

Efficient synthesis of new pyrazoles derivatives via functionalized aryl-syndones

Presented by

OUMESSAOUD Asmaa

Thesis Director :

Pr. H. OUCHETTO

Université Sultan Moulay Slimane, Béni-Mellal, Maroc

Thesis Co-director :

Pr. M. D. PUJOL

Universitat de Barcelona, Facultat de Farmàcia, Spain

Thesis Co-director :

Pr. M. KHOUILI

Université Sultan Moulay Slimane, Béni-Mellal, Maroc

Plan of the presentation

INTRODUCTION

EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

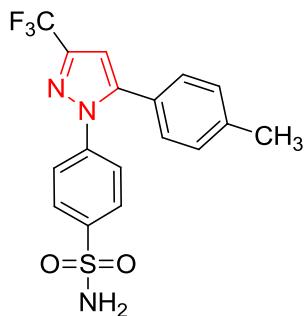
- Synthesis of pyrazoles via cycloaddition 1,3 dipolaire of sydnone**
- Synthesis of 1,3,4-trisubstituted pyrazoles derivatives via cross coupling**

CONCLUSION AND PERSPECTIVE

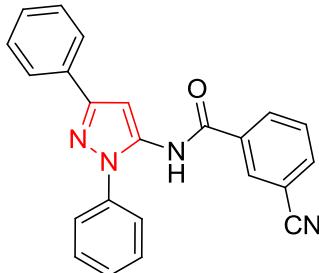
INTRODUCTION

- The pyrazole derivatives constitute an interesting class of organic compound with diverse chemical applications. Indeed, several classes of drugs carrying the pyrazole fragment have been used in therapeutic chemistry.

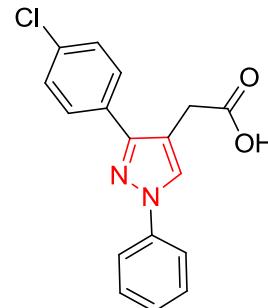
INTRODUCTION



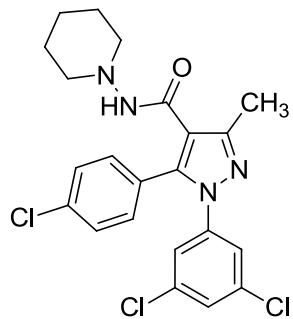
Celecoxib
(anti-inflammatory)



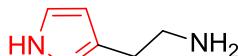
CDDPB
(anti-psychotic)



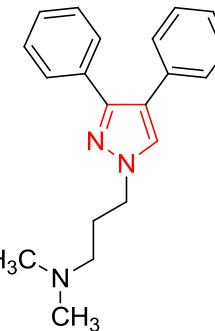
Lonazolac
(anti-inflammatory)



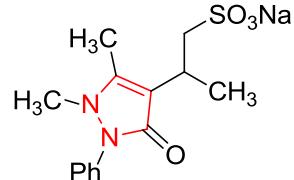
Rimonabant
(anti-obesity)



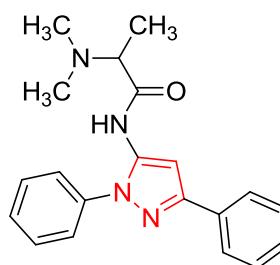
Betazole
(histamine H₂ receptor agonist)



Fezolamine
(anti-depressant)



Métamizole
(analgesic)

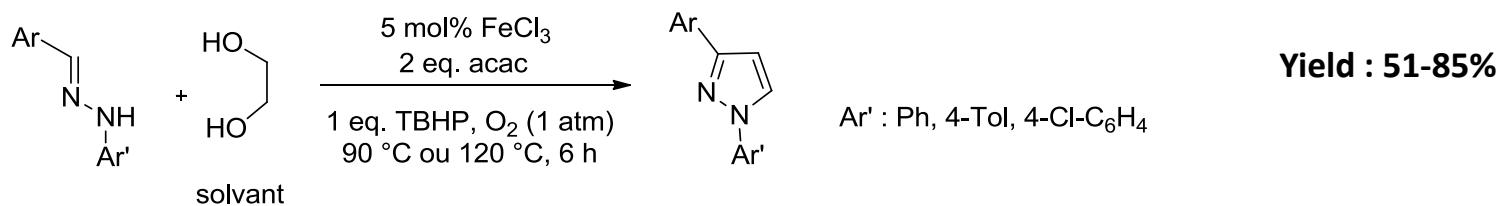


Difénamizole
(analgesic)

INTRODUCTION

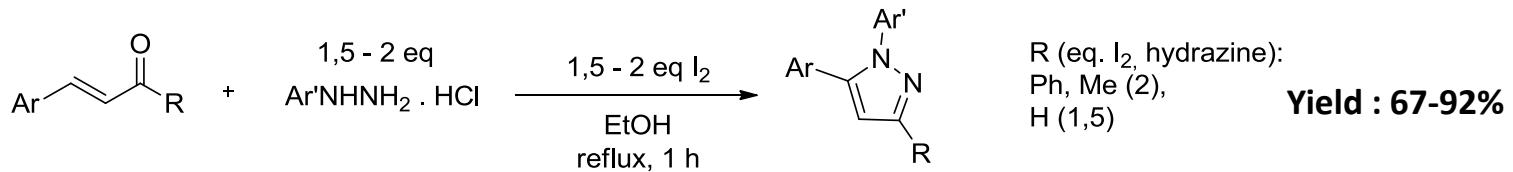
Panda (2012)

N. Panda, A. K. Jena, *J. Org. Chem.*, **2012**, 77, 9401-9406,



Chang, Yu (2014)

X. Zhang, J. Kang, P. Niu, J. Wu, W. Yu, J. Chang, *J. Org. Chem.*, **2014**, 79, 10170-10178.



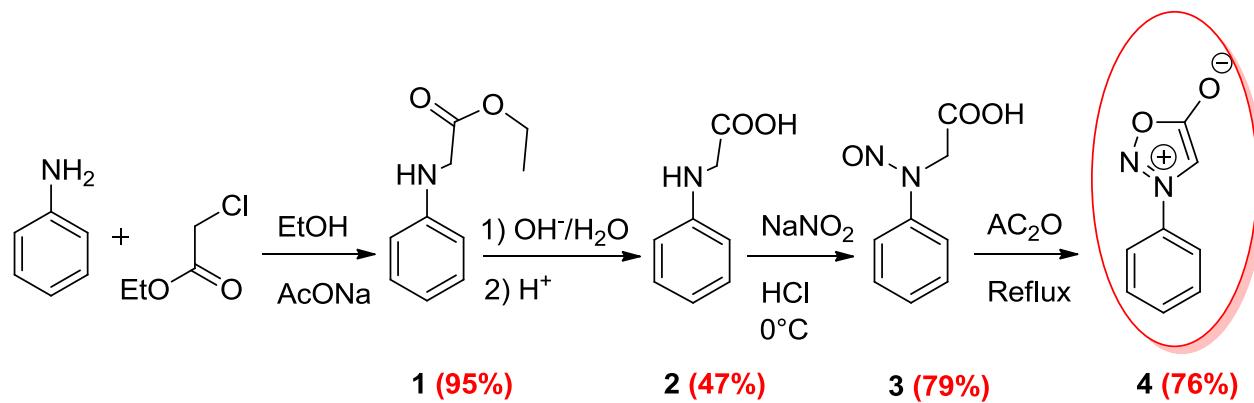
EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

- Synthesis of pyrazoles via cycloaddition 1,3 dipolaire of sydnone**

- Synthesis of 1,3,4-trisubstituted pyrazoles derivatives via cross coupling**

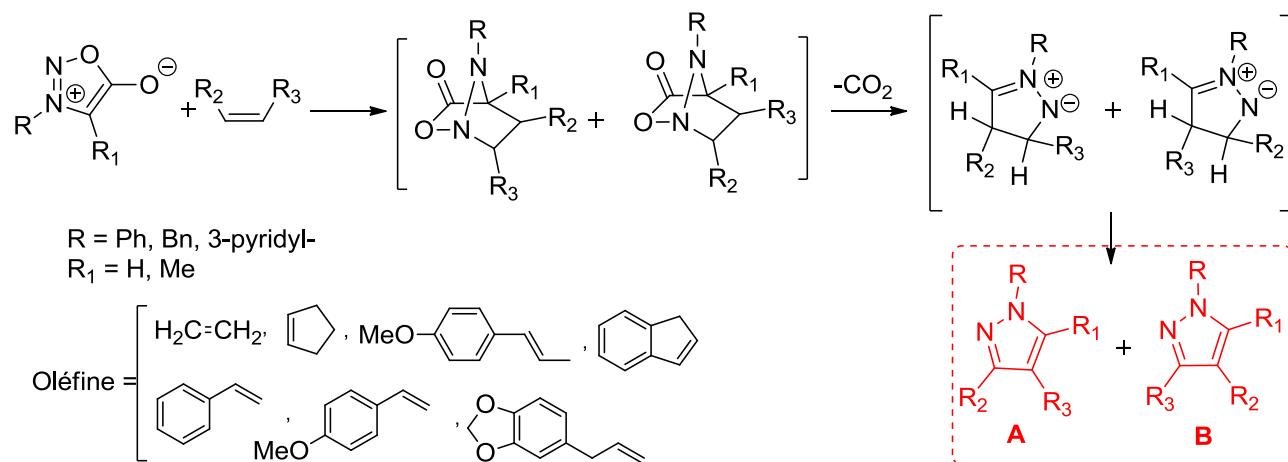
EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

