

Title (en)

COMBINED ACTIVE AND PASSIVE TARGETING OF BIOLOGICALLY ACTIVE AGENTS

Title (de)

KOMBINIERTES AKTIVES UND PASSIVES TARGETING VON BIOLOGISCH AKTIVEN WIRKSTOFFEN

Title (fr)

CIBLAGE ACTIF ET PASSIF COMBINES D'AGENTS BIOLOGIQUEMENT ACTIFS

Publication

EP 1765403 A4 20110330 (EN)

Application

EP 05780057 A 20050505

Priority

- US 2005015681 W 20050505
- US 56977004 P 20040510

Abstract (en)

[origin: WO2005115457A2] Disclosed is a conjugate comprising a biologically active agent (drug) linked to a subcellular targeting moiety that targets a drug specifically to the nucleus. Targeting is achieved by attaching a steroid hormone (or an analog) to the drug. The steroid hormone attached to the drug binds its corresponding receptor; the formation of the receptor-ligand complex results in the internalization of the complex into the nucleus, thus resulting in nuclear translocation of the drug. Also disclosed is a conjugate (comprising the complex of the drug and the steroid hormone) bound to a polymer by spacers allowing for concurrent passive targeting to the tumor cell (afforded by attachment to the polymer by the EPR effect) and nuclear targeting of the conjugate (due to the presence of the steroid). Using a suitable degradable spacer allows for the release of free drug in the tumor and enhances nuclear targeting efficacy. The polymer can be further linked to a cellular targeting molecule, where the targeting molecule directs the polymer to specific cells. One may thus be able to effectively target drugs to the nucleus of tumor cells. With little or modifications, several therapeutic agents can be targeted using the invention.

IPC 8 full level

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