

Special Issue

Fluorine-Containing Pharmaceuticals

Message from the Guest Editor

Fluorine-containing pharmaceuticals, also known as fluoro-pharmaceuticals, have been attracting attention for more than half a century. Presently, about 20% of the commercial pharmaceuticals are fluoro-pharmaceuticals. Notably, 17 fluoro-pharmaceuticals were approved by the U.S. Food and Drug Administration (FDA) in 2018, equivalent to 45% of 38 small-molecule pharmaceuticals approved in 2018. An impressive rate of 41% (13/32) in 2019 is also noted. The special nature of fluorine includes high electronegativity; small size and van der Waals radius; and different chemical reactivity with respect to hydrogen. Isosteres such as F, CF₃, and C=CHF are used to replace H (or OH), CH₃CH₂, and peptide bond, respectively. In this Special Issue, we wish to present our readers with updated works addressing the development of fluorine-containing pharmaceuticals and their application in drug discovery. Topics include: bioorganic chemistry of fluoro-compounds, positron emission tomography imaging-directed fluoro-compounds and binding study, metabolism study, and nuclear medicine of fluoro-pharmaceuticals.

Guest Editor

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Editor-in-Chief

Prof. Dr. Amélia Pilar Rauter

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