AMI-1 is a potent, cell-permeable compound which inhibits protein arginine N-methyltransferases (PRMTs), including human PRMT1 (IC50 = 8.8μM) and yeast-Hmt1p (IC50 = 3.0μM), by blocking peptide-substrate binding. IC50 value: 8.8μM (human PRMT1), 3.0μM (yeast-Hmt1p)

**Target:** human PRMT1, yeast-Hmt1p

**in vitro:** AMI-1 suppresses the transcriptional coactivator activity of PRMT1 and PRMT4 and it inhibits HIV-1 RT polymerase (IC50 = 5.0μM). PRMT1 methylates histone H4, and is essential for other subsequent histone modifications.[1] AMI-1 is the most active nonpeptidic inhibitor reported to be selective against PRMT1. AMI-1 is a selective PRMT inhibitor with a bisanionic structure that is related to compounds known to generate pleiotropic interactions with many proteins, should be further optimized before exploring additional binding pockets. [2]

**in vivo:** AMI-1 is administered intranasally to chronic AIPI rats to determine PRMT effects on asthmatic parameters. AMI-1 inhibited the expression of COX2 in TGF-β-stimulated cells. AMI-1 administered to AIPI rats reduced COX2 production and humoral immune response, and it abrogated mucus secretion and collagen generation.[1]

**PROTOCOL (Extracted from published papers and Only for reference)**

Cell assay [3] INS-1 cells were grown in a humidified atmosphere containing 95 % air and 5 % CO2 in RPMI-1640 medium containing 11.1 mM glucose, 10 % FBS, 1 mM pyruvate, 10 mM HEPES, 50 IM 2-mercaptoethanol, 100 U/mL penicillin, and 100 lg/mL streptomycin. Cells were then transfected with siPRMT1 or the indicated plasmid, and subsequently cultured in RPMI-1640 medium containing 5.6 or 25 mM glucose (5.6 G and 25 G, respectively) and/or 100 IM AMI-1. For transfection with siPRMT1 (target sequence 50-CCAACGCCTGCCTCATAAA-30) or pALTER- FOXO1, INS-1 cells grown in 6-well plates were transfected using Lipofectamine 2000, and the media were replaced 6 h after transfection. Seventy-two hours after transfection, the cells were treated with 25 mM glucose and/or AMI-1 (100 IM) for an additional 48 h, and then harvested for the assays described below. Animal administration [1] For the AMI-1 treatment experiment, 24 rats were divided into three groups: control group, chronic AIPI group, and AMI-1 group. Two weeks after sensitization, control group rats were sham sensitized and exposed to the same volume of PBS. In the AMI-1 group, rats were administered 50μl AMI-1 at a concentration of 0.1 mg/ml in PBS 2 h before OVA challenge. The asthma index included serum levels of OVA-specific IgG1 and total serum IgE, which were determined by ELISA as described in previous studies.

**References:**


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

Tel: 609-228-6898    Fax: 609-228-5909    E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA