Iodofluorination of Alkenes Using Bis(*sym*-collidine)iodine(I) Tetrafluoroborate

Robert D. Evans, J. Herman Schauble*

Department of Chemistry, Villanova University, Villanova, PA 19085, U.S.A.

$I^+(Collidine)_2BF_4^-$ in dichloromethane has been found to be a convenient reagent for regio- and stereospecific conversion of a variety of cycloalkenes to vicinal *trans*-iodofluorocycloalkanes. With bicyclo[2.2.1]heptene and bicyclo[2.2.1]heptadiene, only tricyclic products were obtained. Application of the title reagent to certain glycal esters provides a new method for stereoselective synthesis of *trans*-diaxial iodopyranosyl fluorides.

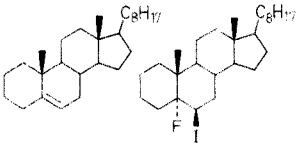
During the past twenty-five years, several methods have been developed for effecting iodofluorination of alkenes. Although iodine(I) fluoride (IF) can be prepared by reaction of fluorine with iodine at low temperature (−45 °C), it disproportionates rapidly at room temperature.[1] It has been reported that iodine(I) fluoride prepared in this manner undergoes rapid Markovnikov addition, usually with *anti* stereospecificity to a variety of alkenes, even at −75 °C.[2] The use of iodine-silver fluoride for iodofluorination of alkenes (presumably also *via* IF generated *in situ*) has been well documented in earlier work.[3,4]

An alternate method of iodofluorination involves use of an iodonium ion (I^+) donor such as *N*-iodosuccinimide in poly-HF-ether solutions.[5,6] A convenient variation on this approach utilizes iodine or *N*-iodosuccinimide and HF-pyridine complex in tetrahydrothiophene *S,S*-dioxide solution.[7] Iodofluoroalkanes have also been obtained by reaction of alkenes with difluoroiodomethane.[8,9]

Iodofluorination of cyclohexene was recently observed by use of bis(pyridine)iodine(I) tetrafluoroborate in the presence of a stoichiometric amount of tetrafluoroboric acid.[10] This reagent was prepared by addition of a silica gel-supported mercury(II) oxide-tetrafluoroboric acid complex to pyridine in the presence of elemental iodine. The reaction required excess tetrafluoroboric acid for neutralization of pyridine.

Previously, we reported a novel method for conversion of alkenes or enol ethers to α-iodocarbonyl compounds by reaction of bis(*sym*-collidine)iodine(I) tetrafluoroborate and dimethyl sulfoxide in dichloromethane.[11] We now report that, in the absence of dimethyl sulfoxide or other nucleophiles, this reagent, $I^+(collidine)_2BF_4^-$ in dichloromethane, effects facile iodofluorination of a variety of cyclic alkenes under ambient conditions. For alkenes unbiased by strong potitive-charge stabilizing groups (Table 1), such reactions occur with regio- and stereospecificity expected for "IF" addition. The reactions can be rationalized *via* initial I^+ transfer to the C=C double bond, followed by nucleophilic attack of fluoride ion (from BF_4^-)[12] on the iodonium intermediate (Scheme **A**).

Table 1. Iodofluorination of Cyclic Alkenes and Bicyclo[2.2.1]heptane Systems

Cycloalkene or Bicyclic Educt	*vic*-Iodofluorocycloalkane or Related Products	Yield (%)	b.p. (°C)/torr or m.p. (°C)	Molecular Formula or Lit. Data
 1	 5	53	b.p. 41/3	C_5H_8FI[a] (214.0)
 2	 6	58	b.p. 47/2	b.p. 50/2[3a]
 3	7	65	m.p. 73–75[d]	$C_{27}H_{46}FI$[b] (516.6)
 4	 8	60[c]	pale amber liquid	$C_7H_{12}FI$[d] (242.1)
9	**10** + **11**[e]	52+28	b.p. 70/5	C_7H_8FI[f] (238.0)
12	**13**	80[c]	pale amber liquid	C_7H_9I[g] (220.05)

[a] calc. C 28.06 H 3.77
found 28.28 3.93

[b] Crystalline sample decomposed on standing, even at r.t.

