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(54) Title: **FLUORESCENTLY TAGGED LIGANDS**

(57) **Abstract:** Library comprising a plurality of tagged non-peptide ligands of formula (I): (Lig J_L)_m L(J_T Tag)_m (J_TL(J_LLig)_m)_p including and salts thereof comprising one or a plurality of same or different ligand moieties Lig each linked to a one or a plurality of same or different tag moieties Tag via same or different linker moieties L and same or different linking site or linking functionality J_T and J_L wherein Lig comprises a GPCR ligand, an inhibitor of an intracellular enzyme or a substrate or inhibitor of a drug transporter; L is a single bond or is any linking moiety selected from a heteroatom such as N, O, S, P, branched or straight chain saturated or unsaturated, optionally heteroatom containing, C₁₋₆₀₀ hydrocarbyl and combinations thereof, which may be monomeric, oligomeric having oligomeric repeat of 2 to 30 or polymeric having polymeric repeat in excess of 30 up to 300; Tag is any known or novel tagging substrate; m are each independently selected from a whole number integer from 1 to 3; p is 0 to 3 characterised in that linking is at same or different linking sites in compounds comprising different Lig, J_L, L J_T and/or - Tag and is at different linking sites in compounds comprising same Lig, J_L, L J_T and/or - Tag; process for the preparation thereof; process for the preparation of a library compound of formula (I) or a precursor of formula (IV); method for selecting a compound of formula (I) from a library thereof; compound of formula (I) associated with information relating to its pharmacological properties; a novel compound of formula (I) or precursor of formula (IV); uses thereof; methods for binding or inhibition therewith; use of a fluorescent target therewith; a modified cell surface GPCR and cells expressing the same; and a kit comprising a compound of formula (I) and a target therefor.



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