TCN238

Cat. No.: HY-14419  
CAS No.: 125404-04-8  
Molecular Formula: C₁₂H₁₁N₃  
Molecular Weight: 197.24  
Target: mGluR  
Pathway: GPCR/G Protein  
Storage:  
- Powder: -20°C for 3 years, 4°C for 2 years, 80°C for 6 months, -20°C for 1 month  
- In solvent: -80°C for 6 months, -20°C for 1 month

SOLVENT & SOLUBILITY

In Vitro  
DMSO: ≥ 150 mg/mL (760.49 mM)  
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>5.0700 mL</td>
<td>25.3498 mL</td>
<td>50.6997 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>1.0140 mL</td>
<td>5.0700 mL</td>
<td>10.1399 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.5070 mL</td>
<td>2.5350 mL</td>
<td>5.0700 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description  
TCN238 is a positive allosteric mGlu4 receptor modulator with an EC₅₀ of 1 μM.

IC₅₀ & Target  
EC₅₀: 1 μM (human or rat mGlu4)[1]

In Vitro  
In the rat mGlu4 PAM in vitro assay the EC₅₀ of TCN238 is 1 μM which is comparable to the human assay. TCN238 is screened in rat and human mGlu5 assays, the IC₅₀ of 11 is >30 μM on human mGlu5and >10 μM on rat mGlu5. TCN238 is run in a receptor screening panel of 68 targets and no activity is observed at ≥50% at 10 μM for any of the receptors. In CaCo-2 cells, TCN238 is found to have good permeability with no apparent efflux issue[1].

In Vivo  
TCN238 is highly CNS penetrant with a concentration of 33.8 μM in the brain. The plasma protein binding in rats is measured as 90% bound. The metabolic stability of TCN238 is assessed in rat and human microsomes and found to be 62% and 83% hepatic blood flow. The limited stability translated into a high in vivo clearance in rats of 75 mL/min/kg and TCN238 has a moderate volume of distribution (2.7 L/kg) with a short mean residence time (0.6 h)
when dosed at 2 mg/kg via intravenous injection. TCN238 is orally bioavailable and 30 min following administration of a 30 mg/kg dose, the plasma concentration is found to be 11.6 μM\(^1\). TCN 238 does not affect the performance of the learned task. However, the expression level of GRM4 in the hippocampus is reliably down-regulated five days after treatment with TCN 238. In addition, the expression level of GABRA1, encoding GABAA α-subunit is downregulated five days after the treatment in the frontal cortex\(^2\).

### PROTOCOL

**Animal Administration**\(^2\)

Rats: TCN 238 is administered subcutaneously at a dose of 2 mg/kg (volume of 0.5 mL) four times in two days (morning and evening). Retrieval of the task is tested 30 min after the first and third injections of TCN 238, and 5 days after the last injection of the substance. During the retrieval test the animals are placed to the start box, the door is opened, and the latent period of response is registered\(^2\).

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

### REFERENCES


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

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