Product Data Sheet

Product Name: 3-Deazaadenosine
Cat. No.: GC14713

Chemical Properties

Cas. No.	6736-58-9		
Chemical Name	(2R,3R,4S,5R)-2-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-5-(hydroxymethyl)tetrahydrofuran-3,4-diol		
SMILES	NC1=C2C(N(C=N2)[C@H]3[C@@H]([C@@H]([C@H](O3)CO)O)O)=CC=N1		
Formula	$C_{11}H_{14}N_4O_4$	M.Wt	266.25
Solubility	≥ 26.6mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	3-Deazaadenosine		

Protocol

Kinase experiment [1]:

Preparation Method	Prior to use, the [8-14C] adenosine was checked for purity using isocratic HPLC elution. The assay incubation mixture contained 0.4 IU of enzyme in 50 L. The metabolites were separated by thin-layer chromatography. The radioactivity was quantitated by cutting the plastic backed TLC plates and placing them in scintillation vials, and counting in a Packard 2000 CA scintillation counter

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Applications	IC50: 0.15 (HIV-1, A012 isolate), 0.20 μM (HIV-1, A018 isolate). 3-Deazaadenosine (hydrochloride) is an inhibitor of S-adenosylhomocysteine hydrolase, with a Ki of 3.9 μM.

Cell experiment [2]:

Cell lines	Mouse macrophage RAW 264.7
Preparation Method	RAW 264.7 cells were pretreated with or without 3-Deazaadenosine (100 μMM) for 1 h, and stimulated by the addition of LPS (1 μg/ml). After incubation for 1 h, the cells were washed, and p65 was recovered by immunoprecipitation with anti-p65 and protein A-Sepharose.
Reaction Conditions	0-100 μM 3-Deazaadenosine for 1 hour
Applications	3-Deazaadenosine (1-100 ?M) inhibits LPS-induced expression of TNF-α mRNA, increases DNA binding activity of NF-κB, and causes proteolytic degradation of IκBα, but Not IκBβ in RAW 264.7 cells. 3-Deazaadenosine (100 μM) enhances nuclear translocation of NF-κB, but blocks LPS-induced NF-κB transcriptional activity, and such inhibition is augmented by the addition of homocysteine.

Animal experiment [3]:

Animal models	Male, healthy Sprague–Dawley rats (300‿50 g)

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Preparation Method	Animals and balloon injury: rats were fed for 5 days prior and 14 days after the balloon injury with standard chow containing c3Ado at a concentration of 10 mg/kg 3-Deazaadenosine body weight.
Dosage form	10 mg/kg 3-Deazaadenosine for 5 days prior and 14 days after the balloon injury
Applications	3-deazaadenosine (c3Ado) inhibits atherogenesis in mice. Sprague Dawley rats underwent balloon angioplasty. C3Ado was administered orally, starting 5 days prior to the balloon injury and continued for 2 weeks. Fourteen days after balloon injury the intima/media ratio in the c3Ado-treated group was reduced by 67% and luminal stenosis by 50%. Neointimal cellular density was decreased by 25% and the induction of c-Jun and ki67 was markedly lower.

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References:

[1]. Gordon RK, Ginalski K, et,al. Anti-HIV-1 activity of 3-deaza-adenosine analogs. Inhibition of S-adenosylhomocysteine hydrolase and nucleotide congeners. Eur J Biochem. 2003 Sep;270(17):3507-17. doi: 10.1046/j.1432-1033.2003.03726.x. PMID: 12919315.

[2]. Sedding DG, Tr?bs M, et,al.3-Deazaadenosine prevents smooth muscle cell proliferation and neointima formation by interfering with Ras signaling. Circ Res. 2009 May 22;104(10):1192-200. doi: 10.1161/CIRCRESAHA.109.194357. Epub 2009 Apr 16. PMID: 19372464.

[3]. Seeger FH, Hess W, et,al.The nucleotide analogue 3-deazaadenosine prevents neointima-formation after balloon injury. Biochem Biophys Res Commun. 2009 Jan 23;378(4):826-31. doi: 10.1016/j.bbrc.2008.11.151. Epub 2008 Dec 12. PMID: 19070587.

