Niobium-catalyzed cycloaddition of nitriles with trifluorotoluenes to form 1,3,5-triazine derivatives.

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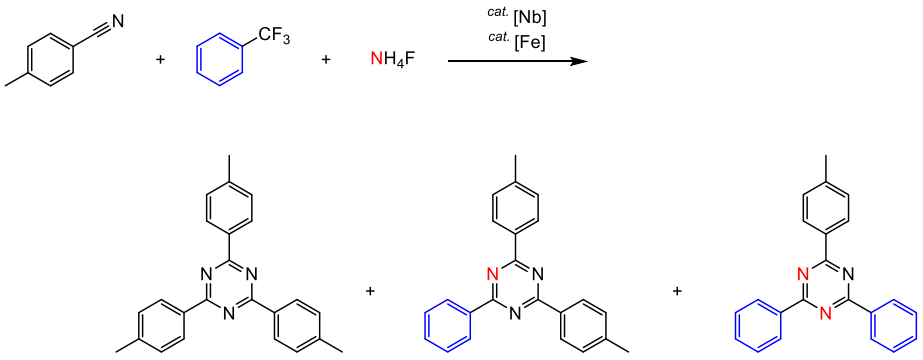
Triazine derivatives have widely been used in a variety of pharmaceutics and natural products. Conventionally, a few known procedures for the synthesis of triazine derivatives required harsh reaction conditions[1] or an excess amount of brønsted acid as additive[2]. Considering the pharmaceutical importance of triazine derivatives, it is highly desirable to develop efficient catalytic process under mild reaction conditions. Our group have reported the synthesis of various cyclic compounds from alkenes, alkynes and nitriles by using Nb catalysts.[3] In the synthesis methods, Nb performs as thermal stable low valent species or Lewis acid. $NbCl_5$ especially has high Lewis acidity compared with other transition metals, and has received increasing attention in recent years. As one of our reports, we reported synthesis of pyrimidine derivatives using Lewis acids-mediated cyclization of two nitriles and one alkyne.[4] In this report, $NbCl_5$ served as an efficient Lewis acid catalyst for nitrile activation and $FeCl_3$ performed as additive for releasing $NbCl_5$ into catalytic cycle.

In this presentation, we report that $NbCl_5/FeCl_3$-catalyzed cycloaddition of various nitriles with trifluorotoluenes led to 1,3,5-triazine derivatives. This protocol has advantage of highly efficient access to producing different kinds of 1,3,5-triazine derivatives under mild reaction condition.



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