Novel Small Molecule Activators of TREK-1 (K2P2.1) Potassium Channels

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Technology description

Researchers at UCSF have identified a series of novel small molecules that are selective for TREK-1 activation. These compounds represent a new tool for manipulation of TREK-1 function in a variety of experimental settings, as well as candidates for further drug development.

Validation studies demonstrated the activator’ s specificity for TREK-1 within the K2P family of potassium ion channels. Researchers are currently evaluating the pain perception mitigation effects of these compounds in mouse models of pain.

The K2P potassium ion channel, TREK-1, exhibits widespread expression and carries out key functions in the brain and somatosensory neurons. TREK-1 functionality has been implicated in a number of human diseases and is an attractive therapeutic target.

Selective opening of TREK-1 potassium channels limits the firing activity of neurons. Therefore, activation of TREK-1 could be useful in the treatment of pain and depression as well as in neuroprotection from ischemic injury and decompression sickness. TREK-1 is also involved in modulating anesthesia response. Despite the many roles of TREK-1 potassium channels in mediating cellular activities, no specific agonists of TREK-1 are known.

The global pain and depression management markets are expected to reach $60 billion by 2015. Available therapeutics often have undesirable side effects, therefore the growing market demands safer, highly specific pharmacological solutions. In addition, neuropathic pain typically fails to respond adequately to conventional analgesics. A specific activator would be an ideal tool to explore the immense pharmacological potential of TREK-1.

Additional Information

Inventor Information

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Publications

Daniel L. Minor, Jr. et al., A High-Throughput Functional Screen Identifies Small Molecule Regulators of Temperature- and Mechano-Sensitive K2P Channels.ACS Chemical Biology **2013**8(8), 1841-1851

Related Materials

Daniel L. Minor, Jr. et al., A High-Throughput Functional Screen Identifies Small Molecule Regulators of Temperature and Mechano-Sensitive K2P Channels. ACS Chemical Biology 2013 8 (8), 1841-1851

Application area

Drug development scaffold
Analgesic
Depression or mood therapeutic
Neuroprotection during ischemic injury
Decompression sickness treatment
Anesthesia design

Advantages

Highly specific for TREK-1 activation
Potentially more effective than current analgesics for neuropathic pain

Institution

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