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STUDIES ON SYNTHETIC AND BIOLOGICAL ACTIVITY OF SOME NEW TRIORGANOTIN (IV) CARBOXYLATES

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Abstract-The present manuscript contains a series of new triorganotin (IV) carboxylates of the type R_3SnL, which are synthesized by the modified method and characterized first time for their biological activity. These compounds show remarkable antitumor, antimicrobial activity against various microbial strains along with insecticidal activity respectively.

Key words: Triorganotin (IV) carboxylates, antitumor, antibacterial, antifungal and insecticidal activity.

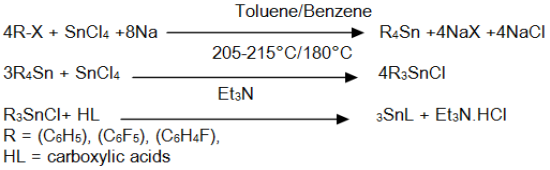
Introduction

The organotin (IV) compounds have wide applications as catalysts, as biocides, as antifouling agents, and for wood preservers [1-10]. In recent years, investigations have been carried out to test their antitumor activity and it has been found that several di and triorganotin (IV) species were found to be active against various types of cancer [11-13]. Biological activity of organotin complexes is believed to be independent on the structure of molecule and coordination number of the metal [4-6]. It has also been noted that many di and triorganotin (IV) carboxylates display interesting antitumor activities [13-20]. However their solubility in water and other polar solvents is poor, therefore many organotin (IV) complexes with carboxylate ligands containing polar substituents have been prepared and studied [13-20] recently. Polar substituents, like fluorine or polyoxaalkyl moieties, improve the water solubility problem of the compounds.

EXPERIMENTAL

The organotin compounds were synthesized by the earlier reported method [21]. The tetraorganotin compound as a base material can be synthesized by the reaction of respective haloarene with tin tetrachloride and sodium metal in inert atmosphere. The synthesis of base material triorganotin (IV) chloride was carried out by cleavage of the base material, tetraorganotin, with metal halides at 205-215^{o}C for one hour by fixing an air condenser and then the temperature was maintained at 180^{o}C for a period of one and half hour. The semisolid mass was extracted with hot pet-ether (40-60^{o}C) and recrystallised with same solvent.

The preparation of triorganotin (IV) carboxylates was carried out by the reaction of R_3SnCl and suitable carboxylic acid in presence of triethylamine, as HCl acceptor, under room temperature and nitrogen atmosphere. The method of preparation of some representative compounds is as follows.



Reaction of $(C_6H_5)_3SnCl$ with CH_3COOH (1)

In an oxygen free nitrogen atmosphere, a solution of triphenyltin (IV) chloride (0.385gm; 1mmol) in benzene and acetic acid (0.060gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives a white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_5)_3SnCl$ with $CH_2ClCOOH$ (2)

In an oxygen free nitrogen atmosphere, a solution of triphenyltin (IV) chloride (0.385gm; 1mmol) in benzene and chloroacetic acid (0.095gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_5)_3SnCl$ with $CHCl_2COOH$ (3)

In an oxygen free nitrogen atmosphere, a solution of triphenyltin (IV) chloride (0.385gm; 1mmol) in benzene and dichloroacetic acid (0.129gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color

$Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_5)_3SnCl$ with CCl_3COOH (4)
In an oxygen free nitrogen atmosphere, a solution of triphenyltin (IV) chloride (0.385gm; 1mmol) in benzene and trichloroacetic acid (0.164gm; 2mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_5)_3SnCl$ with CF_3COOH (5)
In an oxygen free nitrogen atmosphere, a solution of triphenyltin (IV) chloride (0.385gm; 1mmol) in benzene and trifluoroacetic acid (0.114gm; 2mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives a white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6F_5)_3SnCl$ with CH_3COOH (6)
In an oxygen free nitrogen atmosphere, a solution of tris(pentafluorophenyl)tin (IV) chloride (0.655gm; 1mmol) in benzene and acetic acid (0.060gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6F_5)_3SnCl$ with $CH_2ClCOOH$ (7)
In an oxygen free nitrogen atmosphere, a solution of tris(pentafluorophenyl)tin (IV) chloride (0.655gm; 1mmol) in benzene and chloroacetic acid (0.095gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6F_5)_3SnCl$ with $CHCl_2COOH$ (8)
In an oxygen free nitrogen atmosphere, a solution of tris(pentafluorophenyl)tin (IV) chloride (0.655gm; 1mmol) in benzene and dichloroacetic acid (0.129gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6F_5)_3SnCl$ with CCl_3COOH (9)
In an oxygen free nitrogen atmosphere, a solution of tris(pentafluorophenyl)tin (IV) chloride (0.655gm; 1mmol) in benzene and trichloroacetic acid (0.164gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6F_5)_3SnCl$ with CF_3COOH (10)
In an oxygen free nitrogen atmosphere, a solution of tris(pentafluorophenyl)tin (IV) chloride (0.655gm; 1mmol) in benzene and trifluoroacetic acid (0.114gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_4F)_3SnCl$ with CH_3COOH (11)
In an oxygen free nitrogen atmosphere, a solution of tris(*p*-fluorophenyl)tin (IV) chloride (0.439gm; 1mmol) in benzene and acetic acid (0.060gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_4F)_3SnCl$ with $CH_2ClCOOH$ (12)
In an oxygen free nitrogen atmosphere, a solution of tris(*p*-fluorophenyl)tin (IV) chloride (0.439gm; 1mmol) in benzene and chloroacetic acid (0.095gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_4F)_3SnCl$ with $CHCl_2COOH$ (13)
In an oxygen free nitrogen atmosphere, a solution of tris(*p*-fluorophenyl)tin (IV) chloride (0.439gm; 1mmol) in benzene and dichloroacetic acid (0.129gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_4F)_3SnCl$ with CCl_3COOH (14)
In an oxygen free nitrogen atmosphere, a solution of tris(*p*-fluorophenyl)tin (IV) chloride (0.439gm; 1mmol) in benzene and trichloroacetic acid (0.164gm; 1mmol) in same solvent were stirred together in presence of

triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives a white colour crystalline solid mass which was further recrystallised in pet-ether.

Reaction of $(C_6H_4F)_3SnCl$ with CF_3COOH (15)

In an oxygen free nitrogen atmosphere, a solution of tris(*p*-fluorophenyl)tin (IV) chloride (0.439gm; 1mmol) in benzene and trifluoroacetic acid (0.114gm; 1mmol) in same solvent were stirred together in presence of triethylamine at room temperature for 4-5 hours. The off-white color $Et_3N.HCl$ formed was filtered off and filtrate on evaporation in vaccum gives an off-white colour crystalline solid mass which was further recrystallised in pet-ether.

Antitumor Activity

The *in-vitro* antitumor activity of these compounds was carried out by MTT-Method [22]. This method was performed to estimate the effect of compounds on the growth of cell. The human breast adenocarcinoma (MCF-7) and mammary cancer (EVSA-7) cell lines were used for this purpose. The principle behind this assay depends upon the reduction of tetrazoleum salt. The yellow colored tetrazoleum MTT [3-(4,5-dimethylthiazolyl-2)-2, 5-diphenyl tetrazoleum bromide] was reduced partially by metabolically active cells by the action of dehydrogenase enzyme to generate NADH and NADPH as reducing equivalents. The resulting intracellular purple Colour zone was solubilized and quantified by spectrophotometer. The MTT was first dissolved in Phosphate buffer saline at a concentration of 5 mg/ml. The MTT solution (50 μl) was added to each well of 96 well culture plate containing 100 μl of culture medium and incubates at 37°C for 4 hrs. The medium was then removed carefully without disturbing the crystals of purple colored zone. 50 ml of DMSO was then added to each well and mixed thoroughly to dissolve the crystals of the zone. The plate was then read on a micro ELISA plate reader at a wavelength of 570 nm to find out the optical density and cell count value.

Antibacterial Activity

Antibacterial activity of the synthesized triorganotin compound was carried out by disc diffusion method [23] using ampicilin as standard. The filter paper (Whatmann No.1) sterile disc of 5 mm diameter, impregnated with the test compounds (10 μg/ml of ethanol) along with standard were placed on the nutrient agar plate at 37°C for 24 hrs in BOD incubator. The inhibition zone around the dried impregnated disc was measured after 24 hrs. The activity was classified as highly active (dia = > 15 mm), moderately active (dia = 10-15 mm) and slight active (dia = 5-10 mm). The diameter less than 5 mm was regarded as inactive.

Antifungal Activity

The antifungal activity of these compound was tested by agar plate diffusion method [24], using ampicilin as standard. Two concentrations of the test compounds viz., 50 and 100 μg/ml were prepared and tested against two pathogenic fungal strains, *Aspergillus flavus* and *Aspergillus nigar*. The one ml of each compound was poured into a Petri dish containing 20-25 ml of molten potato dextrose - agar medium. As the medium solidify, Petri dishes were inoculated at 37°C for 96 hrs in BOD incubator. After 96 hrs the colony diameter was measured and % inhibition was calculated using standard method.

