



Synthesis and Biological Properties of Palladium(II) Cyclometallated compounds derived from (*E*)-2-((4-hydroxybenzylidene)amino)phenol

Joan Albert,^a Basma Al Janabi,^a Jaume Granell,^a Mojdeh Sadat Hashemi,^a Daniel Sainz,^a M. Kaleem Khosa,^b Laura Baldomà,^c Josefa Badia,^c Carme Calvis,^d Ramon Messeguer,^d Mercè Font-Bardia^e

^aDepartament de Química Inorgànica i Orgànica, Secció de Química Inorgànica, Facultat de Química, Universitat de Barcelona, Martí i Franquès 1, 08028 Barcelona, Spain.

^bDepartment of Chemistry, Sir Syed Block, New Campus, Government College University, Jhang Road, Faisalabad, Pakistan.

^cDepartament de Bioquímica i Fisiologia, Secció de Bioquímica i Biologia Molecular, Facultat de Farmàcia, Av. Joan XXIII, 27-31, 08028-Barcelona, Spain.

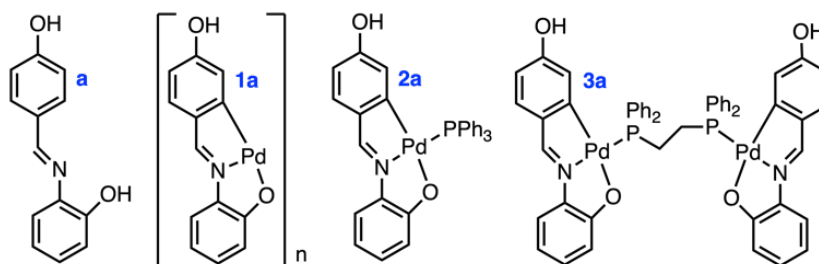
^dBiomed Division LEITAT Technological Center, Parc Científic, Edifici Hèlix, Baldiri Reixach 15-21, 08028 Barcelona, Spain.

^eUnitat de Difracció de RX, Centre Científic i Tecnològic de la Universitat de Barcelona, Solé i Sabarís 1-3, 08028 Barcelona, Spain.

E-mail: joan.albert@qi.ub.es

Palladium(II) compounds, containing chelating and good σ -donor or π -acceptor ligands and with steric hindrance around the palladium(II) centres, usually present good profiles as anticancer, antimicrobial and antiparasitic drugs [1, 2]. Therefore, we studied for compounds **a**, their cytotoxicity, antibacterial and antioxidant activities, and their ability to modify the electrophoretic mobility of the pBluescript SK+ plasmid DNA in agarose gel, and to inhibit topoisomerases I and II α . Compounds **a** were prepared by an adaptation of known procedures [3].

Most of compounds **a** were non-cytotoxic or poorly cytotoxic against the MDA-MB-231 and MCF-7 breast and HCT-117 colon human cancer cell lines. Nonetheless, **2a** was moderately cytotoxic against the MCF-7 breast ($IC_{50} = 7.8 \pm 1.7 \mu M$) and HCT-116 colon ($IC_{50} = 31 \pm 5 \mu M$) human cancer cell lines and presented a very low cytotoxicity towards normal human BJ cells ($IC_{50} = 86 \pm nd \mu M$). Compounds **a** showed also a moderate antibacterial activity against some Gram-positive (*B. subtilis* and *S. aureus*) and Gram-negative (*E. coli*) bacterial strains. In addition, compounds **a** presented a moderate antioxidant activity in the DPPH free radical scavenging assay, having **3a** the best antioxidant activity of the series ($IC_{50} = 0.08 \text{ mM}$) in relation to ascorbic acid ($IC_{50} = 0.05 \text{ mM}$) in this assay. **1a** was the unique compound of the series that produced a change on the electrophoretic mobility of the pBluescript SK+ plasmid DNA in the agarose gel. This change followed the pattern of *cisplatin*, but started to take place at a concentration twenty times higher than with *cisplatin*. In addition, compounds **a** were unable to inhibit topoisomerase I at a concentration of 100 μM , but **1a** – **3a** inhibited topoisomerase II α at concentrations of 10, 50 and 25 μM , respectively. In the poster session, we will give details on the synthesis, characterization, and biological properties of this series of cyclopalladated compounds derived from imine **a**.



References

- Scattolin, T.; Voloshkin, V. A.; Visentin, F.; Nolan, S. P. *Cell Reports Physical Science* **2021**, 2(6), 100446.
- Garoufis, A.; Hadjikakou, S. K.; Hadjiliadis, N. *Coord. Chem. Rev.* **2009**, 253, 1384 – 1397.
- Fernández, A.; Vázquez-García, D.; Fernández, J. J.; López-Torres, M.; Suárez, A.; Castro-Juiz, S.; Vila, J. M. *New. J. Chem.* **2002**, 26, 398 – 404.