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Table 1 : Synthesis of triazines 4a-f.

	R ¹	4 (Yield [%])
3a	<i>t</i> Bu	4a (61)
3b	4- <i>t</i> BuC ₆ H ₄ CH ₂	4b (25)
3c	<i>n</i> C ₆ H ₁₃	4c (65)
3d	3-NCC ₆ H ₄ CH ₂	4d (40)
3e	4-MeOC ₆ H ₄ CH ₂	4e (15)
3f	C ₆ H ₅ CH ₂	4f (73)

Table 2 : Synthesis of triazines 6a-f.

	R ² R ³ NH	6 (Yield [%])
4c	<i>N</i> -Boc-piperazine	6a (94.5)
4c	tetrahydrofurfuryl-amine	6b (86.5)
4c	furfurylamine	6c (87)
4c	2-thiophenethyl-amine	6d (87)
4c	allylamine	6e (83)
4c	phenethylamine	6f (79)

The synthesis of the triazines **6a-f** was achieved in high yield using the following procedure. As already reported ⁸, compounds of type **4** react directly with amines to afford the corresponding 2-amino analogs. Moreover, we observed that previous oxidation with *m*CPBA in CH₂Cl₂ gave the corresponding 2-(alkylsulfinyl)-substituted triazines **5** ⁹, which upon treatment with various amines afforded, after FC ¹⁰, the products **6a-f** ¹¹ in excellent yields (Table 2).

The presented strategy allows us to synthesize various 2, 6-disubstituted triazines **4** and **6** as shown in Scheme 1. This approach feature thiuronium salt as a useful source for masked sulfur, which can be selectively oxidized. Since 2-alkylsulfinyl [1,3,5] triazines can be easily substituted in various ways, our approach constitutes a novel and efficient synthesis to 2,6-disubstituted triazines. Applications towards the synthesis of biologically interesting triazines using this strategy will be reported in due course.

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References and notes

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- 7 **4f**: IR (KBr) : 3280w, 1630s, 1610s, 1470m, 760m, 690m. ¹H NMR ((D₆)DMSO, 250 MHz) : 8.25 (s, 1H arom.) ; 7.65 (br.s, NH₂) ; 7.40.7.10 (m, 5H arom.) ; 4.33 (s, 2H aliph.). MS : 219 ([M+H]⁺, 100), 200 (20).
- 8 Simig, G.; Van Der Plas, H. C.; Landheer, C. A. *Recl. Trav. Chim. Pays-Bas*, **1976**, *95*, 113.
- 9 **5c**: IR (KBr) : 3330m, 1676s, 1575s, 1514s, 1062s. ¹H NMR ((D₆)DMSO, 250 MHz) : 8.54 (s, 1H arom.); 8.25 (br.s, NH₂); 3.10-2.95 (m, 2H aliph.); 1.75-1.65 (m, 1H aliph.); 1.60-1.25 (m, 7H aliph.); 0.90-0.85 (t, 3 H aliph.). MS : 228 (M⁺, 10), 211 (20), 181 (15), 165 (40), 144 (100), 123 (30), 96 (40), 68 ((35), 43 (75).
- 10 Still, W. C.; Kahn, M.; Mitra, A., *J. Org. Chem.* **1978**, *43*, 2923.
- 11 **6f**: IR (KBr) : 3120w, 1671m, 1564s, 812s, 747m. ¹H NMR ((D₆)DMSO, 250 MHz) : 8.05 (s, 1H arom.); 7.35-7.10 (m, 5H arom); 5.35 (br.s, NH); 5.20 (br.s, NH₂); 3.70-3.55 (m, 2H aliph.); 2.88 (t, J=6.9Hz, 2H aliph.). MS : 216 ([M+H]⁺).