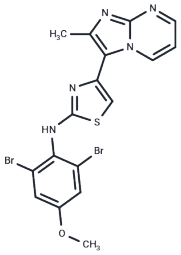
# Data Sheet (Cat.No.T2345)

TargetMol

PTC-209

## Chemical Properties



CAS No. : 315704-66-6

Formula: C17H13Br2N5OS

Molecular Weight: 495.19

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

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|---|---|
| Description | PTC-209 is a potent and selective BMI-1 inhibitor. |
| Targets(IC50) | BMI-1,Autophagy |
| In vitro | PTC-209 effectively reduces the frequency of functional colorectal cancer cancer-initiating cells (CICs) in vivo. Administered at a dosage of 60 mg/kg/day subcutaneously (s.c.), PTC-209 significantly inhibits the production of BMI-1 in tumor tissues and halts the growth of pre-established tumors in mice with primary human colorectal cancer xenografts, as well as in xenografts from human colorectal cancer cell lines LIM1215 or HCT116. |
| In vivo | PTC-209 irreversibly inhibits the growth of colorectal cancer initiating cells (CIC) by targeting BMI-1, resulting in the destruction of these cells. It suppresses UTR-mediated reporter gene expression and endogenous BMI-1 expression in human colorectal HCT116 cells and human fibrosarcoma HT1080 tumor cells. Furthermore, PTC-209 reduces the growth of rectal tumor cells in a BMI-1 dependent manner. |
| Kinase Assay | Untranslated region-mediated luciferase reporter expression: HEK293 cells are transfected with a GEMS reporter vector that contains the luciferase open-reading frame flanked by and under post-transcriptional control of the BMI-1 5′ and 3′ UTRs. The resulting stable cells (F8) are treated with PTC-209 or vehicle control overnight, and then luciferase reporter activity is determined using Bright-Glo assays. The assays are run in triplicate for each point, and the percentage of inhibition was calculated against vehicle control. |
| Cell Research | To determine whether pretreatment with the inhibitor affects tumor cell growth, cells are plated with the inhibitor for 4 d in vitro and plated in limiting doses in vitro without adding further inhibitor. Trypan blue exclusion is used to count viable cells. The in vitro sphere-initiating cell frequency is calculated after inhibitor treatment by evaluating the number of wells containing spheres. For the experiments where LDAs are set up following recovery of PTC-209 treated cells, 6-well plates were seeded with 1E6 cells per well and incubated overnight. Cells are subsequently treated for 4 d in triplicate with either DMSO vehicle or PTC-209 (0.01, 0.1, 1 and 10 μM). Drug treatments are washed off and 4 mL fresh suspension medium added to all wells. To assess cell viability following the 4 d treatment window, cells are trypsinized and counted at 0, 24, 72 and 120 h after removal of the drug. Long-lasting effects of the drug treatment on sphere-forming |

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| Cell Research | ability are assessed by plating LDAs (50,000, 10,000, 1,000,100, 10 and 1 cell per well) using the cells obtained 120 h after the 4-d drug treatment.(Only for Reference) |

## Solubility Information

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|---|---|
| Solubility | Ethanol: 9.9 mg/mL (19.99 mM),Sonication is recommended.<br>DMSO: 50 mg/mL (100.97 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.0194 mL | 10.0971 mL | 20.1943 mL |
| 5 mM | 0.4039 mL | 2.0194 mL | 4.0389 mL |
| 10 mM | 0.2019 mL | 1.0097 mL | 2.0194 mL |
| 50 mM | 0.0404 mL | 0.2019 mL | 0.4039 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

Kreso A, et al. Nat Med. 2014, 20(1), 29-36.
Xu J, Zhang Y, Xu J, et al. Reversing tumor stemness via orally targeted nanoparticles achieves efficient colon cancer treatment. Biomaterials. 2019: 119247.