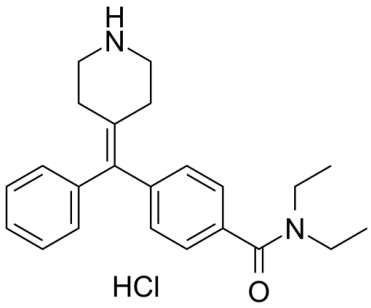
Data Sheet

Product Name:	AR-M 1000390 (hydrochloride)
Cat. No.:	CS-0020750
CAS No.:	209808-47-9
Molecular Formula:	C23H29ClN2O
Molecular Weight:	384.94
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : ≥ 150 mg/mL (389.67 mM)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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].

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.

CAIndexNames:

Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylidenemethyl)-, hydrochloride (1:1)

SMILES:

O=C(N(CC)CC)C1=CC=C(/C(C2=CC=CC=C2)=C3CCNCC/3)C=C1.Cl

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA