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BOOK OF ABSTRACTS

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FP-44: Tricyclic 2-Benzazepines by Palladium-Catalyzed [5+2] Rollover Annulation of 1-Benzylpyrazoles with Alkynes

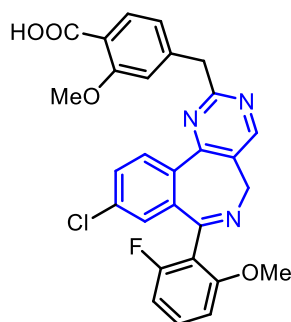
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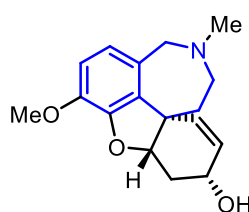
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Keywords: C-H Activation, Benzazepines, Pd catalysts, Pyrazoles, Rollover annulation

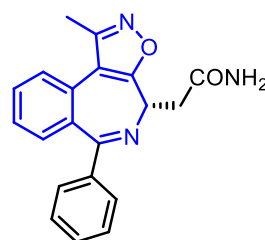
2-Benzazepines are privileged cores present in many natural and synthetic products that possess remarkable biological properties very attractive for the chemical and pharmaceutical communities and, therefore, highly demanded.^[1]



Antitumoral

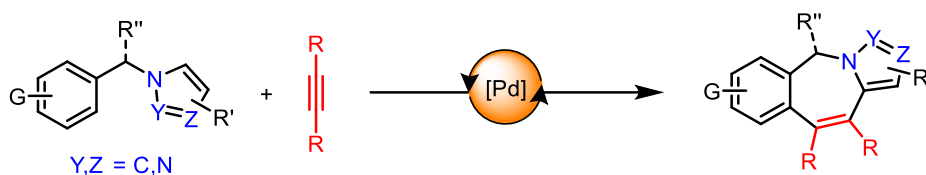


Alzheimer's treatment



Bromodomain inhibitor

Typical synthetic approaches to 2-benzazepines include classical condensations, cyclizations and cycloadditions, as well as Pd-catalyzed intramolecular and intermolecular cyclizations. Recently, more sustainable approaches involving metal-catalyzed direct activation of C-H bonds ([4+3] and [6+1] oxidative annulations) have also been developed.^[2] Following this sustainable line, we herein report the first examples of an efficient Pd-catalyzed [5+2] rollover annulation of 1-benzylpyrazoles with alkynes to tricyclic 2-benzazepines.^[3]



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References

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- [2] For a recent review, see: Velasco-Rubio, Á.; Varela, J. A.; Saá, C. *Adv. Synth. Catal.* **2020**, *362*, 4861.
- [3] Suárez-Lustres, A.; Martínez-Yáñez, N.; Varela, J. A.; Saá, C., *Manuscript in preparation*.