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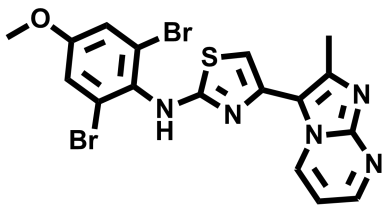
BMI-1 Inhibitor – PTC-209

Chemical Name: N-(2,6-dibromo-4-methoxyphenyl)-4-(2-methylimidazo[1,2-a]pyrimidin-3-yl)thiazol-2-amine



Molecular Weight:	495.19
Formula:	$C_{17}H_{13}Br_2N_5OS$
Purity:	≥98%
CAS#:	315704-66-6
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

PTC-209 is a novel potent and selective BMI-1 inhibitor, targeting the BMI-1 self-renewal machinery with an IC_{50} of ~0.5 μM. Tumor formation and, more specifically, human colorectal cancer-initiating cells (CIC) are dependent on the canonical self-renewal regulator BMI-1. Down-regulation of BMI-1 inhibits the ability of colorectal CICs to self-renew, resulting in the abrogation of their tumorigenic potential. Treatment of primary colorectal cancer xenografts with the small-molecule BMI-1 inhibitor PTC-209 resulted in colorectal CIC loss with long-term and irreversible impairment of tumor growth. Targeting the BMI-1 self-renewal machinery represents a promising approach to the elimination of many cancer stem (and initiating) cells.

How to Use:

In vitro: PTC-209 was used at 0.5-1 μM final concentration in various in vitro assays.

In vivo: PTC-209 was administered subcutaneously once a day at a dose of 60 mg/kg in primary human colon cancer xenograft models in nude mice.

Reference:

1. Kreso A, et al. Self-renewal as a therapeutic target in human colorectal cancer. (2014) Nat Med. (1):29-36.