



Synthesis of new 1-substituted 4-perfluoroalkyl tetrazol-5-ones

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ABSTRACT

1,3-Dipolar cycloaddition of perfluoroalkyl ethyle azides **1** with isocyanates **2** afforded 1-perfluoroalkyl-4-(*n*-Bu, phenyl or mesitylsulfonyl) tetrazol-5-ones **3** in good yields. The use of perfluoroalkyl ethyl azides extends the reaction to the less reactive *n*-butyl isocyanate.

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1. Introduction

Tetrazoles are widely used due to their applications as pesticides [1–4], antihypertensive, antiallergic, antibiotic and anticonvulsant agents [5–8]. They have also found use in cancer, AIDS and obesity treatments [9–13]. These various applications are presumably due to the metabolic stability of the tetrazole ring which led to a wider use of their derivatives, including those containing the 5-oxo-substituent (tetrazolones) [14].

1,4-Disubstituted tetrazolin-5-ones are indirectly synthesized from reaction of azide ion [15] or trimethylsilylazide [16] with isocyanates. The reaction yields initially monosubstituted tetrazol-2-ones, which via an alkylation reaction, leads to the formation of a mixture of *N*-alkylation and *O*-alkylation products [17] (Scheme 1).

Direct synthesis of 1,4-disubstituted tetrazol-5-ones [18] from isocyanates and azides have been less reported due to the long reaction time, poor yields and unreactivity of some alkyl isocyanates [19]. Both alkyl and arylsulfonyl isocyanates were used on several occasions [18–20], particularly in 1,3-dipolar cycloaddition reactions [21]. Perfluoroalkyl azides have been, in their turn, used as intermediates in the preparation of corresponding perfluoroalkyl imines [22], amines [23] and triazoles [24] as well as in the synthesis of compounds that have interesting surface properties [25].

On the other hand, it has been shown that fluorine-containing compounds, especially fluorinated heterocycles have good and extensive biological activities allowing their possible applications

in pharmaceuticals and pesticides [26]. The development of an efficient method for the synthesis of perfluoroalkylated heterocycles is thus of growing interest due to their wide potential bioactivities and commercial applications [27–29].

We have previously shown that isocyanates, aryl and alkoxysulfonyl isocyanates reacted with alcohols [30], thiols [31] and amines [32] to give acyclic compounds.

Herein we report the direct synthesis of 1-substituted 4-perfluoroalkyl tetrazol-5-ones **3** via the reaction of isocyanates **2** with fluorinated alkyl azides **1**. These new fluoroheterocyclic compounds were obtained in good to excellent yields.

2. Results and discussion

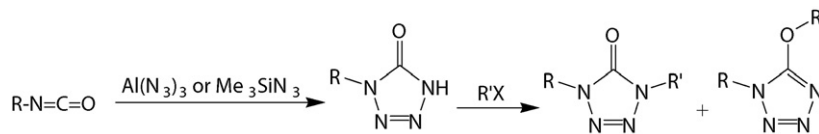
Perfluoroalkyl azides **1** react readily with isocyanates **2** to give the 1-substituted 4-perfluoroalkyl tetrazol-5-ones in good yields (Scheme 2).

The long reaction time known for this type of reactions [18,19] is observed with *n*-butyl isocyanate. As expected, a significant increase in the reaction rate occurs with the more reactive phenyl and arylsulfonyl isocyanates, leading at the same time to better reaction yields (see Table 1).

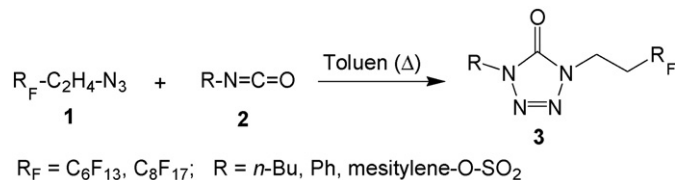
n-Butyl isocyanate was found to be unreactive toward azides including the most reactive one, *n*-butyl azide [19]. In contrast, it is shown in Table 1 that perfluoroalkyl azides do react with *n*-butyl isocyanate to form products **3a** and **3b**. This can be expected since the introduction of a perfluoroalkyl group in a molecule may remarkably modify its chemical properties and biological activity [33,34]. The better reactivity of the perfluoroalkyl azides as compared to non-fluorinated analogs is thought to arise from the electron withdrawing effects of the perfluoroalkyl group which

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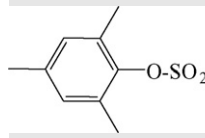


Scheme 1.



Scheme 2.

Table 1
Perfluoroalkylated tetrazol-5-ones **3**

R	R _F	Tetrazol-5-one 3	Reaction time (day)	Yield (%)
n-Bu	C ₆ F ₁₃	3a	14	77
	C ₈ F ₁₇	3b	15	80
C ₆ H ₅	C ₆ F ₁₃	3c	2	90
	C ₈ F ₁₇	3d	2	97
	C ₆ F ₁₃	3e	1	95
	C ₈ F ₁₇	3f	1	97

would favor the canonical form, responsible for such cycloaddition reactions.

3. Conclusion

New 1-substituted 4-perfluoroalkyl tetrazol-5-ones were prepared directly from isocyanates and perfluoroalkyl azides in good to excellent yields. The use of perfluoroalkyl azide extends the reaction of azides to *n*-butyl isocyanate. The possible biological activity of these new fluorinated heterocyclic compounds is under investigation.

4. Experimental

IR spectra were recorded on PerkinElmer Paragon 1000 PC spectrometer. ¹H, ¹³C and ¹⁹F NMR spectra were recorded in CDCl₃ on a Bruker AC 300 spectrometer at 300, 75 and 282 MHz, respectively, for ¹H (TMS), ¹³C (TMS) and ¹⁹F (CFCl₃). HRMS spectra in chemical ionization mode were carried out on a MAT 95 SBE spectrometer. The silica gel used is of Merck 7734 type. The HRMS spectra were performed at the "Institut National de Recherche et d'Analyse Physico-chimique (INRAP), Laboratoire d'analyses, Pole Technologique, Sidi Thabet-2020-Tunisia".

Perfluoroalkyl ethyl azides **1** were prepared as previously described in the literature [24].

4.1. Preparation of 4-substituted 1-perfluoroalkyl tetrazol-5-ones (**3**)

4.1.1. 1-Butyl-4-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)-1H-tetrazol-5(4H)-one (**3a**)

A mixture of perfluoroalkyl azide **1a** (3 mmol, 1.17 g) and *n*-butyl isocyanate **2a** (3 mmol, 0.30 g) in 15 mL of dry toluene was

heated at 70 °C for 14 days. Toluene was evaporated and the crude product was purified by column chromatography, using initially petroleum ether to eliminate impurities, then a petroleum ether/diethyl ether mixture (90/10) to obtain tetrazol-5-one **3a** as a viscous oil; IR: ν 1720 cm⁻¹ (C=O); ¹H NMR, δ 0.94 (t, 3H, CH₃-, ³J_{HH} = 7.2), 1.37 (m, 2H, CH₂-CH₂-CH₃), 1.51 (m, 2H, CH₂), 2.46 (tt, 2H, CH₂-CF₂, ³J_{HH} = 6.3, ³J_{HF} = 17.9), 3.19 (m, 2H, CH₂-N), 4.39 (t, 2H, CH₂-N, ³J_{HH} = 6.1); ¹³C NMR, δ 13.9 (s, 1C, CH₃-) 20.1 (s, 1C, CH₂-CH₃), 31.1 (t, 1C, CH₂-CF₂, ²J_{CF} = 21.2), 31.6 (s, 1C, CH₂-CH₂-CH₂), 41.3 (s, 1C, CH₂-N), 57.1 (s, 1C, CH₂-N), 106–124 (m, 6CF), 156.1 (s, 1C=O); ¹⁹F NMR, δ -113.2 (m, CF_{2 α} , ³J_{FF} = 18.39 Hz), -121.8 (m, CF_{2 β}), -123.7 (m, CF_{2 γ}), -123.1 (m, CF_{2 δ}), -127.2 (m, CF_{2 ω}), -82.1 (tt, CF₃, ³J_{CF3} = 9.17 Hz); HRMS: calcd. for C₁₃H₁₃F₁₃N₄O: 488.08828; found 488.08796.

