charles river

Summary

The Charles River ion channel portfolio includes over 120 targets which have been organized into Channel Panels® based on current scientific findings, proving a useful tool in guiding early screening and selectivity profiling.

DISCOVERY

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Ion Channel Families:

- Acid-sensing ion channels (ASIC1a, ASIC2a and ASIC3)
- Calcium, voltage-gated ($Cav2.1/\beta_4/\alpha_2\delta_1$, $Cav2.2/\beta_3/\alpha_2\delta_1$ and Cav3.2)
- Hyperpolarization-gated (HCN1)
- Ligand-gated ($nAChR\alpha_7$, GABA ($\alpha_3\beta_3\gamma_2$) and NMDA (NR1/NR2A and NR1/NR2B))
- Potassium, calcium-activated (BK and IK)
- Potassium, voltage-gated (Kv1.3, Kv1.4, Kv4.2/KChiP2.2, KCNQ2/3, KCNQ2/4)
- Purinergic receptor (P2X1, P2X2, P2X3, P2X4 and P2X7)
- Sodium, voltage-gated (Nav1.1, Nav1.2, Nav1.3, Nav1.7 and $Nav1.8/\beta_3$)
- Transient receptor potential (TRPA1, TRPC4, TRPM4, TRPM8, TRPV1 and TRPV4)

Ion Channel Selectivity Profiling: Pain/Inflammation

Our Pain/Inflammation Channel Panel® includes ion channels which have been linked to pain in the central and peripheral nervous systems.

Selectivity Profiling

Identification of a compound's target specificity and potential for off-target effects is a critical step in the drug discovery process and often includes assessments against specific target class families, critical safety targets or by therapeutic area. In addition to our therapeutic area-specific Channel Panels®, we offer screening on a number of electrophysiology platforms. When required, our scientists can design customized panels to meet a client's needs. As pioneers in the field of ion channels, we are able to provide expert consultation to facilitate interpretation of results.

Ion Channels and Pain

Pain sensation is mediated by ion channels in nerve endings (e.g., TRPA1, TRPV1, TRPM8 and P2X2-4) that trigger pain input to the central nervous system (CNS) via the dorsal root ganglia (DRG) neurons. Impulses in the DRG are conducted by sodium channels (e.g., Nav1.1, Nav1.7, Nav1.8 and Nav1.9) and transmitted at synapses on neurons in the dorsal horn of the spinal cord. In the dorsal horn, voltage-gated calcium channels (Cav2.2) control the release of neurotransmitter (glutamate) to excite post-synaptic glutamate receptors (NMDA) and trigger signaling to higher centers. In the brain, pain signals are processed by neurons that are regulated by both repolarizing (e.g., Kv1.4, Kv4.2 and KCNQ2/KCNQ3) and depolarizing (e.g., Nav1.2, Nav1.3, HCN1, Cav2.1, Cav2.2, Cav3.2 and NMDA) channels. Hypersensitivity in neuropathic and inflammatory pain has been linked to changes in the expression and/or function of a variety of channels that can be either therapeutic or adverse event targets. Channels that regulate inflammatory/immune responses include potassium channels (e.g., Kv1.3 and IK), TRP channels (e.g., TRPC4 and TRPM4), purinergic receptor-channels (e.g., P2X7) and ligand-gated channels (e.g., $nAChR\alpha_7$). These channels have been targeted for potential therapeutic suppression of pathological immune response in several disease states.

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EVERY STEP OF THE WAY