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ADX88178

Cat. No.: HY-18654

CAS No.: 1235318-89-4

Molecular Formula: $C_{12}H_{12}N_6S$

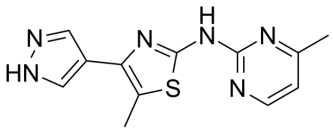
Molecular Weight: 272.33

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 16.67 mg/mL (61.21 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6720 mL	18.3601 mL	36.7202 mL
	5 mM	0.7344 mL	3.6720 mL	7.3440 mL
	10 mM	0.3672 mL	1.8360 mL	3.6720 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (6.13 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ADX88178 is a potent metabotropic glutamate receptor 4 positive allosteric modulator (mGluR4 PAM) with an EC_{50} of 4 nM for human mGluR4.

IC_{50} & Target

mGluR4
4 nM (EC50)

In Vitro

ADX88178 is developed as a potent and selective mGluR4 positive allosteric modulator. ADX88178 is used as a novel radioligand for imaging of metabotropic glutamate receptor subtype 4 (mGluR4). ADX88178 potentiates glutamate-mediated activation of human mGluR4 with EC_{50} values of 4 nM without significant effects on other mGluRs (EC_{50} > 30 μM)[1]. ADX88178 is novel potent, selective, and brain-penetrant positive allosteric modulator of the mGlu4. Microglia are pretreated with 1, 10 or 100 nM ADX88178 or 100 nM LAP4 for 30 min followed by LPS treatment for 24 h prior to collecting culture supernatant for ELISA measurement of TNFα levels. The pre-treatment with ADX88178 and LAP4 both significantly

	attenuate LPS-induced TNFα levels. As little as 1 nM of ADX88178 is sufficient to inhibit TNFα, and is as effective at concentrations of 10 and 100 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In mice, ADX88178 (1-30 mg/kg p.o.) dose-dependently increases the number of open-arm entries. Specifically, at 3, 10, and 30 mg/kg ADX88178, there are 5-, 7-, and almost 13-fold increases in the number of open-arm entries, respectively, when compared with the vehicle-treated controls. Also, ADX88178 dose-dependently increases the time spent in the open arms. Specifically, at 3, 10, and 30 mg/kgADX88178, there are 8-, 12-, and 24-fold increases in the time spent in the open arms when compared with the vehicle-treated controls. In rats, ADX88178 (10-100 mg/kg p.o.) dose-dependently increases the number of open-arm entries in the rat EPM test. Specifically, at 10, 30, and 100 mg/kg ADX88178, there are 5-, 8-, and more than 10-fold increases in the number of open-arm entries, respectively, when compared with the vehicle-treated controls. Also, ADX88178 dose-dependently increases the time spent in the open arms. Specifically, at 10, 30, and 100 mg/kg ADX88178, there are 7.5-, 11-, and 13-fold increases in time spent in the open arms when compared with the vehicle-treated controls[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	After adhesion to the chamber slides, microglia from WT and mGlu4 KO microglia pre-treated with 1 nM, 10 nM, 100 nM ADX88178, or 100 nM L-AP4. Each treatment is performed in quadruplicate. Thirty minutes after treatment with ADX88178 or L-AP4, 100 ng/mL LPS is added to the cultures and the cells are incubated at 37 °C for an additional 24 h. At the end of the 24 h treatment period, media is collected and analyzed for TNFα, and the cells are for iNOS and MHC II expression by immunocytochemistry[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice and Rats[3] Male mice (n=8-10/group) are treated orally (p.o.) via gavage with vehicle [1% carboxymethyl cellulose (CMC)], ADX88178 (1, 3, 10 and 30 mg/kg) or Diazepam (1.5 mg/kg). Male rats (n=10/group) are treated p.o. with vehicle (1% CMC), ADX88178 (10, 30, and 100 mg/kg), or Diazepam (3 mg/kg). After 60 minutes, animals are individually placed in the center of the maze (facing one of the closed arms) and left to explore for 5 minutes. A terminal blood sample is collected from all ADX88178-treated animals at the end of the experiment, and plasma is analyzed for the pharmacokinetic studies. The number of open-arm and closed-arm entries, as well as the time (seconds) spent in the open arms of the maze, is analyzed by one-way analysis of variance followed by Dunnett's test. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Res. 2023 Jun 8.

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REFERENCES

[1]. Fujinaga M, et al. Radiosynthesis and evaluation of 5-methyl-N-(4-[(11)C]methylpyrimidin-2-yl)-4-(1H-pyrazol-4-yl)thiazol-2-amine ([(11)C]ADX88178) as a novel radioligand for imaging of metabotropic glutamate receptor subtype 4 (mGluR4). Bioorg Med Chem L

[2]. Ponnazhagan R, et al. The Metabotropic Glutamate Receptor 4 Positive Allosteric Modulator ADX88178 Inhibits Inflammatory Responses in Primary Microglia. J Neuroimmune Pharmacol. 2016 Jun;11(2):231-7.

[3]. Kalinichev M, et al. Characterization of the novel positive allosteric modulator of the metabotropic glutamate receptor 4 ADX88178 in rodent models of neuropsychiatric disorders. J Pharmacol Exp Ther. 2014 Sep;350(3):495-505.

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

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