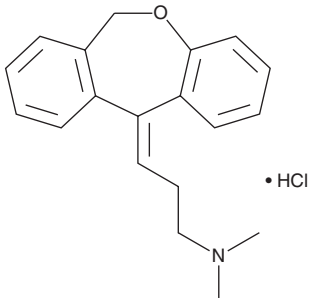
PRODUCT INFORMATION

Doxepin (hydrochloride)

Item No. 15888

CAS Registry No.: 1229-29-4
Formal Name: 3-(dibenz[b,e]oxepin-11(6H)-ylidene)-N,N-dimethyl-1-propanamine, monohydrochloride
MF: $C_{19}H_{21}NO \bullet HCl$
FW: 315.8
Purity: ≥95%
UV/Vis.: λ_{max}: 296 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Doxepin (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the doxepin (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Doxepin (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of doxepin (hydrochloride) in these solvents is approximately 30, 25, and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of doxepin (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of doxepin (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Doxepin is a tricyclic antidepressant that binds to the serotonin (5-HT) transporter (SERT) and norepinephrine transporter (NET; K_ds = 68 and 29.5 nM, respectively).[1] It is a histamine H_1 receptor antagonist (K_i = 1.23 nM).[2] Doxepin selectively binds to SERT and NET over the dopamine transporter (DAT; K_d = 12,100 nM) and inhibits histamine H_1 over H_2, H_3, and H_4 receptors (K_is = 170, 39,810, and 15,135 nM, respectively).[1,2] It also binds to the 5-HT_2 receptor, as well as to muscarinic acetylcholine and α_1-adrenergic receptors (α_1-ARs; K_ds = 27, 23, and 23.5 nM, respectively).[3] Doxepin (10 mg/kg, i.p.) decreases allodynia and hyperalgesia in a mouse model of chronic constriction injury-induced neuropathic pain.[4] It increases the distance traveled in the center of the open field test and reduces immobility time in the forced swim test in a mouse model of depression induced by chronic stress when administered orally at a dose of 15 mg/kg.[5] Formulations containing doxepin have been used in the treatment of depression and insomnia.

References

1. Tatsumi, M., Groshan, K., Blakely, R.D., *et al. Eur. J. Pharmacol.* **340(2-3)**, 249-258 (1997).
2. Appl, H., Holzammer, T., Dove, S., *et al. Maunyn-Schmiedeberg's Arch. Pharmacol.* **385**, 145-170 (2012).
3. Cusack, B., Nelson, A., and Richelson, E. *Psychopharmacology (Berl.)* **114(4)**, 559-565 (1994).
4. Mika, J., Jurga, A.M., Starnowska, J., *et al. Neuroscience* **294**, 38-50 (2015).
5. Kim, Y.R., Park, B.-K., Kim, Y.H., *et al. BioMed. Res. Int.* 8249563 (2018).

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