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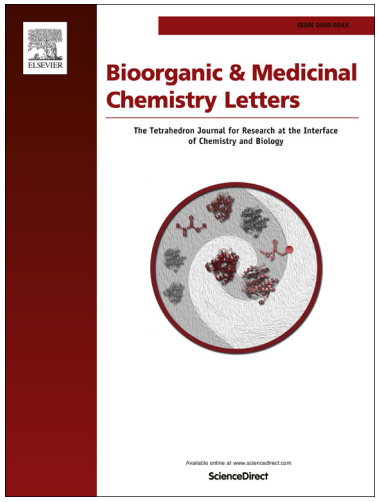

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Novel synthesis of Nitro-Quinoxalinone derivatives as Aldose reductase inhibitors

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[a]Abbreviations: ALR2, Aldose reductase; AKR, aldo-keto reductase; NADPH, ß-nicotinamide adenine dinucleotide phosphate reduced form; ARIs, Aldose reductase inhibitors; SAR, structure-activity relationship

Abstract

A novel, non-acid series of nitroquinoxalinone derivatives was synthesized and tested for their inhibitory activity against aldose reductase as targeting enzyme. All active compounds displayed an 8-nitro group, and showed significant activity in IC_{50} values ranging from 1.54 to 18.17 µM. Among them 6,7-dichloro-5,8-dinitro-3-phenoxyquinoxalin-2(1H)-one (**7e**), exhibited the strongest aldose reductase activity with an IC_{50} value of 1.54 µM and a good SAR (structure- activity relationship) profile.

Keywords

Quinoxalinone derivatives, Aldose Reductase inhibitors, Structure-Activity relationship