AU(III) COMPLEXES FOR [18F] TRIFLUOROMETHYLATION

Tech ID: 27428 / UC Case 2017-114-0

PATENT STATUS

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<th>Country</th>
<th>Type</th>
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<td>United States Of America</td>
<td>Issued Patent</td>
<td>11,332,482</td>
<td>05/17/2022</td>
<td>2017-114</td>
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BRIEF DESCRIPTION

The biological properties of trifluoromethyl compounds (e.g., CF3) have led to their ubiquity in pharmaceuticals, yet their chemical properties have made their preparation a substantial challenge, necessitating innovative chemical solutions. For example, strong, non-interacting C-F bonds lend metabolic stability while simultaneously limiting the ability of chemical transformations to forge the relevant linkages and install the CF3 unit. When these same synthetic considerations are extended toward the synthesis of trifluoromethylated positron emission tomography (PET) tracers, the situation becomes more complex.

UC Berkeley researchers discovered an unusual alternative mechanism, in which borane abstracts fluoride from the CF3 group in a gold complex. The activated CF2 fragment can then bond to a wide variety of other carbon substituents added to the same gold center. Return of the fluoride liberates a trifluoromethylated compound from the metal. This mechanism would be useful for the introduction of radioactive fluoride substituents for potential tracers to be used for positron emission tomography applications.

SUGGESTED USES

- synthesis of 18F-radiolabeled aliphatic CF3-containing compounds
- tracers for use in positron emission tomography

ADVANTAGES

- synthesis of complex organic derivatives without cleavage of the Au-C bond

RELATED MATERIALS

- A catalytic fluoride-rebound mechanism for C(sp3)-CF3 bond formation

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Asymmetric Electrophilic Fluorination Using An Anionic Chiral Phase-transfer Catalyst