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Helicity, assembly, and enantiorecognition of chiral AIEgens

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As opposed to most fluorophores that suffer from aggregation-caused quenching (ACQ), aggregation-induced emissive luminogens (AIEgens) possess very weak fluorescence in solution, but show strong emission upon aggregation due to restriction of intramolecular motion (RIM). Since AIEgens are often comprised of propeller-shaped structures, i.e. perphenylsiloles or tetraphenylethylene, the attachment of chiral units has recently proven a powerful tool to fabricate chiral AIEgens exhibiting circularly-polarised luminescence (CPL) and for some cases the ability for chiral recognition. Different chiral moieties lead to various assembled structures, such as helical nanoribbons, superhelical ropes, hollow and solid micro-/nanospheres. Generally, these structures exhibit enhaced chiroptical properties when compared to their monomeric counterpart. In this context, we report on a perphenylsilole derivative with side-chains bearing an enantiomerically pure mannose derivative readily assembled into superhelical ropes upon aggregation, which displayed large CPL dissymmetry factors (gem) of –0.32 – a record for purely organic chiral materials. For many biological and pharmaceutical applications, enantiorecognition is one of the most important challenges. Chiral AIEgens that selectively bind to one enantiomer of a chiral compound serve as tool to easily differentiate between two enantiomers. For these kinds of chiral AIEgens, they have great potential to be used in devises that can detect and potentially separate enantiomers; especially chiral drugs, biomolecules, and other chiral molecules of interest.

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Therapeutic and synthetic approach towards indazole

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Among the various heterocyclic compounds, indazoles form a privileged class of core skeleton with their diverse spectrum of therapeutic potential. The easy generation of complex molecular diversity is broadly applicable, cost effective, practical and sustainable. Synthetic methods in a straight forward fashion along with the importance of these motifs in biological and pharmaceutical applications received significant attention from researchers engaged in drug design. Fused 1H-indazole and 2H-indazole are well recognized for anti-hypertensive, anticancer properties antibacterial, anti-depressant and anti-inflammatory activities. New YC-1 indazole derivatives were synthesized and evaluated with HIF-1 transcriptional activity, in vivo. Furthermore, for the mechanistic study of the YC-1 derivatives, shows the anti-proliferative activity against human cancer cells and 1,3,5-trisustituted indazole derivative as an extremely potent antioxidant. The 7-nitro indazoles derivative was tested for the treatment of alcohol dependence, anti-mutagenesis and anti-oxidant properties. Similarly piperidine derivative of indazole has been patented as a non-narcotic, analgesic and antipsychotic drug. The indazole ring system is also present in many other compounds such as herbicides, dyes and sweeteners. Granisetron, serotonin 5-HT3 receptor antagonist used is to treat and prevent nausea and vomiting induced by cancer chemotherapy. Pan-Kinase inhibitor anthrapyrazolone is rationally used for designing of indazoles based potent of cell-active Mps1 kinase inhibitors. The new paradigm is to develop eco-friendly and green procedures for the construction of bioactive indazole compounds in order to help medicinal chemists in designing and synthesizing novel and potent compounds for the treatment of different disorders.

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