SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

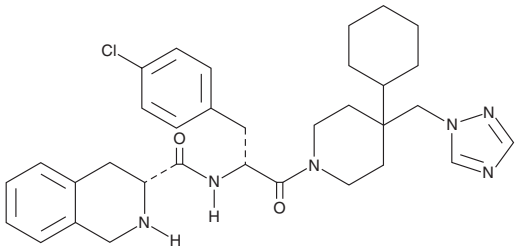
linkedin.com/company/szaboscandic

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:	$C_{33}H_{41}ClN_6O_2$
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 (IC_{50}s = 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 (EC_{50}s = 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 (EC_{50}s = 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 (IC_{50} = 0.6 nM) over rat MC3R and MC5R (IC_{50}s = 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 MC_4 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM