

A series of ferrocenes which contain dinitrogen-fused pyrazolidinone ring were synthesized from acryloylferrocene (**4**) and *N,N'*-cyclic azomethine imines (**3**). Novel 5-aryl-6-ferrocenoyltetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-ones were obtained as mixtures of two diastereoisomers (*trans* and *cis*) which were separated and isolated as pure substances. *Ortho*-substituted *N,N'*-cyclic azomethine imines 5-oxo-2-(2,4,6-trimethylbenzylidene)pyrazolidin-2-ium-1-ide (**3e**) and 2-(2-methoxybenzylidene)-5-oxopyrazolidin-2-ium-1-ide (**3f**) reacted stereoselectively affording only *trans*-6-ferrocenoyl-5-mesityltetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-one (**5e**) and 6-ferrocenoyl-5-(2-methoxyphenyl)tetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-one (**5f**). Ferrocenyl derivatives were screened for *in vitro* antioxidant and antifungal activities and excellent DPPH and ABTS radicals scavenging activity was observed with majority of tested 5-aryl-6-ferrocenoyltetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-ones. Several tested compounds showed selective scavenging properties neutralizing ABTS<sup>•+</sup> radical cations in contrast to inactivity toward DPPH

radicals. *Trans*-5-aryl-6-ferrocenoyltetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-ones **5b**, **5c**, **5d**, **5j** as well as *cis*-6-ferrocenoyl-5-(*p*-tolyl)tetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-one (**6d**) displayed fungal growth inhibition at low concentration against *C. albicans* and/or *A. brasiliensis*. Molecular docking studies revealed that the *cis*-6-ferrocenoyl-5-(4-nitrophenyl)tetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-one (**6l**) and *cis*-6-ferrocenoyl-5-(naphthalen-2-yl)tetrahydropyrazolo[1,2-*a*]pyrazol-1(5*H*)-one (**6n**) have potential to become lead molecules in drug discovery process.