Midaglizole hydrochloride

Cat. No.: HY-U00165

CAS No.: 79689-25-1

Molecular Formula: $C_{16}H_{19}Cl_2N_3$

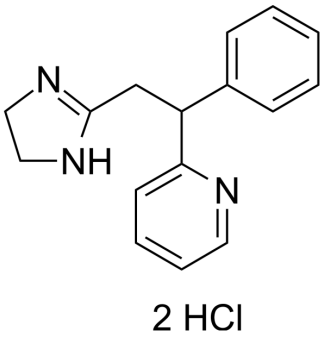
Molecular Weight: 324.25

Target: Adrenergic 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 : 500 mg/mL (1542.02 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0840 mL	15.4202 mL	30.8404 mL
	5 mM	0.6168 mL	3.0840 mL	6.1681 mL
	10 mM	0.3084 mL	1.5420 mL	3.0840 mL

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

BIOLOGICAL ACTIVITY

Description

Midaglizole hydrochloride (DG5128) is a preferential α2-adrenoceptor antagonist. Midaglizole hydrochloride (DG5128) exhibits 7.4 times higher affinity (pK_i=6.28) toward α2-adrenoceptor than α1-adrenoceptor.

IC_{50} & Target

α adrenergic receptor

In Vitro

Midaglizole (DG-5128) at concentrations up to 10 μM inhibits [^{3}H]clonidine binding more effectively than it doed [^{3}H]prazosin binding in rat cerebral cortex membranes. The mode of inhibition is homogeneous and consistent with the law of simple mass action[1]. The EC_{50} values for stimulation of insulin release from rat islets and the MIN6 β-cell line induced by Midaglizole are 200 nM and 24 μM, respectively. The IC_{50} values for K_{ATP} current inhibition induced by Midaglizole are 3.8 μM and 4.4 uM for Kir6.2 and Kir6.2/SUR1 , respectively[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Midaglizole (3 and 30 mg/kg, i.v.) increases blood pressure in pithed rats[3].

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PROTOCOL

Animal Administration [3]	Rats[3] Male Wistar rats (290-450 g) are anesthetized with pentobarbital sodium (35 mg/kg, i.p.) and artificially ventilated with room air. Diastolic blood pressure before the administration of the Midaglizole (Midaglizole) is about 35 mmHg. Midaglizole at doses of 3 and 30 mg/kg produces an increase in blood pressure by 27 and 64 mmHg, respectively, at approximately 1 min after the administration. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yamanaka K, et al. The selectivity of DG-5128 as an alpha 2-adrenoceptor antagonist. Eur J Pharmacol. 1984 Nov 27;106(3):625-8.

[2]. Proks P, et al. Inhibition of recombinant K(ATP) channels by the antidiabetic agents midaglizole, LY397364 and LY389382. Eur J Pharmacol. 2002 Sep 27;452(1):11-9.

[3]. Hirohashi M, et al. Intrinsic pressor activity of midaglizole, an alpha-2 adrenoceptor antagonist, in pithed rats. Jpn J Pharmacol. 1990 Aug;53(4):519-20.

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