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Synthesis, Characterization, Antifungal, Antibacterial, and Antitumor Activities of Some Tris(pentafluorophenyl)arsenic(V) Derivatives

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ABSTRACT: *A series of tris(pentafluorophenyl) arsenic(V) derivatives of the type* $(C_6F_5)_3AsL_2$, $(C_6F_5)_3As(Cl)(L)$ *[L =* $-OCOC_6H_4(o\text{-}OH)$, $-OCOC(OH)(C_6H_5)_2$, *and* 2-$(6\text{-}OCH_3C_{10}H_6)CH(CH_3)COO-$*],* *and cycloarsenates,* $(C_6F_5)_3As{<}O{-}C(O){>}CHR$ *(R =* C_6H_5, p-$CF_3C_6H_4$, *and* p-$OCH_3C_6H_4$*) have been isolated and characterized by elemental analysis and spectroscopic data (infrared;* ^1H, ^{19}F, *and* ^{13}C *NMR). These compounds were screened for their in vitro antifungal, antibacterial, and antitumor activities and were found to show moderate to significant activity.* © 2010 Wiley Periodicals, Inc. Heteroatom Chem 21:181–187, 2010; Published online in Wiley InterScience (www.interscience.wiley.com). DOI 10.1002/hc.20593

INTRODUCTION

In sharp contrast to hydrocarbon ligand–based organoarsenic derivatives, those bearing pentafluorophenyl group(s) have not been much studied. Except for a few scattered references, they have not drawn attention probably because of the difficulty encountered in their preparation coupled with high toxicity of arsenic itself [1–7]. Inorganic and organic derivatives of arsenic are well-known antimicrobial agents and even can cure solid tumor [8]. The activity is significantly affected by the nature of organic group bound to arsenic and oxidation state of metal [8]. The partially or fully fluorine-substituted organic group(s) bound to metal, together with chloro substituents, is known to enhance the solubility of water and lipids, which could enhance their in vitro and in vivo activities [9]. Moreover, it may be noticed that organometallic carboxylates with fluoro substituents exhibit significant biological activity [8,9].

In this paper, we report the synthesis of hitherto unreported tris(pentafluorophenyl)arsenic(V) dicarboxylates, (halo)carboxylates, and cycloarsenates with a view to establish the mode and nature of bonding of carboxylate group(s) and their capability to form ring compounds coupled with the twin objectives to ascertain their biological efficacy. The latter objective prompted us to employ biologically active moieties. The newly synthesized compounds were characterized by solid-state infrared (IR), ^1H, ^{19}F, and ^{13}C NMR spectra. Solution-phase studies complementary these have been carried out to establish their behavior in solution. The compounds were assayed in vitro for their antibacterial, antifungal, and antitumor activities.

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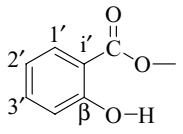
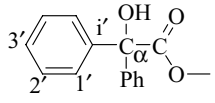
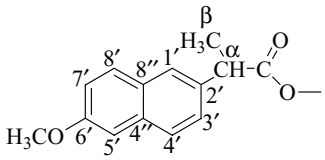
RESULTS AND DISCUSSION

In an atmosphere of nitrogen under anhydrous conditions, interaction of tris(pentafluorophenyl)-arsenic(V) dichloride, $(C_6F_5)_3AsCl_2$, with silver salt of corresponding carboxylic acid in 1:2 molar ratio yielded tris(pentafluorophenyl)arsenic(V) dicarboxylate [Eq. (1)].

$$(C_6F_5)_3AsCl_2 + 2AgL \longrightarrow (C_6F_5)_3As(L)_2 + 2AgCl \quad (1)$$

where

L =   

–$OCOC_6H_4$(*o*-OH) **1**, –$OCOC(OH)(C_6H_5)_2$ **2**, and 2-(6-$OCH_3C_{10}H_6$)$CH(CH_3)COO$– **3**

A 1:1 molar ratio interaction of $(C_6F_5)_3AsCl_2$ with the silver salt of carboxylic acid afforded (chloro)carboxylate derivative of pentafluorophenylarsenic(V) [Eq. (2)].

