Sustainability by Process Design – Development of a 3-Step Domino-Sequence en route to buprenorphine

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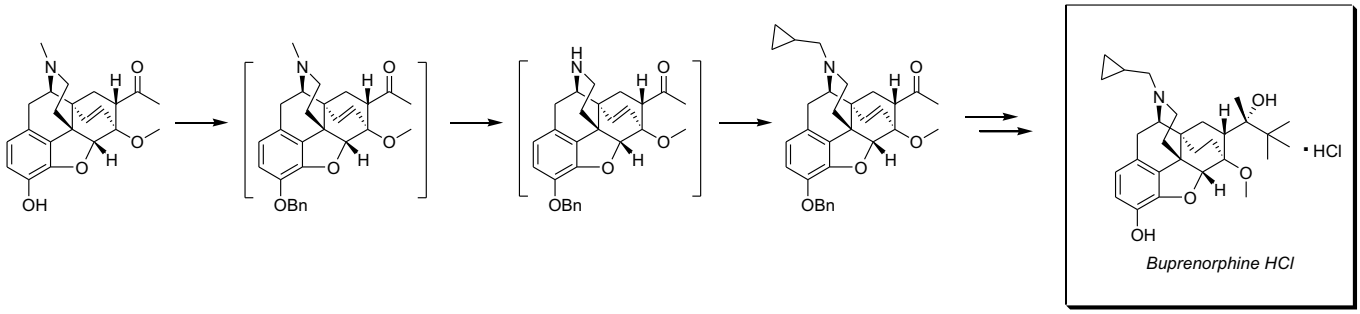
Buprenorphine, a semisynthetic opioid, is widely used for both pain management and opioid dependence due to its unique pharmacological profile. Acting as a partial agonist at μ-opioid receptors and an antagonist at κ-opioid receptors, it offers a safer alternative to traditional opioids, with reduced risks such as respiratory depression and longer-lasting effects.



A new, second-generation (2G) synthetic process for producing buprenorphine has been developed, significantly improving upon the first-generation (1G)[1] method. This optimized process incorporates an efficient three-step domino sequence, resulting in a higher overall yield (42% vs. 24%), fewer synthetic steps (10 vs. 17), and substantial reductions in raw material use, energy consumption, and waste generation (50% less waste). These advancements enhance both economic and environmental sustainability in buprenorphine production.

[1] Bentley, K. W. *US 3*, 433, 791,1969.