

产品名称: **BS-181 (hydrochloride)**

产品别名: **BS-181 HCl**

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| 生物活性: | | | | | |
| Description | BS-181 hydrochloride is a highly selective CDK7 inhibitor with IC₅₀ of 21 nM, and > 40-fold selective for CDK7 than CDK1, 2, 4, 5, 6, or 9. | | | | |
| IC ₅₀ & Target | CDK7/CycH/MAT1 | CDK2/Cyc E | CDK5/p35NCK | CDK9/cycT | CDK1/cycB |
| | 0.021 μM (IC ₅₀) | 0.88 μM (IC ₅₀) | 3 μM (IC ₅₀) | 4.2 μM (IC ₅₀) | 8.1 μM (IC ₅₀) |
| | CDK4/Cyc D1 | CDK6/cycD1 | | | |
| | 33 μM (IC50) | 47 μM (IC50) | | | |
| In Vitro | BS-181 promotes cell cycle arrest and inhibits cancer cell growth, and growth is inhibited for all cell lines tested, with IC50 values ranging from 11.5 to 37 μM. BS-181 inhibits RB phosphorylation at Ser795 and Ser821 with an apparent IC50 of 15 μM, similar to the IC50 obtained for P-Ser2 inhibition. BS-181 treatment of MCF-7 cells leads to G1 arrest at and apoptosis[1]. BS-181 inhibits GC cell and normal gastric epithelial RGM-1 cell line growth with inhibitory concentration (IC50) 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]. | | | | |
| References | <p>[1]. Ali S et al. The development of a selective cyclin-dependent kinase inhibitor that shows antitumor activity. Cancer Res. 2009 Aug 1;69(15):6208-15.</p> <p>[2]. 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.</p> | | | | |
| 实验参考: | | | | | |
| Cell Assay | Cell viability is detected using Cell Counting Kit (CCK-8 kit) according to supplier's introductions. Briefly, BGC823 cells are seeded at 10 ⁴ 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. [2] | | | | |
| Animal Administration | In total, 5×10 ⁶ BGC823 cells (0.1 mL) are injected subcutaneously into the flank of the mice. Tumor measurements are performed two times per week, and volumes are calculated using the formula: tumor size=(length ×width ²)/2. Finally, 30 mice (tumor volume 100-200 mm ³) are selected and randomly assigned into three groups. As previously described, BS-181 is prepared in 10% dimethyl sulfoxide/50 mM HCl/5% Tween 20/85% saline. Micereceive BS-181 injection (ip) twice daily at indicated doses (BS-181 [10 mg/kg/d or 20 mg/kg/d] or Roscovitine [20 mg/kg/d]) for a total of 14 days. Control mice are injected with vehicles. Animal weights and tumor volume are measured each day throughout the 14-day treatment. In addition, all rats are kept for another 30 days for survival observation. Mice are injected intraperitoneally twice daily with BS-181 at 5 mg/kg or 10 mg/kg. [2] | | | | |
| References | <p>[1]. Ali S et al. The development of a selective cyclin-dependent kinase inhibitor that shows antitumor activity. Cancer Res. 2009 Aug 1;69(15):6208-15.</p> <p>[2]. 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.</p> | | | | |