Synthesis of pyrazoles via cycloaddition 1,3 dipolaire of sydnone



EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

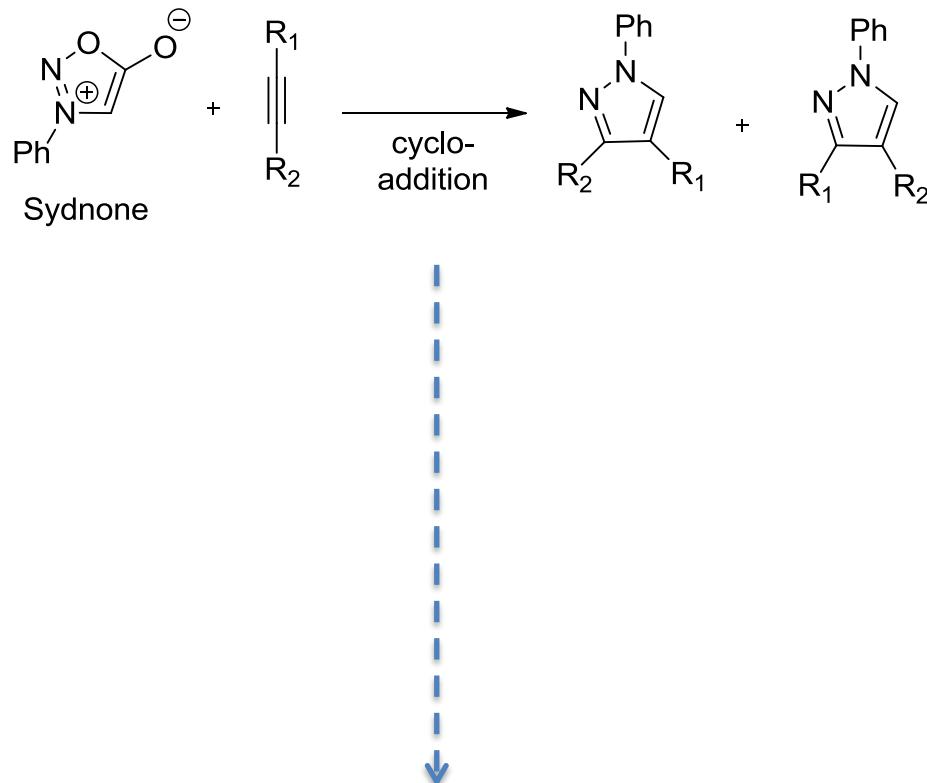
Synthesis of pyrazoles via cycloaddition 1,3 dipolaire of sydnone

