

Excitatory amino acid receptors - design of agonists and antagonists

E. Horwood - Agonists, antagonists and modulators of excitatory amino acid receptors in the guinea



Description: -

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Excitatory amino acids -- Antagonists.
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Ligands for glutamate receptors: design and therapeutic prospects

Kristoffersen, Yolande Lang, Povl Krogsgaard-Larsen.

Ibotenic Acid Analogues. Synthesis, Molecular Flexibility, and in Vitro Activity of Agonists and Antagonists at Central Glutamic Acid Receptors

Journal of Medicinal Chemistry 1999, 42 20, 4099-4107. Synthesis and Pharmacology of Highly Selective Carboxy and Phosphono Isoxazole Amino Acid AMPA Receptor Antagonists. Glycine 10⁻⁵ M significantly potentiated the effects of glutamate especially when the ionic composition of the superfusion medium contained concentrations of Ca²⁺ in the range of 0.

Excitatory Amino Acid Receptor

Kainic and quisqualic acids were almost completely inactive.

Excitatory Amino Acid Receptor

The third, and final, phase marks a period of neurodegeneration phase 3, which may be played out over many hours or even days. Magnesium gates glutamate-activated channels in mouse central neurones. However, once a lead compound has been found, the general approach for agonists can be applied to antagonists.

Ligands for glutamate receptors: design and therapeutic prospects

Both were found to be widely expressed, and they colocalized in a number of sites 65. Design in topographical space of peptide and peptidomimetic ligands that affect behavior. Pickering, Birgitte Nielsen, Christina H.

Designing peptide receptor agonists and antagonists

The potency ratio of lidocaine to bupivacaine is roughly 1:4, yet the maximum doses recommended for spinal anesthesia are 100 mg and 20 mg, respectively. Moreover, as noted later, studies in developing rats suggested that even with short-term exposure, these drugs can be neurotoxic. Further, virtually all of the reported cases of suspected neurotoxicity have been associated with administration of 75 mg or higher.

Excitatory Amino Acids and their Antagonists

Although there are numerous exceptions, such as insulin, oxytocin and calcitonin, most ligands are not used directly as drugs, and often the most useful ligands for therapy would be analogues that act as antagonists of the native ligands. Usdin and colleagues identified the PTH2R in a cerebral cortical cDNA library by homology screening in 1995 68.

Agonists, antagonists and modulators of excitatory amino acid receptors in the guinea

European Journal of Pharmacology 1996, 308 2 , 211-218. Physiological Reviews 2001, 81 3 , 971-998. This process of acceptance has been paralleled by, and promoted by, the appearance in the last few years of a number of comprehensive monographs and books.

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