MPGI STRATEGY IMPROVES AQUEOUS SOLUBILITY IF COLCHICINE SITE INHIBITORS OF TUBULIN POLYMERIZATION

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Antimitotic agents that bind to tubulin at colchicine site have serious drawback because of their low aqueous solubility. [1] MPGI strategy is key in order to design new ligands that include polar amino groups that behave as non-polar residues when bound to tubulin. [2] In this work we have design and synthesized compounds in which the classical trimethoxyphenyl moiety of colchicine site antimitotic ligands is replaced by substituted anilines. [Figure 1] Both physicochemical and biological profile are promising, as alkylamino substituted compounds combine nanomolar cytotoxic potencies with improved aqueous solubilities.

Interfaz de usuario gráfica, Aplicación

Descripción generada automáticamente

Figure. 1. Scheme of new amino analogs and experiments performed.

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**References**

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