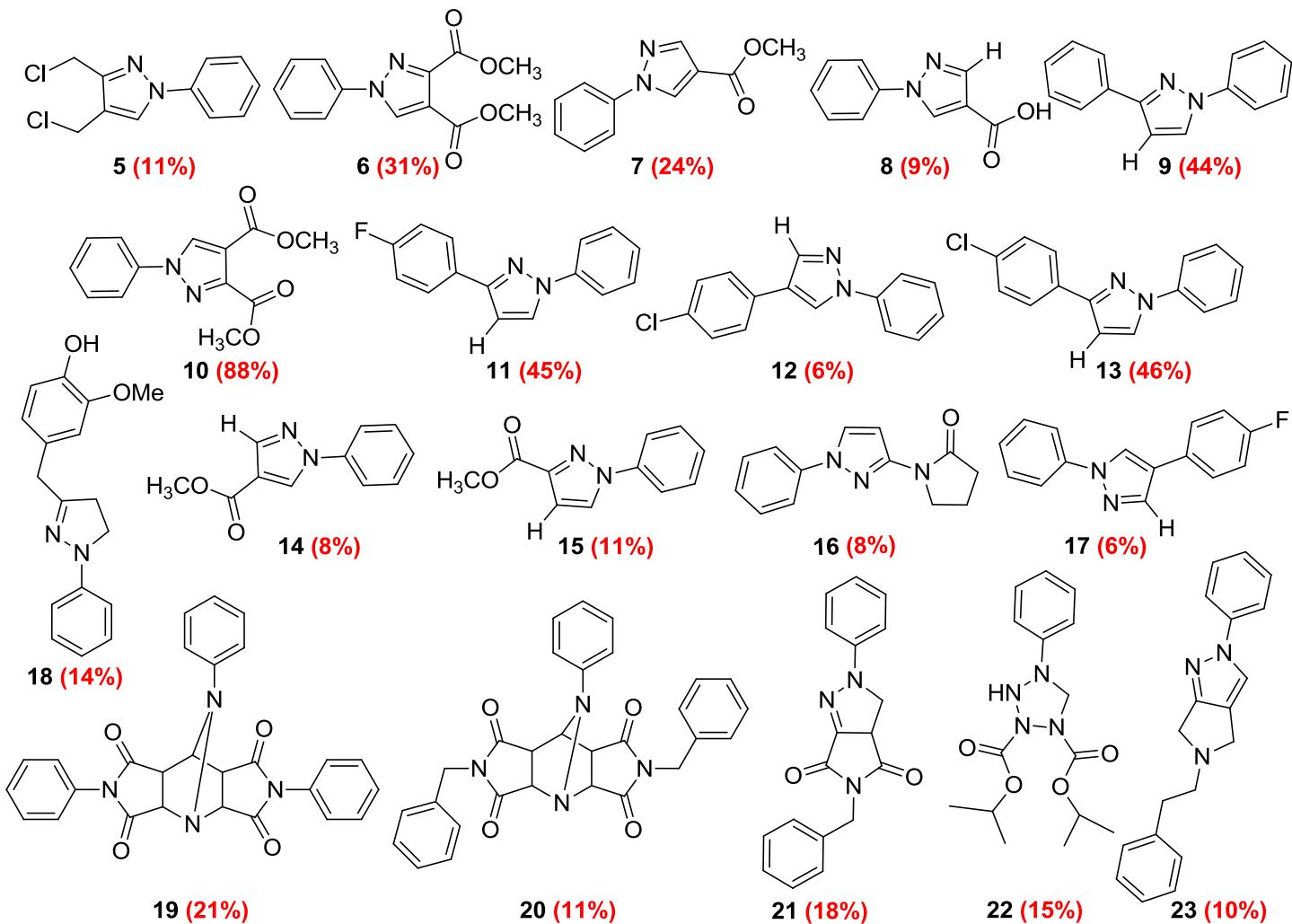


Huisgen, R.; Gotthardt, H.; Grashley, R. *Angew. Chem. Int. Ed. Engl.* **1962**, *1*, 49.

EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

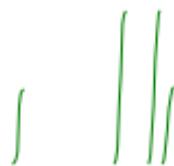
Synthesis of pyrazoles via cycloaddition 1,3 dipolaire of sydnone





