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Design and development of microemulsion systems of a new antineoplaston A10 analog for enhanced intravenous antitumor activity: *In vitro* characterization, molecular docking, ^{125}I-radiolabeling and *in vivo* biodistribution studies

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Abstract

A10, (3-phenylacetylamino-2,6-piperidinedione), is a natural peptide with broad antineoplastic activity. Recently, *in vitro* antitumor effect of a new A10 analog [3-(4-methoxybenzoylamino)-2,6-piperidinedione] (MPD) has been verified. However, poor aqueous solubility represents an obstacle towards intravenous formulation of MPD and impedes successful *in vivo* antitumor activity. To surmount such limitation, MPD microemulsion (MPDME) was developed. A $3^1 2^2$ full factorial design using Design-Expert® software was adopted to study the influence of different parameters and select the optimum formulation (MPDME1). Transmission electron microscopy (TEM) displayed spherical droplets of MPDME1. The cytotoxicity of MPDME1 in Michigan Cancer Foundation 7 (MCF-7) breast cancer cell line exceeded that of MPD solution (MPDS) and tamoxifen. Compatibility with