N-Acylsulfonamide as directing group and internal oxidant in ruthenium catalyzed C-H activation/annulation reactions

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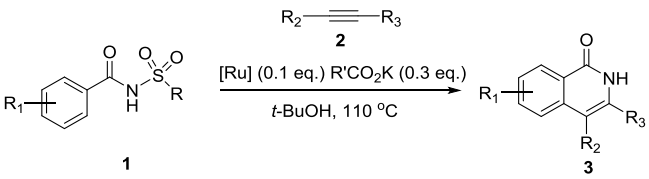
Transition metal catalyzed functionalization of C-H bonds *via* annulation reaction with alkyne or alkene is a convenient method for the construction of heterocycles [1-5]. Such annulation requires an external oxidant to re-generate the metal in the oxidation state for C-H activation. Alternatively, directing groups could be used also as an internal oxidant. To date, only hydroxamic acid derivatives are known as substrates for directed C-H activation/annulation reactions involving internal oxidation process [1-4,6].

We have discovered that ruthenium (II) catalyzes annulation of *N*-acylsulfonamides **1** with alkynes **2** producing isoquinolinones **3** (Scheme 1). This reaction proceeds with concomitant N-S bond reduction in acylsulfonamide which ensures the ruthenium catalytic cycle without addition of external oxidants.



Scheme. 1. Directed C-H activation/annulation reaction of *N*-acylsulfonamides

Optimization of the reaction conditions was performed and the substrate scope was explored. The products **3** were formed in moderate to high yields.

References:

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