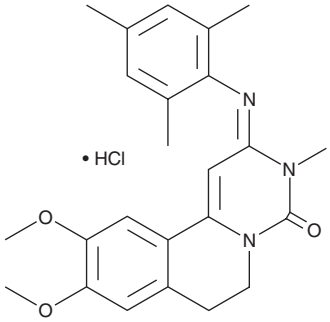
PRODUCT INFORMATION

Trequinsin (hydrochloride)

Item No. 17217

CAS Registry No.: 78416-81-6
Formal Name: 2,3,6,7-tetrahydro-9,10-dimethoxy-3-methyl-2-[(2,4,6-trimethylphenyl)imino]-4H-pyrimido[6,1-a]isoquinolin-4-one, monohydrochloride
MF: $C_{24}H_{27}N_3O_3 \bullet HCl$
FW: 442.0
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

Trequinsin (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the trequinsin (hydrochloride) in the solvent of choice. Trequinsin (hydrochloride) is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas. The solubility of trequinsin (hydrochloride) in these solvents is approximately 100 mM.

Description

Trequinsin is a phosphodiesterase (PDE) 3 inhibitor that is dependent on cyclic AMP (cAMP), with IC_{50} values of 0.04 and 0.03 nM for PDE3A and PDE3B, respectively, in T84 human colonic adenocarcinoma cell lysates.[1] In cultured primary rat juxtaglomerular cells, trequinsin stimulates cAMP accumulation when used at a concentration of 10 µM and increases cell membrane capacitance in a patch clamp assay.[2] Trequinsin also competitively inhibits the multidrug resistant protein 5-mediated export of cGMP from Chinese hamster lung fibroblasts with a K_i value of 240 nM.[3] *In vivo*, trequinsin reduces collagen-induced platelet aggregation in rabbits when administered intravenously at a rate of 3 µg/kg per minute, as well as the collagen-induced decrease in mean arterial blood pressure when administered alone or in combination with prostacyclin.[4]

References

1. Liu, S., Veilleux, A., Zhang, L., *et al.* Dynamic activation of cystic fibrosis transmembrane conductance regulator by type 3 and type 4D phosphodiesterase inhibitors. *J. Pharmacol. Exp. Ther.* **314(2)**, 846-854 (2005).
2. Friis, U.G., Jensen, B.L., Sethi, S., *et al.* Control of renin secretion from rat juxtaglomerular cells by cAMP-specific phosphodiesterases. *Circ. Res.* **90(9)**, 996-1003 (2002).
3. Jedlitschky, G., Burchell, B., and Keppler, D. The multidrug resistance protein 5 functions as an ATP-dependent export pump for cyclic nucleotides. *J. Biol. Chem.* **275(39)**, 30069-30074 (2000).
4. Darius, H., Lefer, A.M., Leprán, I., *et al. In vivo* interaction of prostacyclin with an inhibitor of cyclic nucleotide phosphodiesterase, HL 725. *Br. J. Pharmacol.* **84(3)**, 735-741 (1985).

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