



An intramolecular Heck reaction was used for the synthesis of tricyclic indole-2-carboxylic acids as potent NMDA-glycine antagonists. In one example, 3-iodo-indole with pendent α,β -unsaturated ester was cyclized to afford the core tricyclic indole scaffold.⁸² Although radical cyclization of the same substrate could conveniently afford the desired cyclized product, use of tributyltin hydride should be avoided in a large-scale synthesis because of its toxicity. For the intramolecular Heck reaction, the addition of both silver(I) phosphate and an appropriate amount of triethylamine cooperatively to the palladium(II) acetate-triphenylphosphine system in DMF, improved the yield. On the other hand, NMDA-glycine antagonists are potential treatments of stroke and neurodegenerative disorders such as Alzheimer's and Huntington's diseases.

