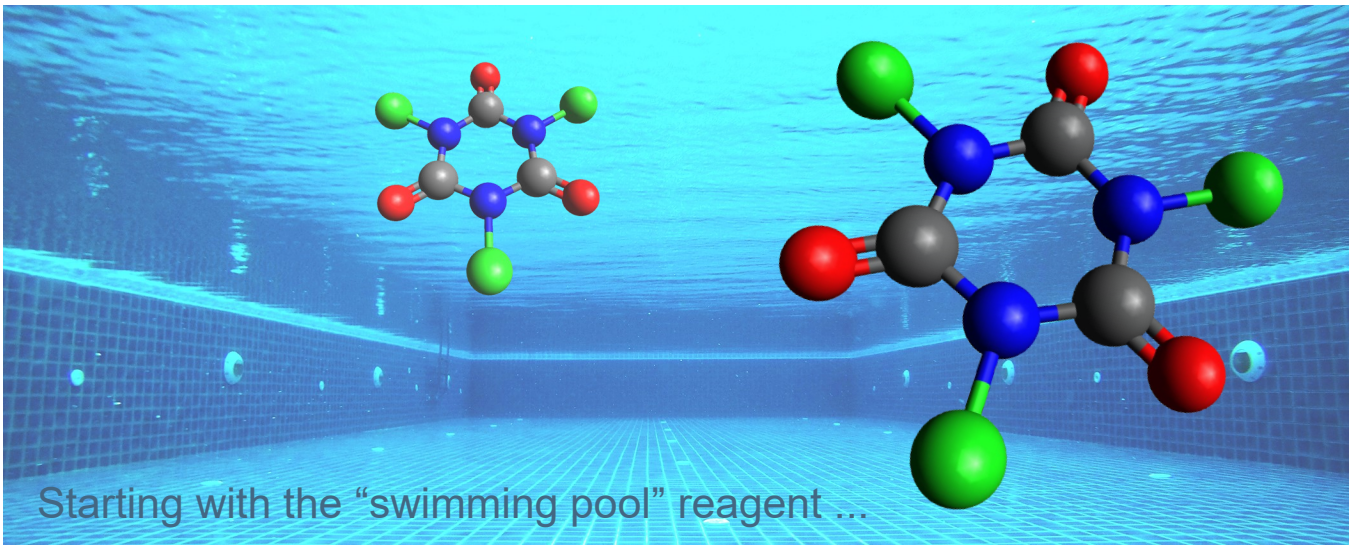
ETH zürich

Licensing Opportunity

Mild and gas reagent-free synthesis for the introduction of the SF_5 group in drugs



Summary

A completely gas reagent-free approach to aryl-SF_5 compounds and oxidative polyfluorination is offered via a new access to key intermediates.

Background

Many drugs contain fluorinated functional groups in order to protect them from premature degradation and, thus, extend the duration of drug action. The SF_5 group has shown advantageous properties over their existing CF_3 counterparts. The accessibility to SF_5 groups has been limited, though, as hazardous fluorinating reagents and/or corrosive gas reagents (F_2, Cl_2) are required for the synthesis.

Invention

A great variety of aryl-SF_5 and heteroaryl-SF_5 compounds can be synthesized under mild and gas reagent-free conditions. Using this new approach, solid trichloroisocyanuric acid (used as a common disinfectant in swimming pools), a metal fluoride (KF), and catalytic acid react with a diaryl disulfide to form the key aryl-SF_4Cl intermediate in the first step. The second step (Cl-F exchange), which is well precedented, yields the aryl-SF_5 compound. The intermediate aryl-SF_4Cl can also act as a precursor for aryl-SF_4-R compounds, where R represents an organic group.

Features & Benefits

- Key aryl-SF_4Cl intermediates
- Saves steps over traditional aryl-SF_5 synthesis
- Cheap, safe, easy-to-handle

Fields of Application

- Medicinal chemistry and agrochemistry
- Liquid crystals

Patent Status

- Patent pending

Publication

- C.R. Pitts, D. Bornemann, P. Liebing, N. Santschi, A. Togni, “Making the SF_5 Group More Accessible: A Gas Reagent-free Approach to Aryl Tetrafluoro-λ^6-sulfanyl Chlorides“, Angewandte Chemie 2018 https://doi.org/10.1002/anie.201812356

Technology Readiness Level

1 2 3 4 **5** 6 7 8 9

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