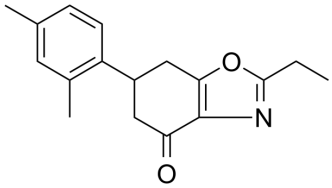
ADX71743

Cat. No.:	HY-110278
CAS No.:	1431641-29-0
Molecular Formula:	$C_{17}H_{19}NO_2$
Molecular Weight:	269.34
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ADX71743 is a highly selective, noncompetitive and brain-penetrant metabotropic glutamate receptor 7 negative allosteric modulator (mGlu7 NAM). ADX71743 has anxiolytic-like activity[1][2].
IC_{50} & Target	mGlu7
In Vitro	ADX71743 has an IC_{50} of 300 nM in-house cell lines. Pretreatment of ADX71743 (3 μM; for 20 min) before high-frequency stimulation (HFS) results in an almost complete blockade of LTP induction[1]. ADX71743 (0.1, 10 μM) reverses L-AP4-induced depression of synaptic transmission and results in a concentration-dependent reversal of the L-AP4-induced depression. 0.1 μM ADX71743 reverses the effects of L-AP4 by 11% and 10 μM results in a 20% reversal[2]. ADX71743 can against an EC_{80} of glutamate (IC_{50} of 22 nM) as well as against an EC_{80} of L-AP4 (IC_{50} of 125 nM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ADX71743 (50, 100, 150 mg/kg; SC) results in robust reductions in numbers of buried marbles to near maximal levels at lower doses (50 and 100 mg/kg)[2]. ADX71743 (12.5, 100 mg/kg for mice and 100 mg/kg for rat; SC) has a $T_{1/2}$ of 0.68, 0.40 hours, a C_{max} of 1380, 12766 ng/ml of 12.5 mg/kg and 100 mg/kg in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male C57Bl6/J mice (24-30 g)[2]
Dosage:	50, 100, 150 mg/kg
Administration:	SC
Result:	Resulted in robust reductions in numbers of buried marbles to near maximal levels at lower doses (50 and 100 mg/kg).

Animal Model:	Adult male C57Bl6/J mice (24-30 g) and Sprague-Dawley rats (250-350 g)[2]
Dosage:	12.5, 100 mg/kg for mice and 100 mg/kg for rat (Pharmacokinetic Analysis)

Administration:	SC
Result:	Had a $T_{1/2}$ of 0.68, 0.40 hours, a C_{max} of 1380, 12766 ng/ml of 12.5 mg/kg and 100 mg/kg in mice. Had a $T_{1/2}$ of 1.5 hours, a C_{max} of 16800 ng/ml of 100 mg/kg in rat.

REFERENCES

[1]. Rebecca Klar, et al. Activation of Metabotropic Glutamate Receptor 7 Is Required for Induction of Long-Term Potentiation at SC-CA1 Synapses in the Hippocampus. J Neurosci. 2015 May 13;35(19):7600-15.

[2]. Mikhail Kalinichev , et al. ADX71743, a Potent and Selective Negative Allosteric Modulator of Metabotropic Glutamate Receptor 7: In Vitro and in Vivo Characterization. Pharmacol Exp Ther. 2013 Mar;344(3):624-36.

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