6th International Conference on
Organic & Inorganic Chemistry
August 08-09, 2019 | Amsterdam, Netherlands

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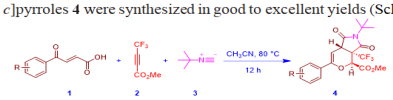
Efficient & stereoselective synthesis of trifluoromethylated pyrano[3,4-c] pyrrole derivatives

Fused pyrroles are ubiquitous motifs in a substantial number of naturally and non-naturally occurring compounds and can often serve as "privileged structures" in medical and pharmaceutical chemistry. Among them, pyrano[3,4-c] pyrrole derivatives have aroused interest owing to their biological activities. Herein, we report the efficient and stereoselective synthesis of trifluoromethylated pyrano[3,4-c]pyrroles via three-component bicyclization process. We performed the reaction by employing 3-aroylacrylic acids 1, methyl 4,4,4-trifluorobut-2-ynoate 2 and tert-butyl isocyanide 2 in MeCN. After stirring for 12 h at 80°C, a series of trifluoromethylated pyrano[3,4-c]pyrroles 4 were synthesized in good to excellent yields.

c]pyrroles **4** were synthesized in good to excellent yields (Scheme 1, Fig. 1, Table 1).



Scheme 1

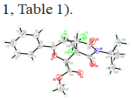


Fig. 1 X-ray diffraction of **4a**

Table 1 Synthesis of trifluoromethylated pyrano[3,4-c]pyrroles **4**[a]

Entry	R	Product **4**	Yield (%)[b]
1	H	**4a**	80
2	4-Me	**4b**	87
3	4-F	**4c**	97
4	4-NO_2	**4d**	97
5	4-Br	**4e**	90
6	3-Me	**4f**	84
7	3-CF_3	**4g**	94

[a] Reaction conditions: 3-aroylacrylic acids **1** (1.0 mmol), methyl 4,4,4-trifluorobut-2-ynoate **2** (1.5 mmol), *tert*-butyl isocyanide **3** (1.2 mmol), MeCN (5 mL), at 80 °C for 12 h. [b] Isolated yield.

Biography

Weiguo Cao has obtained his PhD degree from Shanghai Institute of Applied Physics, Chinese Academy of Sciences in 2003. Currently, he is working in Department of Chemistry at Shanghai University. He has been focusing on the development of synthetic methodologies for the constructing of fluorinated heterocycles using fluorine-containing building blocks with more than 300 papers.

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