

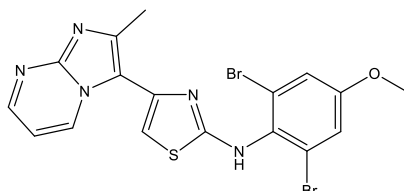
**Catalog # 10-4022**

**PTC-209**

CAS# 315704-66-6

N-(2,6-Dibromo-4-methoxyphenyl)-4-(2-methylimidazol[1,2-a]pyrimidin-3-yl)-2-thiazolamine

Lot # FBS2137



PTC-209 is an inhibitor of BMI-1 (IC<sub>50</sub> = 500 nM), part of the polycomb repressive complex 1 (PCR1).<sup>1</sup> It inhibited endogenous BMI-1 expression in human colorectal HCT116 and human fibrosarcoma HT1080 tumor cells resulting in reduced tumor volume as well as reduced cancer initiating cell (CIC) number. PTC-209 displayed potent in vivo antitumor effects in several cancer models including breast<sup>2</sup>, head and neck<sup>3</sup>, glioblastoma<sup>4</sup>, prostate<sup>5</sup>, colon<sup>5</sup>, and rhabdomyosarcoma<sup>6</sup>. It also promoted chemically-induced direct cardiac reprogramming of cardiac fibroblasts into cardiac myocytes.<sup>7,8</sup>

- 1) Kreso *et al.* (2013), *Self-renewal as a therapeutic target in human colorectal cancer*; Nat. Med. **20** 29
- 2) Srinivasan *et al.* (2017), *Downregulation of Bmi1 in breast cancer stem cells suppresses tumor growth and proliferation*; Oncotarget. **8** 38731
- 3) Wang *et al.* (2017), *Pharmacological inhibition of Bmi1 by PTC-209 impaired tumor growth in head neck squamous cell carcinoma*; Cancer Cell Int. **17** 107
- 4) Kong *et al.* (2018), *Targeting of BMI-1 with PTC-209 inhibits glioblastoma development*; Cell Cycle **17** 1199
- 5) Elango *et al.* (2019), *Concurrent targeting of BMI1 and CDK4/6 abrogates tumor growth in vitro and in vivo*; Sci. Rep. **9** 13696
- 6) Shields *et al.* (2021), *Epigenetic regulator BMI1 promotes alveolar rhabdomyosarcoma proliferation and constitutes a novel therapeutic target*; Mol. Oncol. 10.1002/1878-0261.12914
- 7) Guo *et al.* (2019), *Chemical suppression of specific C-C chemokine signaling pathways enhances cardiac reprogramming*; J. Biol. Chem. **294** 9134
- 8) Testa *et al.* (2020), *Bmi1 inhibitor PTC-209 promotes Chemically-induced Direct Cardiac Reprogramming of cardiac fibroblasts into cardiomyocytes*; Sci. Rep. **10** 7129

**PHYSICAL DATA**

Molecular Weight: 495.19  
Molecular Formula: C<sub>17</sub>H<sub>13</sub>Br<sub>2</sub>N<sub>5</sub>OS  
Purity: >98% by HPLC  
NMR: (Conforms)  
Solubility: DMSO (>25 mg/ml)  
Physical Description: Yellow solid  
Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**