

Water Soluble Rhenium-based Complexes for Cancer Treatment

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Technology description

This invention relates to novel anti-cancer compounds consisting of rhenium which are (i) more potent than platinum-based chemotherapeutic agents such as cisplatin and (ii) show anti-cancer activity against cisplatin-resistant cancer cells.

About half of treated cancer patients receive platinum-based drugs (eg. cisplatin, carboplatin) alone or in co-administration with other anticancer agents. Despite their efficacy, their use is limited by toxic side effects and inherent or acquired resistance to platinum-based drugs by tumor cells.

The present rhenium (I) complexes contain axial water ligands for enhanced water solubility to enable better formulation. In addition, the lead complex shows increased potency both in non-resistant and cisplatin-resistant tumor cells.

Table 1 . Anticancer activities in human cervical cancer cells (HeLa)

	IC ₅₀ (μM)
Cisplatin	3.0 ± 1.2
Lead rhenium complex	0.97 ± 0.21

Table 2 . Anticancer activities in Chemo-sensitive and Chemo-resistant cancer cell lines

	IC ₅₀ (μM): Chemo-sensitive cancer cell line	IC ₅₀ (μM): in Chemo-resistant cancer cell line	Resistance Factor (ratio of the IC ₅₀ values is cisplatin-resistant versus wild-type cell lines)
Cisplatin	0.8	50	62.5
Lead rhenium complex	0.4	2.0	5

Additional Information

PCT Application filed [WO2017/223428](#)

Kevin M. Knopf, et al. (2017). In Vitro Anticancer Activity and in Vivo Biodistribution of Rhenium(I) Tricarbonyl Aqua Complexes. J. Am. Chem. Soc. 139 (40), pp 14302–14314. DOI: [10.1021/jacs.7b08640](https://doi.org/10.1021/jacs.7b08640).

Application area

Treatment of cisplatin-resistant tumors.

Advantages

Effective anticancer activity in cisplatin-resistant and cisplatin-susceptible cancer cell lines

Water soluble complex

Greater potency

Institution

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