Contact Toxicity against Insect

The contact toxicity of these compounds was carried out by topical application method [25] against larvae of *Spodoptera litura*, which is harmful for Indian crops. First the given compounds were dissolved in acetone and different concentrations were prepared *viz*., 0.06%, 0.12%, 0.25%, 0.50%, and 1.00%. Now each concentration was applied on the dorsal surface of the larvae of insect. About 10 μl of each concentration was applied on each larvae. Some of the larvae of insect was treated by acetone alone, were works as control. Now the mortality data was recorded after 24 hrs, and the treated mortality was corrected with control morality. These corrected mortality data was used for calculation of LC_{50}/LD_{50}.

Stomach Toxicity against Insect

The stomach toxicity of these compounds was carried out by leaf dip method [26]. In this method we used fourth instars larvae of *Spodoptera litura* of an insect which is responsible for the damage of Indian agricultural crops. Ten larvae were used for each replication and three replications were maintained for each concentration. The given compounds were dissolved in acetone and different concentrations were prepared *viz*. 0.06%, 0.12%, 0.25%, 0.50%, and 1.00%. The leaf disc were prepared out of caster leaf and dipped in various concentrations of the test compounds for thirty seconds. Now air dried the leaf discs to evaporate the excess acetone. (The leaf disc dipped only in acetone was served as control). The mortality data was recorded after 24 hrs, and the treatment mortality was corrected with control mortality. These mortality data was used for calculation of LC_{50}/LD_{50}.

Antifeedant Toxicity against Insect

The antifeedant activity of these compounds was also carried out by leaf dip method [26] using fourth instars larvae of *Spodoptera litura*, an insect responsible for the damage of Indian agricultural crops. There are ten larvae were used for each replications and three replications were maintained for each concentration. The given compounds were dissolved in acetone and different concentrations were prepared *viz*. 0.06%, 0.12%, 0.25%, 0.50% and 1.00%. The leaf discs of about 25 cm^2 were prepared and dipped for thirty seconds in various concentrations of the test compounds. Air dried the leaf discs to evaporate the excess acetone and the leaf discs offered for feeding. The insects were allowed to feed for 24 hrs. After 24 hrs leaf area uneaten was measured by

using leaf area meter. The differences between leaf area provided and the leaf area uneaten is taken as amount of leaf area consumed. The feeding inhibition was calculated and used for calculation of effective concentration (EC_{50}/LD_{50}).

Acaricidal Toxicity against Mites

The acaricidal activity of these compounds was carried out by leaf dip method [26]. Compounds was dissolved in Acetone and different concentrations were prepared *viz*. 0.001%, 0.005%, 0.05%, 0.1%, 0.5% using 0.2% tween 20 as emulsifier. Leaf discs of Mulberry (5 cm^2 diameter) were dipped in different concentration for 30 seconds. Now air dried the leaf discs to remove the excess of acetone and placed over wet cotton in Petri plate. The adult female mites were released on treated leaf discs and mortality data were recorded after 48 hrs. Mites released on leaf treated only with Acetone and tween 20 emulsifier served as control. The mortality data was used for calculation of LC_{50}/LD_{50}.

RESULTS AND DISCUSSION

All the newly synthesized compounds were crystalline solids, air stable and soluble in common organic solvents. The compounds were further characterized by using analytical techniques such as elemental analysis, infrared, NMR spectrometry.

Infrared Spectroscopy

The Infrared spectra of the carboxylic acids and synthesized compounds have been recorded from their KBr pellets in range 4000-400 cm^{-1}. The coordinating mode of the carboxylic acids towards the triorganotin (IV) moieties can be compared by the infrared spectra of free acids and synthesized triorganotin compounds. Frequencies assigned to $\nu_{asym}(COO)$ and $\nu_{sym}(COO)$ have been identified in free acids along with synthesized compounds. The main feature observed in the spectra of these compound is the absence of the broadband in range 2504-3034 cm^{-1}, which appears in free acid as ν(O-H)-position, indicating the metal-acid bond formation through this site. The values of IR stretching vibration frequencies of carboxyl groups [$\nu_{asym}(COO)$ and $\nu_{sym}(COO)$] in triorganotin(IV) carboxylate are helpful in the elucidation of the structures and bonding behavior of the ligands. Therefore, attempts have been taken to correlate the values of characteristic vibration frequencies with their precursor one.

^{1}H NMR Spectroscopy

^{1}H NMR spectra for triorganotin(IV)carboxylates and the free acids have been recorded in $CDCl_3$ and DMSO solution. The data are consistent with those reported earlier. ^{1}H NMR signals of the proton attached to the phenyl, *p*-fluorophenyl moieties have been fully assigned for determination of structure of the compounds.

