Screening Libraries

Inhibitors

Product Data Sheet

Diclofensine hydrochloride

Cat. No.: HY-18610 CAS No.: 34041-84-4 Molecular Formula: $C_{17}H_{18}Cl_3NO$ Molecular Weight: 358.69

Target: **Dopamine Transporter** Pathway: **Neuronal Signaling**

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

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

SOLVENT & SOLUBILITY

In Vitro

 $H_2O : \ge 52 \text{ mg/mL} (144.97 \text{ mM})$

DMSO: 6.67 mg/mL (18.60 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.7879 mL	13.9396 mL	27.8792 mL
	5 mM	0.5576 mL	2.7879 mL	5.5758 mL
	10 mM	0.2788 mL	1.3940 mL	2.7879 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.67 mg/mL (1.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Diclofensine hydrochloride (Ro-8-4650 hydrochloride) is a potent inhibitor of monoamine reuptake, blocking the uptake of dopamine, noradrenaline, and serotonin by rat brain synaptosomes with IC50 values of 0.74, 2.3, and 3.7 nM, respectively.IC50 value:Target: Dopamine reuptake inhibitorThe action of diclofensine on peripheral neuronal adrenergic function was studied through tests of the blood pressure response to NE, tyramine, and phenylephrine (PE). The blood pressure response to NE was enhanced and that to tyramine was decreased by diclofensine, as a result of its inhibitive action on peripheral neuronal amine uptake [2]. Diclofensine, in concentrations of 0.01, 0.1 and 1 microM caused a marked decrease of 3H-DA uptake. In addition, it was unable to stimulate basal endogenous DA release which, on the contrary, was elicited by d-amphetamine in the same concentration (50 microM). On the other hand, diclofensine (50 microM) caused a 3 fold enhancement of K+-evoked DA release [3].

REFERENCES

- [1]. Hyttel J, et al. Neurochemical profile of Lu 19-005, a potent inhibitor of uptake of dopamine, noradrenaline, and serotonin. J Neurochem. 1985 May;44(5):1615-22.
- [2]. Gasic S, et al. Effect of diclofensine, a novel antidepressant, on peripheral adrenergic function. Clin Pharmacol Ther. 1986 May;39(5):582-5.
- [3]. Di Renzo G, et al. Pure uptake blockers of dopamine can reduce prolactin secretion: studies with diclofensine. Life Sci. 1988;42(21):2161-9.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

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

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