Quaternary Lidocaine Derivative QX-314 Activates and Permeates Human TRPV1 and TRPA1 to Produce Inhibition of Sodium Channels and Cytotoxicity.

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Abstract:
The relatively membrane-impermeable lidocaine derivative QX-314 has been reported to permeate the ion channels transient receptor potential vanilloid 1 (TRPV1) and transient receptor potential cation channel, subfamily A, member 1 (TRPA1) to induce a selective inhibition of sensory neurons. This approach is effective in rodents, but it also seems to be associated with neurotoxicity. The authors examined whether the human isoforms of TRPV1 and TRPA1 allow intracellular entry of QX-314 to mediate sodium channel inhibition and cytotoxicity.

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