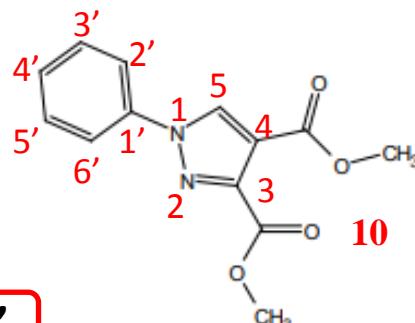
8.39
7.72
7.51
7.49
7.47
7.41
7.39
7.37

¹H NMR 400MHz CDCl₃



3.99
3.88

OCH₃

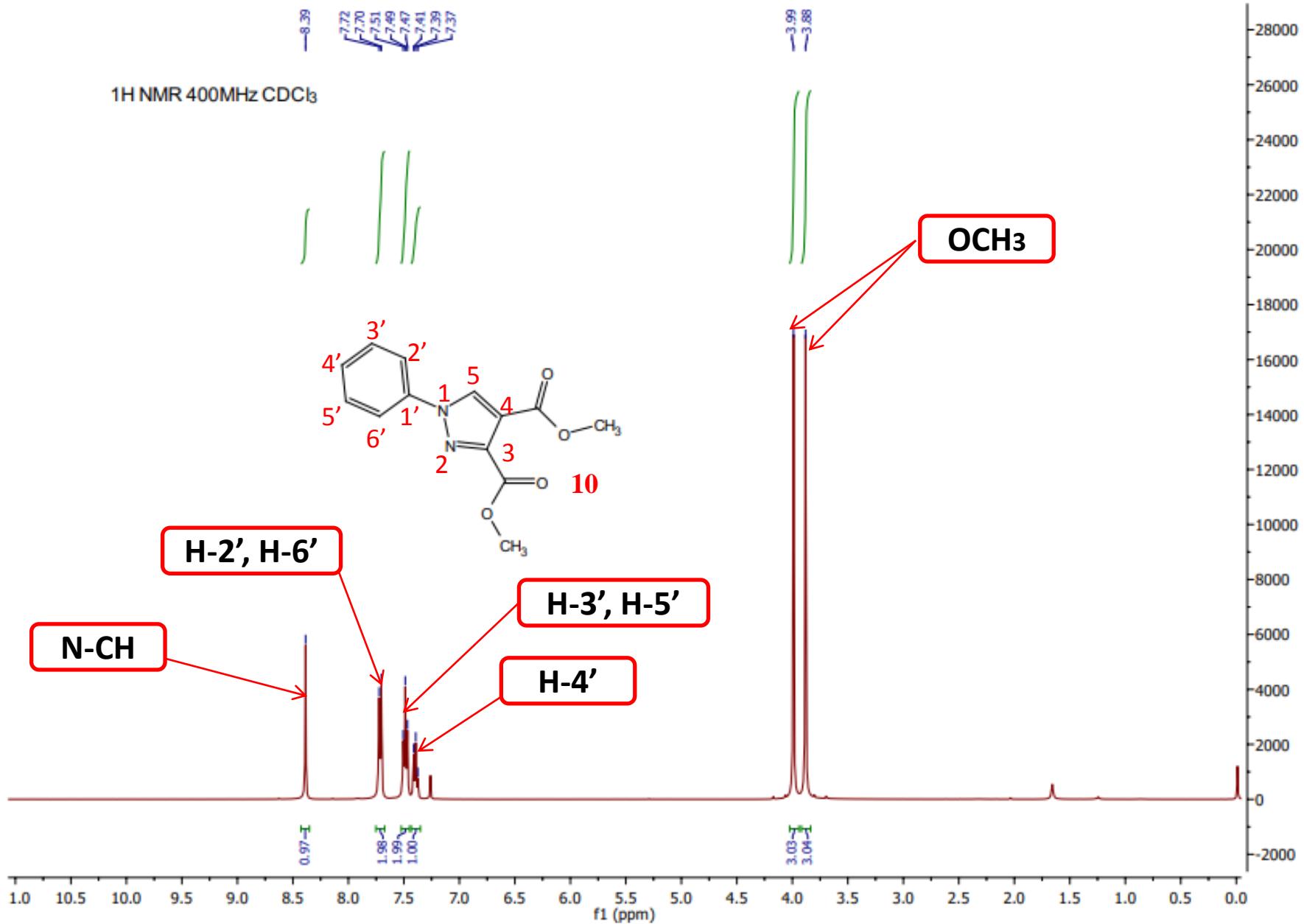


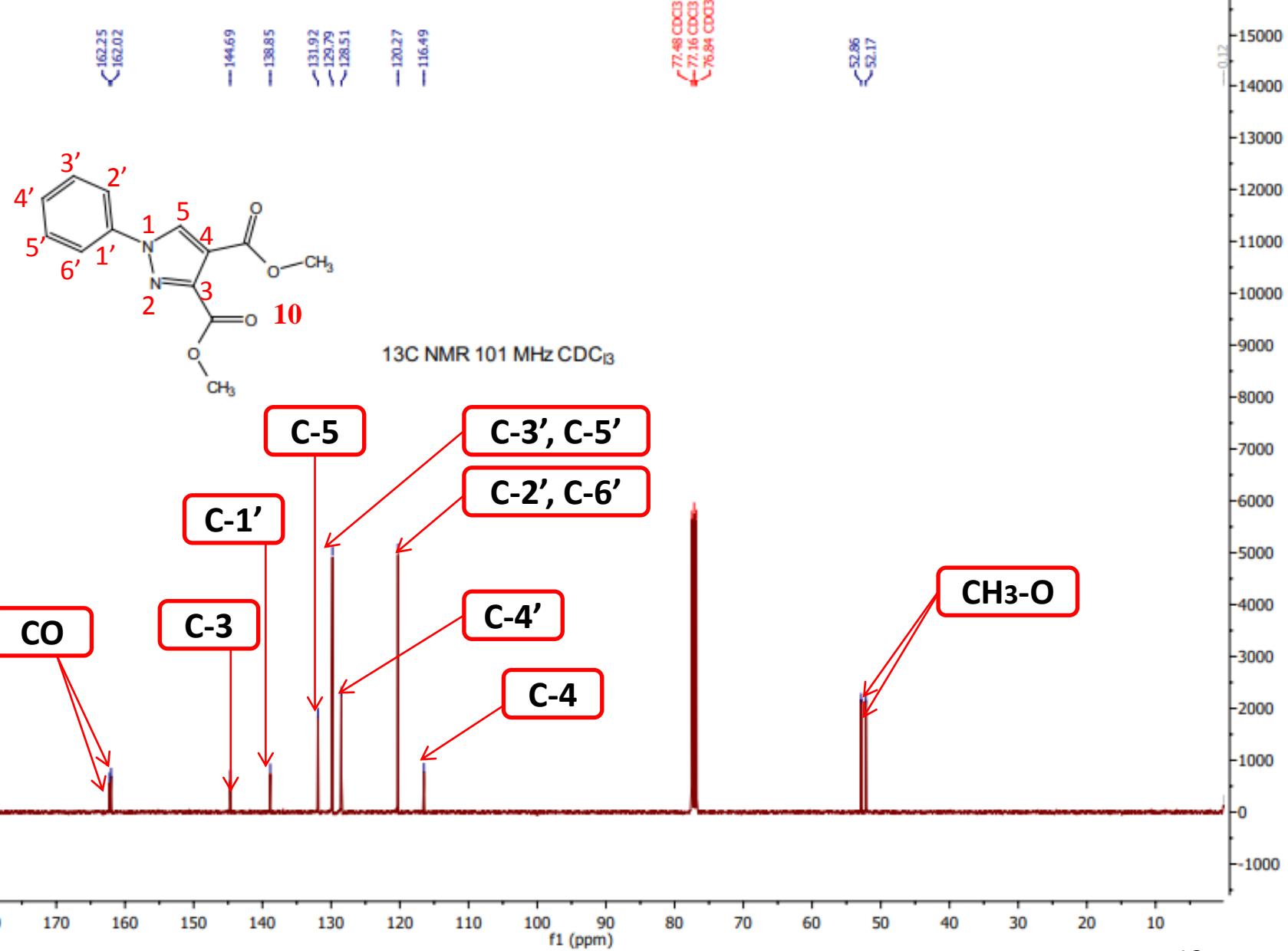
H-2', H-6'

