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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

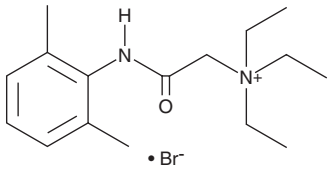
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

QX-314 (bromide)

Item No. 10011032

CAS Registry No.: 24003-58-5
Formal Name: 2-[(2,6-dimethylphenyl) amino]-N,N,N-triethyl-2-oxo-ethanaminium bromide
MF: $C_{16}H_{27}N_2O \bullet Br$
FW: 343.3
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that QX-314 (bromide) be stored as supplied at -20°C. It should be stable for at least two years.

QX-314 (bromide) is supplied as a crystalline solid. A stock solution may be made by dissolving the QX-314 (bromide) in an organic solvent purged with an inert gas. QX-314 (bromide) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of QX-314 (bromide) in these solvents is approximately 2, 20, and 5 mg/ml, respectively.

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 QX-314 (bromide) can be prepared by directly dissolving the crystalline compound in aqueous buffers. The solubility of QX-314 (bromide) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

QX-314 is a membrane-impermeant lidocaine derivative that selectively blocks sodium channels on nociceptive neurons when delivered intracellularly *via* the TRPV1 channel, but is reportedly ineffective with extracellular application.[1] When supplied in combination with 1 µM capsaicin, a TRPV1 receptor agonist, 5 mM QX-314 blocks 98% of sodium current in voltage-clamped nociceptive DRG neurons.[1] QX-314 elicits a long-lasting decrease in the response to painful mechanical and thermal stimuli without imparting the motor deficits (*e.g.*, numbness, paralysis) associated with many conventional local anesthetics.[1] At concentrations ranging from 10-70 mM, peripheral application of QX-314 dose-dependently produces robust local anesthesia with slow onset in the guinea pig intradermal wheal assay, the murine tail-flick test, and the murine sciatic nerve blockade model.[2] However, injection of 0.5-30 mM QX-314 in the lumbar intrathecal space produces neurotoxicity and death in mice.[3]

References

1. Binshtok, A.M., Bean, B.P., and Woolf, C.J. Inhibition of nociceptors by TRPV1-mediated entry of impermeant sodium channel blockers. *Nature* **449**, 607-610 (2007).
2. Lim, T.K.Y., MacLeod, B.A., Ries, C.R., *et al.* The quaternary lidocaine derivative, QX-314, produces long-lasting local anesthesia in animal models *in vivo*. *Anesthesiology* **107**, 305-311 (2007).
3. Schwarz, S., Cheung, H., Ries, C., *et al.* 473931-Lumbar intrathecal administration of the quaternary lidocaine derivative, QX-314, produces neurotoxicity in mice. *Anesthesiology* **107**, 305-311 (2008).

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