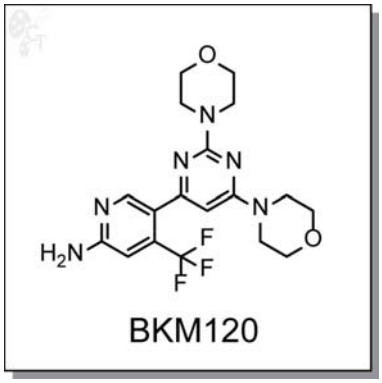
# Product Specification Sheet

**Product Name:** NVP-BKM120

**Catalog Number:** C2561




## Technical information:

| | |
|---|---|
| Chemical Formula: | $C_{18}H_{21}F_3N_6O_2$ |
| CAS #: | 944396-07-0 |
| Molecular Weight: | 410.39 |
| Purity: | > 98% |
| Appearance: | White |
| Solubility: | Soluble in DMSO up to 100 mM |
| Chemical Name: | 5-(2,6-dimorpholinopyrimidin-4-yl)-4-(trifluoromethyl)pyridin-2-amine |
| 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 0.244mL of DMSO for each mg of NVP-BKM120
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

## Biological Activity:

BKM120 is a potent and highly specific pan-class I PI3K inhibitor. It exhibits 50-300nM activity for class I PI3Ks, including the most common p110α mutants. It is less potent against class III and class IV PI3Ks. In addition, it shows no significant inhibition against related kinases, including VPS34, mTOR, DNAPK and PI4K [1].

Abnormal activation of the PI3K-AKT-mTOR pathway is frequently observed in many types of cancer. Targeting the PI3K-AKT-mTOR pathway could arrest tumor growth and induce cell death in cancers. KM120 alone or in combination with other agents induced apoptosis and inhibit proliferation (IC50 of 0.15-0.7nM) in a broad range of PI3K deregulated tumor cells. Inhibition of PI3K by BMK120 together with STAT3 blockade induces apoptosis synergistically in gastric cancer cells harboring mutated KRAS but not in KRAS wild-type cells. BKM120 treatment results in significantly reduced tumor volume and prolonged survival in mouse models [1-4]. BKM120 is currently being investigated in Phase I and II clinical trials in advanced solid tumor patients as a single agent as well as in combination with other agents.

## Reference:


1. Burger, MT, et al Identification of NVP-BKM120 as a Potent, Selective, Orally Bioavailable Class I PI3 Kinase Inhibitor for Treating Cancer. ACS Med. Chem. Lett., 2011, 2 (10), pp 774–779.
2. Koul D, et el. Antitumor activity of NVP-BKM120--a selective pan class I PI3 kinase inhibitor showed differential forms of cell death based on p53 status of glioma cells. Clin Cancer Res. 2012. 18(1):184-95. Pubmed ID: 22065080
3. Zheng Y, et al. Novel phosphatidylinositol 3-kinase inhibitor NVP-BKM120 induces apoptosis in myeloma cells and shows synergistic anti-myeloma activity with dexamethasone. J Mol Med (Berl). 2012. 90(6):695-706. Pubmed ID: 22207485
4. Park E, et al. NVP-BKM120, a novel PI3K inhibitor, shows synergism with a STAT3 inhibitor in human gastric cancer cells harboring KRAS mutations. Int J Oncol. 2012. 40(4):1259-66. Pubmed ID: 22159814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