N-CH

H-3', H-5'

H-4'





EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

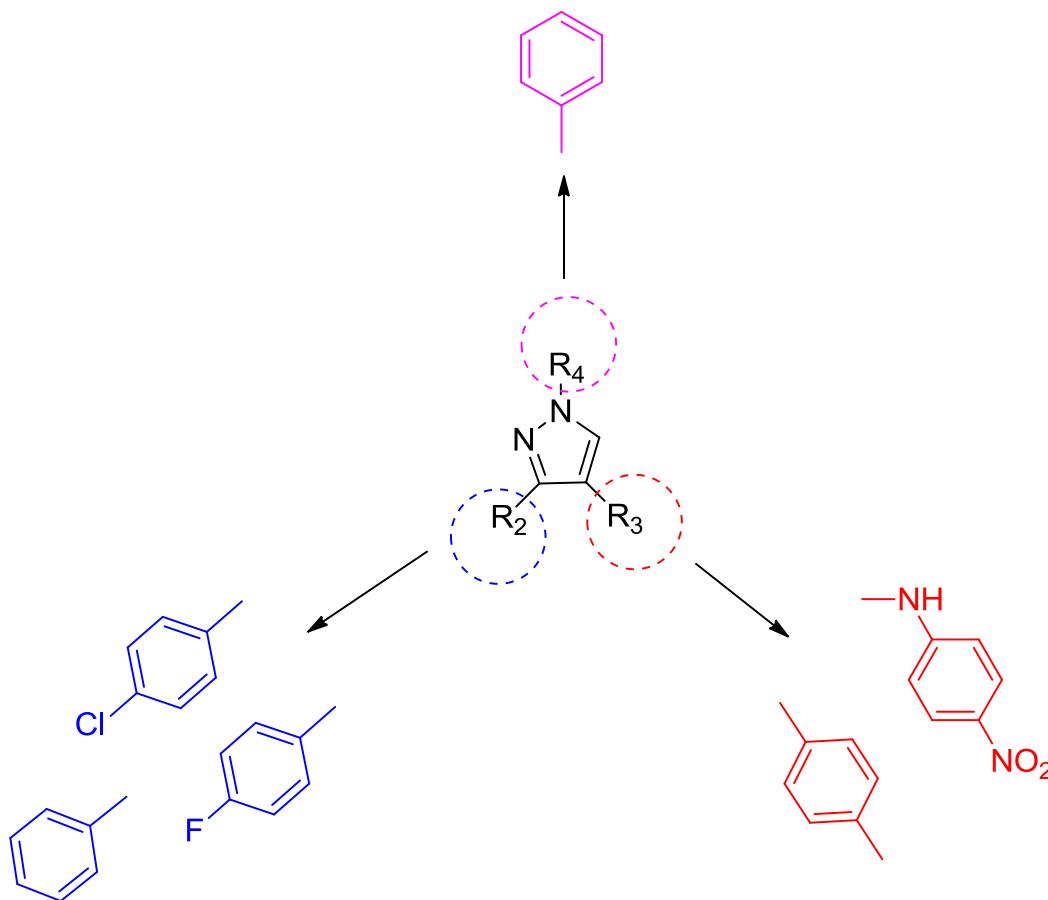
- Synthesis of pyrazoles via cycloaddition 1,3 dipolaire
of sydnone**