^{19}F NMR Spectral Studies

In fluorine containing triorganotin compounds, as for the F-4, two signals appeared at δ143.72 and δ144.70 ppm for pentafluorophenyl rings respectively. The coupling of F4 with F2, 6 could not be observed though it was expected. Similarly for F3, 5, two signals appeared at δ155.48 and δ157.8 ppm which are double the intensity of F4 signals. The F2, 6 also showed two signals at δ124.8 and δ128.10ppm. The coupling due to F4 is not observed.

Antitumor Activity

The antitumor activity of triorganotin (IV) carboxylate was studied against the human breast cancer (MCF-7) and mammary cancer cell lines (EVSA-7). Compound shows moderate to high antiproliferative activity against the cell lines. They inhibit the growth of about 40-45% of tumor. The variation in activity is due to variable kind of carboxylate as ligand. The carboxylate having fluorine contents show higher efficacy. It was found that the compounds generally interact with nitrogenous bases of nucleotides of nucleic acid and inhibit the cell division by interfering the replication and transcription of DNA molecules. The compounds may also affect the multienzyme complexes responsible for replication and transcription of DNA thus causing a stop of proliferation of the cells.

Antibacterial Activity

The antibacterial activity of these compounds was tested against three human pathogenic bacteria: *Pseudomonas auruginosa, Staphylococcus aureus* and *Klebsiela pneumoniae* using 10 μg/ml concentration of the test compound. It was found that compound shows high activity against *pseudomonas auruginosa*, *Klebsiela pneumoniae* and against *Staphylococcus aureus*. The variability in the bacterial activity is due to presence of different kinds of carboxylate group as ligand. The chloride containing carboxylate ligands are more effective than the simple carboxylate ligands.

Antifungal Activity

The antifungal activity of these triorganotin compounds was tested against two fungal strains: *Aspergillus flavus* and *Aspergillus niger* at 50 μg/ml and 100 μg/ml respectively of the test compounds. It was so amazing that these compound so much higher efficacy against the fungal strains. Again the activity is due to presence of different kinds of carboxylate which shows higher activity against different fungal strains. The presence of chloride group in carboxylate molecule enhances the activity. At 100 μg/ml concentration, all the compounds show high activity against *Aspergillus flavus* and *Aspergillus niger.* The carboxylate ligand definitely play important role in controlling the fungal infections.

Contact Toxicity against Insects

The contact activity of triorganotin (IV) carboxylate was also tested against the larvae of *Spodoptera litura* insect using different concentration of the compounds. The corrected mortality was calculated to find out the LC_{50} value of the compounds. It was found that the compounds show better activity against the larvae of

insects and shows low value of LC_{50}. It was found that compounds having chlorine and fluorine based ligands show higher activity against insects.

Stomach Toxicity against Insects

The stomach toxicity of these compounds was also tested against the larvae of *Spodoptera litura* using different concentration of the compounds: 0.06%, 0.12%, 0.25%, 0.50% and 1.00%.The corrected mortality was calculated for the calculation of lethal concentration/lethal dose (LC_{50}). It was found that compounds show good activity against the larvae of insect and are much effective. The variation in activity was due to presence of different kinds of carboxylate group in the molecule. The presence of chlorine group in carboxylate ligand increases the activity.

Antifeedant Activity against Insects

The antifeedant activity of these compounds was tested against the insect *Spodopters litura* larvae using different concentration of the compound and the corrected mortality was calculated to find out the effective concentration (EC_{50}). It was found that compound shows high antifeedant activity. It was found that compound having acetate, dichloroacetate; trichloroacetate moieties are more effective against the insects.

Acaricidal Activity against Mites

Acaricidal activity of these compounds was tested against *Tetranychus urticae* using different concentrations 0.001%, 0.005%, 0.05%, 0.1% and 0.5%. The percentage of corrected mortality was calculated to find out the LC_{50} of these compounds. The results were very surprising that all the compounds show high acaricidal activity against the mite. The presence of different kind of carboxylate group as ligand in compounds enhances the activity.

References

[1] Davies A G. (2004) *Organotin Chemistry*, VCH Weinheim: Germany.

[2] Gielen M.(2003) *J. Braz Chem. Soc. 14*, 1.

[3] Casini A., Messori L., Orioli P., Gielen M., Kemmer M., Willem R.(2001) *J. Inorg. Biochem.*, *85*, 297.

