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### Master Thesis Defense

Entitled

*SYNTHESIS AND BIOLOGICAL APPLICATIONS OF SOME NOVEL UREA AND THIOUREA-  
QUINOLINE DERIVATIVES*

by

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Abstract

Extensive literature survey reveals that 8-Hydroxyquinoline (8-HQ), urea, thiourea and piperazine exhibit wide biological activity profile such as anticancer, anti-Alzheimer, anti-viral, antibacterial and antifungal activity. Novel urea and thiourea-quinoline derivatives connected by piperazine moiety have been synthesized and purified using appropriate techniques (extraction, crystallization and column chromatography) followed by characterization using IR spectroscopy and NMR spectroscopy (both  $^1\text{H}$  and  $^{13}\text{C}$ ).

Biological activity, metal chelation properties and the photo-physical properties were studied for these novel compounds. Anti-bacterial study showed moderate potency against three type of selected bacteria for most compounds. Antifungal study showed high potency activity for only one compound, N-(4-chlorophenyl)-4-((8-hydroxyquinolin-5-yl)methyl)piperazine-1-carboxamide (62c) against *Botrytis cinerea*. Metal chelation studies showed strong interaction between these compounds and  $\text{Cu}^{2+}$ ,  $\text{Zn}^{2+}$ , and  $\text{Fe}^{3+}$  metals. The trend of chelation strength for all compounds follow the trend ( $\text{Cu}^{2+} > \text{Zn}^{2+} > \text{Fe}^{3+}$ ), where the  $\text{Cu}^{2+}$  form the most stable complex with all compounds. The stability of complexes  $\text{Fe}^{3+}$  was the weakest among all of them. In the photophysical study, the compounds showed a photo induced electron transfer (PET) occurring from the 8-HQ ring (donor) to urea and thiourea groups (acceptor) with the piperazine moiety serving as a spacer between them. It was assumed that the efficiency varied according to the molecular stacking, where the smaller size ring substituent resulted in higher PET efficiency. For the same reason, the efficiency of urea compounds was higher than those of thiourea. The metal chelation and photophysical studies of these compounds are excellent indicators of the potential of these compounds in other biological activity which are to be done in the near future including anticancer, anti-Alzheimer and anti-Parkinson diseases.

**Keywords:** 8-Hydroxyquinoline, Urea, Thiourea, Piperazine, anti-bacterial, antifungal, metal chelation, photophysical and photo induced electron transfer.