Cytotoxic platinum(II) complexes bearing N-heterocycle rings as novel theranostic agents

Isabella Rimoldi,[1] Giorgio Facchetti,[1] Nicola Ferri,[2] Andreea Ionescu,[3,4] Rossella Caligiuri,[3] Nicolas Godbert,[3,4] Loredana Ricciardi,[4] Massimo La Deda,[3,4] Mauro Ghedini,[3,4] Maria Giovanna Lupo,[2] Iolinda Aiello[3,4]

[1]*Università degli Studi di Milano, Dipartimento di Scienze Farmaceutiche, Via Venezian 21, 20133 Milan, Italy.*
[2]*Università degli Studi di Padova, Dipartimento di Scienze del Farmaco, Via Marzolo 5, 35131, Padua, Italy.*
[3]*MAT-InLAB, LASCAMM CR-INSTM, Unità INSTM della Calabria,Dipartimento di Chimica e Tecnologie Chimiche, Università della Calabria, Ponte Pietro Bucci Cubo 14C, 87036 Arcavacata di Rende (CS), Italy.*
[4]*CNR NANOTEC-Istituto di Nanotecnologia U.O.S. Cosenza, 87036 Arcavacata di Rende (CS), Italy*

Corresponding Author, e-mail: Isabella.rimoldi@unimi.it

The discovery of cisplatin and its later approved derivatives started a new era in the bioinorganic medicinal chemistry field but the persistence of severe side-effects along with the emerging of drug resistance evoke the need of a new generation of transition metal-based chemotherapeutics with the aim to overcome these limitations. Given that many transition metal complexes also display interesting photophysical properties, an increasing interest has recently arisen in the development of platinum based theranostic agents, *i.e.* compounds combining both therapeutic and detection properties in a single entity.[1,2] Our research group has synthesised and evaluated for the treatment of triple-negative breast cancer (TNBC) a series of cyclometalated anionic platinum complexes carrying tetrabromocatechol or alizarine as *O^O* chelating ligands.[3] All these complexes resulted emissive in solution and the fluorescence confocal analysis showed their localization in the cytosol of MDA-MB231 cells proving their ability to serve as luminescent probes. By matching these diagnostic imaging properties with the potent cytotoxicity exhibited by the dichloro platinum(II) complex based on 8-aminoquinoline (4.5±μM) and its 5,6,7,8- tetrahydro derivatives on the highly aggressive TNBC cell line,[4] a novel series of promising theranostic agents can be developed.

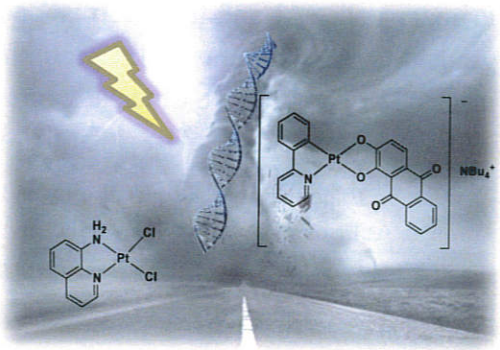

Figure 1. Combined complexes for novel theranostic applications.

References

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