

Selective KCa3.1 Channel Activators as Novel Antihypertensives

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ABSTRACT

Researchers from the University of California, Davis have identified selective activators of the KCa3.1 channel. These activators lower blood pressure and constitute a novel class of endothelial antihypertensives. The compound could further be used to protect endothelial functions during the storage of organs.

FULL DESCRIPTION

Calcium-activated potassium channels (KCa) regulate endothelium-derived hyperpolarization (EDH) vasodilator responses. Localization and differential expression of KCa3.1 and KCa2 channels presents a challenge for selective stimulation of either channel. Therefore, adverse effects are observed when dual KCa activator compounds are used as anti-hypertensive therapeutics. The current market is in need of drugs that selectively activate these channels, which will eliminate adverse effects caused by dual KCa activator compounds.

Current anti-hypertensive drugs activate both KCa3.1 and KCa2. This adversely results in sedation and reduced heart rate. This is likely due to activation of KCa2 channels in neuronal and cardiac tissue. Studies show that in mice treated with dual KCa activator compounds, blood pressure was significantly lowered, but heart rate was also reduced. UC Davis researchers have identified novel compounds that are highly selective for KCa3.1 activation versus KCa2. *In vivo* studies demonstrate that in mice treated with this novel KCa3.1 activator, blood pressure was lowered without exerting KCa2-mediated effects on heart rate. The chemical compositions differ from current anti-hypertensive drugs and provides a new approach for lowering blood pressure without affecting heart rate.

APPLICATIONS

- ▶ Treatment for hypertension
- ▶ Protection of organ function for transplantation
- ▶ Diabetic ischemia
- ▶ Neuropathic pain

FEATURES/BENEFITS

- ▶ 40-80 fold selectivity for KCa3.1 over KCa2
- ▶ Lowers blood pressure without affecting heart rate

PATENT STATUS

| Country | Type | Number | Dated | Case |
|--------------------------|---------------|----------------------------|------------|----------|
| United States Of America | Issued Patent | 11,173,146 | 11/16/2021 | 2014-540 |

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OTHER INFORMATION

CATEGORIZED AS

- ▶ **Medical**
 - ▶ Diagnostics
 - ▶ Disease:
 - Cardiovascular and Circulatory System
 - ▶ Therapeutics

RELATED CASES

2014-540-0

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- ▶ [Selective Voltage Gated KV1.3 Potassium Channel Inhibitors](#)
- ▶ [A mixed Nav blocker and KCa2 activator, as a potent novel anticonvulsant](#)
- ▶ [Optimized Non-Addictive Biologics Targeting Sodium Channels Involved In Pain Signaling](#)

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