PEPA

Cat. No.: HY-12509

CAS No.: 141286-78-4

Molecular Formula: $C_{16}H_{16}F_2N_2O_4S_2$

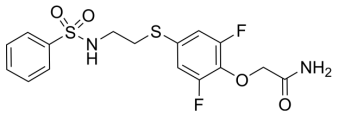
Molecular Weight: 402.44

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (124.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4848 mL	12.4242 mL	24.8484 mL
	5 mM	0.4970 mL	2.4848 mL	4.9697 mL
	10 mM	0.2485 mL	1.2424 mL	2.4848 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: ≥ 2.5 mg/mL (6.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PEPA is an allosteric modulator of AMPA receptors; binds to the GluA2o and GluA3o LBDs and can be utilized as an indicator of AMPA receptor heterogeneity.IC50 value:Target: AMPAR modulatorin vitro: PEPA dose-dependently potentiated AMPA-induced increase of [Ca2+]i. In 90% (72 out of 80) of the cells in which cyclothiazide acts, PEPA potentiated the increased [Ca2+]i induced by AMPA with pronounced cell-to-cell variation in rat hippocampal cultures [1]. PEPA bound to the binding domains of the GluA2 and GluA3 flop isoforms of AMPA receptors [2]. coapplication of AMPA with PEPA protected hippocampal CA1 neurons from brain ischemia-induced death. Coapplication of AMPA with PEPA could prevent downregulated expression of GluR2 subunit caused by ischemia and increase BDNF expression via Lyn-ERK1/2-CREB

signaling [4].in vivo: PEPA (3, 10, 30mg/kg body weight) or vehicle was intraperitoneally administered into stressed mice once before the first extinction session. The significant decrease of the freezing response in the extinction sessions was only seen in the 30mg/kg PEPA-administered stressed mice, compared with vehicle-administered stressed mice [3].

REFERENCES

[1]. Sekiguchi M, et al. Pharmacological detection of AMPA receptor heterogeneity by use of two allosteric potentiators in rat hippocampal cultures. Br J Pharmacol. 1998 Apr;123(7):1294-303.

[2]. Ahmed AH, et al. Molecular mechanism of flop selectivity and subsite recognition for an AMPA receptor allosteric modulator: structures of GluA2 and GluA3 in complexes with PEPA. Biochemistry. 2010 Apr 6;49(13):2843-50.

[3]. Yamada D, et al. Facilitating actions of an AMPA receptor potentiator upon extinction of contextually conditioned fear response in stressed mice. Neurosci Lett. 2011 Jan 25;488(3):242-6.

[4]. Zhang QG, et al. Positive modulation of AMPA receptors prevents downregulation of GluR2 expression and activates the Lyn-ERK1/2-CREB signaling in rat brain ischemia. Hippocampus. 2010 Jan;20(1):65-77.

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