4.1.2. 1-Butyl-4-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptafluorodecyl)-1H-tetrazol-5(4H)-one (**3b**)

A mixture of perfluoroalkyl azide **1b** (3 mmol, 1.47 g) and *n*-butylisocyanate **2a** (3 mmol, 0.30 g) was reacted and the product purified in the same manner as for **3a** to obtain tetrazol-5-one **3b** as a white solid; m.p. = 48 °C; IR: ν 1721 cm⁻¹ (C=O); ¹H NMR, δ 0.93 (t, 3H, ³J_{HH} = 7.1), 1.36 (m, 2H), 1.49 (m, 2H), 2.45 (m, 2H, ³J_{HH} = 6.2, ³J_{HF} = 18.4), 3.18 (m, 2H), 4.37 (t, 2H, ³J_{HH} = 6.3); ¹³C NMR, δ 13.5 (s, 1C) 19.8 (s, 1C), 30.9 (t, 1C, ²J_{CF} = 21.5), 31.2 (s, 1C), 40.8 (s, 1C), 56.6 (s, 1C), 106–124 (m, 8CF), 155.9 (s, 1C=O); ¹⁹F NMR, δ -114.9 (m, CF_{2 α} , ³J_{FF} = 18.4 Hz), -123.0 (m, CF_{2 β}), -124.9 (m, CF_{2 γ}), -124.1 (m, CF_{2 δ}), -123.2 (m, 2CF_{2 ϵ}), -127.5 (m, CF_{2 ω}), -82.3 (tt, CF₃, ³J_{CF3} = 9.2 Hz); HRMS: calcd. for C₁₅H₁₃F₁₇N₄O: 588.08189; found 588.08127.

4.1.3. 1-Phenyl-4-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)-1H-tetrazol-5(4H)-one (**3c**)

A mixture of perfluoroalkyl azide **1a** (3 mmol, 1.17 g) and phenylisocyanate **2b** (3 mmol, 0.36 g) in 15 mL of dry toluene was heated at 100 °C for 2 days. The crude product was purified as described for **3a** to obtain tetrazol-5-one **3c** as a viscous oil; IR: ν 1722 cm⁻¹ (C=O); ¹H NMR, δ 2.72 (tt, 2H, ³J_{HH} = 6.2, ³J_{HF} = 18.4), 4.48 (t, 2H, ³J_{HH} = 6.2); 7.04–7.65 (m, 5H, arom); ¹³C NMR, δ 31.3 (s, 1C, ²J_{CF} = 21.8 Hz), 57.3 (s, 1C), 105–125 (m, 8CF), 119.2 (s, 1C), 123.6 (s, 1C), 129.6 (s, 2C), 139.8 (s, 1C), 153.9 (s, 1C=O); ¹⁹F NMR, δ -109.1 (m, CF_{2 α} , ³J_{FF} = 16.0 Hz), -118.0 (m, CF_{2 β}), -119.3 (m, CF_{2 γ}), -118.3 (m, CF_{2 δ}), -117.4 (m, 2CF_{2 ϵ}), -121.36 (m, CF_{2 ω}), -76.4 (tt, CF₃, ³J_{CF3} = 9.3 Hz); HRMS: calcd. for C₁₅H₉F₁₃N₄O: 508.05698; found 508.05729.

4.1.4. 1-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptafluorodecyl)-4-Phenyl-1H-tetrazol-5(4H)-one (**3d**)

A mixture of perfluoroalkyl azide **1b** (3 mmol, 1.47 g) and phenylisocyanate **2b** (3 mmol, 0.36 g) was reacted and the product purified in the same manner as for **3c** to obtain tetrazol-5-one **3d** as a white solid. m.p. = 50 °C; IR: ν 1723 cm⁻¹ (C=O); ¹H NMR, δ 2.70 (tt, 2H, ³J_{HH} = 6.3, ³J_{HF} = 18.3), 4.50 (t, 2H, ³J_{HH} = 6.3); 7.05–7.60 (m, 5H, arom); ¹³C NMR, δ 31.2 (s, 1C, ²J_{CF} = 21.3 Hz), 57.3 (s, 1C), 105–125 (m, 8CF), 119.2 (s, 1C), 123.6 (s, 1C), 129.5 (s, 2C), 139.8 (s, 1C), 153.8 (s, 1C=O); ¹⁹F NMR, δ -109.8 (m, CF_{2 α} , ³J_{FF} = 16.0 Hz), -118.0 (m, CF_{2 β}), -119.96 (m, CF_{2 γ}), -119.09 (m, CF_{2 δ}), -118.2 (m, 2CF_{2 ϵ}), -122.56 (m, CF_{2 ω}), -77.48 (m, CF₃, ³J_{CF3} = 10.0 Hz); HRMS: calcd. for C₁₇H₉F₁₇N₄O: 608.05059; found 608.04988.

