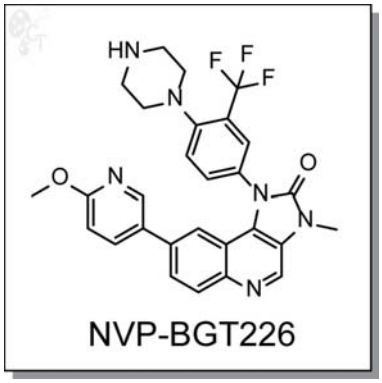
Product Specification Sheet

Product Name: NVP-BGT226

Catalog Number: C2482



Technical information:

Chemical Formula:	$C_{28}H_{25}F_3N_6O_2.C_4H_4O_4$
CAS #:	1245537-68-1
Molecular Weight:	650.6
Purity:	> 98%
Appearance:	White
Solubility:	Soluble in DMSO up to 22 mM
Chemical Name:	8-(6-methoxypyridin-3-yl)-3-methyl-1-(4-(piperazin-1-yl)-3-(trifluoromethyl)phenyl)-1H-imidazo[4,5-c]quinolin-2(3H)-one Maleic acid
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.154mL of DMSO for each mg of NVP-BGT226
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

NVP-BGT226 is a novel orally bioavailable dual PI3K/mTOR inhibitor. It selectively inhibits PI3K and both mTOR complexes mTORC1 and mTORC2, resulting in nearly complete phosphorylation-inhibition of P70S6 and 4E-BP1.

BGT226 demonstrated excellent cellular activities in inhibiting proliferations (IC50: 7-30 nM) of many tested cell lines. Notably, cells that express PIK3CA mutation H1047R are still sensitive to the growth-inhibition of BGT226. Flow cytometric analysis shows accumulation of cells in the G0-G1 phase with concomitant loss in the S-phase. BGT226 induces apoptosis or autophagy of some cancer cells at IC50 less than 25nM. In animal models, BGT226 significantly delays/inhibits tumor growth in a dose-dependent manner. BGT-226 represents a potential candidate for cancer therapy. It has entered phaseI/II clinical trials for treatment of advanced solid tumors (including breast cancer) [1-4].

Reference:

1. Badura S, et al. Poster Abstracts. Differential Suppressive Effects of Selective PI3K and mTOR and Dual PI3K/mTORC1/C2 Inhibition on Long-Term Cultured Primary Human Acute Lymphoblastic Leukemia (ALL) Cells Implicate a Distinct Role of mTORC2 https://ash.confex.com/ash/2010/webprogram/Paper33127.html Pubmed ID:
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):7116-26. Pubmed ID: 21976531
3. Baumann P, et al. Simultaneous targeting of PI3K and mTOR with NVP-BGT226 is highly effective in multiple myeloma. Anticancer Drugs. 2012. 23(1):131-8. Pubmed ID: 21959532
4. http://clinicaltrials.gov/ct2/show/NCT00600275 Pubmed ID:

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