Thiazole derivatives

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Stereoselective Synthesis and QSAR Study of Cytotoxic 2-(4-Oxo-thiazolidin--2-ylidene)-2-cyano-N-arylacetamides. — Thiazolidinone derivatives (V) are stereoselectively obtained as (Z)-isomers via reaction of amides (III) with chloroacetyl chloride (IV) under basic condition. Reaction of (V) with either aromatic aldehydes (VI) or in situ prepared aryl diazonium salts afford the target compounds (VII) and (IX), respectively. Compounds (VIIb), (VIIf), and (VIIg) display promising antitumor properties against colon, breast, and liver cell lines. A QSAR study shows that the average bond length and the Fit value descriptors affect the activity, while the log P value is the most controlling factor affecting the antitumor activity against the colon cancer cell line. — (GEORGE, R. F.; Eur. J. Med. Chem. 47 (2012) 377-386, http://dx.doi.org/10.1016/j.ejmech.2011.11.006 ; Dep. Pharm. Chem., Fac. Pharm., Cairo Univ., Cairo 11562, Egypt; Eng.) — H. Hoennerscheid