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

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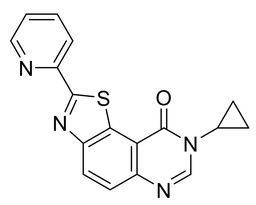
## Our topics

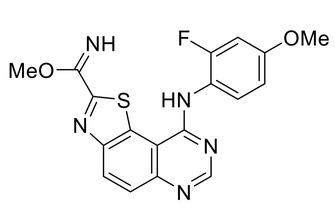
- Potential kinase DYRK1A inhibitors
- Development of thiazolo[5,4-*f*]quinazolin-9-(8*H*)-one derivatives
- Microwaves chemistry
- Late-stage C-H arylation of heteroarenes: palladium-catalyzed mono and diarylation reactions [1-3]
- Copper-catalyzed C-H arylation with diaryliodoniums salts [4,5]

## Previous work

### DYRK1A inhibitors

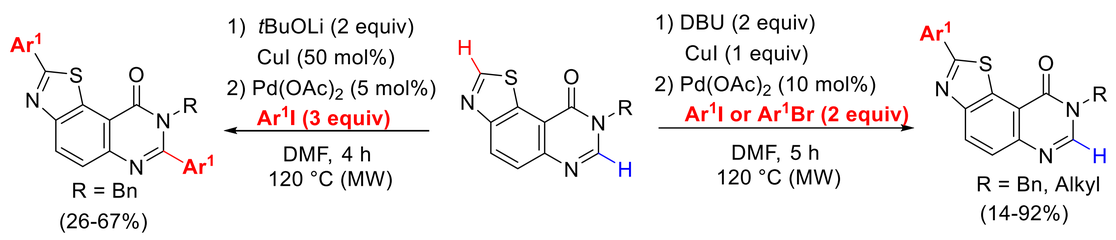


FC162
$IC_{50}$= 11 nM

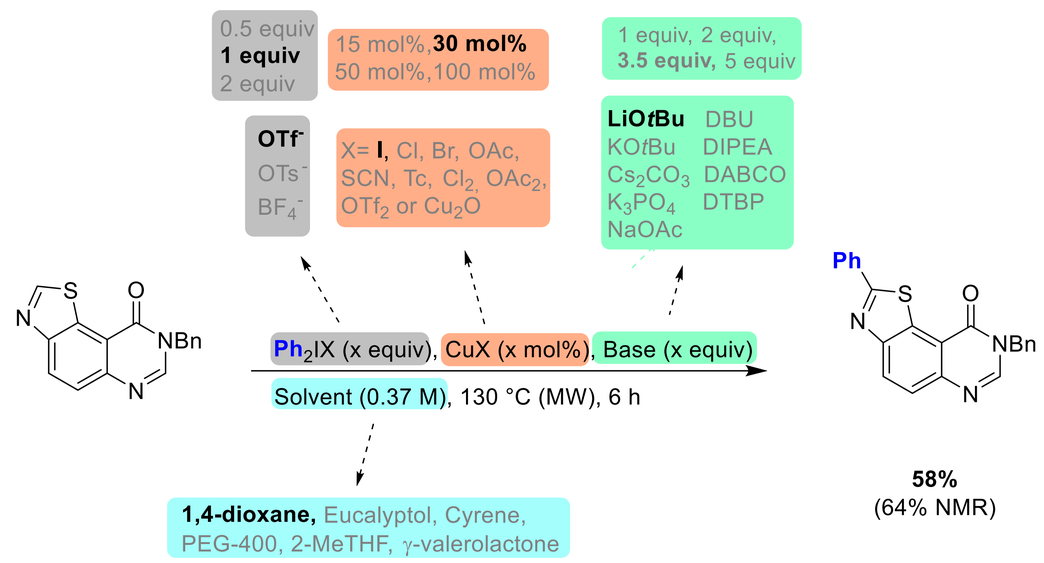


EHT1610
$IC_{50}$= 0,36 nM

### C-H arylation

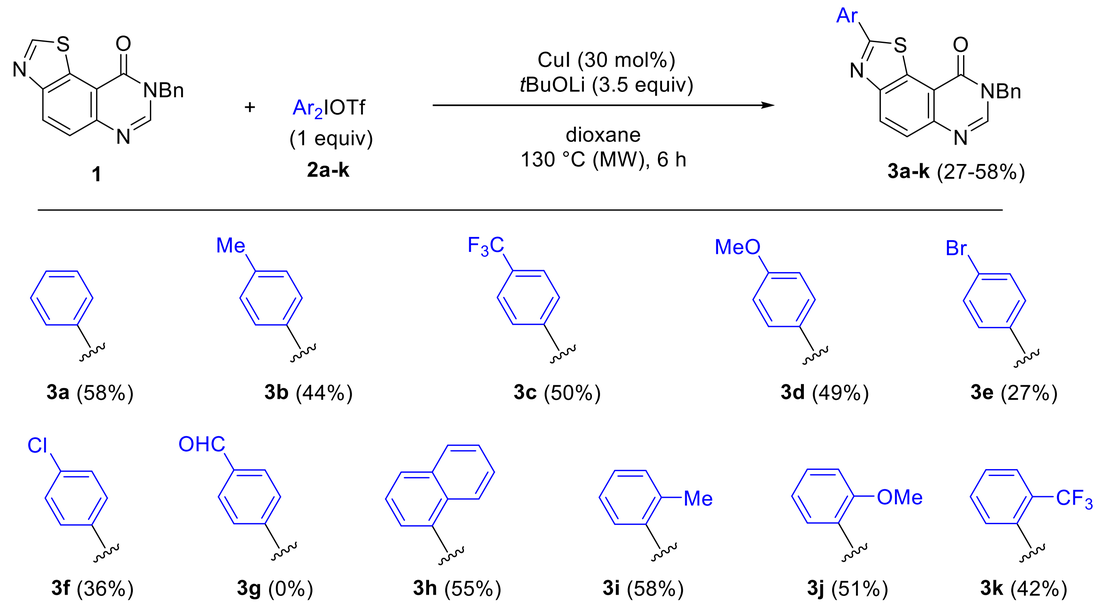



## Optimization of the reaction conditions

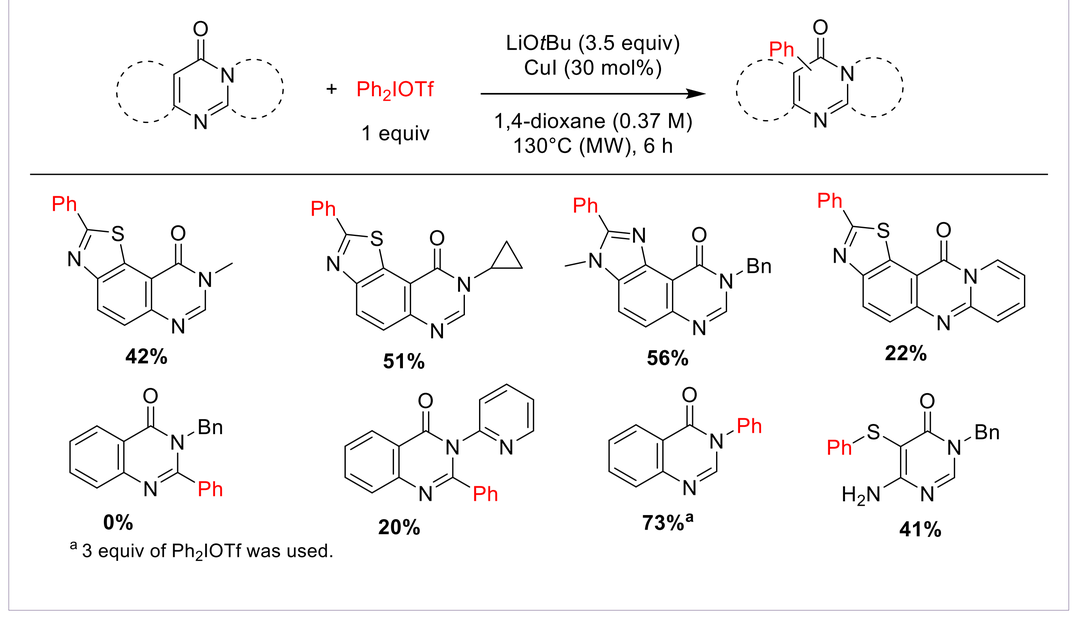



Experimental conditions were investigated, microwave-assisted heating was revealed more efficient than traditional thermal heating

