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N-SULFONYLCARBOXAMIDE AS AN OXIDIZING DIRECTING GROUP FOR RUTHENIUM CATALYZED C-H ACTIVATION/ ANNULATION

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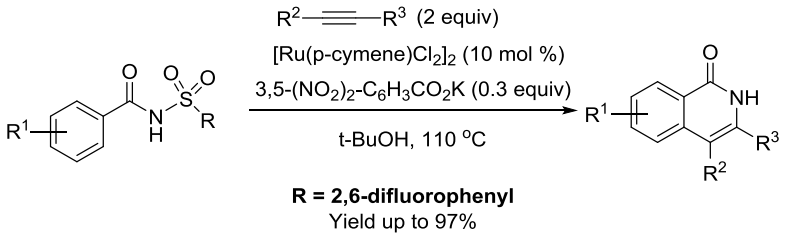
Functionalization *via* C-H activation enables atom and step economic synthesis of the complex molecules and has motivated a considerable progress of method development in recent years [1]. An effective C-H activation methodology has provided new routes for the construction of the variety of heterocycles. Isoquinolone derivatives can be obtained by Ru catalyzed C(sp^2)-H activation of amides followed by the annulation of the intermediate metallacycle with olefins or alkynes [2].

We have developed an efficient traceless method for the synthesis of isoquinolones by Ru catalyzed annulation of *N*-sulfonylcarboxamide with alkyne. In this reaction, *N*-sulfonylcarboxamide acts as both an internal oxidant and directing group. Catalyst re-oxidation takes place in the N-S bond cleavage step. Of all *N*-sulfonylcarboxamides studied, the most efficient was *N*-2,6-difluorobenzenesulfonamide which led to the formation of unstable sulfinate and readily decomposed to 1,3-difluorobenzene under the reaction conditions.



Supervisors: Dr. chem. A. Jirgensons, Dr. chem. Dace Rasina

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