[c] Purified by flash chromatography on silica gel (CH_2Cl_2 as eluent).

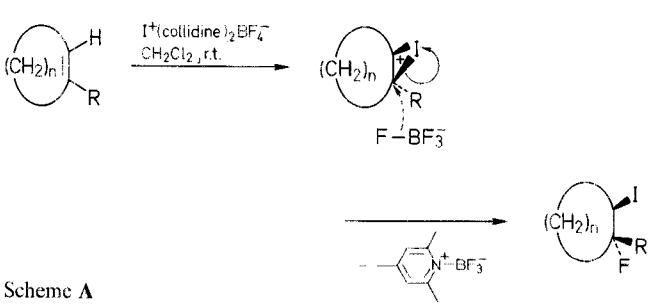
[d] Unsatisfactory microanalysis due to instability.

[e] The isomers **10** and **11** could not be separated by TLC on silica gel or by vacuum distillation.

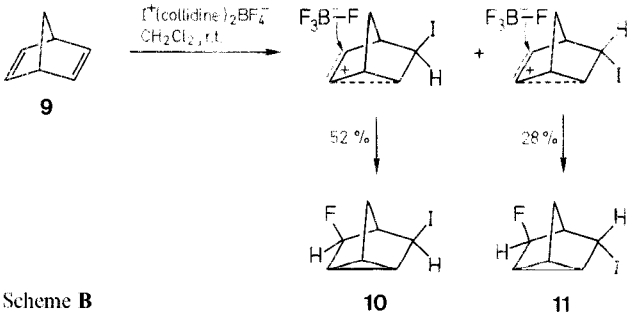
[f] Analysis of the isomer mixture **10**+**11**:
calc. C 35.32 H 3.39 F 7.98
found 34.60 3.39 7.50

[g] calc. C 38.21 H 4.12 I 57.67
found 38.28 4.17 57.37

Treatment of bicyclo[2.2.1]heptadiene (**9**) with $I^+(collidine)_2BF_4^-$ in dichloromethane gave two stereoisomeric "nortricyclyl" iodofluorides: 3-*exo*-fluoro-5-*exo*-iodotricyclo[2.2.1.0^1]heptane (**10**) and the 3-*exo*-fluoro-5-*endo*-iodo isomer (**11**). These products apparently form via initial *exo* and *endo* I^+ transfer, respectively, to the diene **9**, followed by (or con-



Scheme **A**

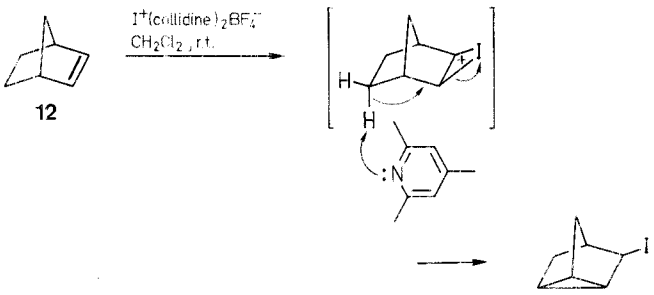


Scheme **B**

commitant with) transannular cation delocalization and subsequent *exo* addition of fluoride ion from BF_4^- at C-3 (Scheme **B**). Nonstereospecific *endo* vs *exo* attack of electrophilic halogen, followed by exclusive exo addition of fluoride ion onto **9** has previously been reported.[13,14]

Reaction of bicyclo[2.2.1]heptene (**12**) with $I^+(collidine)_2$ BF_4^- under similar conditions gave 3-iodotricyclo[2.2.1.0^{1}]heptane (**13**) as the only isolable product. It should be noted that 3-halo-"nortricyclanes" have previously been obtained by reaction of **12** with various halogenating and interhalogenating agents (*e.g.* Cl_2,[15] Br_2,[16] NBS/DMSO,[17] $I^+(Pyridine)_2$ NO_3^-,[18] and ICl[19]). However, in most cases, the halonortricyclanes were accompanied by major amounts of two or more addition products.