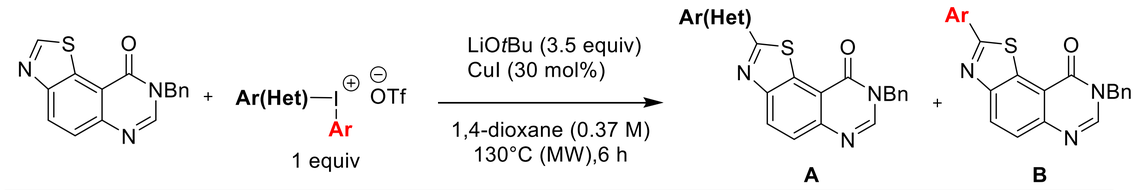
## Scope with symmetrical diaryliodoniums

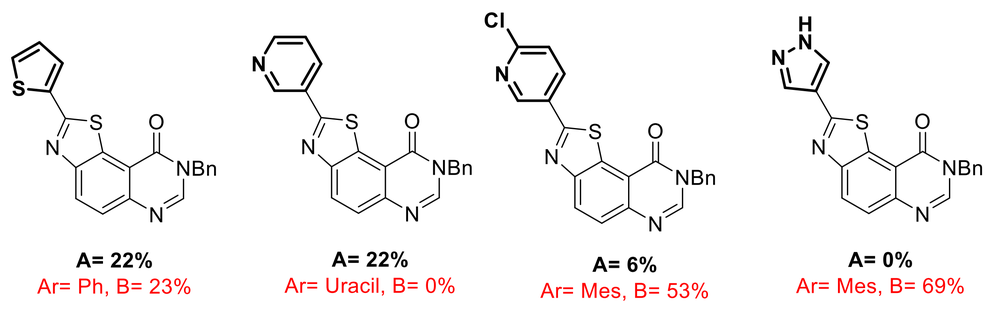



## Scope of heterocycles




## Scope with dissymmetrical diaryliodoniums




## 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.

[1] Harari, M.; Couly, F.; Fruit, C.; Besson, T. *Org. Lett*. **2016**, *18*, 3282-3285.
[2] Couly, F.; Dubouilh-Benard, C.; Besson, T.; Fruit, C. *Synthesis* **2017**, *49*, 4615-4622.
[3] Couly, F.; Harari, M.; Dubouilh-Benard, C.; Bailly, L.; Petit, E.; Diharce, J.; Bonnet, P.; Meijer, L.; Fruit, C.; Besson, T. *Molecules* **2018**, *23*, 2181-2196.
[4] Pacheco-Benichou, A.; Besson, T.; Fruit, C. *Catalysts* **2020**, *10*, 483-516.
[5] Pacheco-Benichou, A.; Ivendengani, E.; Kostakis, I. K.; Besson, T.; Fruit, C. *Catalysts* **2021**, *11*, 28-40.

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