Background

3-Deazaadenosine (hydrochloride) is an inhibitor of S-adenosylhomocysteine hydrolase,

with a Ki of 3.9 μM[1].

3-Deazaadenosine dose-dependently prevented the proliferation and migration of human coronary VSMCs in vitro. This was accompanied by an increased expression of the cyclin-dependent kinase inhibitors p21(WAF1/Cip1), p27(Kip1), a decreased expression of G(1)/S phase cyclins, and a lack of retinoblastoma protein hyperphosphorylation. [3]. In the mouse macrophage cell line, RAW264. S-Adenosylhomocysteine accumulated in cells incubated with 3-deazaaristeromycin while S-3-deazaadenosylhomocysteine was the major product in cells incubated with 3-Deazaadenosine and homocysteine thiolactone[4].200 microM 3-Deazaadenosine (c3Ado) prevented this TNF-induced increase in HEC adhesiveness. This effect resulted from interactions of 3-Deazaadenosine with HEC and not with polymorphonuclear neutrophils[5]. 3-Deazaadenosine (DZA), an adenosine analogue, prevented high methionine-induced ICAM-1 and VCAM-1 expression and collagen type-1 synthesis.in vitro 3-Deazaadenosine and CBS gene therapy successfully treated the HHcy-induced inflammatory reaction in the methionine metabolism pathway[6].

3-Deazaadenosine (c3Ado) inhibits atherogenesis in mice. Sprague Dawley rats underwent balloon angioplasty. 3-Deazaadenosine was administered orally, starting 5 days prior to the balloon injury and continued for 2 weeks. Fourteen days after balloon injury the intima/media ratio in the c3Ado-treated group was reduced by 67% and luminal stenosis by 50%. Neointimal cellular density was decreased by 25% and the induction of c-Jun and ki67 was markedly lower. Short-term administration of C3Ado inhibits neointima-formation in rats for at least 3 months after injury[7].

References:
[1]: Gordon RK, Ginalski K, et,al. Anti-HIV-1 activity of 3-deaza-adenosine analogs. Inhibition of S-adenosylhomocysteine hydrolase and nucleotide congeners. Eur J Biochem. 2003 Sep;270(17):3507-17. doi: 10.1046/j.1432-1033.2003.03726.x. PMID: 12919315.
[2]: Jeong SY, Ahn SG, et,al. 3-deazaadenosine, a S-adenosylhomocysteine hydrolase inhibitor, has dual effects on NF-kappaB regulation. Inhibition of NF-kappaB transcriptional activity and promotion of IkappaBalpha degradation. J Biol Chem. 1999 Jul 2;274(27):18981-8. doi: 10.1074/jbc.274.27.18981. PMID: 10383397.
[3]: Sedding DG, TrÖbs M, et,al. 3-Deazaadenosine prevents smooth muscle cell proliferation and neointima formation by interfering with Ras signaling. Circ Res. 2009

May 22;104(10):1192-200. doi: 10.1161/CIRCRESAHA.109.194357. Epub 2009 Apr 16. PMID: 19372464.
[4]: Backlund PS Jr, Carotti D, et,al. Effects of the S-adenosylhomocysteine hydrolase inhibitors 3-deazaadenosine and 3-deazaaristeromycin on RNA methylation and synthesis. Eur J Biochem. 1986 Oct 15;160(2):245-51. doi: 10.1111/j.1432-1033.1986.tb09963.x. PMID: 3769925.
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[6]: Sen U, Tyagi N, et,al. Cystathionine-beta-synthase gene transfer and 3-deazaadenosine ameliorate inflammatory response in endothelial cells. Am J Physiol Cell Physiol. 2007 Dec;293(6):C1779-87. doi: 10.1152/ajpcell.00207.2007. Epub 2007 Sep 13. PMID: 17855772.
[7]: Seeger FH, Hess W, et,al. The nucleotide analogue 3-deazaadenosine prevents neointima-formation after balloon injury. Biochem Biophys Res Commun. 2009 Jan 23;378(4):826-31. doi: 10.1016/j.bbrc.2008.11.151. Epub 2008 Dec 12. PMID: 19070587.

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