

S35-3 Process Research on Synthesis of Two-Ring Heterocyclic DPP-4 Inhibitors using Transition Metal-Catalyzed Cross-Coupling Reaction

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Efficient large-scale syntheses of DPP-4 inhibitor candidates 1 and 2 will be presented. As a result of extensive process research on 1 and 2, we have developed effective and convenient synthetic methods using a palladium-catalyzed cross-coupling reaction of bromoisquinolone 3 with *tert*-BuONa and copper-catalyzed cross-coupling reaction of bromoquinoline 5 with diketetopiperazine 6. These methods have been successfully applied to kg scale preparations.