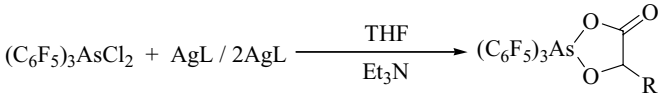
$$(C_6F_5)_3AsCl_2 + AgL \longrightarrow (C_6F_5)_3As(Cl)(L) + AgCl \quad (2)$$

where

L = $-OCOC_6H_4$(*o*-OH) **4**; $-OCO(OH)(C_6H_5)_2$ **5**;

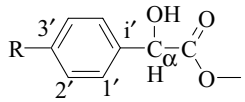
and 2-(6-$OCH_3C_{10}H_6$)CH(CH3)COO– **6**

In sharp contrast this, both 1:1 and 1:2 molar reactions of $(C_6F_5)_3AsCl_2$ with corresponding silver salt of mandelic acid, *p*-(trifluoromethyl) mandelic acid, and *p*-methoxy mandelic acid afforded cycloarsenates [Eq. (3)].



$$(C_6F_5)_3AsCl_2 + AgL / 2AgL \xrightarrow[Et_3N]{THF} (C_6F_5)_3As(\text{cycloarsenate}) + AgCl + Et_3N{\cdot}HCl \quad (3)$$

where

L =  *i.e.* –$OCOCH(OH)(C_6H_4R)$

(R = –H **7**; $-CF_3$ **8**; and $-OCH_3$ **9**)

The change in molar ratio or solvent did not affect the nature of compounds **7–9**. The formation of cycloarsenates was also established on the basis of formation of [$Et_3N{\cdot}HCl$], amount of silver chloride formed, melting points, elemental analysis, and superimposable IR spectra. In the 1:2 molar ratio reaction, the unreacted silver salts of carboxylic acid in stoichiometric amount was also obtained.

Compounds **1–9** are off-white/brownish solid and are freely soluble in most of the organic solvents but are insoluble in petroleum ether and *n*-hexane. They are monomeric in freezing benzene and show nonelectrolyte behavior in acetonitrile. Their analytical data are given in Table 1.

Infrared Spectra

Infrared spectra of pentafluorophenylarsenic(V) carboxylates **1–9** were recorded in solid state with KBr pellets and are listed in Table 2. The characteristic absorptions associated with the pentafluorophenyl groups bound to arsenic correspond well with those reported earlier [10–12]. As—C stretching frequency corresponding to *y*-mode appears in the range 497–449 cm^{-1} as a medium to strong peak. The β-OH group in compounds **1** and **4** and α-OH group in compounds **2** and **5** do not participate in the reaction, as has been observed by the appearance of ν(O—H) band in the IR spectra, whereas the disappearance of ν(O—H) peak from the spectra of compounds **7–9** shows its participation in bonding. On the other hand, the ν_{asym}(OCO) frequency appears in the range 1727–1659 cm^{-1} and the corresponding ν_{sym}(OCO) appears in the range 1460–1323 cm^{-1}. The magnitude of difference [$\Delta\nu(OCO) = \nu_{asym}(OCO) - \nu_{sym}(OCO)$] clearly indicates that the carboxylate moiety behave as a unidentate ligand [6,13–17]. The appearance of ν_{asym}(OCO) absorption band relatively at higher frequency may be attributed to the changed electronic behavior because of pentafluorophenyl ring.

TABLE 1 Some Physical and Analytical Data of Pentafluorophenylarsenic(V) Carboxylates

