Product Data Sheet

Product Name: cis-Flupenthixol (hydrochloride)
Cat. No.: GC10260

Chemical Properties

Cas. No.	51529-01-2		
Chemical Name	4-[3-[(3Z)-2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]propyl]-1-piperazineethanol, dihydrochloride		
SMILES	OCCN(CC1)CCN1CC/C=C2C3=C(C=CC(C(F)(F)F)=C3)SC4=CC=CC=C4\2.Cl.Cl		
Formula	$C_{23}H_{25}F_3N_2OS \cdot 2HCl$	M.Wt	507.4
Solubility	≤1mg/ml in ethanol;25mg/ml in DMSO;15mg/ml in dimethyl formamide	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			

Background

Ki: 0.38 nM for dopamine D2 receptors

Cis-Flupenthixol is an antagonist at dopamine D2 receptors.

Dopamine receptor D2 is encoded by the DRD2 gene. It has been suggested that dopamine receptors are the action site of antipsychotic drugs. The dopamine D2 receptor is also the main receptor for all antipsychotic drugs.

In vitro: The effects of striatal kainic acid lesions on [3H] cis-flupenthixol and [3H]spiperone binding to dopamine receptors were examined in a previous study. Significant reductions in both binding parameters were observed, and [3H] cis-flupenthixol binding was depleted to a greater level than [3H]spiperone binding. Reductions in both binding were correlated with reductions in glutamic acid decarboxylase activity [1].

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In vivo: In a previous animal study rats were conditioned to associate an environment with immediate or delayed effects of pre-treatment with either cis-flupenthixol or saline vehicle. Results showed that vehicle-treated control animals developed the normal pattern of CPPs and cis-flupenthixol-caused DA receptor antagonism could prevent the expression of cocaine CPPs but it did not alter the expression of cocaine-induced CPAs [2].

Clinical trial: Clinical study found that the greatest deterioration in patients reduced from above to below 200 mg cis(z)-flupenthixol decanoate. A examinatioin of all the patients showed a relationship between deterioration of schizophrenic and depressive features and cis(z)-flupenthixol plasma levels. Side-effects were few, and no emergence of tardive dyskinesia was observed [3].

References:
[1] Cross AJ, Waddington JL. Kainic acid lesions dissociate [3H] spiperone and [3H]cis-flupenthixol binding sites in rat striatum. Eur J Pharmacol. 1981 May 8;71(2-3):327-32.
[2] Wenzel JM, Su ZI, Shelton K, Dominguez HM, von Furstenberg VA, Ettenberg A. The dopamine antagonist cis-flupenthixol blocks the expression of the conditioned positive but not the negative effects of cocaine in rats. Pharmacol Biochem Behav. 2013 Dec;114-115:90-6.
[3] Cookson IB. The effects of a 50% reduction of cis(z)-flupenthixol decanoate in chronic schizophrenic patients maintained on a high dose regime. Int Clin Psychopharmacol. 1987 Apr;2(2):141-9.

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