CHARACTERIZATION & BIOLOGICAL STUDIES OF ORGANOTIN(IV) COMPLEXES WITH TRIS[(HYDROXYMETHYL)AMINOMETHANE] LIGANDS

See Mun, Lee

Research Centre for Crystalline Materials, Faculty of Science & Technology, Sunway University, Malaysia

Email contact: annielee@sunway.edu.my

INTRODUCTION

Metal complexes have been successfully used in the treatment of numerous human diseases including cancer. Among these metal complexes, organotin(IV) have been widely studied for their biological activities. Tris(hydroxymethyl)aminomethane (TRIS) and its Schiff base derivatives are known to have a broad spectrum of biological activities including antitumour, antibiotic, anticancer, antihistamine, antifungal, anti-inflammatory, etc. In the present studies, several ligands were prepared by reacting TRIS with substituted salicylaldehydes. As the ligands are found to have potential biological activities, it is our objectives to focus on the investigation of the structural features and biological properties of the prepared diorganotin(IV) complexes. The *in vitro* cytotoxic activity of the ligands and complexes had been evaluated against several cancer cell-lines, namely HT-29, SKOV-3 and MCF-7.

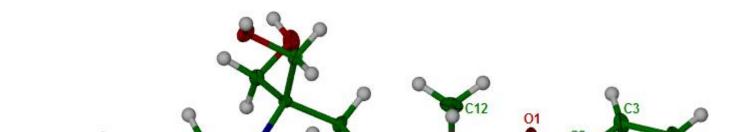
EXPERIMENTAL AND RESULTS

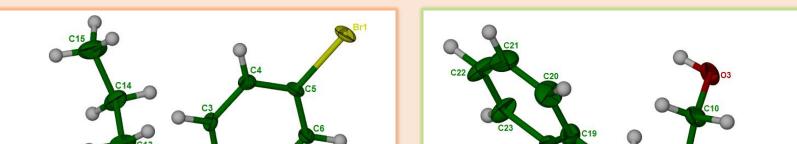
Scheme 1: Preparation of TRIS diorganotin(IV) complexes

Table 1: Cytotoxic activity of TRIS ligands and complexes

$X \xrightarrow{H}_{OH} \xrightarrow{O}_{OH} + R_2 SnCl_2 \xrightarrow{2 Et_3N} HO \xrightarrow{O}_{N} \xrightarrow{R} + 2 Et_3 NH^+Cl^-$	
$H_2L1; X = Br, H_2L2; X = CI$ X'	
R = CH ₃ (1, 7), C ₄ H ₉ (2, 8), C ₆ H ₅ (3, 9), C ₆ H ₁₁ (4, 10), Bz (5, 11), <i>p</i> -CIBz (6, 12)	_

Diagram: Structures d

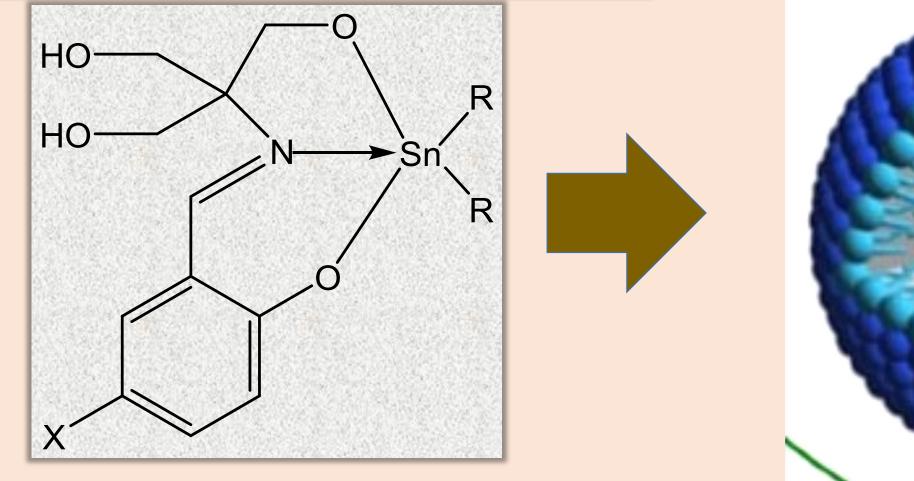


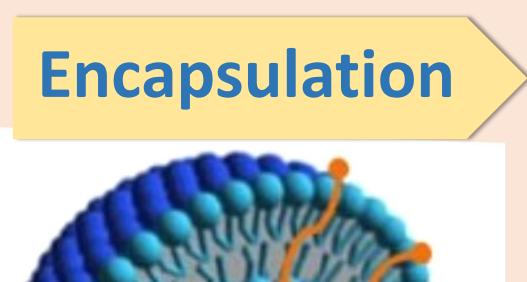


OH -OH + R ₂ SnCl ₂ -2 Et ₃ l		Compound	Cell lines (IC ₅₀ mg ml ⁻¹) ^a			
ОН) R		HT-29	MCF-7	SKOV-3
			Cisplatin	5.0±0	2.4 ± 0.6	1.4 ± 0
			H ₂ L1	> 100	> 100	83.3 ± 0.8
X´ × ₅ (3, 9), C ₆ H ₁₁ (4, 10), Bz (5, 11), <i>p</i> -CIBz (6, 12)			1	> 100	> 100	72.3 ± 0.3
5 (e, e), c ₀ , r ₁ , c ₁ , c ₂ , c ₂ , r ₁ , p cibz (e, r ₂)			2	35.3 ± 0.6	6.7 ± 0.1	5.7 ± 0.1
of selected TRIS diorganotin(IV) complexes			3	34.7 ± 0.6	27.7 ± 0.3	5.6 ± 0.1
			4	7.1 ± 0.3	3.6 ± 0.2	5.7 ± 0.1
			5	> 100	> 100	> 100
			6	> 100	> 100	> 100
			H ₂ L2	> 100	> 100	100 ± 0
04			7	> 100	> 100	> 100
	03	C14 C5 Bri	8	8.2 ± 0.2	2.2 ± 1.2	5.6 ± 0.1
C24 07 C23 C21 C22 N2 06 C26 Sn2 C25			9	41 ± 1	7.9 ± 0.1	5.7 ± 0
			10	4.6 ± 0.1	8.2 ± 0.2	5.4 ± 0.1
			11	> 100	> 100	> 100
			12	> 100	> 100	> 100
				⁻¹) = inhibition conce cancer cells by 50%	ntration at 50% <i>i.e.</i>	, the concentration to

ONGOING WORK

Potential Anticancer Drugs







Percentage of



drug encapsulation (%EE) & drug loading (%DL)



REFERENCES

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