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Efficient genetic system for high throughput screening of new compounds that modulate activity of potassium ion channels

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BRIEF DESCRIPTION

Researchers at UCSF have developed a novel and efficient genetic high throughput screening system for discovery of small molecule modulators that either activate or inhibit K2P potassium channel activity. Such modulators could be used for treating diseases such as chronic pain, depression, and also to modulate responses to general anesthesia.

FULL DESCRIPTION

Background:

The class of K2P potassium ion channels, which includes 15 members, mediates numerous cellular activities and carries out key functions in the brain, cardiovascular system and somatosensory neurons. Dysregulation of these normal physiological processes can lead to a number of human diseases and pathologies including pain, depression, ischemic injury, and possibly also tumorigenesis. The effects of general anesthetics are also mediated by K2P channel activity. For depression and pain alone, it is estimated that 450 million people or approximately 9% of the population suffer from depression and 1.5 billion individuals experience chronic pain worldwide. Thus, K2P potassium channels hold great potential as attractive targets for therapeutic interventions. However, current screening methods used to discover novel modulators of K2P potassium channels are inefficient at isolating promising compounds due to high background resulting from "leaky" K2P function and thus are not well-suited for high throughput screening. Therefore, a screening assay is needed to more quickly and efficiently identify promising drug compounds.

Technology Description:

Researchers at UCSF have developed an efficient genetic system in yeast expressing the murine K2P potassium ion channel, TREK-1, for high throughput screening for small molecule candidates that modulate TREK-1 activity. The murine and human TREK-1 gene sequences are highly conserved and both protein products have similar structure and function, thus making the murine system suitable for drug discovery

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OTHER INFORMATION

KEYWORDS

potassium ion channel,

TREK-1, yeast, high

throughput screening, drug

discovery, pain, depression

CATEGORIZED AS

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research. Proof-of-concept experiments using the genetic system have identified key sites controlling the function of TREK-1, those of which could be medically attractive targets. Preliminary experiments validating small molecule screenings are currently underway, as well as the generation of genetic systems expressing additional K2P channels. The parent cell line (which can be used to clone mutant libraries) and an existing mutant library are available for licensing.

ADVANTAGES AND SUGGESTED USES

- ▶ Efficient and suitable for high throughput screening for small molecule modulators of K2P channels.
- ▶ Simple and robust assay: K2P channels can be studied in isolation. Method overcomes the natural "leak" or "background" that normally makes it difficult to assay for authentic activity.
- ▶ Drug discovery:
- ▶ Screening of natural and synthetic lead compounds for drug development aimed at controlling K2P channel activity to treat patients.
- ▶ Isolate candidates with specific therapeutic outcomes while minimizing side effects.
- ▶ High throughput screening: Made possible due to decreased background activity.
- ▶ Research use:
- ▶ For studying isolated K2P channels and also specific residues/domains required for channel function.
- ▶ Can be used to elucidate the mechanism of action of small molecule modulators.
- ▶ Can be used to screen compounds that control K2P channel activity for use as valuable research tools.

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- ▶ [Improved Small Molecule Activators Of K2p Potassium Channels](#)

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