Pain Medicine

June 08-10, 2015 Chicago, USA

TRPV1: A target for next generation analgesics

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Transient Receptor Potential Vanilloid 1 (TRPV1) is a nociceptive ion channel activated by capsaicin, an ingredient in hot chili pepper. TRPV1 has been shown to be sensitized and over-expressed in the sensory neurons in chronic pain conditions. Therefore, TRPV1 is considered to be a potential target for developing analgesics. Several TRPV1 antagonists have been developed and proven to be effective in alleviating certain modalities of pain. Unfortunately, antagonism of TRPV1 in humans induces hyperthermia. Resiniferatoxin (RTX), a potent agonist of TRPV1exhibits unique properties that can be utilized to treat chronic pain conditions. Intrathecal administration of RTX potently and selectively activates TRPV1 causing a depolarization block of the central nerve terminals in the short-term, and ablating TRPV1 containing central nerve terminals of the sensory neuron in the long-term at the level of the spinal cord. Finally, preventing nociceptive transmission at the level of the spinal cord using RTX will be a useful strategy in chronic, debilitating and intractable pain arising from large and inaccessible areas, such as malignancies of internal organs and bone.

Biography

Louis S Premkumar is a Cellular and Molecular Neurobiologist and a Professor of Pharmacology at SIU School of Medicine, Springfield, IL. He obtained his Doctoral degree in Neuroscience from John Curtin School of Medical Research, Australian National University, Canberra. He is an expert on TRP channels and has extensively studied TRPV1 ion channel on which the active ingredient of hot chili pepper, capsaicin binds and brings about the actions. Increased expression of TRPV1 is implicated in certain modalities of pain and an ultrapotent TRPV1 agonist, resiniferatoxin is undergoing clinical trials for the treatment of debilitating chronic pain conditions. He has published more than sixty peer-reviewed articles and has contributed chapters in five books.

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