3-Deazaadenosine hydrochloride

基本信息:

Cat. No.: GM-2022131

CAS No.: 86583-19-9

分子式: C₁₁H₁₅CLN₄O₄

分子量:302.71

作用靶点:HIV

作用通路: Anti-infection

储存方式:

4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

溶解性数据——体外实验:

DMSO: 41.67mg/mL (137.66 mM; Need ultrasonic)

制备储备液	Concentration/ Solvent/Mass	1 mg	5 mg	10 mg
	1 mM	3.3035mL	16.5175 mL	33.0349 mL
	5 mM	0.6607 mL	3.3035 mL	6.6070 mL
	10 mM	0.3303 mL	1.6517 mL	3.3035 mL

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请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液;一旦配成溶液,请分装保存,避免反复冻融造成的产品失效。

储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 (sealed storage, away from moisture)。-80°C 储存时,请在6个月内使用,-20°C 储存时,请在1个月内使用。

溶解性数据——体内实验:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液,再依次添加助溶剂:

- ——为保证实验结果的可靠性,澄清的储备液可以根据储存条件,适当保存;
- ——体内实验的工作液,建议您现用现配,当天使用;
- ——以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比:
- ——如在配制过程中出现沉淀、析出现象,可以通过加热和/或超声的方式助溶。
 - 请依序添加每种溶剂: 10% DMSO 40% PEG300 5% Tween-80 45% saline Solubility: 2.08mg/mL (6.87 mM); Clear solution 此方案可获得 2.08mg/mL (6.87mM, 饱和度未知) 的澄清溶液。
 以1 mL工作液为例,取 100 μL 20.8mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300中,混合均匀;向上述体系中加入 50 μL Tween-80,混合均匀;然后继续加入 450 μL 生理盐水定容至 1 mL。
 - 2.请依序添加每种溶剂: 10% DMSO 90% (20% SBE- -CD in saline)

Solubility: 2.08mg/mL (6.87mM); Clear solution

此方案可获得 2.08 mg/mL (6.87mM, 饱和度未知)的澄清溶液。

以 1 mL 工作液为例,取 100 μ L 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μ L 20% 的 SBE - -C 生理盐水水溶液中,混合均匀。

3. 请依序添加每种溶剂: 10% DMSO 90% corn oil

Solubility: 2.08mg/mL (6.87 mM); Clear solution

此方案可获得 2.08 mg/mL (6.87mM, 饱和度未知)的澄清溶液,此方案不适用于实验 周期在半个月以上的实验。

以 1 mL 工作液为例,取 100 μ L 20.8mg/mL 的澄清 DMSO 储备液加到 900 μ L 玉米油中,混合均匀。

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BIOLOGICAL ACTIVITY

生物活性

3-Deazaadenosine (hydrochloride) 是种 S-腺苷半胱氨酸解酶 (S-adenosylhomocysteine hydrolase) 抑制剂, Ki值为3.9 μM; 3-Deazaadenosine (hydrochloride) 具有抗炎、抗增殖、抗 HIV 等活性。

IC₅₀ & Target

 IC_{50} : 0.15 (HIV-1, A012 isolate), 0.20 μ M (HIV-1, A018 isolate)^[1]

K₂: 3.9 μM (S-adenosylhomocysteine hydrolase)^[1]

体外研究

3-Deazaadenosine is an inhibitor of S-adenosylhomocysteine hydrolase, with a K_i of 3.9 μM . 3-Deazaadenosine shows antiHIV effect, and inhibits p24 antigen in peripheral blood mononuclear (PBMCs) cells infected with HIV-1 (A012 and A018) isolates with IC $_{50}$ s of 0.15 and 0.20 μM , respectively $^{[1]}$ 3-Deazaadenosine (1-100 μM) inhibits LPS-induced expression of TNF- mRNA , increases DNA binding activity of NF- B, and causes proteolytic degradation of I B , but Not I B in RAW 264.7 cells. 3-Deazaadenosine (100 μM) enhances nuclear translocation of NF- B, but blocks LPS-induced NF- B transcriptional activity,and such inhibition is augmented by the addition of homocysteine $^{[2]}$. 3-Deazaadenosine (50, 100 μM) dose-dependentlyinhibits the phosphorylation of Raf and ERK, protein-dependent kinase 1, protein kinase B (Akt), and forkhead transcriptionfactor FoxO1a. 3-Deazaadenosine (50 μM) suppresses vascular smooth muscle cell (VSMC) proliferation via interfering with Ras signaling $^{[3]}$.

*These methods are for reference only.

PROTOCOL

Cell Assay [1]

The HIV-1 strains A012 and A018 are used in the assay. Inhibition of p24 antigen is measured. Briefly, PHA-stimulated peripheral blood mononuclear (PBMCs) are incubated with either HIV-1 strain for 1 h at 37°C at 200-fold the 50% tissue culture infectious dose (TCID $_{50}$) of the virus stock per 2 × 10 5 PBMC cells. The TCID $_{50}$ is defined as the amount of virus stock at which 50% of the inoculated wells are positive. Cells are then grown in microtiter plates with different drug concentrations at 2 × 10 5 cells per well. On day 4, cells are resuspended and split 1:3 with fresh media and 3-Deazaadenosine. Supernatant p24 antigen is determined on day 7 by ELISA^[1]. *These methods are for reference only.

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REFERENCES

- [1].Gordon RK, 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.
- [2].Jeong SY, 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.
- [3].Sedding DG, 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.

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