- Synthesis of 1,3,4-trisubstituted pyrazoles derivatives
via cross coupling**

EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

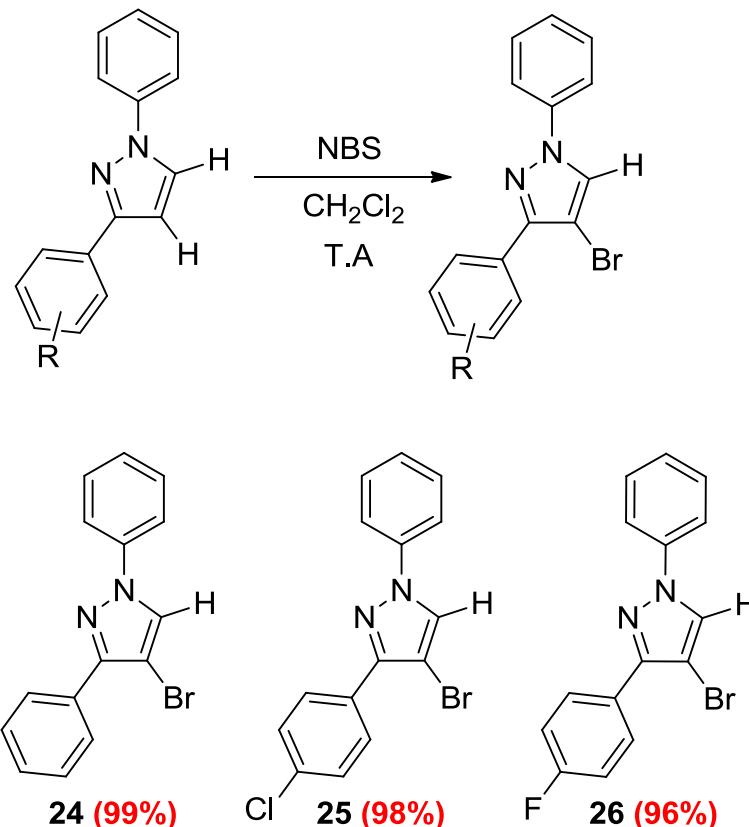
Synthesis of 1,3,4-trisubstituted pyrazoles derivatives via cross coupling

Objectif



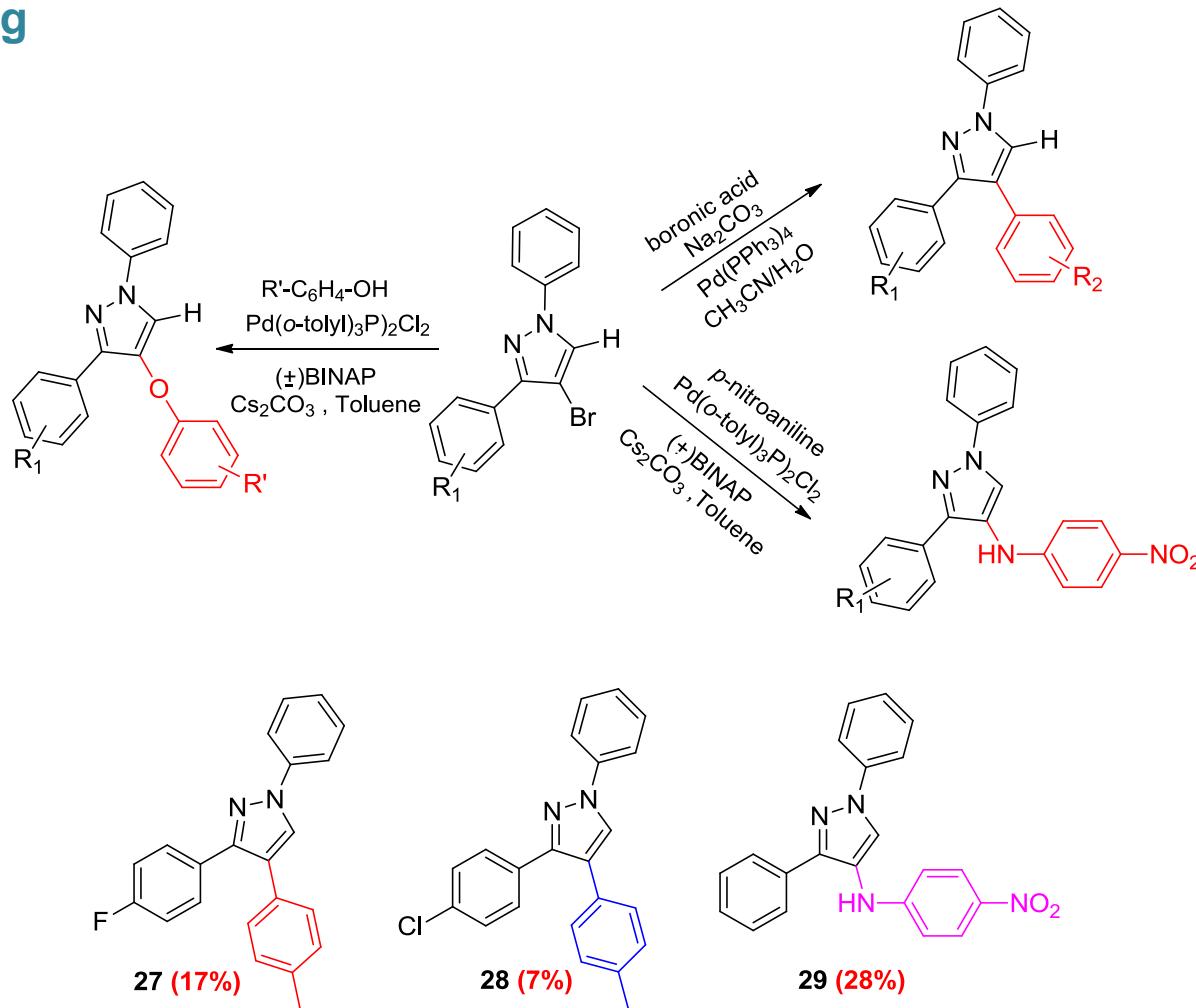
EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

