SYNTHESIS OF BENZIMIDAZOLE DERIVATIVES AND PROSPECTION OF THEIR ANTITUMOR ACTIVITY

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INTRODUCTION

The benzimidazole moiety (Bz) and its derivatives have been known for more than a century (Shrivastava et al. 2017, Arch Pharm). They play an important role in medicinal chemistry and shown extensively potential applications as drugs. Bz derivatives are associated with anticancer (Yadav et al. 2016, Agents Med Chem), antibacterial (Rao et al. 2018, Mini Rev Med Chem.), antifungal (Keri et al., 2015, Chem Biol Drug Des.), antiparasitic (Keri et al., 2016, Pharmacol Rep.) activities, among others.

In this work, we have synthesized some 2-aminobenzimidazoles that have been tested against two cancer cell lines.

MATERIAL AND METHODS

The 2-aminobenzimidazole derivatives were obtained by reaction of substituted 1,2-phenylenediamines with cyanogen bromide, then the free amino group was reacted either with aldehydes, followed by reduction with NaBH₄ in presence of methanol, to give a series of N-aryalkyl derivatives, or with acid chlorides to give an amide series.

 thirty two 2-aminobenzimidazole derivatives were tested against HeLa and MDAMB231 cell lines at different concentrations. Compounds of type I (N-aryalkyl derivatives), showed IC₅₀ values around 5 µM; while the amides (type II) displayed values of 25 µM. In general, most potent compounds contain a large substituent attached to the amino group.

RESULTS

CONCLUSIONS

Thirty two N-aryalkyl (type I) or N-acyl (type II) 2-aminobenzimidazoles, were synthesized and tested against HeLa and MDAMB231 cells lines. Most potent compounds showed IC₅₀ values of 5 µM.

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REFERENCES