Formation of 3-iodonortricyclane (**13**) here can be explained *via* initial *exo* I^+ transfer to **12** to form the iodonium ion (or other non-classical species due to σ participation), which then undergoes deprotonation by collidine, rather than nucleophilic attack by BF_4^- to form iodine(I) fluoride addition products (Scheme **C**).



12 13

Scheme **C**

The utility of $I^+(collidine)_2BF_4^-$ in stereoselective iodofluorination was further demonstrated by reaction with the glycal esters listed in Table 2. Reaction of tri-*O*-acetyl-D-glucal (**14**) gave (2*R*, 3*S*, 4*S*, 5*R*, 6*R*)-6-acetoxymethyl-4,5-diacetoxy-2-fluoro-3-iodotetrahydropyran (**17**) as the major product in 55% yield, accompanied by 10% of (2*S*, 3*R*, 4*S*, 5*R*, 6*R*)-6-acetoxymethyl-4,5-diacetoxy-2-fluoro-3-iodotetrahydropyran (**18**), and a small amount (0.5%) of (2*R*, 3*R*, 4*S*, 5*R*, 6*R*)-6-acetoxymethyl-4,5-diacetoxy-2-fluoro-3-iodotetrahydropyran (**19**). These iodofluorides were previously obtained in relative yields of 74:3:23 by reaction of **14** with *N*-iodosuccinimide/hydrogen fluoride, and 60:34:6, respectively, from **14** with iodine/silver(I) fluoride.[3]

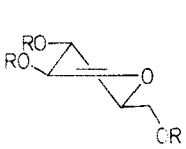
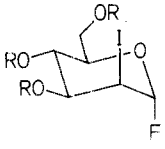
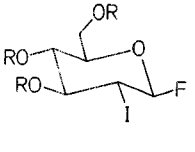
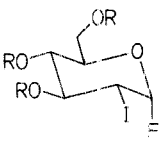
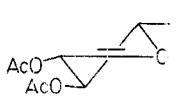
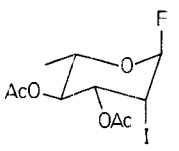
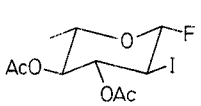
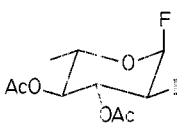
$I^+(collidine)_2BF_4^-$-induced iodofluorination of tri-*O*-benzoyl-D-glucal (**15**) and 3,4-di-*O*-acetyl-6-deoxy-L-rhamnal (**16**) also proceeded stereoselectively, giving the diaxial adducts **20** and **23** as the major products (Table 2).

Bis(*sym*-collidine)iodine(I) hexafluorophosphate was also found useful for iodofluorination of alkenes, albeit in lower yields than the BF_4^- analog. Thus, with cyclohexene, only a 30% yield of 1-fluoro-2-iodocyclohexane (**6**) was obtained, accompanied by 2-iodocyclohexanol (30%).

Reaction of $I^+(collidine)_2PF_6^-$ with tri-*O*-acetyl-D-glucal (**14**) gave the stereoisomeric 6-acetoxymethyl-4,5-diacetoxy-2-fluoro-3-iodotetrahydropyrans (**17** and **18**) in respective yields of 21 and 9%. This represents a considerable reduction in selectivity for the diaxial isomer (**17**), relative to that obtained by use of $I^+(collidine)_2BF_4^-$.

Microanalyses were provided by Galbraith Laboratories, Knoxville, Tenn. ^1H- and ^{19}F-NMR spectra were recorded on a Varian Associates

Table 2. Iodofluorination of 3,4-Diacycloxy-3,4-dihydro-2*H*-pyrans (Glycal Esters)

Glycal Ester	Products		
			
R = Ac: **14**	**17**	**18**	**19**
Yield (%)[a]	50	10	0.5
Physical Properties	viscous colorless oil[b]		
Lit. Data	Lit.[3b]	Lit.[3b]	Lit.[3b]
R = Bz: **15**	**20**	**21**	**22**
Yield (%)[a]	55	6	0
Physical Properties	viscous colorless oil[b]		
			
16	**23**	**24**	**25**
Yield (%)[a]	60	20	3
Physical Properties	viscous colorless oil[b]		
Molecular Formula	$C_{10}H_{14}FIO_5$[c] (360.1)		

[a] Yields of **17**, **20**, and **23**, are for isolated products. Yields of **18**, **19**, **22**, **24**, and **25** are relative yields determined from ^{19}F spectra of crude products.

