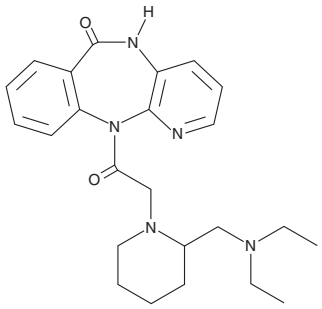
PRODUCT INFORMATION

AF-DX 116

Item No. 30871

CAS Registry No.:	102394-31-0
Formal Name:	11-[2-[2-[(diethylamino)methyl]-1-piperidinyl]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one
MF:	$C_{24}H_{31}N_5O_2$
FW:	421.5
Purity:	≥98%
Supplied as:	A 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

AF-DX 116 is supplied as a solid. A stock solution may be made by dissolving the AF-DX 116 in the solvent of choice, which should be purged with an inert gas. AF-DX 116 is soluble in the organic solvent DMSO at a concentration of approximately 25 mM.

Description

AF-DX 116 is an antagonist of M_2 muscarinic acetylcholine receptors (K_i = 0.2 µM).[1] It is selective for cardiac M_2 over salivary gland M_3 receptors (K_i = 5.01 µM) but also binds sympathetic ganglia M_1 receptors (K_i = 0.81 µM). AF-DX 116 (0.1 µM) increases vagus nerve stimulation-induced increases in perfusion pressure by 50% in isolated perfused rat hearts.[2] It increases systolic blood pressure and heart rate in a rat model of hypotension induced by repeated cold stress when administered intravenously at doses of 50, 100, and 200 µg/kg.[3]

References

1. Doods, H.N., Mathy, M.-J., Davidesko, D., *et al*. Selectivity of muscarinic antagonists in radioligand and *in vivo* experiments for the putative M_1, M_2 and M_3 receptors. *J. Pharmacol. Exp. Ther.* **242(1)**, 257-262 (1987).
2. Bognar, I.T., Beinhauer, B., Kann, P., *et al*. Different muscarinic receptors mediate autoinhibition of acetylcholine release and vagally-induced vasoconstriction in the rat isolated perfused heart. *Naunyn Schmiedebergs Arch. Pharmacol.* **341(4)**, 279-287 (1990).
3. Hata, T., Itoh, E., Funakami, Y., *et al*. Blood pressure and heart rate are increased by AF-DX 116, a selective M_2 antagonist, in autonomic imbalanced and hypotensive rats caused by repeated cold stress. *Jpn. J. Pharmacol.* **85(3)**, 313-321 (2001).

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