					Elemental Analysis (%):Found (Calculated)	
Compound	*Molecular Formula*	*Molecular Weight*	*M.P. (°C)*	*Yield (%)*	*C*	*H*
1	$C_{32}H_{10}AsF_{15}O_6$	850.32	146	68	45.29 (45.20)	1.08 (1.19)
2	$C_{46}H_{22}AsF_{15}O_6$	1030.04	124	74	53.62 (53.61)	2.09 (2.15)
3	$C_{46}H_{26}AsF_{15}O_6$	1034.59	126	59	53.38 (53.40)	2.57 (2.53)
4	$C_{25}H_5AsClF_{15}O_3$	748.66	118	66	40.13 (40.11)	0.64 (0.67)
5	$C_{32}H_{11}AsClF_{15}O_3$	838.78	116	74	45.90 (45.82)	1.25 (1.32)
6	$C_{32}H_{13}AsClF_{15}O_3$	840.79	114	60	45.67 (45.71)	1.60 (1.56)
7	$C_{28}H_8AsF_{15}O_6$	800.26	102	65	42.11 (42.02)	0.98 (1.01)
8	$C_{29}H_7AsF_{18}O_6$	868.26	72	66	40.09 (40.13)	0.89 (0.81)
9	$C_{29}H_{10}AsF_{15}O_7$	830.28	88	63	42.06 (41.95)	1.15 (1.21)

^1H NMR Spectra

The ^{1}H NMR spectra of compounds **1–9** were recorded in $CDCl_3$ on a 300-MHz instrument, using TMS as the reference. The chemical shift values are summarized in Table 3. In compounds **1** and **4**, the phenyl protons appeared as multiplet in the range $\delta = 6.86$–7.84 ppm. The phenyl protons in the case of compounds **2** and **5** were centered around $\delta = 7.43$ ppm as multiplet. The α-hydrogen for compounds **7–9** appeared as singlet in the range $\delta = 5.38$–5.51 ppm, whereas in the case of compounds **3** and **6**, it was detected at higher field in the range $\delta = 3.84$–3.86 ppm as multiplet because of the presence of $-CH_3$ group on the α-carbon atom. The $-OCH_3$ proton signals appeared at $\delta = 1.57$ and 1.58 ppm, respectively. The α-naphthyl ring protons for compounds **3** and **6** were observed in the range $\delta = 7.14$–7.71 ppm. The −OH proton signals of −COOH group disappeared in all the compounds, indicating the formation of arsenic(V) carboxylates [18–20].

TABLE 2 Characteristic IR Absorption Bands (cm^{-1}) of Pentafluorophenylarsenic(V) Derivatives

	ν(OCO)				
Compound	ν_{asym}	ν_{sym}	$\Delta\nu$	*ν(O—H)*	*ν(As—C)*
1	1659 (s)	1323 (m)	336	3248 (m)	464 (s)
2	1719 (vs)	1368 (w)	351	3399 (s)	478 (m)
3	1710 (s)	1450 (w)	300	–	483 (s)
4	1664 (s)	1330 (m)	334	3250 (m)	464 (m)
5	1719 (s)	(o)	–	3400 (s)	459 (m)
6	1727 (s)	1420 (w)	307	–	448 (s)
7	1719 (s)	(o)	–	–	495 (m)
8	1723 (s)	1440 (w)	283	–	485 (m)
9	1675 (s)	1460 (m)	215	–	453 (s)

Abbreviations: vs, very strong; s, strong; m, medium; w, weak; o, obscured.

^{19}F NMR Spectra

The ^{19}F NMR spectra of compounds **1**, **2**, and **6–9** were recorded in $CDCl_3$ on a 300-MHz instrument, using CF_3COOH as reference (Table 3). The *p*-F signals may easily be identified because of its half intensity as compared with the signals of *m*-F and *o*-F. The *p*-F signals also split into a triplet because of the *m*-F coupling, although expected further splitting as triplet of triplet because of *o*-F coupling was not observed in these compounds. The *m*-F and *o*-F signals appeared as triplet and doublet, respectively. *m*-F chemical shift appeared at higher field than of *o*-F and *p*-F chemical shifts, indicating the donation of electron from ortho- and para positions toward carbon attached to arsenic atom [21]. This observation is in accordance with previous studies that the *ipso*-carbon of perfluorinated benzene ring experience high electron density because of diminished inductive effect of fluorine atom and donation of electron density from the unshared p-electron of fluorine to the π-system of the ring (p-π interaction) [5,6,12,17,21]. The CF_3 group in compound **8** gave one signal at $\delta = -65$ ppm, which further confirms the coordination of ligand to the metal.

