

Product Data Sheet

Product Name: QX-314 bromide

Cat. No.: GC13781

Chemical Properties

Cas. No. 24003-58-5

Chemical Name 2-((2,6-dimethylphenyl)amino)-N,N,N-triethyl-2-oxoethanaminium bromide

SMILES CC1=CC=CC(C)=C1N([H])C(C[N+](CC)(CC)CC)=O.[Br-]

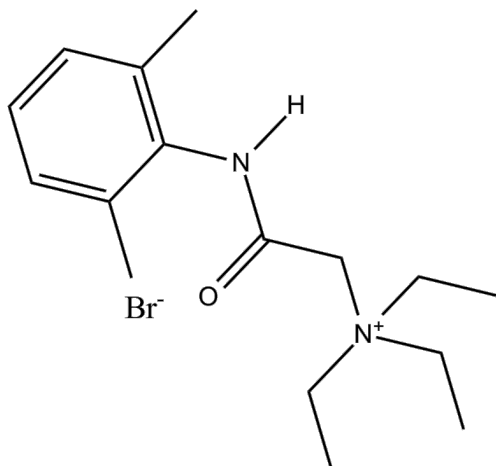
Formula $C_{16}H_{27}BrN_2O$ M.Wt 343.3

Solubility 5 mg/ml in DMF; 20 mg/ml in DMSO; Storage Store at -20°C
2 mg/ml in Ethanol; 10 mg/ml in PBS
(pH 7.2).

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell experiment [1]:

Cell lines PC12 cells

Preparation Method PC12 cells were plated and grown until 80% confluent in proliferation media composed of Dulbecco's modified Eagle's media (DMEM) supplemented with 12.5% horse serum and 2.5% fetal bovine serum. QX-314 bromide was prepared at various concentrations in 0.9% sterile saline. Drug solutions were prepared within 2 hours of use. For cell viability experiments, drugs were diluted to the appropriate concentration in DMEM cell media. We exposed cells to drug conditions for predetermined durations. Each condition (drug concentration and duration of exposure) including controls were performed in N = 18 replicate wells. Colorimetric absorbance at 490nm light was measured after 30, 60, 120, and 240 minutes for the MTS colorimetric assay.

Reaction
Conditions 15, 50, 100mM; 0-240min

Applications The QX-314 bromide treatment reduced the cell viability of PC12 cells in a time- and dose-dependent manner.

Animal experiment [2]:

Animal models Sprague-Dawley rats

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Rats were gently towel restrained and received 30μL of either 15 or 100mM QX-314 bromide concentration on one eye. On the contralateral eye we applied either vehicle (0.9% NaCl) in a similar fashion ($n = 5$ eyes per condition with four total conditions, total of 10 animals). This was done hourly for 12 hours. After 15 seconds, the eye was gently closed to spread drug over the cornea. Every 15 minutes after drug administration, the eye was probed with a Cochet-Bonnet esthesiometer filament with the animal under gentle towel restraint. This filament

Preparation Method is adjustable between 6 and 0.5cm, with shorter filament lengths providing a more noxious stimulus. Animals were then euthanized with carbon dioxide. Five additional animals who had received no treatments were also euthanized to serve as negative controls. Intact whole eyes were harvested for histologic analysis and fixed in 10% neutral buffered formalin. Hematoxylin and eosin staining and periodic acid-Schiff staining were performed on paraffin-embedded corneal sections and were evaluated qualitatively for evidence of epithelial, stromal, and endothelial changes.

Dosage form 15, 25, 50 and 100mM; local ocular administration, single dose, 30μL

Applications The QX-314 bromide treatment dose-dependently prolonged the anesthesia duration in rats, and did not significantly inhibit corneal healing.

References:

[1] Woodruff A G, Santamaria C M, Mehta M, et al. Prolonged duration topical corneal anesthesia with the cationic lidocaine derivative QX-314[J]. Translational Vision Science & Technology, 2019, 8(5): 28-28.

Background

QX-314 bromide is a positively charged, membrane impermeable sodium ion channel blocker [1]. QX-314 bromide is a tetravalent lidocaine derivative that can specifically block sodium channels on pain-sensing neurons through the transient receptor potential vanilloid 1 (TRPV1) channel within cells [2-3]. QX-314 bromide can be used for local anesthesia [4].

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In vitro, different concentrations of QX-314 bromide (1-1000 μ M) dose-dependently inhibit the voltage-gated sodium ion current (INa) of GH3 pituitary tumor cells, with a transient depolarization step causing a decrease in INa(T) amplitude and an enhanced inactivation phenomenon [5]. QX-314 bromide (15, 50, 100mM; 0-240min) reduces the cell viability of PC12 cells in a time- and dose-dependent manner, with lower cytotoxicity at 15 mM concentrations (cell viability > 75%) at all time points, and good cell viability at 100mM concentrations at 30 and 60 minutes (84.9% and 67.1%, respectively) [6].

In vivo, QX-314 bromide (15, 25, 50, and 100mM; corneal local administration, 30 μ L) dose-dependently increases the duration of anesthesia in rats, without significantly inhibiting corneal healing [6]. The combined treatment with QX-314 bromide (0.2%, 10 μ L, 1.6mg/kg/day; single-dose; intraplantar injections) and capsaicin can eliminate the responses of mice to harmful mechanical and thermal stimuli, significantly but temporarily increasing the thermal latency period, and does not cause motor or tactile defects [7].

References:

- [1] Rivera-Acevedo RE, Pless SA, Ahern CA. The quaternary lidocaine derivative, QX-314, exerts biphasic effects on transient receptor potential vanilloid subtype 1 channels in vitro. *Anesthesiology*. 2011;114(6):1425-1434.
- [2] Binshtok A M, Bean B P, Woolf C J. Inhibition of nociceptors by TRPV1-mediated entry of impermeant sodium channel blockers[J]. *Nature*, 2007, 449(7162): 607-610.
- [3] Puopolo M, Binshtok A M, Yao G L, et al. Permeation and block of TRPV1 channels by the cationic lidocaine derivative QX-314[J]. *Journal of neurophysiology*, 2013, 109(7): 1704-1712.
- [4] Zhang Y J, Yang J, Yin Q Q, et al. QX-OH, a QX-314 derivative agent, produces long-acting local anesthesia in rats[J]. *European Journal of Pharmaceutical Sciences*, 2017, 105: 212-218.
- [5] Wang J C F, Hsiao H T, Wu S N. Modulation of I Na, I h, and IK (erg) by Extracellular or Intracellular QX-314 (N-(2, 6-dimethylphenylcarbamoylmethyl) triethylammonium bromide) in Pituitary Tumor Cells[J]. *International Journal of Molecular Sciences*, 2025, 26(17): 8469.
- [6] Woodruff A G, Santamaria C M, Mehta M, et al. Prolonged duration topical corneal anesthesia with the cationic lidocaine derivative QX-314[J]. *Translational Vision Science & Technology*, 2019, 8(5): 28-28.
- [7] Binshtok AM, Gerner P, Oh SB, et al. Coapplication of lidocaine and the permanently charged sodium channel blocker QX-314 produces a long-lasting nociceptive blockade in rodents.

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