Microwave-assisted copper catalyzed C-H arylation of bioactive pyrimidinones using diaryliodoniums salts

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Our topics
• Potential kinase DYRK1A inhibitors
• Development of thiazolo[5,4-f]quinazolin-9-(8H)-one derivatives
• Microwaves chemistry
• Late-stage C-H arylation of heteroarenes: palladium-catalyzed mono and diarylation reactions [1-3]
• Copper-catalyzed C-H arylation with diaryliodoniums salts [4,5]

Previous work

DYRK1A inhibitors

C-H arylation

Optimization of the reaction conditions

Scope with symmetrical diaryliodoniums

Scope with dissymmetrical diaryliodoniums

Scope of heterocycles

Conclusion and outlook

This work describes the specific phenylation of valuable fused-pyrimidinones and provides an efficient access to various (hetero)arylated N-containing polyheteroaromatics as new potential bioactive compounds.

Biological evaluation of new compounds is in course with an expected inhibition of kinases.

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