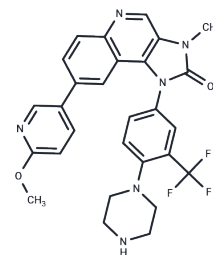


BGT226

## Chemical Properties

CAS No. : 915020-55-2  
 Formula: C<sub>28</sub>H<sub>25</sub>F<sub>3</sub>N<sub>6</sub>O<sub>2</sub>  
 Molecular Weight: 534.53  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
 Actual storage temperature shall be subject to the COA.



## Biological Description

|                            |   |
|----------------------------|---|
| Description                | BGT226 (NVP-BGT226) is a novel dual PI3K/mTOR inhibitor, targeting PI3K $\alpha$ , $\beta$ , and $\gamma$ with IC <sub>50</sub> values of 4 nM, 63 nM, and 38 nM, respectively.   |
| Targets(IC <sub>50</sub> ) | Autophagy,mTOR,PI3K   |
| In vitro                   | Growth inhibition experiment showed that BGT226 had antitumor activity. BGT226 significantly inhibited cell growth with IC <sub>50</sub> < 20 nM. BGT226 also induced cancer cell apoptosis at nanomolar concentration, IC <sub>50</sub> $\leq$ 25 nM[1][2][3]. |
| In vivo                    | BGT226 significantly delayed tumor growth on the transplanted tumor animal model. This effect was dose-dependent, accompanied by inhibition of p-p70 S6 kinase cytoplasmic expression and autophagy body formation[2].  |

## Solubility Information

|                     |  |
|---------------------|--|
| Solubility          | DMSO: 65 mg/mL (121.6 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble)  |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.87 mM),Sonication is recommended.<br><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

### Preparing Stock Solutions

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|       | 1mg       | 5mg       | 10mg      |
|-------|-----------|-----------|-----------|
| 1 mM  | 1.8708 mL | 9.354 mL  | 18.708 mL |
| 5 mM  | 0.3742 mL | 1.8708 mL | 3.7416 mL |
| 10 mM | 0.1871 mL | 0.9354 mL | 1.8708 mL |
| 50 mM | 0.0374 mL | 0.1871 mL | 0.3742 mL |

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Markman B , Taberero J , Krop I , et al. Phase I safety, pharmacokinetic, and pharmacodynamic study of the oral phosphatidylinositol-3-kinase and mTOR inhibitor BGT226 in patients with advanced solid tumors[J]. Annals of Oncology Official Journal of the European Society for Medical Oncology, 2012, 23(9):2399.

2. Chang K Y , Tsai S Y , Wu C M , 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[J]. Clinical Cancer Research, 2011, 17(22):7116-7126.

Baumann P , Schneider L , Mandl-Weber S , et al. Simultaneous targeting of PI3K and mTOR with NVP-BGT226 is highly effective in multiple myeloma.[J]. Anticancer Drugs, 2012, 23(1):131-138.

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