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J. Fluorine Chem., 130 (2009) 996

Stereoselective fluorination of methylenecyclopropanes with *N*-F reagents: A modular entry to γ-fluorohomoallylic sulfonimides and γ- fluorohomoallylic amides

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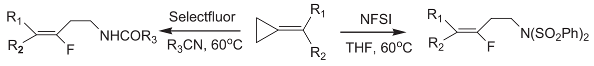

A convenient and efficient method for fluorination of methylenecyclopropanes is reported. This is exemplified in the stereoselective preparation of *N*-[(*E*)-3-fluorobut-3-en-1-yl]-benzenesulfonimides by the reaction of methylenecyclopropanes with *N*-fluorobenzenesulfonimide in good to excellent yields. Moreover, γ-fluorohomoallylic amides are synthesized using Selectfluor in R_3CN at 60 °C.

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Synthesis and biological evaluation of triazepane derivatives as DPP-IV inhibitors

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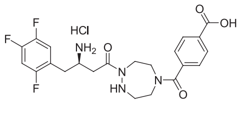
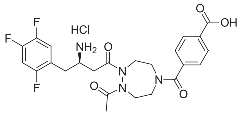


13n



13p

A series of triazepane derivatives such as (*R*)-3-amino-1-(1,2,5-triazepan-1-yl)-4-(2,4,5-trifluorophenyl)butan-1-ones (**7**, **13a**–**p**) and (*R*)-3-amino-1-(1,2,5-triazepan-5-yl)-4-(2,4,5-trifluorophenyl)butan-1-ones (**17a**–**e**) was synthesized and evaluated for their ability to inhibit the dipeptidyl peptidase IV (DPP-IV) enzyme. Compounds with the acid moiety were found to be potent inhibitors of DPP-IV without inhibiting CYP 3A4. Among them, compound **13p** ((*R*)-4-[1-acetyl-2-{3-amino-4-(2,4,5-trifluorophenyl)butanoyl-1,2,5-triazepan-5-carbonyl}-benzoic acid]) showed a good *in vitro* activity without inhibiting CYP.

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Synthesis and characterization of poly(tetrafluoroethyleneperoxides)

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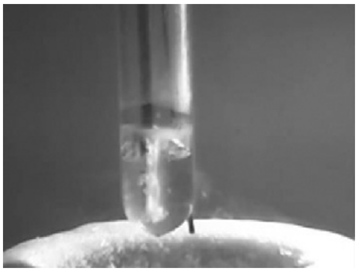

In particular conditions tetrafluoroethylene (TFE) reacts with oxygen to produce unstable polymers containing large amounts of peroxidic units. Products having a variable peroxide content up to the nearly pure poly(perfluoroethyleneperoxide) $-(CF_2CF_2OO)_n-$ have been synthesized and characterized.

TFE concentration and initiation rate are the main reaction parameters affecting the peroxide content and therefore the physical chemical properties of these materials, potentially dangerous by-products in the TFE oxypolymerization process.

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Intramolecular nucleophilic substitution in C_6F_5 moiety. The fluoride–dialkylamino exchange in decafluorodiphenlamino moiety

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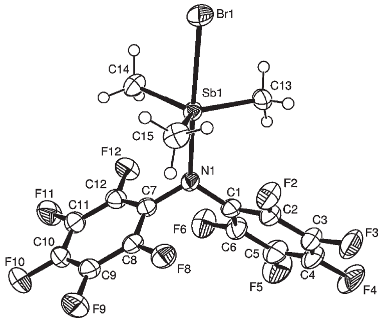
The aminolysis of $[In(NEt_2)_3]_2$, $Sb(NEt_2)_3$ and $Bi(NMe_2)_3$ with decafluordiphenylamine was studied. Bi(III) and Sb(III) alkylamides show an interesting *ortho*-directed C–F activation which was not observed in Sb(V) and In(III) compounds: $[(C_6F_5)_2NIn(NEt_2)_2]_2$ is stable whereas $(C_6F_5)_2NSb(NEt_2)_2$ rearranges to give $F_2Sb[N(o\text{-}Et_2N{-}C_6F_4)_2]$. Metathesis of halides with $Li(THF)[N(C_6F_5)_2]$ gave $Sb[N(C_6F_5)_2]_3$, $Me_3Sb(Br)N(C_6F_5)_2$, $Me_3Sb(Cl)N(C_6F_5)_2$, $Me_3Sb[N(C_6F_5)_2]_2$, and $Li(THF)_2[In\{N(C_6F_5)_2\}_3Cl]$.



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Porous alumina-based fluorous liquid membranes: Dependence of transport on fluorous solvent

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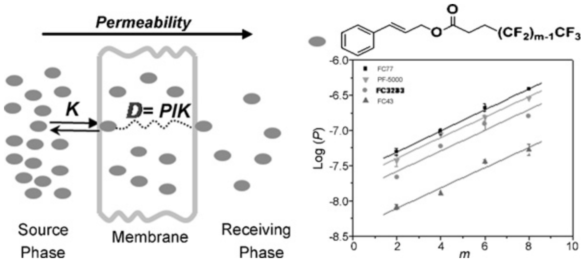
Membrane solvents are PF-5080, FC-3283, FC-77, and FC-43. Solutes are fluorous esters of cinnamyl alcohol. Partition coefficients and diffusion coefficients are well predicted by group contribution methods.



