

BS-181

## Chemical Properties

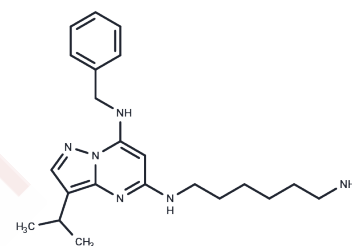
CAS No. : 1092443-52-1

Formula: C<sub>22</sub>H<sub>32</sub>N<sub>6</sub>

Molecular Weight: 380.53

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                | BS-181 is a highly selective CDK7 inhibitor (IC <sub>50</sub> : 21 nM); >40-fold selective for CDK7 than CDK1/2/4/5/6/9.   |
| Targets(IC <sub>50</sub> ) | Apoptosis,CDK  |
| In vitro                   | BS-181 promotes cell cycle arrest and inhibits cancer cell growth, and growth is inhibited for all cell lines tested, with IC <sub>50</sub> values ranging from 11.5 to 37 μM. BS-181 inhibits RB phosphorylation at Ser795 and Ser821 with an apparent IC <sub>50</sub> of 15 μM, similar to the IC <sub>50</sub> obtained for P-Ser2 inhibition. BS-181 treatment of MCF-7 cells leads to G1 arrest and apoptosis[1]. BS-181 inhibits GC cell and normal gastric epithelial RGM-1 cell line growth with inhibitory concentration (IC <sub>50</sub> ) ranging from 17 to 22 μM and 6.5 μM, respectively. BS-181 significantly inhibits cell migration and invasion ability in a dose-dependent manner[2]. |
| In vivo                    | BS-181 (5 mg/kg, 10 mg/kg, i.p.) inhibits the growth of MCF-7 tumors in nude mice. Intravenous (i.v) and i.p administration of 10 mg/kg BS-181 shows rapid clearance[1]. BS-181 (10 mg/kg/d or 20 mg/kg/d, i.p.) significantly inhibits the growth of tumor in a dose-dependent manner compared to the control group[2].   |
| Kinase Assay               | In vitro kinase inhibition.: Inhibition of CDK7 activity is measured by incubation of increasing amounts of BS-181 with purified recombinant CDK7/CycH/MAT1 complex, followed by measurement of free ATP remaining in the reaction using a luciferase assay, luciferase activity therefore providing a measure of inhibition of CDK7 activity for the determination of IC <sub>50</sub> .  |
| Cell Research              | Cell viability is detected using Cell Counting Kit (CCK-8 kit) according to supplier's introductions. Briefly, BGC823 cells are seeded at 10 <sup>4</sup> cells per well for 48 hours with or without BS-181. Then, the absorbance is detected at 450 nm (reference at 650 nm) in each well.   |

## Solubility Information

|            |  |
|------------|--|
| Solubility | DMSO: 10 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 (2.63 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

|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.6279 mL | 13.1396 mL | 26.2791 mL |
| 5 mM  | 0.5256 mL | 2.6279 mL  | 5.2558 mL  |
| 10 mM | 0.2628 mL | 1.314 mL   | 2.6279 mL  |
| 50 mM | 0.0526 mL | 0.2628 mL  | 0.5256 mL  |

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

Cancer Res. 2009 Aug 1;69(15):6208-15. doi: 10.1158/0008-5472.CAN-09-0301. Epub 2009 Jul 28.  
 Zhang G M, Huang S S, Ye L X, et al. Reciprocal positive regulation between BRD4 and YAP in GNAQ-mutant uveal melanoma cells confers sensitivity to BET inhibitors. Pharmacological Research. 2022: 106464.  
 Wang BY, et al. Selective CDK7 inhibition with BS-181 suppresses cell proliferation and induces cell cycle arrest and apoptosis in gastric cancer. Drug Des Devel Ther. 2016 Mar 16;10:1181-9.

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