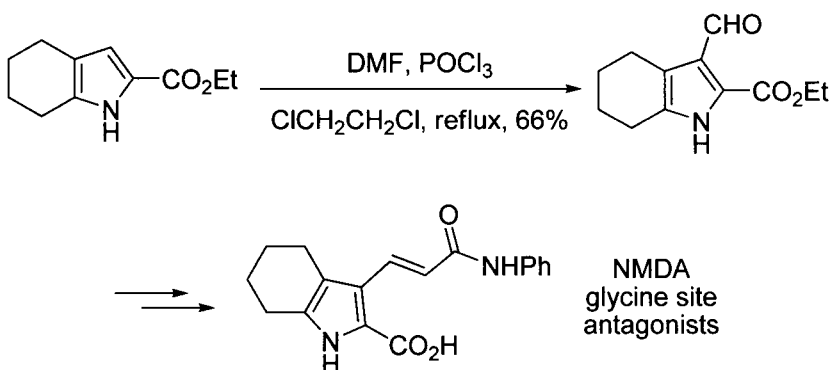


When C3 is the only position open, the C3 electrophilic substitutions obviously occur exclusively. The following Vilsmeier–Haack reaction was applied to the synthesis of a novel class of glycine site antagonists of the ionotropic *N*-methyl-D-aspartate (NMDA) glutamatergic receptor.²²



A Friedel–Crafts acylation of 2-(1-methyl-1*H*-pyrrol-2-yl)acetonitrile with benzoyl chloride gave the C3 substitution product in 21% yield, whereas the C2 substitution product was obtained in 25% yield.²³ The C2 adduct, in turn, was hydrolyzed to the corresponding acid, which is a potent anti-inflammatory agent and was active in the *in vivo* animal models.

