Valrocemide

Cat. No.: HY-100379  
CAS No.: 92262-58-3  
Molecular Formula: C₁₀H₂₀N₂O₂  
Molecular Weight: 200.28  
Target: Others  
Pathway: Others  
Storage: Powder -20°C 3 years  
4°C 2 years  
In solvent -80°C 6 months  
-20°C 1 month  
Solubility: 10 mM in DMSO  
* "<1 mg/mL" means slightly soluble or insoluble. "≥" means soluble, but saturation unknown.

PREPARING STOCK SOLUTIONS

<table>
<thead>
<tr>
<th>Volume</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>4.9930 mL</td>
<td>24.9650 mL</td>
<td>49.9301 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.9986 mL</td>
<td>4.9930 mL</td>
<td>9.9860 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.4993 mL</td>
<td>2.4965 mL</td>
<td>4.9930 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description  
Valrocemide (TV1901) is a promising antiepileptic drug 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 (ED₅₀) 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 (ED₅₀, 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 ED₅₀ 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 (ED₅₀, 161 mg/kg)[¹].

PROTOCOL

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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 cornally kindled animals.[1].

Mice: The intravenous (i.v.) pentylentetrazole 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 pentylentetrazole (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 pentylentetrazole 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