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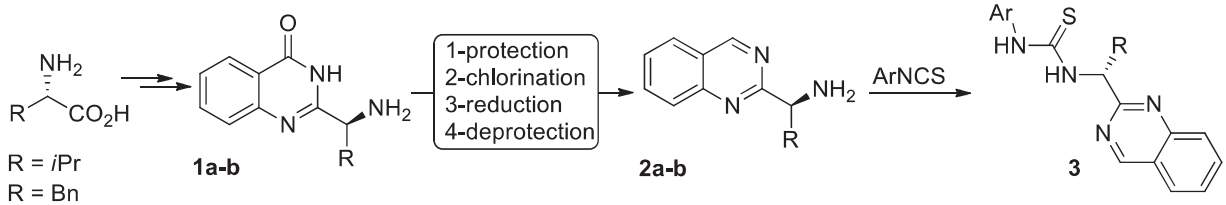
Synthesis of Quinazoline-based Chiral Thioureas and Their Anti-Cancer Potentials on Breast and Colon Cancer Cell Lines

Bilge Doğan, Mustafa Çatır, Ahmet Altay

Erzincan Binali Yıldırım University, Faculty of Art and Sciences, Department of Chemistry, Erzincan, Turkey
E-mail: mcatir@erzincan.edu.tr

Cancer is a disease that the leading cause of death worldwide and discovery of new anticancer agents are the key focus of several research groups.[1] Despite great discoveries, cancer therapy has still many limitations such as severe side effect of traditional chemotherapeutic drugs and high costs of effective anticancer agents.[2] Among the anticancer drugs discovered in recent years, thiourea compounds have been shown to be a potent anticancer property due to their strong inhibitory activity against receptor tyrosine kinases, PTKs, and NADH oxidase which are all active in the process of tumorigenesis.[3]

Herein, we wish to present the syntheses of quinazoline-based chiral thioureas and investigation of their anticancer activities against MCF-7 and HT-29 cancer cell lines by XTT assay. Firstly, (*S*)-aminoquinazolines (**2a-b**) was synthesized starting from related quinazolinone amines (**1**) in four steps. Then, the reaction of **2a-b** with aryl isothiocyanates (ArNCS) afforded desired thioureas (**3a-d**). Anticancer activity results showed that the IC_{50} values of the ligands were changed between the range of 30 to 148 µM on HT-29 cell line while these values were 23 to 53 µM on MCF-7 cell line. Among the tested ligands, **3d** is the most efficient ligand which exploit its anti-proliferative effect on both cell lines.



3a R = *i*Pr, Ar = 2-trifluoromethylphenyl
3b R = Bn, Ar = 2-trifluoromethylphenyl
3c R = *i*Pr, Ar = 3,5-bis(trifluoromethyl)phenyl
3d R = Bn, Ar = 3,5-bis(trifluoromethyl)phenyl

References

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3) Lv, P.-C., Li, H.-Q., Sun, J., Zhou, Y., Zhu, H.-L., *Biorg. Med. Chem.,* **2010,** 18, 4606-4614.

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