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Synthesis and cytotoxicity evaluation of a 'V-shaped' fluorescent 4-Amino-1,8- naphthalimide Tröger's base derived Ru(II)-curcumin organometallic conjugate

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The design, synthesis and application of luminescent metal complexes as efficient theragnostic agents have great significance in the field of medicinal chemistry [1]. Luminescent d-metal ion complexes are well-known for their therapeutic activities because of their unique photochemical and photophysical characteristics [2]. 4-amino-1,8-naphthalimide derived Tröger's base (TBNap) derivatives are novel organic scaffolds that are famous for their robust DNA binding affinity, quick cellular uptake, and can also act as apoptosis inducers in cancer cells [3-5]. We have developed a novel luminescent N-4-pyridyl-4-amino-1,8-naphthalimide Tröger's base (TB Nap) with unique chiral cleft shape geometry using N-4-pyridyl-4-amino1,8-naphthalimide as the precursor through facile synthetic strategy. Knowing the significance of ruthenium metal complexes and the naturally available therapeutic agent "curcumin" and TBNap in the field of cancer therapy, we designed a novel TBNap-containing Ru(II) curcumin organometallic conjugate (TB-Ru-Cur) by the self-assembly of TBNap with previously reported arene-Ru(II)-curcuminato complex, Ru-Cur. TB-Ru-Cur displayed a fast cellular uptake, highly luminescent characteristics, and cytotoxicity against various cancer cell lines such as HeLa cells, HCT-116, and HepG2 cancer cells with an efficiency much higher than clinically used cisplatin. In summary, the work herein demonstrates that the TB-Ru-Cur can act as a potent anticancer theragnostic agent thereby bridging the gap between therapeutic and diagnosis properties.

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