[b] Purified by flash chromatography on silica gel (CH_2Cl_2 as eluent).

[c] calc. C 33.35 H 3.92 F 5.28
found 33.72 3.81 5.89

XL-200 instrument. ^{1}H-NMR spectra were obtained on $CDCl_3$ or CD_2Cl_2 solutions with TMS as internal standard; ^{19}F spectra were run on $CDCl_3$ solutions and recorded in ppm upfield relative to $CFCl_3$ as internal standard.

All reactions were conducted under nitrogen using anhydrous reagents and solvents. Liquid alkenes were purified by passage through a neutral alumina column, followed by distillation just prior to use. For the preparation of I^+(collidine)$_2BF_4^-$, a procedure paralleling that reported for the preparation of the corresponding perchlorate[20] was used.

Table 3. NMR Data of the Products Prepared

Compound	^{1}H-NMR ($CDCl_3$/TMS_{int}) δ (ppm)	^{19}F-NMR ($CDCl_3$/$CFCl_{3\,int}$) ϕ_C (ppm)
5	1.50–2.60 (m, 6H); 4.30–4.50 (d of m, 1H, CHI, $^3J_{2,F}$ = 37.0 Hz); 5.15–5.55 (d of m, CHF, $^2J_{HF}$ = 53.2 Hz)	153.5 (m, $^2J_{HF}$ = 53.2 Hz, $^3J_{HF}$ = 37.0 Hz)
6	1.10–2.50 (m, 8H); 4.15 (m, 1H, CHI, $^3J_{2,F}$ = 10.2 Hz, $J_{2,3a}$ = 7.5 Hz, $J_{2,3e}$ = 4.3 Hz); 4.40–4.80 (d of m, 1H, CHF, $^2J_{1,F}$ = 45.6 Hz, $J_{1,2}$ = 8.3 Hz, $J_{1,6a}$ = 8.7 Hz, $J_{1,6e}$ = 4.12 Hz)	159.7 (d, $^2J_{HF}$ = 45.6 Hz)
7	0.71 (s, 3H, 18-CH_3); 0.93 (s, 3H, 19-CH_3); 4.35 (d of q, 1H, CHI, $J_{6,7}$ = 2.5 Hz, 3.9 Hz, $^3J_{6,F}$ = 11.4 Hz)	147.9 (d, $^3J_{5,F}$ = 41.3 Hz)
8	1.30–2.50 (m, 8H); 1.50–1.60 (d, 3H, CH_3, $^3J_{HF}$ = 22.2 Hz); 4.42 (m, 1H, CHI, $^3J_{2,F}$ = $J_{2,3a}$ = 8.2 Hz, $J_{2,3e}$ = 4.1 Hz)	132 (m)
10[a]	0.70–0.80 (m, 1H, 2-H); 1.10–1.25 (m, 1H, 1-H); 1.25–1.40 (m, 1H, 6-H); 2.00 (m, 3H, 7-H_{syn}, 7-H_{anti}, 4-H); 3.20 (s, 1H, CHI); 3.90–4.25 (dt, 1H, CHF)	195.0 (dq, $^2J_{HF}$ = 58.5 Hz, $^3J_{HF}$ = 3.0 Hz, 1.48 Hz)
11[a]	0.40–0.80 (m, 1H, 2-H); 0.95 (m, 1H, 6-H); 1.70–1.85 (d of m, 1H, 7-H); 1.50 (m, 1H, 1-H); 2.0 (m, 2H, 7-H, 4-H); 3.90–4.28 (dt, 1H, CHF); 4.32 (s, 1H, CHI)	204 (d of m, $^2J_{HF}$ = 58.1 Hz, $^3J_{HF}$ = 4.9 Hz, 2.5 Hz, 1.3 Hz)
13[b]	1.10 (tm, 1H, 6-H); 1.20–1.40 (m, 3H, 5,5-H_2, 1-H); 1.40 (dm, 1H, 7-H_{anti}); 1.58 (tq, 1H, 2-H); 2.0 (dm, 1H, 7-H_{syn}, $J_{7s,7a}$ = 10.9 Hz); 2.16 (m, 1H, 4-H); 3.82 (tq, 1H, 3-H)	
