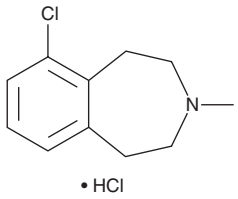
PRODUCT INFORMATION

SKF 86466 (hydrochloride)

Item No. 11953

CAS Registry No.:	86129-54-6
Formal Name:	6-chloro-2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepine, monohydrochloride
MF:	$C_{11}H_{14}ClN \bullet HCl$
FW:	232.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 217, 223 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

SKF 86466 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the SKF 86466 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. SKF 86466 (hydrochloride) is soluble in the organic solvent DMSO. It is also soluble in water. The solubility of SKF 86466 (hydrochloride) in DMSO and water is approximately 20 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

SKF 86466 is an antagonist of α_2-adrenergic receptors (α_2-ARs; K_is = 9.4, 16, and 20 nM for α_{2A}, $\alpha_{2B,}$ and α_{2C} receptors, respectively).[1] It is selective for α_2-ARs over α_1-ARs (K_is = 449, 485, and 126 nM for α_{1A}, α_{1B}, and α_{1D} receptors, respectively). SKF 86466 inhibits contractions in rabbit aorta and canine saphenous vein induced by norepinephrine or B-HT 920 (Item No. 14177), respectively (K_Bs = 600 and 42 nM, respectively). It decreases diastolic blood pressure in DOCA-salt hypertensive, spontaneously hypertensive, and two kidney-one clip (2K-1C) renal hypertensive rats when administered at a dose of 2 mg/kg, i.v.[2] SKF 86466 (1 mg/kg) reduces muscle rigidity induced by reserpine (Item No. 19474) in a mouse model of Parkinson's disease.[3]

References

1. Ruffolo, R.R., Jr., Bondinell, W., and Hieble, J.P. α- and β-adrenoceptors: From the gene to the clinic. 2. Structure-activity relationships and therapeutic applications. *J. Med. Chem.* **38(19)**, 3681-3716 (1995).
2. Roesler, J.M., McCafferty, J.P., DeMarinis, R.M., *et al*. Characterization of the antihypertensive activity of SK&F 86466, a selective alpha-2 antagonist, in the rat. *J. Pharmacol. Exp. Ther.* **236(1)**, 1-7 (1986).
3. Tanabe, M., Hashimoto, M., and Ono, H. Imidazoline I_1 receptor-mediated reduction of muscle rigidity in the reserpine-treated murine model of Parkinson's disease. *Eur. J. Pharmacol.* **589(1-3)**, 102-105 (2008).

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