4.2. Mesityl 5-oxo-4-(3,3,4,4,5,5,6,6,7,7,8,8,8-tridecafluorooctyl)-4,5-dihydro-1H-tetrazole-1-sulfonate (3e)

A mixture of perfluoroalkyl azide **1a** (3 mmol, 1.17 g) and 2,4,6-trimethylphenylsulfoxy isocyanate **2c** (3 mmol, 0.72 g) was reacted and the product purified in the same manner as for **3c** to obtain tetrazol-5-one **3e** as a viscous oil; IR: ν 1722 cm^{-1} (C=O); ^1H NMR, δ : 2.28 (s, 3H, 1CH₃–), 2.42 (s, 6H, 2CH₃–), 2.75 (tt, 2H, CH₂–CF₂, $^3J_{\text{HH}} = 6.2$, $^3J_{\text{HF}} = 18.1$), 4.55 (t, 2H, CH₂–N, $^3J_{\text{HH}} = 6.1$); 7.03 (s, 2H, arom); ^{13}C NMR, δ 20.8 (s, 1C, CH₃–, arom), 22.1 (s, 2C, 2CH₃–, arom), 32.2 (s, 1C, $^2J_{\text{CF}} = 22.1$ Hz) 58.1 (s, 1C), 101–125 (m, 6CF), 129.2 (s, 1C, arom), 132.1 (s, 2C, arom), 136.9 (s, 2C, arom), 147.0 (s, 1C, arom), 155.1 (s, 1C = O); ^{19}F NMR, δ –108.7 (m, CF_{2 α} , $^3J_{\text{FH}} = 16.0$ Hz), –117.1 (m, CF_{2 β}), –118.8 (m, CF_{2 γ}), –117.9 (m, CF_{2 δ}), –116.8 (m, 2CF_{2 ϵ}), –121.5 (m, CF_{2 ω}), –76.2 (m, CF₃, $^3J_{\text{CF}_3} = 9.1$ Hz); HRMS: calcd. for C₁₈H₁₅F₁₃N₄O₄S: 630.06075; found 630.05994.

4.3. Mesityl 4-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)-5-oxo-4,5-dihydro-1H-tetrazole-1-sulfonate (3f)

A mixture of perfluoroalkyl azide **1a** (3 mmol, 1.47 g) and 2,4,6-trimethylphenylsulfoxy isocyanate **2c** (3 mmol, 0.72 g) was reacted and the product purified in the same manner as for **3c** to obtain tetrazol-5-one **3f** as a yellowish solid. m.p. = 96 °C; **3f**: IR: ν 1721 cm^{-1} (C=O); ^1H NMR, δ : 2.29 (s, 3H, 1CH₃–), 2.40 (s, 6H, 2CH₃–), 2.77 (tt, 2H, $^3J_{\text{HH}} = 6.1$, $^3J_{\text{HF}} = 18.4$), 4.51 (t, 2H, $^3J_{\text{HH}} = 6.1$), 6.95 (s, 2H, arom.); ^{13}C NMR, δ 20.9 (s, 1C, CH₃–, arom), 21.9 (s, 2C, 2CH₃–, arom), 31.7 (s, 1C, CF₂–CH₂– $^2J_{\text{CF}} = 22.2$ Hz), 57.9 (s, 1C, CH₂–N), 100–127 (m, 8CF), 129.8 (s, 1C, arom), 132.1 (s, 2C, arom), 136.8 (s, 2C, arom), 147.1 (s, 1C), 154.4 (s, 1C=O); ^{19}F NMR, δ –107.8 (m, CF_{2 α} , $^3J_{\text{FH}} = 16.0$ Hz), –116.0 (m, CF_{2 β}), –117.9 (m, CF_{2 γ}), –117.1 (m, CF_{2 δ}), –116.3 (m, 2CF_{2 ϵ}), –120.6 (m, CF_{2 ω}), –75.5 (m, CF₃, $^3J_{\text{CF}_3} = 9.1$ Hz); HRMS: calcd. for C₂₀H₁₅F₁₇N₄O₄S: 730.05436; found 730.05366.

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