SEPTEMBER 23-27 2024
19BMOS
BRAZILIAN MEETING ON ORGANIC SYNTHESIS
BENTO GONÇALVES, RS - BRAZIL

Squaramide-Dihydropyrimidinone as a New Class of Hybrid Compounds

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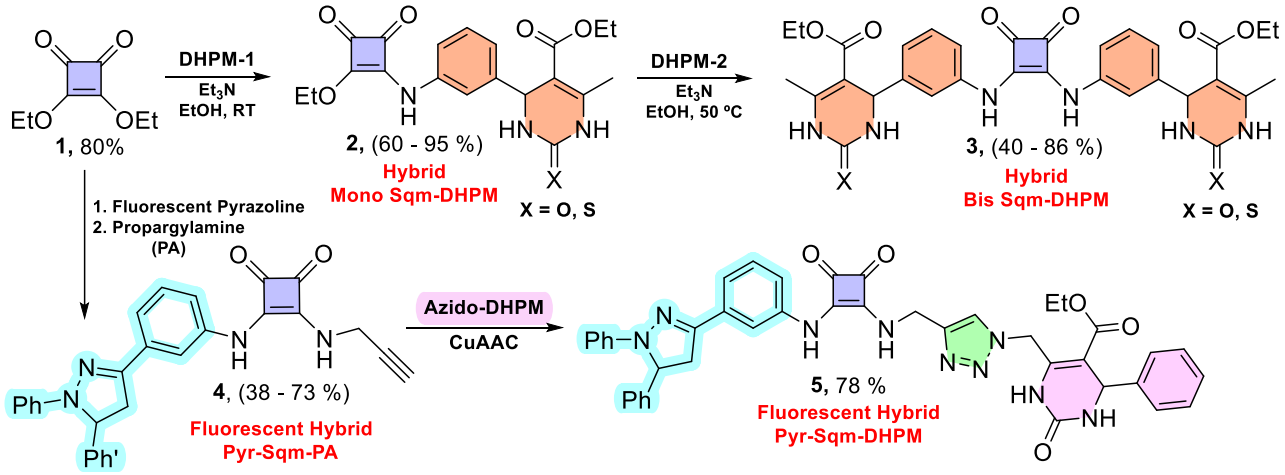
Keywords: *Hybridization, Squaramides, Dihydropyrimidinones*

ABSTRACT

Squaramides (Sqm), besides their use as organocatalysts, exhibit bioisosteric properties[1] and many of them have anti-cancer activity.[2] The connection of two bioactive entities can lead to multifunctional hybrid compounds, aiming at the discovery of more potent drugs with fewer side effects.[3] In this work, we present the synthesis of conjugated dihydropyrimidinones (DHPM) and squaramides as new linkers for mono and bis *Sqm-DHPM* hybrid compounds (**2** and **3**, respectively), designed for possible anti-cancer activity (Scheme 1).



Scheme 1. Hybrid Squaramide-based Compounds

Additionally, a fluorescent hybrid can be used as a fluorescent molecular probe, allowing the direct observation of compounds in the intracellular environment by confocal microscopy.[5] Thus, Squarate **1** was transformed into the hybrid *Pyr-Sqm-PA* (**4**) in two steps. After that, a Click-type CuAAC reaction with an azido-DHPM led to the fluorescent hybrid *Pyr-Sqm-DHPM* (**5**). Evaluation of cytotoxic activity against tumoral cell lines is in progress.

ACKNOWLEDGEMENTS

The authors are in debit with CAPES, FAPERGS and CNPQ for the financial support.

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