ACS Chemical Neuroscience

In This Issue

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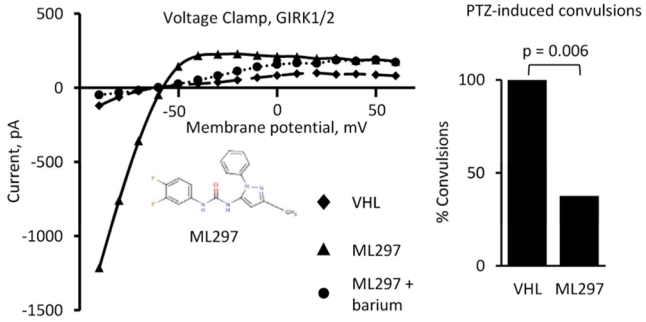
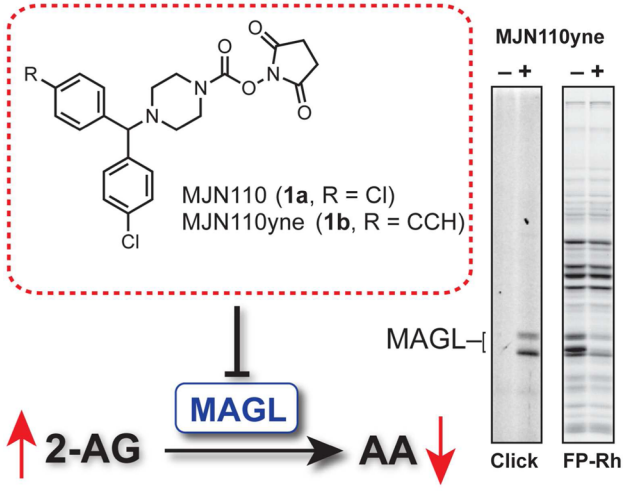
BREAKTHROUGH IN GIRK RESEARCH



G-Protein activated, inward-rectifying potassium channels (GIRK) have been the subject of intense research for their therapeutic potential in modulating pain and addiction. In this issue, Kaufmann et al. (DOI: 10.1021/cn400062a) report the development of the first selective GIRK activator, which paves the way for clarifying the role of these channels as therapeutic targets.

The authors developed compound ML297 which is a potent and selective activator of GIRK1-containing GIRK channels but not GIRK2 or GIRK2/3. In addition, the authors present in vivo data that suggest that GIRK activation by ML297 protects animals from epileptic seizures induced by electroshock and pentylenetetrazol.

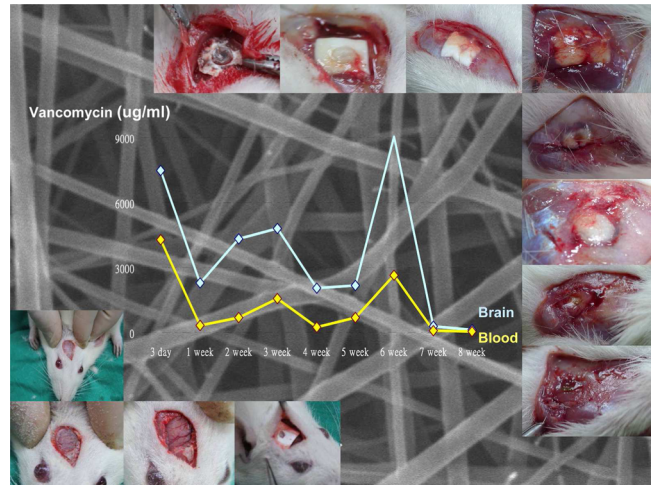
SUPERIOR MAGL INHIBITOR FOR PHARMACOLOGICAL APPLICATIONS



Inhibitors of endocannabinoid hydrolase, monoacylglycerol lipase (MAGL), have potential as effective analgesics. They may also be developed to treat neuropsychiatric disorders. In the current issue, Niphakis et al. (DOI: 10.1021/cn400116z) report a more potent and selective MAGL inhibitor for application in mice and rats.

The authors provide a detailed account of the synthesis, structure–activity relationships, and activity-based proteomic selectivity profiles of a valuable new suite of NHS carbamate inhibitors for MAGL. These agents include MJN110, the most in-vivo-potent inhibitor of MAGL yet described. MJN110 was shown to alleviate mechanical allodynia in a rat model of diabetic neuropathy.

BIODEGRADABLE MEMBRANE FOR BRAIN INFECTION TREATMENT



Treatment of brain abscesses requires a combination of surgical drainage and prolonged antibiotic treatment that can last up to 8 weeks. Now, Tseng et al. (DOI: 10.1021/cn400108q) have developed a biodegradable nanofibrous membrane for vancomycin release in cerebral tissue of rats.

Poly[lactic-*co*-glycol acid] (PLGA) has been previously studied as a degradable therapeutic delivery vehicle. The authors placed vancomycin-loaded PLGA on the brain surface of rats and showed release of vancomycin beyond 8 weeks with no noticeable side effects. The biodegradability of the membrane eliminates the need for additional surgery to remove the drug-delivery material.

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