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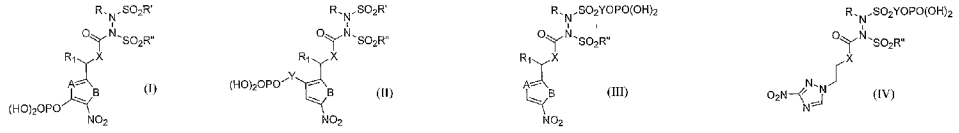
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(54) Title: SULFONYL HYDRAZINES AS HYPOXIA-SELECTIVE ANTINEOPLASTIC AGENTS



(57) Abstract: Novel phosphate-bearing prodrugs of sulfonyl hydrazines have the formulas (I), (II), (III) and (IV). Pharmaceutical compositions and uses thereof in the treatment of cancer are claimed. The aforementioned prodrugs include enantiomers, stereoisomers and tautomers thereof, as well as pharmaceutically acceptable salts or solvates and metabolites from all stages. The aforementioned prodrugs are preferentially activated in hypoxic tumors and can be given either alone, or in combination with other anticancer agents or with phototheraphy or radiotherapy. Where R = C_{1-10} alkyl, or C_{1-10} haloalkyl (preferably containing no more than 5 halogen groups, preferably 2-chloroethyl); R' and R" are independently C_{1-10} alkyl, or C_{5-20} aryl or heteroaryl (preferably methyl); R_1 is H, C_{1-10} alkyl, C_{1-10} alkoxyl, C_{5-20} aryl or heteroaryl or C_{5-20} aroxyl or heteroaroxyl (preferably methyl and ethyl); X is O, NH, or NR (preferably O); Y is $(CH_2)_n$, where n = 1, 2, 3, 4 or 5 (preferably n = 2 and 3); or Y = aryl or heteroaryl (preferably para-phenyl); A = CH, or N (preferably CH); and B = CH=CH, O, S, NH, or NR (preferably CH=CH); or pharmaceutically acceptable salts, solvates, polymorphs or metabolites, thereof.

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