[4] Gielen M., Handlir K., Hollein M., De Vos.D.(2000) *Met. Based Drugs 7*, 233.

[5] Gielen M., Biesemans M., De Vos.D., Willem R. (2000) *J. Inorg. Biochem.*, *79*, 139.

[6] Masood M.T., Ali S., Danish M., Mazhar M. (2002) *Synth. React. Inorg. Met.-Org. Chem.*, *32*, 9.

[7] Chandrasekhar V., Nagendran S., Baskar V.(2002) *Coord. Chem. Rev*. 235.

[8] Casas T.S., Castineiras A., Haiduc I., Sanchez A., Semeniuc R.F., Sordo J.(2001) *Synth. React. Inorg. Met.-Org. Chem.*, *31*, 725.

[9] Wrackmeyer B., Vollrath B.H., Ali S.(1999) *Inorg. Chim. Acta*, *296*, 26.

[10] Smith P.J.(1998) *Chemistry of Tin*, Blackie Academic & Professional: London.

[11] Gielen M.(1996) *Coord. Chem. Rev*.**,** 151.

[12] Gielen M., Biesemans M., De Vos. D., Willem R.(2000) *J. Inorg. Biochem*.**,** *79*, 139.

[13] Willem R., Bouhdid A., Mahieu B., Ghys L., Biesemans M., Tiekink E.R.T., De Vos. D., Gielen M.(1997) *J. Organomet. Chem. 15*, 531.

[14] Gielen M., Bouhdid A., Kayser F., Biesemans M., De Vos. D., Mahieu B., Willem R.(1995) *Appl. Organomet. Chem*., *9*, 251.

[15] Tao J., Xiao W., Yang Q.(1997) *J. Organomet. Chem*.**,** *223*, 531.

[16] Bonire J.J., Fricker S.P.(2001) *J. Inorg. Biochem*., *83*, 217.

[17] De Vos. D., Willem R., Gielen M., Van Wingerden. K.E., Nooter K.(1998) *Met. Based Drugs*, *5*, 179.

[18] Nath Mala, Yadav Rakesh, Eng G., Nguyen Thanh-True and Kumar Ashok(1999) *J. Organomet.Chem*. 577 (1), 1-8.

[19] Gielen M., Dalil H., Mahieu B., Biesemans M., Willem R.(1998) *Appl. Organomet. Chem.*, *12*, 855.

[20] Gielen M.(2003) *J. Braz. Chem. Soc*., *14*, 870.

[21] Shukla S.K., Tiwari V.K., Chauhan V.S., Rani Sushma, Singh R.K., Kant Ravi, Tewari I.C.(2009) *International Journal of Biotechnology Research***,** 2(1),41-45.

[22] Van-de-Loosdrecht. A.A.(1994) *J. Immunol. Meth.* 174, 311-320.

[23] Verma R.S., Imam S.A.(1973) *Ind. J. Microbiol*. 13, 45.

[24] Horshfall J.G.(1945) *Bot. Rev.* 5, 357.

[25] Dethie V.G., Browne L.B., Smith C.N.(1960) *J. Econ. Entomol*. 53, 134-136.

[26] Deshmukh S.D., Borle M.N. (1976) *Ind. J. Entomol*. 37(1), 11-18.

Table 1-Physicochemical Properties of triorganotin(IV)carboxylate

S.N.	Compounds	Formula	M.P (°C)	Yield (%)	Color	Solvent
1	$(C_6H_5)_3Sn(OOC.CH_3)$	$C_{20}H_{18}O_2Sn$	128-130	62	white	Pet.Ether
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	$C_{20}H_{17}O_2SnCl$	122-125	65	Off-white	Pet.Ether
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	$C_{20}H_{16}O_2SnCl_2$	119/120	70	Off-white	Pet.Ether
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	$C_{20}H_{15}O_2SnCl_3$	115-117	75	Off-white	Pet.Ether
5	$(C_6H_5)_3Sn(OOC.CF_3)$	$C_{20}H_{15}O_2SnF_3$	118-120	82	white	Pet.Ether
6	$(C_6F_5)_3Sn(OOC.CH_3)$	$C_{20}F_{15}H_3O_2Sn$	126-129	65	Off-white	Pet.Ether
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	$C_{20}F_{15}H_2O_2SnCl$	114-116	68	Off-white	Pet.Ether
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	$C_{20}F_{15}HO_2SnCl_2$	110-115	80	Off-white	Pet.Ether
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	$C_{20}F_{15}O_2SnCl_3$	102-106	65	Off-white	Pet.Ether
10	$(C_6F_5)_3Sn(OOC.CF_3)$	$C_{20}F_{18}O_2Sn$	103-105	80	Off-white	Pet.Ether
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	$C_{20}H_{15}F_3O_2Sn$	122-124	55	Off-white	Pet.Ether
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	$C_{20}H_{14}F_3O_2SnCl$	116-120	60	Off-white	Pet.Ether
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	$C_{20}H_{13}F_3O_2SnCl_2$	115-117	65	Off-white	Pet.Ether
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	$C_{20}H_{12}F_3O_2SnCl_3$	110-115	65	white	Pet.Ether
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	$C_{20}H_{12}F_6O_2Sn$	108-110	75	Off-white	Pet.Ether