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Synthesis, characterization and antimicrobial activity of some new 1-(fluorobenzoyl)-3-(fluorophenyl)thioureas

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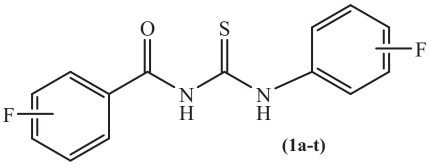
A variety of novel 1-(isomeric fluorobenzoyl)-3-(isomeric fluorophenyl)thioureas (**1a–t**) were synthesized and screened for their *in vitro* antimicrobial activity.



(1a-t)

J. Fluorine Chem., 130 (2009) 1035

Study on the fluoride adsorption of various apatite materials in aqueous solution

Shan Gao, Jing Cui, Zhenggui Wei

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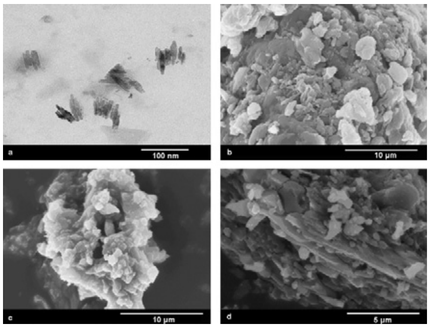

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Fluorous-based peptide microarrays for protease screening

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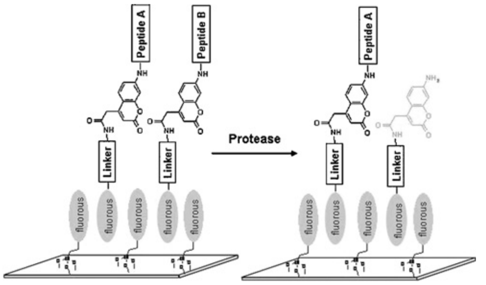

The first use of noncovalent fluorous-based microarrays to probe peptide sequences begins to define the scope and limitations of fluorous microarray technologies for the screening of proteases. The fluorous surface did not serve to significantly inactivate the enzymes, but linkers must be properly designed to avoid lower rates of substrate cleavage with enzymes such as chymotrypsin with affinities to hydrophobic moieties.

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Asymmetric synthesis of α-fluoro-α-sulfenyl-β-ketoesters using DBFOX–Ph/Ni(II) complex

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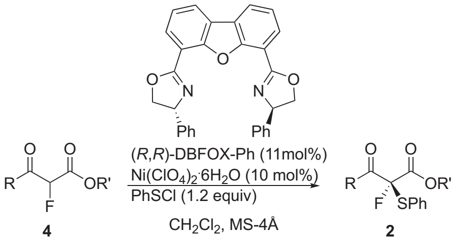

Enantioselective α-sulfenylation of α-fluoro-β-ketoesters **4** with phenylsulfenyl chloride catalyzed by DBFOX–Ph/Ni(II) complex afforded the corresponding α-fluoro-α-sulfenyl-β-ketoesters **2** in moderate to good yields with excellent enantiomeric excesses up to 93% ee. α-Fluoro-α-sulfenyl-β-ketoesters can be effectively converted to tri-fluorinated α-sulfenylcarboxylates by the use of DAST, which should be useful intermediates for the synthesis of non-racemized fluorinated isosteres of pharmaceutically attractive SM_{32}. The enantioselective α-phenylsulfenylation as well as α-pentafluoro-phenylsulfenylation of non-fluorinated β-ketoesters **5** were also carried out under the same catalyst conditions affording up to 95% ee of the products **6–8**.

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The synthesis of 1,5-benzodiazepines in a fluorous aqueous emulsion

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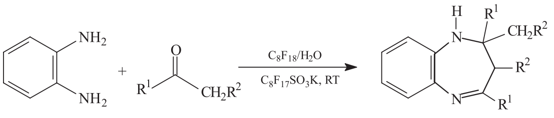
The synthesis of 1,5-benzodiazepine derivatives was smoothly carried out in a fluorous aqueous system composed of perfluorooctane (C_8F_{18}) and potassium perfluorooctanesulfonate ($C_8F_{17}SO_3K$, KFOS) at room temperature. The aqueous perfluorinated emulsion can be recovered by simple extraction and used again without a significant loss of efficiency.



J. Fluorine Chem., 130 (2009) 1059

Effect of crystal structure and ions concentration on luminescence in Yb^{3+} and Tm^{3+} codoped fluoride microcrystals

Lili Wang[a,b], Chunyan Cao[c], Xiaojie Xue[a], Dan Zhao[a], Daisheng Zhang[a], Kezhi Zheng[a], Ning Liu[a], Feng Shi[a], Chunfeng He[a], Weiping Qin[a]

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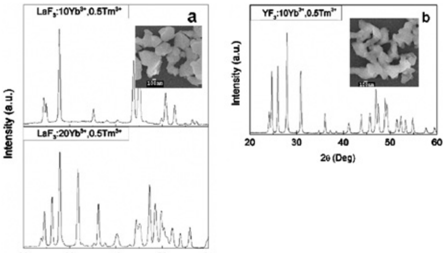

XRD patterns of samples (a) LaF_3:$10Yb^{3+}$, $0.5Tm^{3+}$; LaF_3:$20Yb^{3+}$, $0.5Tm^{3+}$; (b) YF_3:$10Yb^{3+}$, $0.5Tm^{3+}$ MCs annealed at 600 °C.