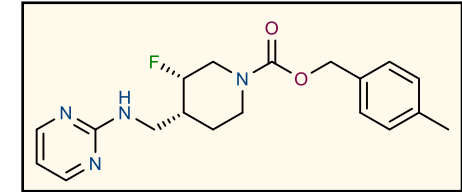


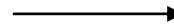
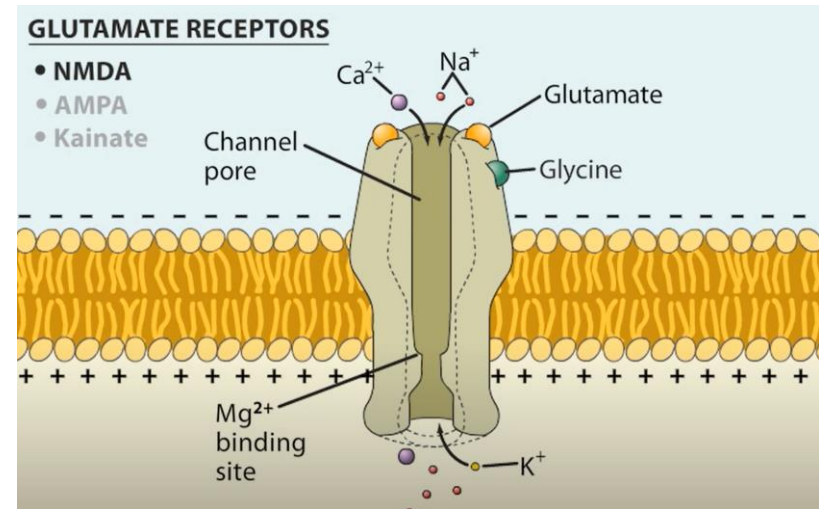
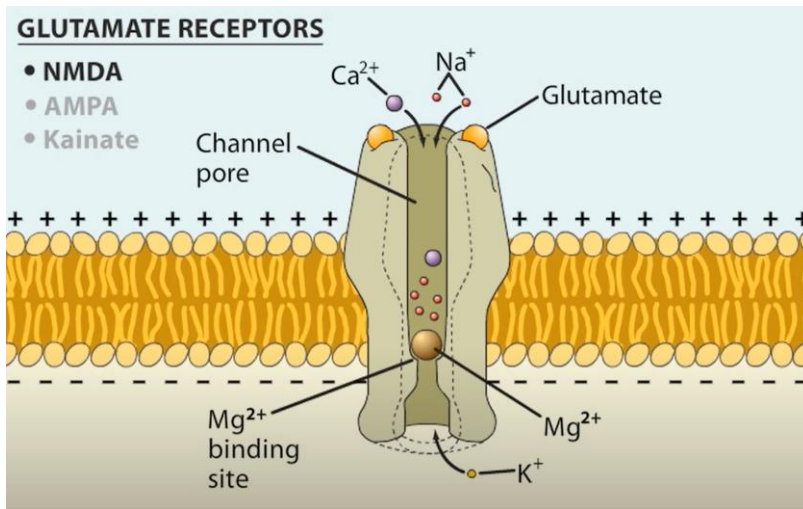
Discovery and Bioactivity

- Developed by Merck but purchased and developed by Cerecor as an adjunctive therapy for Treatment Resistant Depression (TRD) and Major Depressive Disorder (MDD).
- The molecule targets the N-methyl-D-aspartate (NMDA) receptor, specifically at the GluN2B subunit. Prevents the binding of endogenous glutamate to the receptor, and exhibits potent binding to the target with a K_i of 8.1 nM.
- The pilot clinical study was conducted in 2012 and initial phase II trials concluded in 2014 where the molecule was deemed insufficient in treating depression. The molecule underwent another round of phase II trials in 2016, where it once again failed to demonstrate sufficient activity in treating TRD.
- Despite these setbacks, the patent on the molecule lasts until 2022 and efforts have continued to further develop this molecule with hopes for utility in the future.



Ibrahim, L. *Journal of Clinical Psychopharmacology* **2012**, 32, 551. doi: 10.1097/JCP.0b013e31825d70d6

The NMDA Receptor



Patented Synthesis, 2016

