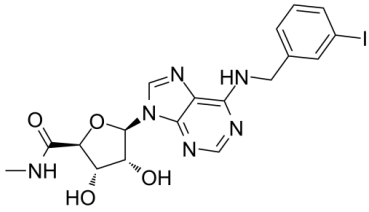
Data Sheet

Product Name:	Piclidenoson
Cat. No.:	CS-5048
CAS No.:	152918-18-8
Molecular Formula:	C18H19IN6O4
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; CF-101) is an agonist of the **adenosine A3 receptor** with **EC_{50}** values of 0.11 μM. IC50 value: 0.11 μM (EC50) [3] Target: adenosine A3 receptor in vitro: Piclidenoson has been shown to play important roles in cell proliferation and apoptosis in a variety of cancer cell lines. The Piclidenoson was capable of decreasing intracellular cyclic adenosine monophosphate (cAMP) that was the reason for the presence of functional A3 adenosine receptor on the cell lines. Piclidenoson significantly reduced cell viability in a dose-dependent manner. Piclidenoson, an A3AR agonist, inhibits the growth of different cancer cell types like melanoma, colon, breast, leukemia, and prostate Piclidenoson was able to inhibit forskolin-stimulated cAMP levels with an EC50 value of 0.82 μM in OVCAR-3 cells. Piclidenoson was able to inhibit forskolin-stimulated cAMP levels with an EC50 value of 1.2 μM in Caov-4 cells. in vivo: Administrations of single intraperitoneal doses of either Piclidenoson 0.5 h post-irradiation resulted in statistically significant increases of MST in comparison with the control irradiated mice.[2]

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.

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

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

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