PRODUCT INFORMATION



Terguride

Item No. 42028

CAS Registry No.: 37686-84-3

N,N-diethyl-N'- $[(8\alpha)-6-$ Formal Name:

methylergolin-8-yl]-urea

Synonyms: trans-dihydro Lisuride, TDHL

MF: $C_{20}H_{28}N_4O$ FW: 340.5 **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

Terguride is supplied as a solid. A stock solution may be made by dissolving the terguride in the solvent of choice, which should be purged with an inert gas. Terguride is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

Terguride is a receptor modulator. It is an agonist of dopamine D_2 and D_3 receptors and the serotonin (5-HT) receptor subtypes 5-HT_{1A}, 5-HT_{1B}, and 5-HT_{1D}. 2,3 Terguride induces 35SGTPγS binding in CHO cells expressing dopamine D₂ or D₃ receptors (EC₅₀s = 0.46 and 0.66 nM, respectively, for the human receptors) or expressing 5-HT_{1A}, 5-HT_{1B}, or 5-HT_{1D} (EC₅₀s = 57.54, 426.58, and 14.13 nM, respectively, for the human receptors). It is also an antagonist of human α -adrenergic receptors (α -ARs; K_is = 3.55, 34.67, 3.89, 0.3, 0.45, and 0.76 nM for α_{1A}^- , α_{1B}^- , α_{1D}^- , α_{2A}^- , α_{2B}^- , and α_{2C}^- ARs, respectively), β_1^- and β_2^- ARs (K_is = 660.7 and 19.95 nM, respectively), the histamine H_1 receptor (K_i = 338.84 nM), 5-HT_{2D}, 5-HT_{2A}, 5-HT_{2B}, and 5-HT_{2C} receptors (K_is = 16.22, 4.79, 7.08, and 47.86 nM, respectively), and dopamine D_1 -, D_4 -, and D_5 receptors (K.s = 28.18, 8.13, and 7.63 nM respectively). Terguride inhibits 5-HT-induced vasoconstriction in rat isolated and perfused lungs when used at concentrations of 1, 3, or 10 µM.4 It inhibits monocrotaline-induced increases in pulmonary blood pressure, cardiac hypertrophy, and decreases in oxygen gas exchange in a rat model of pulmonary hypertension when administered at doses of 0.4 or 1.2 mg/kg per day. Formulations containing terguride have been used in the treatment of hyperprolactinemia.

References

- 1. Millan, M.J., Maiofiss, L., Cussac, D., et al. Differential actions of antiparkinson agents at multiple classes of monoaminergic receptor. I. A multivariate analysis of the binding profiles of 14 drugs at 21 native and cloned human receptor subtypes. J. Pharmacol. Exp. Ther. 303(2), 791-804 (2002).
- 2. Newman-Tancredi, A., Cussac, D., Audinot, V., et al. Differential actions of antiparkinson agents at multiple classes of monoaminergic receptor. II. Agonist and antagonist properties at subtypes of dopamine D₂-like receptor and α_1/α_2 -adrenoceptor. J. Pharmacol. Exp. Ther. 303(2), 805-814 (2002).
- 3. Newman-Tancredi, A., Cussac, D., Quentric, Y., et al. Differential actions of antiparkinson agents at multiple classes of monoaminergic receptor. III. Agonist and antagonist properties at serotonin, 5-HT₁ and 5-HT₂, receptor subtypes. J. Pharmacol. Exp. Ther. 303(2), 815-822 (2002).
- Dumitrascu, R., Kulcke, C., Königshoff, M., et al. Terguride ameliorates monocrotaline-induced pulmonary hypertension in rats. Eur. Respir. J. 37(5), 1104-1118 (2011).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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