# **Product** Data Sheet

## AA92593

Cat. No.: HY-125145

CAS No.: 457961-34-1

Molecular Formula: C<sub>1,3</sub>H<sub>1,9</sub>NO<sub>3</sub>S

Molecular Weight: 269.36

Target: Others

Pathway: Others

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 6 months

-20°C 1 month

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (371.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.7125 mL	18.5625 mL	37.1250 mL
	5 mM	0.7425 mL	3.7125 mL	7.4250 mL
	10 mM	0.3713 mL	1.8563 mL	3.7125 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (7.72 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- $\beta$ -CD in saline) Solubility:  $\geq$  2.08 mg/mL (7.72 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (7.72 mM); Clear solution

# **BIOLOGICAL ACTIVITY**

Description

AA92593 is a selective and competitive OPN4 (melanopsin) antagonist<sup>[1][2]</sup>.

In Vitro

AA92593 is a competitive melanopsin antagonist, its presence in the retinal-binding pocket of melanopsin leads to the displacement of retinal, which could trigger a downstream signaling that would ultimately result in Per1 increased expression<sup>[1]</sup>.

AA92593 is shown to be specific because it competes with retinaldehyde for the melanopsin retinal binding site which is very distinct from other opsins<sup>[1]</sup>.

 $Inhibition\ of\ melanops in\ activity\ with\ AA92593\ increases\ a-MSH\ expression\ and\ induces\ melanin\ dispersion\ in\ the$ 

melanophores, which darkens the embryo<sup>[3]</sup>.

AA92593 exhibits an IC  $_{50}$  of 665 nM in CHO  $^{Opn4}$  cells  $^{[4]}.$ 

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay<sup>[1]</sup>

Cell Line:	Melan-a melanocytes and B16-F10 melanoma ${\sf cells}^{[1]}$ .	
Concentration:	10 μΜ.	
Incubation Time:	1 hour (heat 39.5 °C).	
Result:	Pharmacologically inhibited melanopsin.	

#### In Vivo

AA92593 is able to decrease IOP in rabbits living under normal light condition  $^{[2]}$ .

AA92593 produces an increment in melatonin levels resulting in a drop of  $IOP^{[2]}$ .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Wild type (WT) mice $^{[4]}$ .	
Dosage:	30 mg/kg.	
Administration:	IP 20 min prior to PLR measurement.	
Result:	Attenuated pupil constriction in response to light (10 <sup>13</sup> ph.cm <sup>-2</sup> .s <sup>-1</sup> ) by ~50%.	

### **CUSTOMER VALIDATION**

• Environ Sci Technol. 2023 Jun 24.

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#### **REFERENCES**

- [1]. Maria Nathália Moraes, et al. Melanopsin, a Canonical Light Receptor, Mediates Thermal Activation of Clock Genes. Sci Rep. 2017 Oct 25;7(1):13977.
- [2]. Victoria Eugenia Lledó, et al. Yellow Filter Effect on Melatonin Secretion in the Eye: Role in IOP Regulation. Curr Eye Res. 2019 Jun;44(6):614-618.
- [3]. Gabriel E Bertolesi, et al. Melanopsin photoreception in the eye regulates light-induced skin colour changes through the production of  $\alpha$ -MSH in the pituitary gland. Pigment Cell Melanoma Res. 2015 Sep;28(5):559-71.
- [4]. Kenneth A Jones, et al. Small-molecule antagonists of melanopsin-mediated phototransduction. Nat Chem Biol. 2013 Oct;9(10):630-5.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA