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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

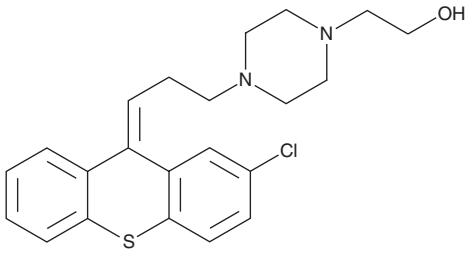
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Zuclopenthixol

Item No. 24961

CAS Registry No.:	53772-83-1
Formal Name:	4-[(3Z)-3-(2-chloro-9H-thioxanthen-9-ylidene)propyl]-1-piperazineethanol
Synonyms:	Cisordinol, *cis*-Clopenthixol
MF:	$C_{22}H_{25}ClN_2OS$
FW:	401.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 232, 272 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Zuclopenthixol is supplied as a crystalline solid. A stock solution may be made by dissolving the zuclopenthixol in the solvent of choice. Zuclopenthixol is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of zuclopenthixol in ethanol is approximately 2.5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

Zuclopenthixol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zuclopenthixol should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Zuclopenthixol has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Zuclopenthixol is a dopamine receptor antagonist (K_is = 9.8 and 1.5 nM for D_1 and D_2 receptors, respectively).[1] It also binds to serotonin (5-HT) receptor subtypes 5-HT_2 and 5-HT_6, α_1-adrenergic, and histamine receptors (K_is = 7.6, 3, 33, and 169 nM, respectively) but not α_2-adrenergic receptors (K_i = >4,300 nM).[1,2] Zuclopenthixol inhibits dopamine-induced accumulation of cAMP in rat striatal homogenates (IC_{50} = 330 nM; K_i = 16 nM).[2] It decreases stereotypic behavior induced by methylphenidate in mice (ED_{50} = 0.8 µmol/kg) and by apomorphine in rats and dogs (ED_{50}s = 6.0 and 1.3 µmol/kg, respectively). Zuclopenthixol (0.7 and 1.4 mg/kg, i.p.) administered prior to testing enhances memory retrieval in rats in an inhibitory avoidance task without affecting locomotor activity.[3]

References

1. Hajjo, R., Setola, V., Roth, B.L., *et al.* Chemocentric informatics approach to drug discovery: Identification and experimental validation of selective estrogen receptor modulators as ligands of 5-hydroxytryptamine-6 receptors and as potential cognition enhancers. *J. Med. Chem.* **55(12)**, 5704–5719 (2012).
2. Christensen, A.V., Arnt, J., Hyttel, J., *et al.* Pharmacological effects of a specific dopamine D-1 antagonist SCH 23390 in comparison with neuroleptics. *Life Sci.* **34(16)**, 1529-1540 (1984).
3. Khalifa, A.E. Zuclopenthixol facilitates memory retrieval in rats: Possible involvement of noradrenergic and serotonergic mechanisms. *Pharmacol. Biochem. Behav.* **75(4)**, 755-762 (2003).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM