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Virgil Pierron, Alexandre Touron, Pierre Thuéry, Maxime Tricoire, Emmanuel Nicolas, Lucile Anthore-Dalion

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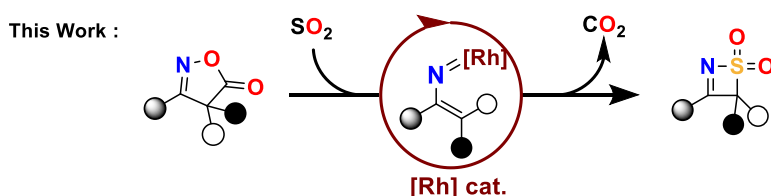
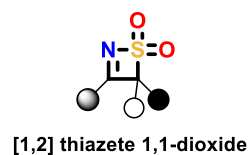
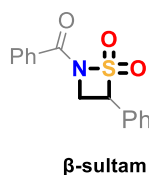
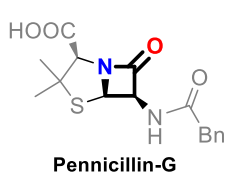


Rh-Catalysed Decarboxylative-sulfonylation for Synthesis of Thiazete Dioxide Scaffold

Virgil PIERRON,¹ Alexandre TOURON,¹ Pierre THUÉRY,¹ Maxime Tricoire,¹
Emmanuel NICOLAS,¹ Lucile ANTHORE-DALION¹
¹ LCMCE, NIMBE, CEA, CNRS, 91191 Gif-sur-Yvette CEDEX, France)
virgil.pierron@cea.fr

Strained four-membered N-heterocycles lead to interesting results in medicinal chemistry. The β -lactams scaffold, such as penicillin-G, have shown bactericidal properties.^[1] The analogous β -sultames, less described, have also shown interesting biological activities.^[2] Judging by these previous examples, the thiazete 1,1-dioxide scaffold could be worth adding to chemical libraries. Although the first synthesis dates back to 1963.^[3] The first structural confirmation on published only 35 years later,^[4] and the access to derivatized thiazete 1,1-dioxide still remain a challenge today.

4-member N-heterocycles in medicinal chemistry:



We have developed a decarboxylative-sulfonylation reaction, where formally a CO₂ molecule is exchanged with SO₂ to access thiazete dioxide scaffold from stable isoxazolones, stable precursors of nitrene-like species in the presence of organometallic complexes.^[5] The isoxazolones can be easily tuned through their preparation. The intermediate species can easily undergo a cyclization toward the corresponding azirine.^[5] In the presence of SO₂, we witnessed the [3+1] addition forming the desired thiazete dioxide, which structure has been confirmed through XRD.

References :

- [1] Eagle H., Musselman A. D.; *J. of Exp. Med.* **1947**, 85 (2), 175–186
- [2] Gersch, M.; Kolb, R.; Alte, F.; Groll, M.; Sieber, S. A.; *J. Am. Chem. Soc.* **2014**, 136 (4), 1360–1366
- [3] B. J. R. Nicolaus, E. Bellasio, E. Testa; *Helv. Chim. Acta*, **1963**, 45 (2), 717–728
- [4] Clerici, F.; Gelmi, M. L.; Soave, R.; Lo Presti, L.; *Tetrahedron Lett.* **2002**, 58 (25), 5173–5178 / Blackburn, J.; Molyneux, G.; Pitard, A.; Rice, C. R.; Page, M. I.; Afshinjavid, S.; Javid, F. A.; Coles, S. J.; Horton, P. N.; Hemming, K.; *Org. Biomol. Chem.* **2016**, 14 (6), 2134–2144
- [5] Okamoto, K.; Shimbayashi, T.; Yoshida, M.; Nanya, A.; Ohe, K. *Angew. Chem. Int. Ed.* **2016**, 55 (25), 7199–7202