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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

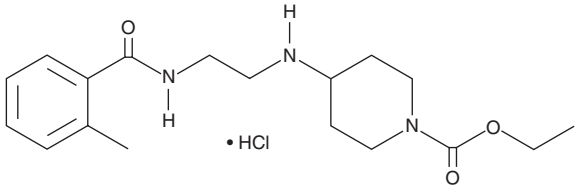
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

VU0357017 (hydrochloride)

Item No. 11939

CAS Registry No.: 1135242-13-5
Formal Name: 4-[[2-[(2-methylbenzoyl)amino]ethyl] amino]-1-piperidinecarboxylic acid, ethyl ester, monohydrochloride
Synonym: CID-25010775
MF: $C_{18}H_{27}N_3O_3 \bullet HCl$
FW: 369.9
Purity: ≥98%
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

VU0357017 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the VU0357017 (hydrochloride) in the solvent of choice. VU0357017 (hydrochloride) is soluble in the organic solvent DMSO, at a concentration of approximately 3 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of VU0357017 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of VU0357017 (hydrochloride) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

VU0357017 is an allosteric agonist of M_1 muscarinic acetylcholine receptors (mAChRs; K_i = 9.91 µM in CHO cells).[1] *In vitro*, it is selective for M_1 over M_2-M_5 mAChRs (K_is = 21.4-50 µM in CHO cells). VU0357017 induces concentration-dependent increases in calcium mobilization and activates the ERK signaling pathway with EC_{50} values of 1.6 and 37 µM, respectively, in CHO cells expressing human recombinant M_1 receptors.[2] *In vivo*, VU0357017 crosses the blood-brain barrier and reverses scopolamine-induced deficits in contextual fear conditioning in rats when used at a dose of 10 mg/kg.[3]

References

1. Digby, G.J., Utley, T.J., Lamsal, A., *et al.* Chemical modification of the M_1 agonist VU0364572 reveals molecular switches in pharmacology and a bitopic binding mode. *ACS Chem. Neurosci.* **3(12)**, 1025-1036 (2012).
2. Digby, G.J., Noetzel, M.J., Bubser, M., *et al.* Novel allosteric agonists of M_1 muscarinic acetylcholine receptors induce brain region-specific responses that correspond with behavioral effects in animal models. *J. Neurosci.* **32(25)**, 8532-8544 (2012).
3. Lebois, E.P., Bridges, T.M., Lewis, L.M., *et al.* Discovery and characterization of novel subtype-selective allosteric agonists for the investigation of M_1 receptor function in the central nervous system. *ACS Chem Neurosci.* **1(2)**, 104-121 (2010).

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