

Opn4 Antagonist - CAS 457961-34-1 - Calbiochem Prevents melanopsin/Opn4 photoactivation in a reversible manner. Inhibits cellular phototransduction mediated by human Opn4 (IC₅₀ = 665 nM, using CHO transfectants).

Art. ID SAF-5092670001

Unit EA

Description

A sulfonamide compound that prevents melanopsin/Opn4 photoactivation in a reversible and cis-Retinal-competitive manner ($K_b = 6$ and 0.16×10^{-6} M in competitive binding studies using Opn4 pre-bound with cis-Retinal or not) without apparent affinity toward bovine retina rhodopsin or a panel of 74 other receptors, ion channels, and enzymes. Effectively inhibits cellular phototransduction mediated by human Opn4 in CHO transfectants ($IC_{50} = 665$ nM, drug added 30 min before 10×10^{-6} M 9-cis-Retinal & photoactivation), by murine Opn4 in transfected *Xenopus* oocytes ($IC_{50} = 190$ nM, drug added 3 min before 50×10^{-6} M 11-cis-Retinal and photoactivation), as well as by endogenous Opn4 in primary rat ipRGCs (intrinsically photosensitive retinal ganglion cells, 10×10^{-6} M). Shown to be bioavailable in mice via i.p. injection (retina [AA92593] $\sim 7.5 \times 10^{-6}$ M 30 min post 30 mg/kg i.p. dosing, >95% clearance within 2 h) and effectively suppress PLR (pupillary light reflex, pupil constriction) in a time- and Opn4-dependent manner in mice in vivo. Likewise, blue light insensitivity is observed in Opn4^{-/-} and AA92593-treated wild-type, but not vehicle-treated wild-type, P14 neonatal mice. A sulfonamide compound that prevents melanopsin/Opn4 photoactivation in a reversible and cis-Retinal-competitive manner without apparent affinity toward bovine retina rhodopsin or a panel of 74 other receptors, ion channels, and enzymes. Effectively inhibits cellular phototransduction mediated by human Opn4 ($IC_{50} = 665$ nM, using CHO transfectants), murine Opn4 ($IC_{50} = 190$ nM, using transfected *Xenopus* oocytes), and rat Opn4 (10×10^{-6} M, using primary rat ipRGCs). Shown to effectively suppress PLR (pupillary light reflex, pupil constriction) in a time- and Opn4-dependent manner in mice (30 mg/kg i.p.) in vivo. Likewise, blue light insensitivity is observed in Opn4^{-/-} and AA92593-treated wild-type, but not vehicle-treated wild-type, P14 neonatal mice.

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	1-[(4-Methoxy-3-Methylp henyl)Sulphonyl]Piperid ine	[457961-34-1]				