Emixustat

Cat. No.: HY-19720

CAS No.: 1141777-14-1

Molecular Formula: $C_{16}H_{25}NO_2$

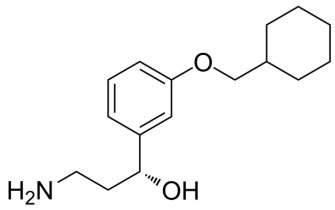
Molecular Weight: 263.38

Target: Others

Pathway: Others

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 100 mg/mL (379.68 mM; Need ultrasonic)

DMSO : ≥ 43 mg/mL (163.26 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7968 mL	18.9840 mL	37.9680 mL
5 mM	0.7594 mL	3.7968 mL	7.5936 mL
10 mM	0.3797 mL	1.8984 mL	3.7968 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Emixustat, a novel visual cycle modulator, is an inhibitor of the visual cycle isomerase with an IC_{50} value of 4.4 nM in vitro.

IC_{50} & Target

IC50: 4.4 nM (visual cycle isomerase)[1]

In Vitro

Emixustat potently inhibits isomerase activity in vitro (IC_{50}=4.4 nM). Treatment of emixustat shows a concentration

	dependent reduction of 11-cis-ROL production [1]. Emixustat strongly inhibits 11-cis-retinol production with an IC_{50} value of 232±3 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Emixustat reduces the production of visual chromophore (11-cis retinal) in wild-type mice following a single oral dose (ED_{50} =0.18mg/kg). In albino mice, emixustat is shown to be effective in preventing photoreceptor cell death caused by intense light exposure. Pre-treatment with a single dose of emixustat (0.3 mg/kg) provids a 50%protective effect against light-induced photoreceptor cell loss, while higher doses (1-3 mg/kg) are nearly 100%effective. In $Abca4^{-/-}$ mice, chronic (3 month) emixustat treatment markedly reduces lipofuscin autofluorescence and reduces A2E levels by 60%(ED_{50}=0.47 mg/kg). In the retinopathy of prematurity rodent model, treatment with emixustat during the period of ischemia and reperfusion injury produces a 30%reduction in retinal neovascularization (ED_{50}=0.46mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: Albino (BALB/c) mice are used to assess the effects of emixustat on protection from light damage. Mice are treated with a single dose of emixustat (0.3 mg/kg and 1.0 mg/kg). The effect of emixustat on the accumulation of A2E and lipofuscin autofluorescence is examined in $Abca4^{-/-}$ mice. Mice are treated with emixustat (3 months daily treatment, 0.3 or 3 mg/kg/day)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bavik C, et al. Visual Cycle Modulation as an Approach toward Preservation of Retinal Integrity. PLoS One. 2015 May 13;10(5):e0124940.

[2]. Kiser PD, et al. Catalytic mechanism of a retinoid isomerase essential for vertebrate vision. Nat Chem Biol. 2015 Jun;11(6):409-15.

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