^{13}C NMR Spectra

The ^{13}C NMR spectra of compounds **1–9** were recorded in $CDCl_3$ on a 300-MHz instrument (Table 4). In every case, *i*-C, $\delta = 100.0$–101.7 ppm at pentafluorophenyl ring (i.e., As—C), was more shielded than *o*-C, $\delta = 152.0$–152.7 ppm, *m*-C, $\delta = 126.1$–126.8 ppm, and *p*-C, $\delta = 145.0$–146.1 ppm. The order of chemical shift was as follows: *o*-C > *p*-C > *m*-C > *i*-C. It is evident from the ^{13}C NMR data that there is an invariable lower field shift of

TABLE 3 ^{1}H and ^{19}F NMR Data of Pentafluorophenylarsenic(V) Derivatives

	^{1}H NMR δ (ppm)					^{19}F NMR δ (ppm)		
Compound	*α-H*	*β-H*	*—OCH$_3$*	*Phenyl*	*Naphthyl*	*o-F*	*m-F*	*p-F*
1	–	–	–	6.86–7.80 (m)	–	−132(d)	−161(t)	−143(t)
2	–	–	–	6.57–7.52 (m)	–	−127(d)	−162(t)	−142(t)
3	3.84 (m)	1.57 (d)	3.91 (s)	–	7.14–7.70 (m)	–	–	–
4	–	–	–	6.86–7.84 (m)	–	–	–	–
5	–	–	–	6.58–7.54 (m)	–	–	–	–
6	3.86 (m)	1.58 (d)	3.91 (s)	–	7.14–7.71 (m)	−131(d)	−157(t)	−142(t)
7	5.51 (s)	–	–	7.22–7.48 (m)	–	−133(d)	−155(t)	−141(t)
8	5.41 (s)	–	–	7.33–7.54 (m)	–	−131(d)	−156(t)	−141(t)
9	5.38 (s)	–	3.79 (s)	7.40–7.58 (m)	–	−133(d)	−155(t)	−141(t)

Abbreviations: s, singlet; d, doublet; t, triplet; m, multiplet.

all the pentafluorophenyl ring carbon centers because of the decrease in the electronegativity of the ligands [18]. Because of this, the pentafluorophenyl ring carbon centers of compounds **1–3** experience higher field shift than their respective chloro derivatives **4–6**. The *i*-C center felt significant change where the electron density seems decreased while replacing strong electronegative chlorine atom with carboxylate group. These data are in conformity to ^{19}F NMR data. The chemical shift values of the carbon centers of ligands show marginal difference from the carbon of free carboxylic acids. The position of carboxylate carbon in all compounds **1–9** shifts to lower field than that of the free acid, indicating the participation of carboxylate group in coordination with arsenic.

Antifungal Activity

The antifungal activity of $(C_6F_5)_3As$, $(C_6F_5)_3AsCl_2$, and compounds **1–9** was evaluated against *Aspergillus flavus* and *Aspergillus niger* at 10 μg mL^{-1} of the test compound and the percent inhibition was calculated by the colony diameter of control and test samples (Table 5). $(C_6F_5)_3As$ does not show any activity against *A. flavus* but show 30% inhibition against *A. niger*. On the other hand, $(C_6F_5)_3AsCl_2$ is significantly active against former strain (65% inhibition) but less active against the latter strain. It appears that the presence of two chlorine atoms bound to arsenic enhances activity. The salicylic acid derivatives **1** and **4** were moderately active against both the strains. It is surprising that benzylic acid derivatives

TABLE 4 ^{13}C NMR Data of Pentafluorophenylarsenic(V) Derivatives in δ (ppm)