17[c]	4.28 (m, 3H, 6-H, $AcOCH_2$); 4.65 (dd, 1H, 4-H); 4.70 (td, 1H, 3-H, $J_{3,4}$ = 4.5 Hz, $^3J_{3,F}$ = 4.5 Hz); 5.50 (dd, 1H, 5-H, $J_{4,5}$ = $J_{5,6}$ = 9.5 Hz); 5.90–6.15 (dd, 1H, 2-H, $J_{2,3}$ = 1.4 Hz, $^2J_{2,F}$ = 51.1 Hz)	116.8 (dd, $^2J_{HF}$ = 51 Hz, $^3J_{HF}$ = 4.1 Hz)
18[d]		131.9 (dd, $^2J_{HF}$ = 50.1 Hz, $^3J_{HF}$ = 9.1 Hz)
19[d]		139.5 (dd, $^2J_{HF}$ = 51.7 Hz, $^3J_{HF}$ = 25.5 Hz)
20	4.50 (dd, 1H, $BzOCH_a$, J_{ab} = 12.2 Hz, $J_{6,a}$ = 3.7 Hz); 4.60 (m, 1H, 6-H); 4.67 (dd, 1H, $BzOCH_b$, $J_{6,b}$ = 2.4 Hz); 4.90 (ddd, 1H, 3-H, $J_{3,2}$ = 1.5 Hz, $J_{3,4}$ = 4.2 Hz, $^3J_{HF}$ = 4.2 Hz); 5.10 (dd, 1H, 4-H, $J_{4,5}$ = 9.8 Hz); 5.90–6.20 (dd, 1H, 2-H, $^2J_{HF}$ = 51.0 Hz); 6.15 (dd, 1H, 5-H, $J_{5,6}$ = 9.75 Hz); 7.30–8.20 (m, 15H_{arom})	116.4 (dd, $^2J_{HF}$ = 51.0 Hz, $^3J_{HF}$ = 4.2 Hz)
21[d]		130.1 (dd, $^2J_{HF}$ = 50.4 Hz, $^3J_{HF}$ = 9.0 Hz)
23	1.30 (d, 3H, CH_3); 2.15 (s, 6H, 2OAc); 4.20 (dq, 1H, 6-H, $J_{6,5}$ = 9.5 Hz); 4.60 (dd, 1H, 4-H, $J_{4,5}$ = 9.5 Hz, $J_{4,3}$ = 4.5 Hz); 4.75 (ddd, 1H, 3-H, $J_{3,2}$ = 1.4 Hz, $^3J_{HF}$ = 4.5 Hz); 5.27 (dd, 1H, 5-H); 5.80–6.10 (dd, 1H, 2-H, $^2J_{HF}$ = 51.1 Hz)	115.5 (dd, $^2J_{HF}$ = 51.3 Hz, $^3J_{HF}$ = 4.1 Hz)
24[d]		132.5 (dd, $^2J_{HF}$ = 50.3 Hz, $^3J_{HF}$ = 9.1 Hz)
25[d]		138.65 (dd, $^2J_{HF}$ = 51.5 Hz, $^3J_{HF}$ = 25.7 Hz)

[a] The ^{1}H-NMR chemical shifts for 3-H and 5-H of both isomers **10** and **11** were close to those reported [14] for analogous bromofluoro compounds. Long-range coupling attributed to $^4J_{1,5}$ (consistent for 5-H_{exo}) was observed in the 2D *J*-correlated NMR spectrum of **11**, but not of **10**. The downfield shift for 7-H (anti to F at C-3) in **10** (as compared to **11**) is also consistent with the expected paramagnetic effect of *exo*-I at C-5 in the former.

[b] The ^{1}H-NMR spectrum of **13** was similar to that for 3-bromonortricyclane (Morton Thiokol Inc.).

[c] The ^{1}H-NMR spectrum of **17** is consistent with that previously reported.[3] Data here were obtained from resolution-enhanced spectra.