Table 2- Analytical data of triorganotin(IV)carboxylate

S.N.	Compounds	Formula	Formula Wieght	Elemental Analysis	
				C(%)	H(%)
1	$(C_6H_5)_3Sn(OOC.CH_3)$	$C_{20}H_{18}O_2Sn$	409	58.67	4.40
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	$C_{20}H_{17}O_2SnCl$	443.5	54.11	3.83
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	$C_{20}H_{16}O_2SnCl_2$	478	50.20	3.34
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	$C_{20}H_{15}O_2SnCl_3$	512.5	46.82	2.92
5	$(C_6H_5)_3Sn(OOC.CF_3)$	$C_{20}H_{15}O_2SnF_3$	463	51.83	3.23
6	$(C_6F_5)_3Sn(OOC.CH_3)$	$C_{20}F_{15}H_3O_2Sn$	679	35.34	0.44
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	$C_{20}F_{15}H_2O_2SnCl$	713.5	33.63	0.28
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	$C_{20}F_{15}HO_2SnCl_2$	748	32.08	0.13
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	$C_{20}F_{15}O_2SnCl_3$	782.5	30.69	-
10	$(C_6F_5)_3Sn(OOC.CF_3)$	$C_{20}F_{18}O_2Sn$	733	32.74	-
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	$C_{20}H_{15}F_3O_2Sn$	463	51.83	3.23
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	$C_{20}H_{14}F_3O_2SnCl$	497.5	48.24	2.81
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	$C_{20}H_{13}F_3O_2SnCl_2$	532	45.11	2.44
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	$C_{20}H_{12}F_3O_2SnCl_3$	566.5	42.36	2.11
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	$C_{20}H_{12}F_6O_2Sn$	517	46.42	2.32

Table 3-Antitumor activity of triorganotin (IV) carboxylate

S. No.	Compounds	MCF-7 Cell No. x 10^4	EVSA-7 Cell No. x 10^4	Activity
1	$(C_6H_5)_3Sn(OOC.CH_3)$	9.19±0.92	9.29±0.88	Positive
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	9.17 ± 0.90	8.6 7 ± 0.69	Positive
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	11.59±1.06	11.29±1.02	Negative
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	9.29±0.88	9.89±0.92	Positive
5	$(C_6H_5)_3Sn(OOC.CF_3)$	8.95±0.67	8.55±0.62	Positive
6	$(C_6F_5)_3Sn(OOC.CH_3)$	8.79 ± 0.52	8.42 ± 0.46	Positive
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	11.52±1.02	11.82±1.06	Negative
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	9.19±0.92	9.29±0.88	Positive
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	12.31±1.02	12.39±1.03	Negative
10	$(C_6F_5)_3Sn(OOC.CF_3)$	8.79 ± 0.52	8.42 ± 0.46	Positive
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	9.19±0.92	9.29±0.88	Positive
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	8.95±0.67	8.55±0.62	Positive
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	12.79±1.20	12.69±1.16	Negative
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	11.52±1.02	11.82±1.06	Negative
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	9.19±0.92	9.29±0.88	Positive
16	Negative control	10.21±1.01	10.22±1.01	–
17	Positive control	40.26±3.23	41.23±3.28	–

Table 4-Antibacterial Activity of triorganotin (IV) carboxylate

S. N.	Compounds	Control	*Pseudomonas aeruginosa*	*Staphylococcus aureus*	*Klebsiela pneumoniae*
1	$(C_6H_5)_3Sn(OOC.CH_3)$	–	+++	+++	++
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	–	++	++	++
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	–	++	++	++
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	–	++	++	++
5	$(C_6H_5)_3Sn(OOC.CF_3)$	–	++	++	+++
6	$(C_6F_5)_3Sn(OOC.CH_3)$	–	+++	++	++
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	–	++	++	++
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	–	++	++	+++
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	–	+	+++	++
10	$(C_6F_5)_3Sn(OOC.CF_3)$	–	+++	++	++
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	–	++	+	++
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	–	++	++	++
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	–	+	++	+
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	–	+++	+	++
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	–	++	++	++

+ = 6-10 mm; ++ = 10-14 mm; +++= >14 mm; – = Inactive

Table 5-Antifungal Activity of triorganotin (IV) carboxylate at 50 μg/ml conc.