	Compound								
^{13}C NMR	*1*	*2*	*3*	*4*	*5*	*6*	*7*	*8*	*9*
—C	152.4	152.0	152.1	152.7	152.9	152.2	152.4	152.7	152.7
m-C	126.7	126.6	126.1	127.1	126.9	126.1	126.8	126.8	126.3
p-C	145.8	145.0	145.0	146.1	145.8	145.1	145.1	145.2	145.2
1′-C	130.4 and 161.1 (OH)	127.9	127.2	130.6 and 161.2 (OH)	128.0	127.2	128.0	126.2	129.7
2′-C	118.2 and 117.0	127.2	119.0	118.4 and 117.1	127.4	119.1	126.2	126.2	128.1
3′- and 8′-C	134.6	127.2	129.3	134.9	127.4	129.3	127.2	128.1	129.0
4′-C	–	–	105.7	–	–	105.7	–	–	–
5′- and 7′-C	–	–	126.2	–	–	126.2	–	–	–
6′-C	–	–	157.7	–	–	157.8	–	–	–
4″-C	–	–	136.0	–	–	136.1	–	–	–
8″-C	–	–	135.2	–	–	135.2	–	–	–
>C═O	173.6	174.7	182.0	173.9	175.3	182.1	174.1	173.0	175.0
i-C	100.1	100.4	100.0	100.3	101.6	100.1	101.5	101.7	101.7
i′-C	114.8	134.0	–	114.8	134.3	–	139.3	140.1	140.2
α-C	–	81.5	45.1	–	81.7	45.1	73.4	73.2	77.0
β-C	–	–	18.2	–	–	18.2	–	–	–
CF_3	–	–	–	–	–	–	–	125.0	–
OCH_3	–	–	55.3	–	–	55.3	–	–	53.1

TABLE 5 Biological Activity of Pentafluorophenylarsenic(III) and Pentafluorophenylarsenic(V) Derivatives

	Antimicrobial Activity						*Antitumor Activity*	
	Antifungal				*Antibacterial*			
	Aspergillus flavus		*Aspergillus niger*					
Compound	*Colony Diameter (cm)*	*Inhibition (%)*	*Colony Diameter (cm)*	*Inhibition (%)*	*Staphylococcus aureus*	*Klebsiella pneumoniae*	*Cell Count × 10^4*	*Activity*
$(C_6F_5)_3As$	–	–	1.4	30	–	++		
$(C_6F_5)_3AsCl_2$	0.7	65	1.4	30	+++	++	10.72 ± 1.28	–
1	1.2	40	1.3	35	++	++	10.17 ± 0.67	+
2	1.7	15	–	–	+	–	09.93 ± 0.88	+
3	–	–	1.7	15	++	++	09.29 ± 0.62	+
4	1.4	30	1.4	30	++	++	09.85 ± 0.94	+
5	1.3	35	1.7	15	+	+	09.34 ± 0.58	+
6	1.4	30	1.3	35	–	+	10.19 ± 0.96	+
7	1.3	35	1.4	30	++	++	10.12 ± 0.67	+
8	1.6	20	–	–	+	–	10.33 ± 1.13	–
9	1.7	15	1.7	15	+	+	10.34 ± 1.22	–
Control	2.0	–	2.0	–	–	–	Positive: 40.28 ± 3.29 Negative: 10.22 ± 1.25	

2 and **5** exhibit little activity and compound **2** was found inactive against *A. niger*. Again, compounds **7–9** showed moderate to poor activity against both the strains. The naproxene derivative **3** showed moderate activity, whereas derivative **6** was inactive against *A. flavus* and poorly active against *A. niger*.

Antibacterial Activity

All the studied pentafluorophenylarsenic(V) derivatives of carboxylic acids **1–9** along with $(C_6F_5)_3As$ and $(C_6F_5)_3AsCl_2$ were screened for their in vitro antibacterial activity against two human pathogenic strains, namely, *Staphylococcus aureus* and *Klebsiella pneumoniae* (Table 5). $(C_6F_5)_3As$ does not show any activity against *S. aureus* but showed moderate activity against *K. pneumoniae*. Compounds **1** and **4** along with $(C_6F_5)_2AsCl_2$ were moderately active against *K. pneumoniae*. $(C_6F_5)_2AsCl_2$ shows significantly higher activity against *S. aureus*. It seems that the introduction of chlorine atom significantly enhances antibacterial activity because of the partial ionic character of chlorine atom in $(C_6F_5)_3AsCl_2$ [12]. Surprisingly, compound **2** was markedly inactive against *K. pneumoniae* and poorly active against *S. aureus*. Compounds **3** and **7–9** were moderately active against both the strains, but compounds **8** and **9** were poorly active and compound **8** showed no inhibition against *K. pneumoniae*. Compound **3** was moderately active, whereas compound **6** exhibited poor activity against *K. pneumoniae* and no activity against *S. aureus*.

