

BOOK OF ABSTRACTS

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Palladium-Catalyzed [5 + 2] Rollover Annulation of 1-Benzylpyrazoles with Alkynes: A Direct Entry to Tricyclic 2-Benzazepines

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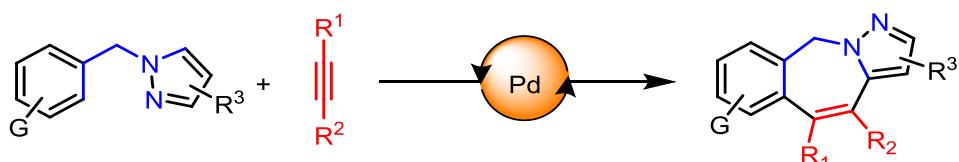
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Tricyclic benzazepines, benzofused seven-membered azaheterocycles, are privileged structures that are present in a large number of natural products which possess interesting biological properties that have aroused great interest in the chemical and pharmaceutical communities.^[1]

In classical synthetic approaches, annulation reactions (with loss of FG groups) are among the most efficient strategies. Nowadays, dehydrogenative annulations via metal-catalyzed C-H activation has emerged as an attractive step-economy and eco-friendly strategy.^[2] In this field, metal-catalyzed [4 + 3] and [6 + 1] annulations have been successfully used to synthesize 2-benzazepines.^[3] We herein report the first Pd-catalyzed [5 + 2] rollover annulation that implies a twofold C-H activation of 1-Benzylpyrazoles with alkynes.^[4]



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