

Microwave-Assisted Solid-Phase Organic Synthesis (MASPOS) of Bioactive Heterocycles

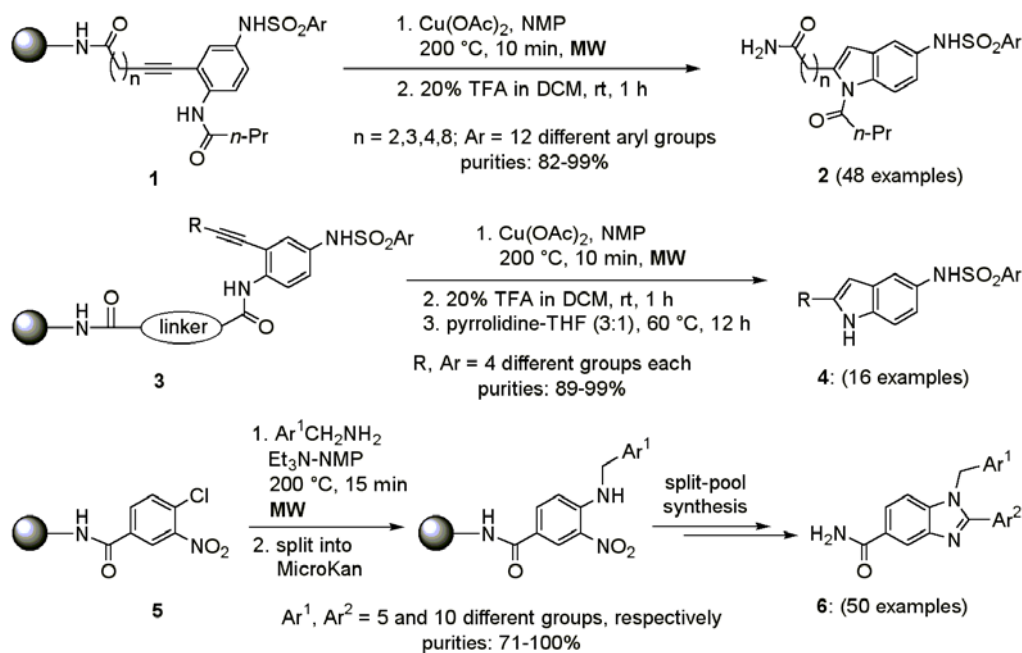
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In this presentation, we discuss recent progress made in our labs on integration of microwave-assisted solid-phase organic synthesis (MASPOS) with encoded split-pool combinatorial synthesis (ESPCS). Three examples are highlighted below. The resin-bound **1**, synthesized using the IRORI radio frequency (R_f)-encoded MicroKan reactors, failed to form **2** under thermal conditions but afforded indoles **2** with high purities by sequential reactions in 5-mL process vials under microwave irradiation on an Emrys Creator from Personal Chemistry AB.¹ In a traceless synthesis of indoles **4**, we found that the nature of the linker in **3** plays a key role in the microwave-assisted cyclization.² In order to improve the efficiency of library construction, we developed an integration strategy, that incorporates MASPOS in the early stage of library synthesis followed by ESPCS, and demonstrated in a synthesis of the benzimidazole library **6**.²



1. Dai, W.-M.; Guo, D.-S.; Sun, L.-P.; Huang, X.-H. *Org. Lett.* **2003**, *5*, 2919–2922.
2. Dai, W.-M.; Sun, L.-P. unpublished results.