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

Tech ID: 23109 / UC Case 2013-013-0

BACKGROUND

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.

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.

APPLICATIONS

- ▶ Drug development scaffold
- ▶ Analgesic

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OTHER INFORMATION

KEYWORDS

pain, neuropathic pain,

depression, ischemic injury,

potassium channel activator,

drug development,

anesthesia, analgesic

CATEGORIZED AS

- ▶ Medical
- ▶ Research Tools
- ▶ Therapeutics

RELATED CASES

2013-013-0

- ▶ 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

INVENTOR INFORMATION

Professor Dan Minor

The Minor Lab

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

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	9,862,684	01/09/2018	2013-013

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