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IMPROVED POTENCY OF DRUGS and PESTICIDES via NOVEL DIFLUOROMETHYLATION METHODS

TECHNICAL FIELD
Synthetic Organic Chemistry

APPLICATION
Pharmaceuticals
Agrochemicals

DESCRIPTION

Installing fluorine and fluorine-containing groups has become a commonly used tactic to improve the potency of pharmaceutical and agrochemical agents. In particular, difluoromethyl (CF_2H) groups act as lipophilic hydrogen bond donors and thus potential bioisosteres for hydroxyl, amino, or thiol groups. As a result, CF_2H-containg molecules have received increased attention in medicinal and agricultural chemistry.

Alkyl-difluoromethane molecules have great pharmaceutical and agrochemical relevance. However, limited methods were available that could install CF_2H groups selectively at aliphatic sites, especially at late-stage steps.

Dr. Wei Liu has invented reaction methods which can form a diverse range of alkyl-difluoromethanes from alkyl halides, alkenes, and alcohols. These reaction methods are scalable and have a broad substrate scope and functional group tolerance, making them great for late-stage modification of complex drug candidates. Thus, with these reaction methods, previously inaccessible pharmaceutical and agrochemical candidates can be easily synthesized.

For discussions around learning more or licensing this technology, please contact Madison Bourbon today.

ADVANTAGES

- Addition of CF_2H groups to:
  - Alkyl halides
  - Alkenes
  - Alcohols
- Possibility for new and metabolically stable drug candidates
- Broad substrate scope and functional group tolerance
- Applicable to late-stage modification of complex drug candidates

INVENTORS

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