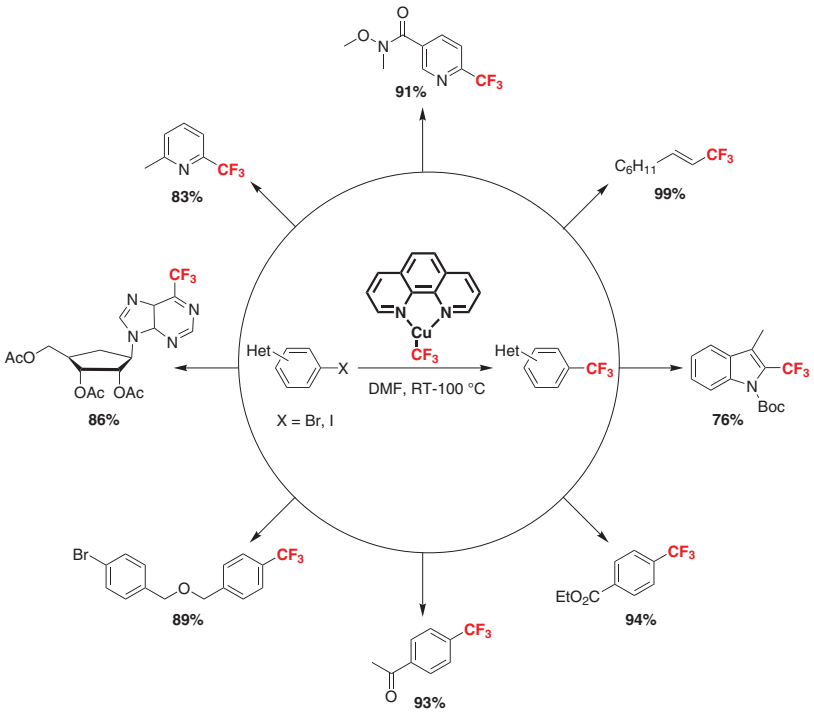
# Trifluoromethylator®

## Trifluoromethylation MADE EASY!

The quest to devise a simple and high yielding method to introduce fluorine moieties has been ongoing for the past 20 years.[1] The introduction of perfluoroalkyl groups affects the physical property and the biological activity of the molecule making the addition of this moiety a crucial step in the synthesis of new drugs and agrochemicals.[2] Several methods have been developed in the past 25 years to introduce trifluoromethyl groups, however, the reaction conditions are often very harsh needing high catalyst loading, toxic reagents and high temperature, limiting their application scope.[3]

More recently, Hartwig's group developed a new copper based trifluoromethylation reagent, Trifluoromethylator®, able to add trifluoromethyl moieties on a variety of heteroaryl iodides and bromides. This breakthrough reagent is very efficient not only with aryl iodide, but also with heteroaryl bromide. Using mild conditions, a variety of heterocycles were reacted yielding the desired fluorinated product in high yields. The utility of the reagent was shown by reacting heteroaryl bromides and iodides with various functional groups, yielding the desired products in good to high yields.[4] The scope of the reagents encompasses various bromopyridine containing both electron donating and electron withdrawing groups at different positions of the ring, affording the desired products in high yields. Finally, the versatility of the trifluoromethylation reagent was demonstrated by reacting a range of bromopyrazines, quinolones, quinoxolines, isoquinolines and aza-indoles affording the desired products in excellent yields.[5]




- Operationally simple
- Broad substrate scope with unprecendented functional group compatibility
- Shelf-stable and available in competitive g-to-kg pricing

Product Number: **300363**
1 g, 10 g, 25 g, 100 g, 500 g

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please contact bulk@aspirasci.com.

In a typical reaction 1.2 to 1.5 equivalent of the trifluoromethylator is added to the reactant in DMF. The reaction is allowed to stir at the required temperature (RT-100 °C) for up to 18 hours. The desired product is then extracted and further purified using column chromatography.

**References**


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(2) (a) Kirsch, P. Modern Fluoroorganic Chemistry; Wiley-VCH: Weinheim, Germany, 2004. (b) Uneyama, K. Organofluorine Chemistry; Blackwell: Oxford, U.K., 2006. (c) Ojima, I. Fluorinein Medicinal Chemistry and Chemical Biology; Wiley-Blakcwell: Chichester, U.K., 2009.
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