Quatro derivados do benzaldeído apresentam atividade antiproliferativa no glioblastoma

Eur J Med Chem. 2016 Nov 29;124:574-582. doi: 10.1016/j.ejmech.2016.08.057. Epub 2016 Aug 26.

Thiazolidin-4-ones from 4-(methylthio)benzaldehyde and 4-(methylsulfonyl)benzaldehyde: Synthesis, antiglioma activity and cytotoxicity.

da Silva DS[1], da Silva CEH[1], Soares MSP[2], Azambuja JH[2], de Carvalho TR[2], Zimmer GC[3], Frizzo CP[3], Braganhol E[2], Spanevello RM[2], Cunico W[4].

Abstract

The present study assessed the biological potential of fourteen 1,3-thiazolidin-4-ones evaluating the antiglioma effect through decreasing of cell viability of glioblastoma multiform cells. The new compounds were efficient synthesized through multicomponent or multicomponent one-pot procedures in moderate to good yields (22-86%) from two arenealdehydes (4-(methylthio)benzaldehyde and 4-(methylsulfonyl)benzaldehyde), seven amines (aromatic and aliphatic) and mercaptoacetic acid. The compounds were identified and characterized by GC/MS and NMR, five of them by HRMS. Six thiazolidinones showed significant effect of decreasing cell viability compared to standard drug TMZ at 100 μM in 72 h in C6 cell line by MTT assay. The compounds 5b, 5e, 5g and 6e showed the best results in the screening at 100 μM and were analyzed at different concentrations (5, 25, 50, 100 and 250 μM). Compounds 5b and 5e showed statistical difference at 5 μM, 6e at 25 μM and 5g at 50 μM in 72 h of treatment. The cytotoxicity study in primary astrocytes cells was evaluated and none of fourteen compounds showed toxicity at 100 μM, eight of them were not cytotoxic at 250 μM, both in 72 h. In addition, the propidium iodide assay demonstrated that the compounds might induce cell death by necrosis. In conclusion, this work reports at least four compounds (5b, 5e, 5g and 6e) with potential anti-tumor effect against glioblastoma multiform cell presenting activity at low concentrations and safe profile of cytotoxicity.

KEYWORDS:

Anti-tumor activity; Astrocytes; Glioblastoma multiform; Necrosis; Thiazolidin-4-ones

PMID:
27614406