 nM/63 nM/38 nM. [1]

The phosphatidylinositol-3-kinase (PI3K) pathway is one of the most commonly activated signaling

Caution: Product has not been fully validated for medical applications. For research use only.

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pathways in pancreatic cancer and is a target of interest for new therapeutic approaches.

NVP-BGT226 is a novel dual class PI3K/mammalian target of rapamycin (mTOR) inhibitor. When used NVP-BGT226, cell viability decreased within 24-72 h after exposure to about 50% compared to untreated control cells in a concentration- but not time-dependent manner. [1] The dual PI3K/mTOR inhibitor NVP-BGT226 induces G0/G1 arrest and acts partially via downregulation of Survivin. NVP-BGT226 potently inhibited growth activity of cell lines, including SCC4, TU183 and KB cell lines with the IC50 ranging from 7.4 to 30.1 nM. [2]

In a FaDu cell xenografted mouse model, BGT226 inhibited tumor growth in a dose-dependent manner in a FaDu cell xenografted mouse model. Oral administration of BGT226 at 2.5 and 5 mg/kg for 3 weeks caused 34.7% and 76.1% reduction of the tumor growth on day 21, respectively (compared with control). BGT226 displayed comparable inhibition against tumor growth to rapamycin. The final volume of both groups was significantly smaller than those treated with LY294002 or the control. [2]

References:

[1]. Glienke, W, et al. The dual PI3K/mTOR inhibitor NVP-BGT226 induces cell cycle arrest and regulates Survivin gene expression in human pancreatic cancer cell lines. *TUMOR BIOLOGY*, 2014, 33(3): 757-765.

[2]. Chang KY, et al. Novel phosphoinositide 3-kinase/mTOR dual inhibitor, NVP-BGT226, displays potent growth-inhibitory activity against human head and neck cancer cells in vitro and in vivo. *Clin Cancer Res*, 2011, 17(22): 7116-7126.

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