Antitumor Activity

The in vitro antitumor activity of compounds **1–9** along with $(C_6F_5)_3As$ and $(C_6F_5)_3AsCl_2$ was carried out against human breast adenocarcinoma cell line (MCF-7) (Table 5). $(C_6F_5)_3As$ and $(C_6F_5)_3AsCl_2$ did not show any activity, whereas compounds **1, 2**, and **7** exhibited low activity. Again, compounds **8** and **9** were inactive and compounds **3** and **6** showed poor activity.

EXPERIMENTAL

Pentafluorophenylarsenic(V) and its dichloride were prepared by reported method [1,2]. Naproxene, a nonsteroidal anti-inflammatory drug, was procured in the form of tablets (500 mg/tablet) and extracted;m.p. 156°C). The carboxylic acids (all from Aldrich) were used in the form of their silver salts.

IR spectra were recorded in solid state, using KBr pellets, on FT-IR spectrophotometer (Schimadzu 8201 PC, Shimadzu Corporation Kyoto, Japan) over the spectral range 4000–400 cm^{-1}. ^1H, ^{19}F, and ^{13}C NMR spectra were recorded on a Bruker spectrometer (Bruker Corporation, US), using TMS, CF_3COOH, and $CDCl_3$ as references, respectively. The stoichiometry of compounds was established by elemental analysis on a semimicro scale using an

elemental analyzer (Elementar Vario EL III, Carlo Erba 1108, Milan, Italy).

Synthesis of $(C_6F_5)_3As(OCOC_6H_4(o\text{-}OH))_2$ (**1**)

A solution of tris(pentafluorophenyl) arsenic(V) dichloride (0.646 g, 1.0 mmol) and freshly prepared anhydrous silver salt of salicylic acid (0.490 g, 2.0 mmol) in dry THF (30 mL) was stirred in an atmosphere of nitrogen under anhydrous and dark conditions at room temperature for 24 h. The white precipitate of AgCl thus formed was filtered off. The filtrate on concentration under vacuum followed by the addition of *n*-hexane afforded off-white amorphous solid **1**. The product was recrystallized from a mixture of THF and *n*-hexane (1:2); yield 0.578 g (68.0%); m.p. 146°C.

$$\text{Synthesis of } (C_6F_5)_3As\overset{O}{\diagdown\!\diagup}O\text{-}C(O)\text{=}CH(C_6H_5) \tag{7}$$

A solution of tris(pentafluorophenyl)arsenic(V) dichloride (0.646 g, 1.0 mmol), silver salt of mandelic acid (0.518 g, 2.0 mmol) and triethylamine (0.2 mL) in THF (30 mL) was stirred in an atmosphere of nitrogen under anhydrous and dark conditions at room temperature for 24 h. The solid mixture of silver chloride, [$Et_3N{\cdot}HCl$], and unreacted silver salt of carboxylic acid was filtered off. The filtrate on concentration in vacuo followed by the addition of petroleum ether (60–80°C; 2 mL) yielded off-white amorphous solid **7**. The product was crystallized from a mixture of petroleum ether (60–80°C) and dichloromethane (4:1); yield 0.472 g (65.0%); m.p. 102°C.

Biological Activity

The antifungal activity of compounds was tested by potato dextrose agar plate diffusion method [22] with 10 μg mL^{-1} of test compound against *A. niger* and *A. flavus*. The percentage inhibition of compounds was calculated by reported method [23].

$$\text{Percentage inhibition} = \frac{C - T}{C} \times 100$$

where C is the diameter of fungus in control and T the diameter of fungus in test compounds.

Antibacterial activity of compounds was determined by disc-diffusion method [24]. The sterile disc of 5-mm diameter of filter paper (Whatman No. 1) impregnated with the test compound (10 μg mL^{-1} in ethanol) was placed on the nutrient agar plate at 37°C for 72 h. The inhibition zone around the dried impregnated discs was measured after 72 h. The activity was classified as "highly active (+ + +)" (diameter = 10–15 mm), "moderately active (++)" (diameter = 5–10 mm), "slightly active (+)" (diameter ≃ 5 mm), and "inactive (−)" (diameter < 5 mm).

