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Design, Syntheses, Physicochemical and Biological Properties of Rhenium(I) tricarbonyl complexes of isatin derivative

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One emerging area which has only recently begun to receive increasing attention due to cell imaging is the medicinal application of luminescent d^6 transition metal complexes (1). And only recently, has Coogan and co-workers (2, 3), turned the direction of their research group to developing d^6 transition organometallic based agents which could target specific biological entities. Using the method of Coogan et al (2), with slight modifications, the reactions of the Schiff base of isatin and aniline (**L1**; $C_{14}H_{10}N_2O$; 41 %) with $Re(CO)_5X$ (X = Cl; a and Br; b) in hot toluene yielded the complexes $[Re(C_{14}H_{10}N_2O)(CO)_3X]$ (**2a**, **2b**; 96 % and 90 % respectively). Refluxing in dry toluene under nitrogen and recrystallization afforded the neutral complex crystallizing as a solvate compound $[Re(C_{14}H_{10}N_2O)(CO)_3Br].C_2H_5OH$ (**3**; 72 %) in ethanol. Attempts to prepare the cationic pyridine derivative of **2b**, gave the intermediate compound **4** and further attempts at crystallization in pyridine rather removed the ligand giving a new compound **5**; $[Re(C_6H_5N)_3(CO)_3]^+BF_4^-$. Crystallographic analyses of compound **5** showed pyridine assuming the coordination positions of nitrogen and oxygen donor atoms previously from **L1** to Re(I). Characterization of the prepared compounds was done by Fourier Transform Infra-red Spectroscopy (FTTIR), ^1H and ^{13}C NMR, Mass Spectra, Electronic spectra, Magnetic susceptibility measurements, melting point determinations and X-ray analyses. All synthesized compounds were screened for *in vitro* antibacterial activities against three Gram-positive bacteria (*Staphylococcus aureus, Bacillus subtilis* and Haemolytic *Staphylococcus aureus*) and three Gram-negative bacteria (*Pseudomonas aeruginosa, Escherichia coli* and *Klebsiella* sp.) (4), (5). The antifungal activities of the compounds were evaluated against three fungi (*Aspergillus niger*, *Trichoderma viride* and *Penicillium citrinum*) (4), (5). The rhenium(I) tricarbonyl chloride complex (**2a**) showed a broad-spectrum activity, much better than tetracycline (standard clinical antibiotic) against the tested bacteria. All other complexes showed selective activities in a distinct manner from the ligand and mostly with higher zones of inhibition against tested organisms than tetracycline. Complex **2b** had the minimum inhibitory concentration (MIC) value of 1.25 μg/ml against *Pseudomonas aeruginosa*. The antifungal studies revealed that **L1** and its complexes were inactive against the tested fungi. This report serves as the first to provide profound information on the coordination of isatin derived Schiff bases to such d^6 transition metals like Re(I), their physicochemical properties, as well as the medicinal implication of such metal complexes.

(1) Juris A. *et al.* (1998). *Coord. Chem. Rev.*, **84**: 85.

(2) Coogan M. P. *et al.* (2009), *Angew. Chem. Int. Ed. Engl.*, **48**: 4965-4968.

(3) Fernandez-Moreira V. (2010). *Chem. Commun*., ***46***: 186-202.

(4) Cheesbrough M. (2002). In: Cheesbrough M (ed.). Laboratory practice in tropical countries. Cambridge Edition, pp 63-87.

(5) Emeruwa K.C. (1982). *J. Nat. Prod*. **45**: 123-127.