[d] Assignment of configurations for **18**, **19**, **21**, **24**, and **25** were based on ϕ_C and $^3J_{HF}$ obtained by ^{19}F-NMR spectrometry and are in accord with values reported previously.[3b]

Bis(*sym*-collidine)Iodine(I) Tetrafluoroborate [I^+(collidine)$_2BF_4^-$]:
Silver(I) tetrafluoroborate (3.88 g, 25 mmol) is added to a stirred solution of 2,4,6-trimethylpyridine (*sym*-collidine; 4.82 g, 40 mmol) in dichloromethane (50 ml) and stirring is continued until the silver tetrafluoroborate has reacted giving a clear amber solution of Ag^+(collidine)$_2BF_4^-$. To this solution, iodine (5.06 g, 20 mmol) is added in one portion. After all the iodine has reacted, silver iodide is removed by vacuum filtration leaving a clear amber dichloromethane solution of I^+(collidine)$_2BF_4^-$ which is utilized in the following procedure without further purification. Crystalline I^+(collidine)$_2BF_4^-$ can, however, be isolated if desired by evaporation of the dichloromethane followed by recrystallization from hexane.[11]

Solutions of *bis*(sym-*collidine*)*iodine*(*I*) *hexafluorophosphate* can be prepared analogously using $AgPF_6$ instead of $AgBF_4$.

Iodofluorination of Olefinic Compounds and of Bicyclo[2.2.1]heptane Systems; General Procedure:
To a stirred solution of I^+(collidine)$_2BF_4^-$ (29 mmol) in dichloromethane (60 ml) under nitrogen at ambient temperature is added a solution of the alkene (28 mmol) (or the bicyclo compound) in dichloromethane (10 ml), and stirring is continued for 2 h. The mixture is then filtered and the filtrate is washed with water (75 ml), aqueous 10% sodium thiosulfate (75 ml), and cold 10% hydrochloric acid (75 ml), then dried with magnesium sulfate. The solvent is removed at 60 torr and the remaining crude product is purified either by flash chromatography on Kieselgel 60 (dichloromethane as eluent) or by short-path distillation under vacuum. The purified products are homogeneous according to TLC on silica gel (dichloromethane as eluent).

The relative yields of compounds **10**:**11**, **17**:**18**:**19**, **20**:**21**, and **23**:**24**:**25** were determined from the NMR spectra of the crude products.

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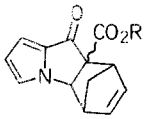
Errata and Addenda 1987

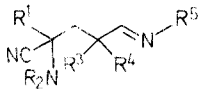
Hall, G., Sugden, J.K., Waghela, M.B.
Page 10. Line 3 of the Abstract should read: dropyrolizines ...
Page 14. The first word of Section 3.11. should be: Benzo[*b*]pyrrolizines.
Page 15. Formula **27** should be:



27

Page 15. The product referred to in Section 4.6., lines 4–5, should be: 10*H*-pyrrolizino[1,2-*b*]quinoline
Page 17. In Section 7., line 4 of the second paragraph should read: **34**.[182] ...

Ahlbrecht, H., von Daacke, A.
Page 24. Formula **8** should be:



8

Costisella, B., Keitel, I.
Page 45. In the heading of the experimental procedure, **6** should read **3** and **8** should read **7**.

Stoss, P., Merrath, P., Schlüter, G.
Page 174. Numbers 1 and 3 should be exhanged in formula **2a–f**.

Singh, G., Deb, B., Ila, H., Junjappa, H.
Page 286. Compounds **1** are 2-aroyl-2-arylthioketene dithioacetals.

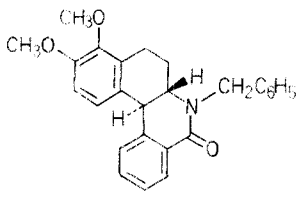
Asaad, F.M., Becher, J., Møller, J., Varma, K.S.
Page 301. Under the reaction scheme, the X group in compounds **3b,d** and **4b,d** should be $CO_2C_2H_5$.

Legrel, P., Baudy-Floc'h, M., Robert, A.
Page 306. The title should read: A One-Pot Synthesis of α-Halohydrazides from 2,2-Dicyanooxiranes.
Page 306. In the table under the reaction scheme, the second heading R^1 should be R^2.