S. N.	Compounds	*Aspergillus flavus* Col. Dia. (mm)	% Inhibition	*A. niger* Col. Dia.(mm)	% Inhibition
1	$(C_6H_5)_3Sn(OOC.CH_3)$	0.2	93.3	0.7	65.0
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.2	93.3	0.7	65.0
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	0.4	86.7	0.6	70.0
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	0.7	76.63	0.6	70.0
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.2	93.3	0.7	65.0
6	$(C_6F_5)_3Sn(OOC.CH_3)$	0.2	93.3	0.7	65.0
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	0.7	76.6	0.7	65.0
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	0.8	73.3	0.8	60.0
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.8	73.3	0.8	60.0
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.7	76.63	0.6	70.0
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	0.7	76.6	0.5	75.0
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.5	83.3	0.4	80.0
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	0.5	83.3	0.4	80.0
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	0.6	80.0	0.7	65.0
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	0.7	76.6	0.7	65.0
16	Control	3.0	–	2.0	–

Table 6- Antifungal Activity of triorganotin (IV) carboxylate at 100 μg/ml conc.

S. N.	Compounds	*Aspergillus flavus* Col. Dia. (mm)	% Inhibition	*Aspergillus niger* Col. Dia. (mm)	% Inhibition
1	$(C_6H_5)_3Sn(OOC.CH_3)$	0.1	96.7	0.4	80.0
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.2	93.3	0.3	75.0
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	0.1	96.7	0.3	75.0
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	0.1	96.7	0.1	95.0
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.2	93.3	0.3	85.0
6	$(C_6F_5)_3Sn(OOC.CH_3)$	0.1	96.7	0.3	75.0
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	0.2	93.3	0.3	75.0
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	0.1	96.7	0.2	90.0
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.2	93.3	0.1	95.0
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.1	96.7	0.1	95.0
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	0.4	86.7	0.2	90.0
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.2	93.3	0.2	90.0
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	0.1	96.7	0.4	80.0
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	0.1	96.7	0.2	90.0
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	0.2	93.3	0.3	85.0
16	Control	3.0	–	2.0	–

Table 7-Contact Toxicity of triorganotin (IV) carboxylate

S. N.	Compounds	Fiducial limits	Slop ± S.E.	Chi. Square	LC_{50}/LD_{50} at 24 hrs.
1	$(C_6H_5)_3Sn(OOC.CH_3)$	1.61-9.30	1.07±0.17	0.67 (3)	2.83
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.72-1.46	1.71±0.18	3.32 (3)	0.97
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	1.87-12.07	1.09±0.19	1.63 (3)	3.52
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	0.56-1.05	1.32±0.15	0.63 (3)	0.73
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.43-0.75	1.63±0.6	2.94 (3)	0.58
6	$(C_6F_5)_3Sn(OOC.CH_3)$	1.42-3.89	1.32±0.16	2.37 (3)	2.12
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	1.87-12.07	1.09±0.19	1.62 (3)	3.53
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	1.57-9.32	1.07±0.17	0.72 (3)	2.83
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.28-0.40	1.96±0.16	4.39 (3)	0.33
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.39-0.59	1.67±0.15	5.62 (3)	0.46
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	1.87-12.07	1.09±0.19	1.63 (3)	3.52
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.56-1.05	1.32±0.15	0.63 (3)	0.73
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	1.42-3.89	1.32±0.16	2.37 (3)	2.12
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	0.72-1.46	1.71±0.18	3.32 (3)	0.97
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	1.87-12.07	1.09±0.19	1.63 (3)	3.52