The human breast cancer cell line (MCF-7) was incubated with test compounds at dosages of 1.0 μg mL^{-1} for 96 h and the cell growth count was measured by the MTT [3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide] assay [25]. 17-β-Estradiol and the culture medium were used as the positive control and the negative control, respectively. The MTT was dissolved in phosphate buffer solution at a concentration of 5 mg mL^{-1}. The 50 μL of the MTT solution was added to each well and mixed thoroughly to dissolve the crystals of the purple-colored zone. The plates were then read on a microplate reader at a wavelength of 670 nm. The readings were presented as optical density.

CONCLUSIONS

Thus, from the IR and NMR (^1H, ^{19}F, and ^{13}C) spectral studies aided by molecular weight and conductance measurements, it is evident that carboxylic acids behave as monodentate ligands. This may be attributed to the presence of pentafluorophenyl ring resulting in the donation of electron density from unshared p-electrons of fluorine to π-system ring and thus increasing electron density at *ipso*-carbon, which ultimately decreases the Lewis acid character of the central metal atom and decreases the tendency to accept the electron from the ligands. Thus, in these newly synthesized carboxylates, the arsenic is in pentacoordinated state imparting trigonal-bipyramidal (TBP) structure around the arsenic atom, in which electronegative groups occupy apical positions and the three C_6F_5 groups are situated at the equatorial positions (Fig. 1). The preferred geometry of five-coordinate group 15 elements is TBP, which is a fluxional, stereochemically nonrigid, or pseudorotating arrangement rapidly interconverting to square-pyramidal structures (Fig. 2). This has been established in case of antimony [20], and the same is expected for pentafluorophenylarsenic(V) carboxylates resulting in the formation of cycloarsenates.

To investigate the efficacy of the synthesized pentafluorophenyl arsenic(V) derivatives of carboxylic acids, an attempt is being made to correlate their antitumor and antimicrobial activities. From

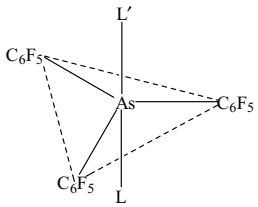


FIGURE 1 Configuration of pentafluorophenylarsenic (V) carboxylates. L = L′ = —$OCOC_6H_4$(*o*-OH) **1**; —OCOC-(OH)$(C_6H_5)_2$ **2**; and 2-(6-$OCH_3C_{10}H_6$)$CH(CH_3)COO$— **3**. L′ = Cl; L = —$OCOC_6H_4$(*o*-OH) **4**; —OCOC(OH) $(C_6H_5)_2$ **5** and 2-(6-$OCH_3C_{10}H_6$)$CH(CH_3)COO$— **6**.

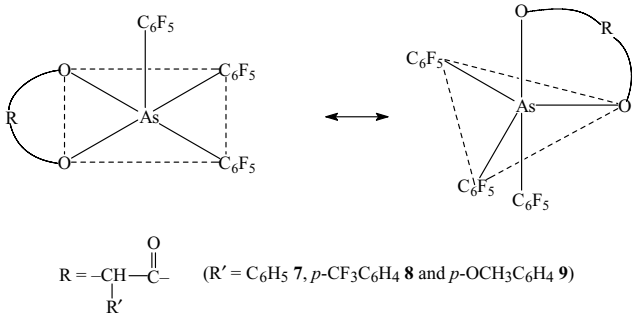


FIGURE 2 Alternative square-pyramidal and trigonal-bipyramidal arrangements of pentafluorophenylarsenic(V) carboxylates.

the results obtained, it can be inferred that the carboxylate moieties that are themselves biologically active do not display significant activity in combination with $(C_6F_5)_3As$. The variation in biological activity of these compounds is affected because of the variation in the nature of carboxylate group attached to arsenic. Unlike antimony(III) derivatives, $(C_6F_5)_3As$ derivatives are not very good antimicrobial agents and it would be reasonable to assume that the introduction of pentafluorophenyl group probably reduces the toxicity of arsenic moiety.

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