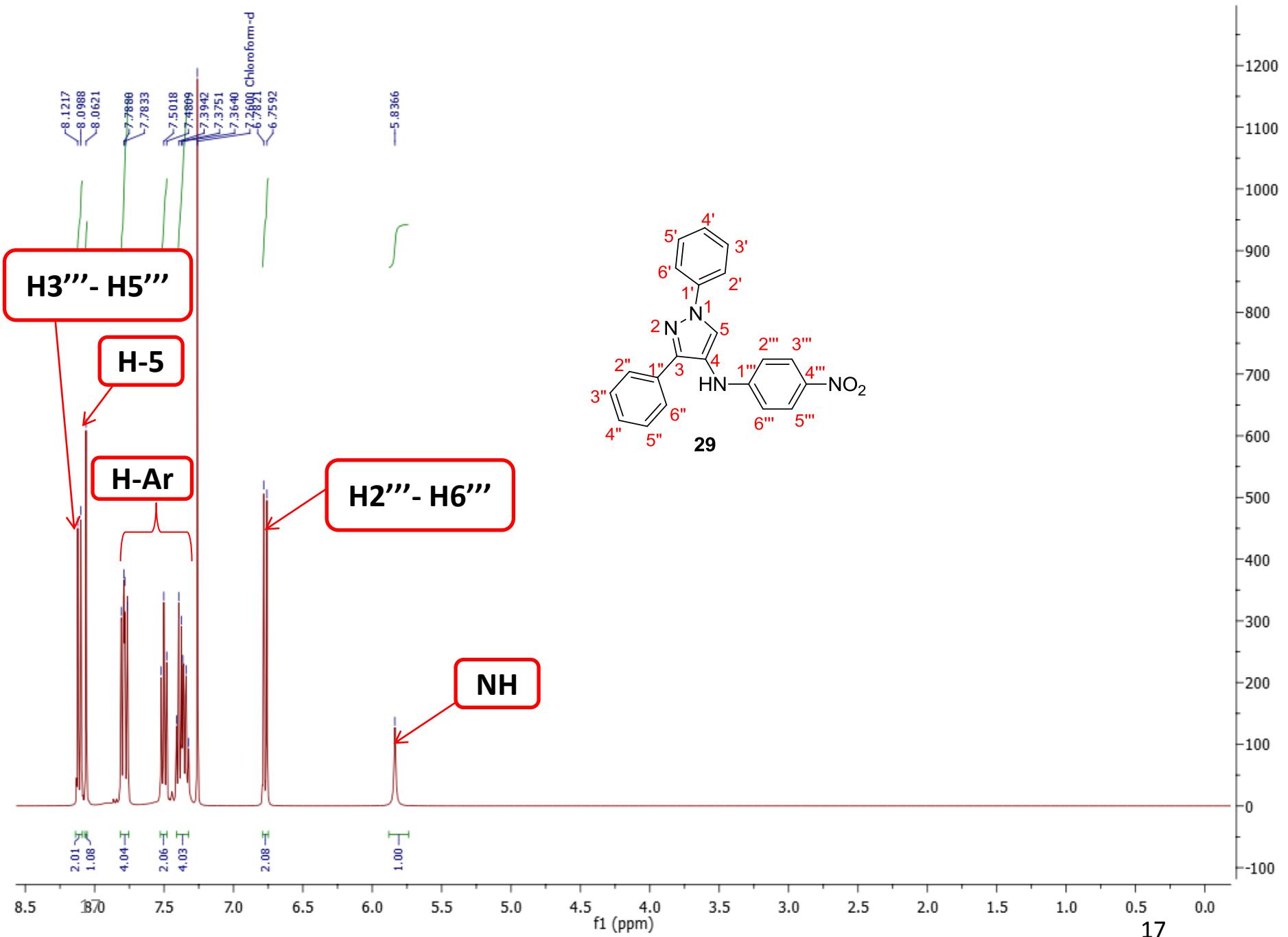
Synthesis of 1,3,4-trisubstituted pyrazoles derivatives via cross coupling



EFFICIENT SYNTHESIS OF NEW PYRAZOLES DERIVATIVES VIA FUNCTIONALIZED ARYL-SYDNONES

Synthesis of 1,3,4-trisubstituted pyrazoles derivatives via cross coupling





CONCLUSION AND PERSPECTIVE

- Develop a new method of synthesizing the substituted pyrazole skeleton from sydnone.

Perspective

- Improve the reaction yield
- Investigation of the biological activity of the obtained substances

Thank you for your attention