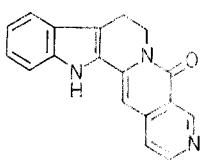
van der Goorbergh, J.A.M., van der Steeg, M., van der Gen, A.
Pages 314–317. The systematic names for the heterocycles involved are: 4,5-dioxo-3,4-dihydro-2*H*,5*H*-thiopyrano[3,2-*c*][1]benzopyrans **4** (RF 24756), 4,5-dioxo-2*H*,5*H*-thiopyrano[3,2-*c*][1]benzopyrans **7** (RF 24756), and 4,5-dioxo-1,3,4,4a,5,10b-hexahydro-2*H*-[1]benzopyrano[4,3-*b*]pyridines **8** (RF 24539).

Attanasi, O.A., Filippone, P., Santensanio, S., Serra-Zanetti, F.
Page 382. In the table under the reaction scheme, R^3 for **1b** should be $CO_2C_2H_5$ and R^3 for **1c** should be CO_2CH_3.

Campbell, A.L., Lenz, G.R.
Pages 428 and 446. Formulae **95** and **298** should be:



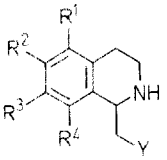
95



298

Page 437. The heading for Table 3 should be: Intermolecular ...

Pelletier, J.C., Cava, M.P.
Page 476. Formula **1a–m** should be:



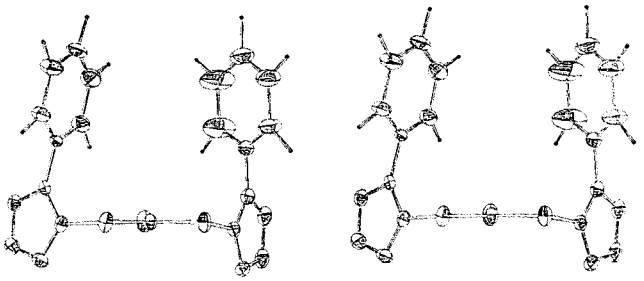
1a-m

L'abbé, G.
Page 528. Compound **45** should be named: 3-(2-pyridyl)-2,4-dithioxo-3,4-dihydro-2*H*-pyrido[1,2-*a*][1,3,5]triazine (RF 9177).

Evans, R.D., Schauble, J.H.
Page 551. Compounds **10** and **11** are tricyclo[2.2.1.$0^{2,6}$]heptane derivatives.

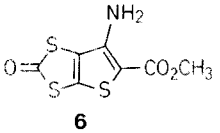
Takeda, K., Tsuboyama, K., Hoshino, M., Kishino, M., Ogura, H.
Page 559. The Y-group for **2g** and **2j** should be furfuryloxy.

Takeda, K., Tsuboyama, K., Takayanagi, H., Ogura, H.
Page 560. The following figure should appear after the 4th paragraph:



Eicher, T., Stapperfenne, U.
Page 625. Compounds **13a,b** are 6,7-dihydrofuro[2,3-*b*]pyridines (RF 7431), and compounds **15a,b** are 1,4-dihydrocyclopentimidazoles (RF 5892).

Dölling, W., Augustin, M., Ihrke, R.
Page 655. Formula **6** should be:



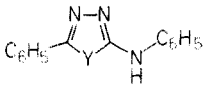
6

Mikołajczyk, M., Bałczewski, P.
Page 661. The second paragraph of ref. 21 should be ref. 22; refs. 22 and 23 should be 23 and 24, respectively.

Rösch, W., Regitz, M.
Page 692. Compounds **21a,b** are 2*H*-1,2,3-diazaphospholes.

Tietze, L.-F., Brumby, T., Pretor, M.
Page 702. Compounds **8** and **9** are 4a,10b-dihydro-4*H*,5*H*-pyrano[3,4-*c*][1]benzopyran-2-carboxylic esters.

Wamhoff, H., Zahran, M.
Page 877. Formula **18a,b** should be:



18a,b

Castaldi, G., Giordano, C.
Page 1039. The target compounds **3** are 1-bromoalkyl aryl ketones.