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Novel tetrahydroquinazoline derivatives with promising biological activity

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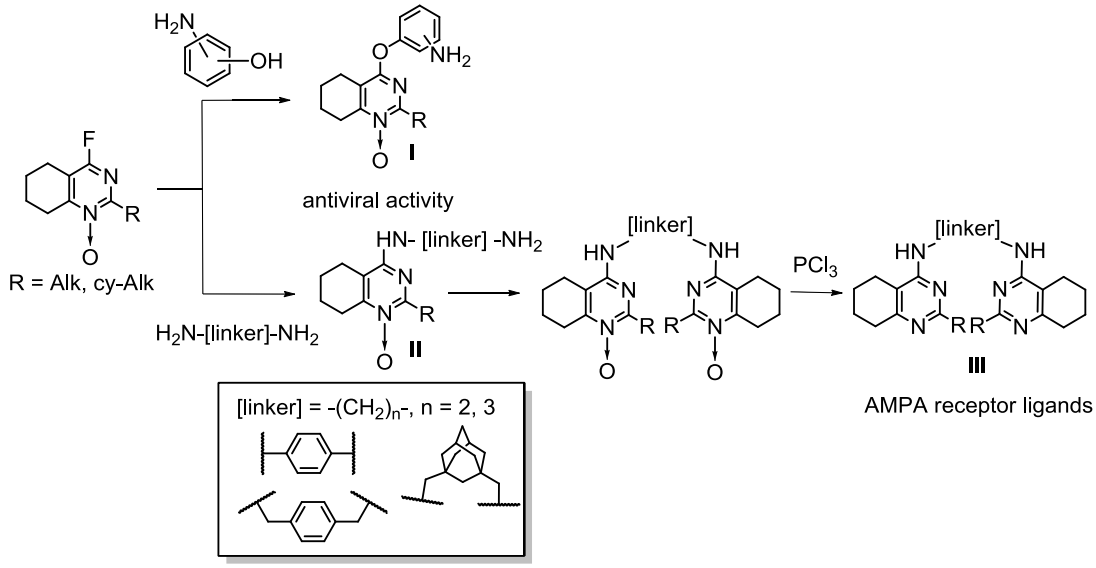
Pyrimidine derivatives are of high importance in living organisms and reveal a wide spectrum of biological activity [1]. Recently novel reaction of three-component heterocyclization of *gem*-dihalocyclopropanes, nitrating or nitrosating agents and nitriles resulting in previously unknown 4-halogenopyrimidine *N*-oxides was discovered in our laboratory [2].

In this work the synthetic approach to novel tetrahydroquinazoline derivatives based on this reaction was developed and a large series of heterocycles was obtained for studying their antiviral activity (structures **I**,**II**) and activity towards AMPA receptor (structures **III**). Pyrimidine N-oxides **I** were obtained *via* the reaction of aromatic nucleophilic substitution. The synthetic approach to bis(pyrimidines) **III** included double S_NAr reaction of 4-fluoropyrimidine *N*-oxides with different diamines and subsequent reduction of *N*-oxide fragment.



Biological activity of pyrimidine *N*-oxides containing *o*-, *m*- or *p*-aminophenol and diamine moieties in C4 position and substituents of different size in C2 position (**I**,**II**) was investigated and the majority of compounds were found to possess antiviral activity in micromolar concentration. Also, bis(pyrimidines) with different diamine linkers (**III**) were found to act as AMPA-receptor negative modulators.

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