AR-M 1000390 hydrochloride

Cat. No.: HY-101039A

CAS No.: 209808-47-9

Molecular Formula: $C_{23}H_{29}ClN_2O$

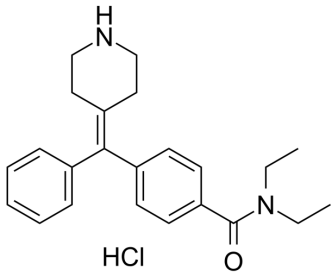
Molecular Weight: 384.94

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 150 mg/mL (389.67 mM)

H_2O : 50 mg/mL (129.89 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5978 mL	12.9890 mL	25.9781 mL
	5 mM	0.5196 mL	2.5978 mL	5.1956 mL
	10 mM	0.2598 mL	1.2989 mL	2.5978 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.49 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AR-M 1000390 hydrochloride is an exceptionally selective, potent δ opioid receptor agonist with an EC_{50} of 7.2±0.9 nM for δ agonist potency.

IC_{50} & Target

EC50: 7.2±0.9 nM (δ opioid receptor)[1]

In Vitro

AR-M 1000390 (Compound 6a) exhibits the binding affinities (IC_{50}) of 0.87±0.23 nM for the δ opioid receptor and extremely high selectivity over the μ receptor (IC_{50}=3800±172 nM) and the κ receptor (IC_{50}=7470±606 nM)[1]. RINm5F cells are treated

	with AR-M 1000390 (AR-M100390) and Cyclizine for 16-24 h before measurement of intracellular and secreted insulin levels. AR-M 1000390 mediates a dose-dependent decrease in insulin content with a maximal inhibition of ~90% at the highest concentration tested (10 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Rats are treated with 5, 100, and 600 μmol/kg of AR-M 1000390 (AR-M100390) for 3 and/or 7 days; another group of rats treated with 600 μmol/kg of compound are allowed to recover for 14 days. AR-M 1000390 (600 μmol/kg) causes vacuolation in the β-cell of the rat pancreas that is associated with depletion of insulin and hyperglycemia after 7 days of dosing. Treatment of rats with 600 μmol/kg of AR-M 1000390 results in vacuolation of the β-cell of the rat pancreas that is similar to that reported for cyclizine and cyproheptadine[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	RINm5F cells are seeded in 24-well plates and treated with vehicle (DMSO), 10 μM AR-M 1000390 (AR-M100390), and 10 μM Cyclizine in serum-free medium; cells are rinsed with phosphate-buffered saline and stored at -80°C until analysis. RNA is isolated with the RNeasy purification system with DNAse treatment[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Rats[2] Han Wistar rats (six per treatment group) are treated with vehicle (saline) or 5, 100, and 600 μmol/kg/day of AR-M 1000390 (AR-M100390) for 7 days. A separate group of rats are treated with 600 μmol/kg/day for 7 days followed by a 14-day recovery period. Another group is treated with 600 μmol/kg/day for 3 days. Blood sampling for glucose, lipids, and insulin measurements are taken on days 2, 4, 8, and 22. Blood sampling for AR-M 1000390 concentration measurements are collected on days 4 and 8. The animals are euthanized with CO_2 on days 4, 8, and 22 and the pancreas isolated and processed for histopathology, insulin immunohistochemistry, and insulin mRNA analyses[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wei ZY, et al. N,N-Diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide: a novel, exceptionally selective, potent delta opioid receptor agonist with oral bioavailability and its analogues. J Med Chem. 2000 Oct 19;43(21):3895-905.

[2]. Otieno MA, et al. Mechanistic investigation of N,N-diethyl-4-(phenyl-piperidin-4-ylidenemethyl)-benzamide-inducedinsulin depletion in the rat and RINm5F cells. Toxicol Sci. 2008 Sep;105(1):221-9.

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

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