Data Sheet

Product Name:	Piclidenoson
Cat. No.:	CS-5048
CAS No.:	152918-18-8
Molecular Formula:	$C_{18}H_{19}IN_6O_4$
Molecular Weight:	510.29
Target:	Adenosine Receptor; Apoptosis
Pathway:	Apoptosis; GPCR/G Protein
Solubility:	DMSO : ≥ 45 mg/mL (88.19 mM)
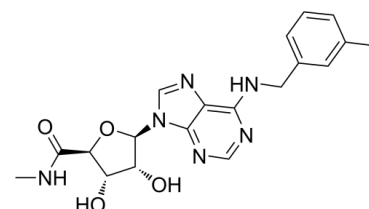
BIOLOGICAL ACTIVITY:

Piclidenoson (IB-MECA) is a first-in-class, orally active and selective **A3 adenosine receptor (A3AR)** agonist. Piclidenoson exhibits antiproliferative effect and induces **apoptosis** in different cancer cell types like melanoma, leukemia. Piclidenoson can be used for the research of autoimmune inflammatory diseases and COVID-19[1][2][3][4]. IC50 & Target: A3AR[2] *In Vitro:* Piclidenoson is able to inhibit Forskolin (HY-15371)-stimulated cAMP levels with EC_{50}s of 0.82 µM and 1.2 µM in OVCAR-3 cells and Caov-4 cells, respectively[2].

Piclidenoson (0.0001-100 µM; 48 hours) significantly reduces cell viability in a dose-dependent manner in human ovarian cancer cell lines, with IC_{50}s of 32.14 µM and 45.37 µM for OVCAR-3 and Caov-4 cells, respectively[2].

Piclidenoson (0.001-100 µM; 48 hours) induces apoptosis in ovarian cancer cell line through the caspase pathway[2].

Piclidenoson induces apoptosis via the mitochondrial signaling pathway[2].

In Vivo: Piclidenoson (105 µg/kg; i.p.) enhances survival of γ-irradiated mice[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [2] Human ovarian cancer cell lines OVCAR-3 and Caov-4 were seeded 24 h prior to assay into six-well plates (5×105 cells/ml) and were grown as a confluent monolayer. The A3 adenosine receptor, Bcl-2, and Bax protein content were detected by western blot analysis. At first, the cells were treated with different concentrations (1-100 µM) of IBMECA and incubated for 48 h. For A3 adenosine receptor protein content assay, the cells were not treated with IBMECA. Then, cells were lysed in RIPA buffer (150 mM NaCl, 50mmol/l Tris-HCl, pH 8, 0.5%sodium deoxycholate, 1%Nonidet P-40, 1 mmol/l phenylsulfonylfluoride, 10 µg/ml aprotinin, 100 µmol/l sodium orthovanadate) for 2 h at 4°C. After centrifugation at 10,000g for 10 min, the supernatant was removed and the sediment was discarded. Equal amounts of proteins were applied to SDS-polyacrylamide gel forelectrophoresis and then transferred onto a PVDF membrane. The membrane was blocked with skim milk for 2 h and then incubated with primary antibodies for 2 h at room temperature. Then, the membrane was washed with PBS-T three times. After incubating with the required secondary antibody and rewashing three times, the signals were visualized by enhanced chemiluminescence. Animal administration [1] One hundred B10CBAF1 male mice aged 3 months and weighing on average 30 g were used. Piclidenoson was dissolved initially in DMSO, diluted in sterile saline, and administered intraperitoneally (i.p.) in a single dose of 105 lg/kg in a volume of 0.2 ml 0.5 h after irradiation. The final concentration of DMSO was 2 %. DMSO itself was shown to have radioprotective effects. Therefore, pertinent solvent containing 2% DMSO concentration administered 0.5 h after irradiation was used for control injections. Sterile saline was used for control injections. The control mice were administered two vehicle injections at the appropriate time intervals. The doses of the drugs were based on the earlier experience of the authors.

References:

[1]. Hofer M, et al. Agonist of the adenosine A3 receptor, IB-MECA, and inhibitor of cyclooxygenase-2, meloxicam, given alone or in a combination early after total body irradiation enhance survival of γ-irradiated mice. Radiat Environ Biophys. 2014 Mar;53(1):211-215.

[2]. Abedi H, et al. Mitochondrial and caspase pathways are involved in the induction of apoptosis by IB-MECA in ovarian cancer cell lines. Tumour Biol. 2014 Nov;35(11):11027-11039.

[3]. Shin Y, et al. Activation of Phosphoinositide Breakdown and Elevation of Intracellular Calcium in a Rat RBL-2H3 Mast Cell Line by Adenosine Analogs: Involvement of A(3)-Adenosine Receptors? Drug Dev Res. 1996 Sep 1;39(1):36-46.

[4]. Chandan Sarkar, et al. Potential Therapeutic Options for COVID-19: Current Status, Challenges, and Future Perspectives. Front Pharmacol. 2020; 11: 572870.

CAIndexNames:

β-D-Ribofuranuronamide, 1-deoxy-1-[6-[[(3-iodophenyl)methyl]amino]-9H-purin-9-yl]-N-methyl-

SMILES:

O[C@H]1[C@H](N2C=NC3=C(NCC4=CC=CC(I)=C4)N=CN=C23)O[C@H](C(NC)=O)[C@H]1O

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