

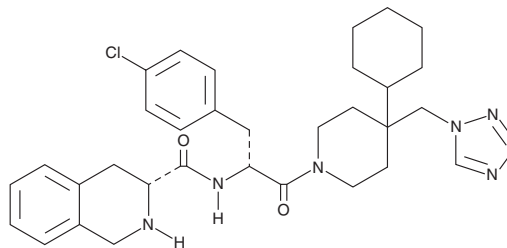
PRODUCT INFORMATION



THIQ

Item No. 24303

CAS Registry No.: 312637-48-2
Formal Name: (3R)-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-3-isoquinolinecarboxamide
MF: C₃₃H₄₁ClN₆O₂
FW: 589.2
Purity: ≥95%
UV/Vis.: λ_{max}: 295 nm
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

THIQ is supplied as a solid. A stock solution may be made by dissolving the THIQ in water. The solubility of THIQ in water is approximately 10 mM. We do not recommend storing the aqueous solution for more than one day.

Description

THIQ is a melanocortin-4 receptor (MC4R) agonist that is selective over MC1R, MC3R, and MC5R human receptors expressed in CHO cells (IC₅₀s = 1.2, 2,067, 761, and 326 nM, respectively).¹ It selectively increases cAMP accumulation in CHO cells expressing human MC4R over MC1R, MC3R, and MC5R (EC₅₀s = 2.1, 2,850, 2,487, and 737 nM, respectively). THIQ also activates mutant MCR4s, which have been linked to obesity, in HeLa cells expressing the mutant receptors (EC₅₀s = 0.23, 2.60, 39.7, and 43.6 for wild-type, R165Q, C271Y, and N97D, respectively).^{2,3} It is also selective for rat MC4R (IC₅₀ = 0.6 nM) over rat MC3R and MC5R (IC₅₀s = 1,883 and 1,575 nM, respectively). *In vivo*, THIQ (5 mg/kg, i.v.) increases the number of penile erections by 92% in a rat *ex copula* model of penile erection.⁴ It also inhibits food intake after an overnight fast and decreases nocturnal feeding behavior in mice when administered at 32 nmol (i.c.v.).⁵

References

1. Sebhat, I.K., Martin, W.J., Ye, Z., *et al.* Design and pharmacology of N-[(3R)-1,2,3,4-tetrahydroisoquinolinium-3-ylcarbonyl]-(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethylamine (1), a potent, selective, melanocortin subtype-4 receptor agonist. *J. Med. Chem.* **45**(21), 4589-4593 (2002).
2. Farooqi, I.S., Keogh, J.M., Yeo, G.S.H., *et al.* Clinical spectrum of obesity and mutations in the melanocortin 4 receptor gene. *N. Engl. J. Med.* **348**(12), 1085-1095 (2003).
3. Xiang, Z., Pogozheva, I.D., Sorenson, N.B., *et al.* Peptide and small molecules rescue the functional activity and agonist potency of dysfunctional human melanocortin-4 receptor polymorphisms. *Biochemistry* **46**(28), 8273-8287 (2007).
4. Martin, W.J., McGowan, E., Cashen, D.E., *et al.* Activation of melanocortin MC₄ receptors increases erectile activity in rats *ex copula*. *Eur. J. Pharmacol.* **454**(1), 71-79 (2002).
5. Cepoi, D., Phillips, T., Cismowski, M., *et al.* Assessment of a small molecule melanocortin-4 receptor-specific agonist on energy homeostasis. *Brain Res.* **1000**(1-2), 64-71 (2004).

WARNING

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

SAFETY DATA

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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