MILD SYNTHESIS OF ARYLSULFONAMIDES USING N-SULFONYLAMINE

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Sulfonamides are pervasive in medicinal chemistry, but they draw a lot of attention from researchers in the field of organic chemistry, chemical biology or material sciences. Our group reported in 2020 that cell-permeable and fluorogenic rhodamine dyes can be generated by switching from lactone to lactam with sulfonamides as N source.[1] Recently, we reported the synthesis of a sulfonamide from BAPTA and constructed a calcium sensor based on this (Figure 1A).[2] However, as the classical method for introducing primary sulfonamide functionality requires harsh conditions, we would like to develop a novel method to facilitate the synthesis of arylsulfonamides.

We herein reported a mild and practical method for installing -SO2NH2 group to aniline derivatives. The key of this reaction relies on the in-situ generation of the active electrophile O2S=NR (Figure 1B).[3] We used this strategy to access a series of fluorescent sensors for metallic ions.

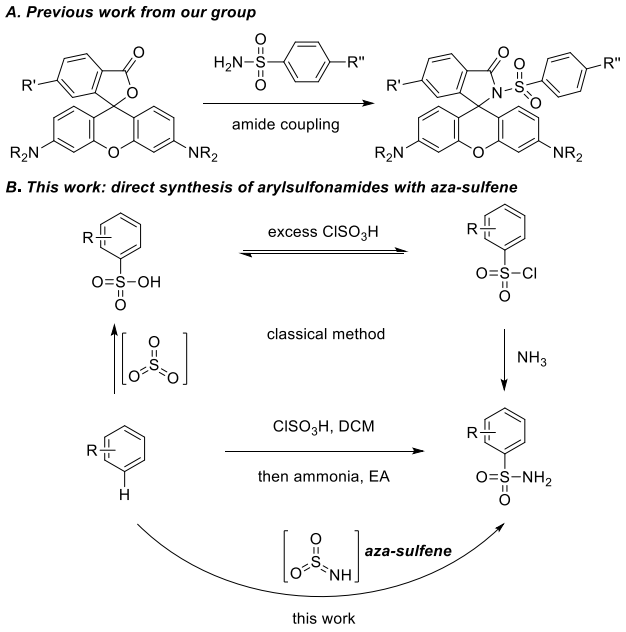

Figure 1. Our previous work using sulfonamide for fine-tuning Rhodamine (**A**). This work: a novel method for making sulfonamides (**B**).

[1] a) L. Wang *et al*. *Nat. Chem*. **2020**, *12*, 165-172; b) N. Lardon *et al*. *J. Am. Chem. Soc*. **2021**, *143,* 14592-14600.
[2] N. Mertes *et al*. *J. Am. Chem. Soc*. **2022**, *144,* 6928-6935.
[3] M.-M. Wang, K. Johnsson, *manuscript in preparation*.