Table 8-Stomach Toxicity of triorganotin (IV) carboxylate

S. N.	Compounds	Fiducial limits	Slop ± S.E.	Chi. Square	LC_{50}/LD_{50} at 24 hrs.
1	$(C_6H_5)_3Sn(OOC.CH_3)$	0.74-1.32	1.62±0.18	3.24 (3)	0.94
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.85-1.82	1.22±0.16	0.72 (3)	1.12
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	0.55-0.97	1.32±0.15	0.69 (3)	0.73
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	1.33-3.99	1.42±0.20	2.38 (3)	2.01
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.55-0.90	1.48±0.16	3.37 (3)	0.67
6	$(C_6F_5)_3Sn(OOC.CH_3)$	0.56-0.97	1.33±0.15	0.63 (3)	0.75
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	0.55-0.97	1.32±0.15	0.69 (3)	0.73
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	1.61-9.55	1.45±0.17	0.68 (3)	2.97
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.86-1.99	1.28±0.16	0.80 (3)	1.20
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.49-0.76	1.57±0.16	2.78 (3)	0.60
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	0.55-0.90	1.48±0.16	3.37 (3)	0.67
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.56-0.97	1.33±0.15	0.63 (3)	0.75
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	0.85-1.82	1.22±0.16	0.72 (3)	1.12
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	1.33-3.99	1.42±0.20	2.38 (3)	2.01
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	1.62-9.39	1.01±0.17	0.69 (3)	2.93

Table 9-Antifeedant Toxicity of triorganotin (IV) carboxylate

S. N.	Compounds	Fiducial limits	Slop ± S.E.	Chi. Square	LC_{50}/LD_{50} at 24 hrs.
1	$(C_6H_5)_3Sn(OOC.CH_3)$	0.45-1.09	0.87±0.13	1.71 (3)	0.64
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.49-0.76	1.52±0.16	2.59 (3)	0.58
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	0.72-2.41	0.93±0.14	0.22 (3)	1.13
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	0.30-0.47	1.28±0.14	3.42 (3)	0.39
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.33-0.61	1.00±0.13	0.68 (3)	0.43
6	$(C_6F_5)_3Sn(OOC.CH_3)$	0.83-2.33	1.08±0.15	0.79 (3)	1.24
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	0.30-0.47	1.28±0.14	3.42 (3)	0.39
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	0.33-0.61	1.00±0.13	0.68 (3)	0.43
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.33-0.61	1.00±0.13	0.68 (3)	0.43
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.82-3.41	1.81±0.14	0.43 (3)	1.35
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	0.68-1.72	1.03±0.14	0.66 (3)	0.98
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.43-0.87	1.03±0.14	0.34 (3)	0.58
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	0.62-1.42	1.06±0.14	1.07 (3)	0.86
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	0.83-2.33	1.08±0.15	0.79 (3)	1.24
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	0.72-2.41	0.93±0.14	0.22 (3)	1.13

Table 10- Acaricidal Toxicity of triorganotin (IV) carboxylate

S. N.	Compounds	Fiducial limits	Slop ± S.E.	Chi. Square	LC_{50}/LD_{50} at 24 hrs.
1	$(C_6H_5)_3Sn(OOC.CH_3)$	0.08-0.23	0.65±0.07	6.12 (3)	0.13
2	$(C_6H_5)_3Sn(OOC.CH_2Cl)$	0.05-0.10	0.97±0.07	13.23 (3)	0.07
3	$(C_6H_5)_3Sn(OOC.CHCl_2)$	0.07-0.22	0.76±0.06	5.63 (3)	0.14
4	$(C_6H_5)_3Sn(OOC.CCl_3)$	0.05-0.10	0.78±.0.06	4.64 (3)	0.06
5	$(C_6H_5)_3Sn(OOC.CF_3)$	0.10-0.23	0.88±0.08	2.14 (3)	0.15
6	$(C_6F_5)_3Sn(OOC.CH_3)$	0.07-0.22	0.76±0.06	5.63 (3)	0.14
7	$(C_6F_5)_3Sn(OOC.CH_2Cl)$	0.05-0.10	0.78±.0.06	4.64 (3)	0.06
8	$(C_6F_5)_3Sn(OOC.CHCl_2)$	0.10-0.23	0.88±0.08	2.14 (3)	0.15
9	$(C_6F_5)_3Sn(OOC.CCl_3)$	0.05-0.09	0.16±0.09	12.67 (3)	0.07
10	$(C_6F_5)_3Sn(OOC.CF_3)$	0.14-0.31	0.96±0.09	7.52 (3)	0.20
11	$(FC_6H_4)_3Sn(OOC.CH_3)$	0.12-0.30	0.78±0.08	1.70 (3)	0.18
12	$(FC_6H_4)_3Sn(OOC.CH_2Cl)$	0.14-0.31	0.96±0.09	7.52 (3)	0.20
13	$(FC_6H_4)_3Sn(OOC.CHCl_2)$	0.05-0.10	0.93±0.08	13.22 (3)	0.06
14	$(FC_6H_4)_3Sn(OOC.CCl_3)$	0.04-0.09	0.69±0.06	4.64 (3)	0.05
15	$(FC_6H_4)_3Sn(OOC.CF_3)$	0.05-0.09	0.16±0.09	12.67 (3)	0.07