

### **Bioactive Molecules, Building Blocks, Intermediates**

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Product Name:	Talampanel	
Cat. No.:	CS-5225	
CAS No.:	161832-65-1	N L
Molecular Formula:	C19H19N3O3	$N \approx $
Molecular Weight:	337.37	
Target:	Caspase; iGluR	
Pathway:	Apoptosis; Membrane Transporter/Ion Channel; Neuronal Signaling	
Solubility:	DMSO : ≥ 100 mg/mL (296.41 mM)	$NH_2$

# **Data Sheet**

# **BIOLOGICAL ACTIVITY:**

Talampanel (LY300164) is an orally and selective  $\alpha$ -amino-3-hydroxy-5-methyl-4-isoxazolepropionate (AMPA) receptor antagonis with anti-seizure activity<sup>[1]</sup>. Talampanel (IVAX) has neuroprotective effects in rodent stroke models<sup>[2]</sup>. Talampanel attenuates caspase-3 dependent **apoptosis** in mouse brain<sup>[2]</sup>. **In Vivo:** Talampanel (orally administration; 5 mg/kg; once a day; 2 weeks) reduces motoneuronal calcium in a mouse model of ALS, but its efficacy declines as the disease progresses<sup>[1]</sup>.

# PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [2] Female mutant SOD1 Tg mice were treated orally with Talampanel (5 mg/kg body weight dissolved in 0.1 ml Tween 80) or with vehicle only once a day for two weeks. The oral 5 mg/kg dose of Talampanel was selected on the basis of no observed adverse effect on body weight gain (TEVA, personal communication) and on a pilot study in our laboratory showing that this dose was effective in ameliorating mitochondrial functionality in mutant SOD1 Tg mice. Animals were treated at the same hour in the morning every day, without anaesthesia, by direct administration into the lower oesophagus by using an appropriate stainless steel gavage needle with a round tip. Treatments were started at 10 or 17 weeks of age, i.e. ages corresponding to the presymptomatic stage and to the onset of the motor dysfunction. Age-matched female non-Tg mice that were treated similarly were used as controls. Procedures involving animals and their care were conducted in full conformity with the institutional guidelines, which are in compliance with national and international laws and policies.

#### **References:**

[1]. Paizs M, et al. Talampanel reduces the level of motoneuronal calcium in transgenic mutant SOD1 mice only if applied presymptomatically. Amyotroph Lateral Scler. 2011 Sep;12(5):340-4.

[2]. Denes L, et al. Talampanel a non-competitive AMPA-antagonist attenuates caspase-3 dependent apoptosis in mouse brain after transient focal cerebral ischemia. Brain Res Bull. 2006 Jul 31;70(3):260-2. Epub 2006 Mar 31.

### **CAIndexNames:**

7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)-

# SMILES:

C[C@@H]1CC2=C(C=C(OCO3)C3=C2)C(C4=CC=C(N)C=C4)=NN1C(C)=O

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

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