Valrocemide

Cat. No.: HY-100379

CAS No.: 92262-58-3

Molecular Formula: $C_{10}H_{20}N_2O_2$

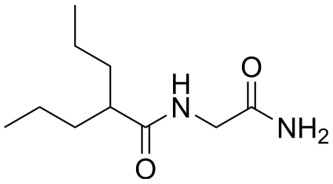
Molecular Weight: 200.28

Target: Others

Pathway: Others

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 : 100 mg/mL (499.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.9930 mL	24.9650 mL	49.9301 mL
	5 mM	0.9986 mL	4.9930 mL	9.9860 mL
	10 mM	0.4993 mL	2.4965 mL	4.9930 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: ≥ 2.75 mg/mL (13.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (13.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (13.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Valrocemide (TV1901) is a promising antiepileptic agent candidate that shows a broad spectrum of anticonvulsant activity.

In Vivo

In mice, valrocemide affords complete protection against maximal electroshock-, pentylenetetrazole-, picrotoxin-, and bicuculline-induced seizures and 6-Hz “psychomotor” seizures with median effective dose (ED_{50}) values of 151, 132, 275, 248, and 237 mg/kg, respectively. Valrocemide is also effective in preventing sound-induced seizures in Frings audiogenic-seizure susceptible mice (ED_{50}, 52 mg/kg). The median neurotoxic dose in mice is 332 mg/kg. After oral administration to rats, valrocemide is active in the MES test, with an ED_{50} of 73 mg/kg, and the median neurotoxic dose is 1,000 mg/kg.

Intraperitoneal administration of 300 mg/kg of valrocemide to hippocampal kindled Sprague–Dawley rats block generalized seizures and shorten the afterdischarge duration significantly. Valrocemide also provides complete protection from focal seizures in the corneally kindled rats (ED_{50}, 161 mg/kg)[1].

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

PROTOCOL

Animal Administration [1]

Rats: Effect of valrocemide on the afterdischarge threshold in hippocampal kindled rats is evaluated in rats kindled according to this procedure. On the day of the test, the individual rat's afterdischarge threshold is determined by increasing the current intensity stepwise until the rat displayed an electrographic afterdischarge with duration of 4 s. For afterdischarge threshold determination, the initial stimulation is conducted at 20 μA and increased in 10-μA increments every 1–2 min until an afterdischarge is elicited. After administration of valrocemide, the individual rat's afterdischarge threshold is redetermined at times 0.5, 1, 2, and 4 h; ADD and BSS are recorded at each time point and compared with the control values obtained before drug administration. The criteria for seizure scoring is as described earlier for corneally kindled animals[1].

Mice: The intravenous (i.v.) pentylenetetrazole seizure threshold test (i.v. Met) also is used. At the TPE of valrocemide, infusion (0.34 ml/min) of 0.15% heparinized solution of pentylenetetrazole (0.5%) is started into the tail vein of a mouse, and the times to the appearance of the first myoclonic jerk and the subsequent sustained clonic seizure are recorded. A group of 10 drug-treated (132 mg/kg valrocemide, i.p.) mice is compared with 10 vehicle-treated controls. The time is converted to the dose of pentylenetetrazole in mg/kg. The i.v. Met test is performed according to the same procedure also after prolonged administration of valrocemide daily, i.p. (132 mg/kg) for 5 consecutive days[1].

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

REFERENCES

[1]. Isoherranen N, et al. Anticonvulsant profile of valrocemide (TV1901): a new antiepileptic drug. Epilepsia. 2001 Jul;42(7):831-6.

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