BS-181 dihydrochloride

Cat. No.: HY-110368

CAS No.: 1883548-83-1

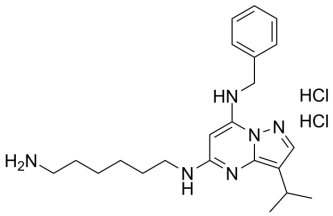
Molecular Formula: $C_{22}H_{34}Cl_2N_6$

Molecular Weight: 453.45

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BS-181 dihydrochloride is a potent and selective CDK7 inhibitor (IC_{50}=21 nM) than Seliciclib (HY-30237). BS-181 is also against CDK2, CDK5 and CDK9 with IC_{50} values of 880 nM, 3000 nM and 4200 nM, respectively (fails to block CDK1, 4 and 6). BS-181 dihydrochloride inhibits a panel of cancer cells growth (IC_{50}=11.5 μM-37.3 μM) and induces cell apoptosis. BS-181 dihydrochloride has the potential for the research of cancer therapy[1][2].

IC_{50} & Target

CDK7	CDK2	CDK5	CDK9
21 nM (IC_{50})	880 nM (IC_{50})	3000 nM (IC_{50})	4200 nM (IC_{50})

In Vitro

BS-181 dihydrochloride (0-40 μM; 72 hours) inhibits cancer cells growth, it is against Breast cancer cell lines growth with IC_{50} values ranging from 15.1 μM to 20 μM, it is against Colorectal cancer cell lines growth with IC_{50} values ranging from 11.5 μM to15.3 μM and is against lung, osteosarcoma, prostate and liver cancer cell lines with IC_{50} values ranging from 11.5 μM to 37.3 μM, respectively[1].

BS-181 dihydrochloride (0-50 μM; 4 hours) shows inhibition of phosphorylation of the RNA polymerase II C-terminal domain (CTD) at serine 5 (P-Ser5). It down-regulates CDK4 and cyclin D1 expression while does not effect other CDKs and cyclins[1].

BS-181 dihydrochloride (0-50 μM; 24 hours) shows an increase in cells in G1, accompanied by a reduction in cell numbers in S and G2/M at low concentrations. At higher concentrations, however, cells accumulates in the sub-G1, indicative of apoptosis[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Breast cancer cell line: MCF-7, MDA-MB-231, T47D, ZR-75-1, etc Colorectal cancer cell line: COLO-205, HCT-116, HCT-116 ($p53^{-/-}$) Lung cancer cell line: A549, NCI-460 Osteosarcoma cancer cell line: U2OS, SaOS2 Prostate cancer cell line: PC3, LNCaP
Concentration:	0-40 μM
Incubation Time:	72 hours
Result:	Had anti-proliferative activities against a panel of cell lines, including breast, lung, prostate and colorectal cancer.

Western Blot Analysis[1]

Cell Line:	Breast cancer cell line: MCF-7 cells
Concentration:	0 μM; 25 μM; 50 μM
Incubation Time:	4 hours
Result:	Inhibited phosphorylation of CDK7 substrates.

Apoptosis Analysis[1]

Cell Line:	Breast cancer cell line: MCF-7 cells
Concentration:	0 μM; 25 μM; 50 μM
Incubation Time:	24 hours
Result:	Led cells to G1 arrest and apoptosis.

In Vivo

BS-181 dihydrochloride (intraperitoneal injection; 10 mg/kg, 20 mg/kg; single dose) is well tolerated in mice without apparent weight altering[1].

BS-181 dihydrochloride (intraperitoneal injection; 5 mg/kg or 10 mg/kg twice daily; total daily doses of 10 mg/kg or 20 mg/kg; 14 days) inhibitstumor growth in a dose-dependent manner. Tumor growth exhibits 25% and 50% reduction compared with the control group, for 10 mg/kg/day and 20 mg/kg/day, respectively[1].

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Animal Model:	7-week old female nu/nu-BALB/c athymic nude mice with MCF-7 cells[1]
Dosage:	5 mg/kg or 10 mg/kg; 10 mg/kg or 20 mg/kg
Administration:	Intraperitoneal injection; twice daily or once total daily; 14 days
Result:	Inhibited tumor growth significantly.

CUSTOMER VALIDATION

- Theranostics. 2017 Apr 20;7(7):1914-1927.
- Cell Rep. 2017 Dec 5;21(10):2796-2812.
- Biochem Biophys Res Commun. 2019 Jun 11;513(4):967-973.

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REFERENCES

[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.

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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