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(54) Title: MULTIMODALITY AGENTS FOR TUMOR IMAGING AND THERAPY

(57) Abstract: A compound that is a conjugate of an antagonist to an integrin expressed by a tumor cell and at least one of a tumor avid tetrapyrollic photosensitizer, a fluorescent dye, and a radioisotope labeled moiety wherein the radioisotope is 11C, 18F, 64Cu, 124I, 99Tc, 111In or GdIII and its method of use for diagnosing, imaging and/or treating hyperproliferative tissue such as tumors. Preferably the photosensitizer is a tumor avid tetrapyrollic photosensitizer, e.g. a porphyrin, chlorin or bacteriochlorin, e.g. pheophorbides and pyropheophorbides. Such conjugates have extreme tumor avidity and can be used to inhibit or completely destroy the tumor by light absoption. The integrin is usually αvβ3, α5β1, αvβ5, α4β1, or α2β1. Preferably, the antagonist is an RGD peptide or another antagonist that may be synthetic such as a 4-{2-(3,4,5,6-tetra-hydropyrimidin-2-ylamino) ethyloxy}-benzoyl]amino-2-(S)-amino- ethyl-sulfonylamino group. Such compounds provide tumor avidity and imaging ability thus permitting selective and clear tumor imaging.

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