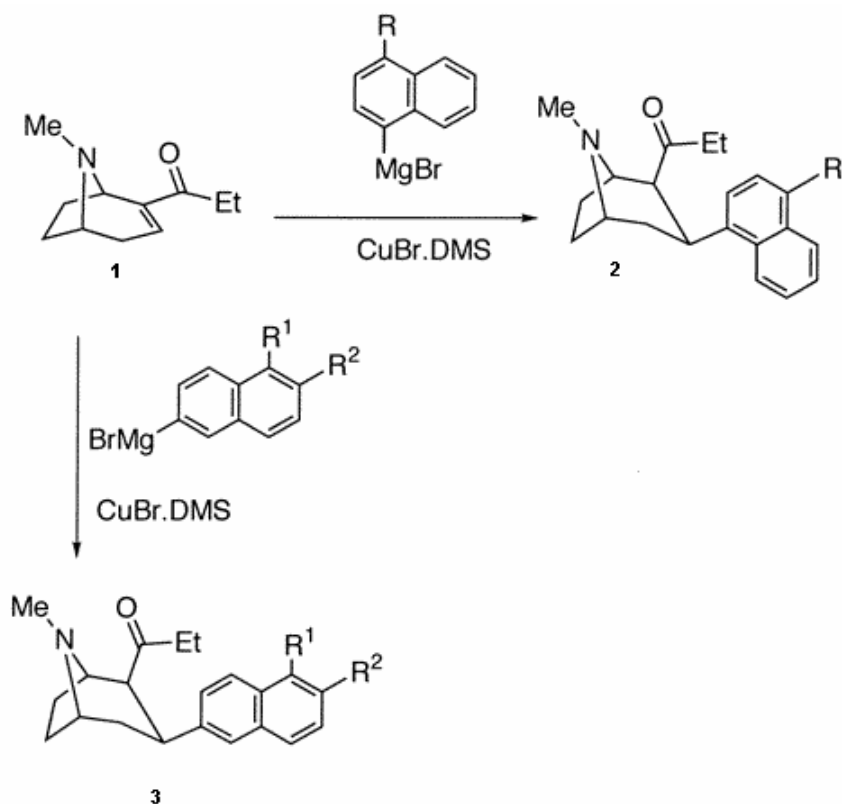


“Synthesis of 3 β -naphthyltropane Derivatives and Their Binding Affinities at Dopamine and Serotonin Transport Sites”

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3 β -naphthyltropane derivatives were synthesized and found to show high affinity at both the dopamine and serotonin transporter sites. Copper-catalyzed addition to **1** of 1-naphthyl and 2-naphthyl Grignard reagents followed by quenching the reaction with dry HCL at -78°C resulted in the predominant formation of **2** and **3**. The focus of the study was to combine the high potency of the naphthyl derivative with the serotonin transporter (SERT) binding selectivity observed on introduction of a bulky substituent as the “R” group. The affinities to both dopamine and serotonin transporter sites were then compared. It was found increasing the size of the substituent from hydrogen to methyl resulted in decrease in DAT binding potency and increase in SERT binding potency. The 2-naphthyl functionality leads to the most potent tropanes known to date.



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