Product Data Sheet

Product Name: Midaglizole hydrochloride ((±)-DG5128)
Cat. No.: GC31615

Chemical Properties

Cas. No.	79689-25-1		
SMILES	C(C1=CC=CC=C1)(C2=CC=CC=N2)CC3=NCCN3.[2 HCl]		
Formula	$C_{16}H_{19}Cl_2N_3$	M.Wt	324.25
Solubility	DMSO : 500 mg/mL (1542.02 mM; ultrasonic and warming and heat to 60°C)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Animal experiment:

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.

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

Background

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

Midaglizole (DG-5128) at concentrations up to 10 μM inhibits [3H]clonidine binding more effectively than it doed [3H]prazosin binding in rat cerebral cortex membranes. The mode of inhibition is homogeneous and consistent with the law of simple mass action[1]. The EC50 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 IC50 values for KATP

current inhibition induced by Midaglizole are 3.8 μM and 4.4 uM for Kir6.2 and Kir6.2/SUR1 , respectively[2].

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

[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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