SAPIENZA
UNIVERSITÀ DI ROMA

FACOLTÀ DI FARMACIA E MEDICINA

Synthesis of ATP-antagonist inhibitors of CDK2

Student: **Biagio Palmisano**

Relator: **Prof. Rino Ragno**

Supervisor: **Prof. Gilbert Kirsch**

Academic Year: 2012/2013

UNIVERSITÉ DE LORRAINE

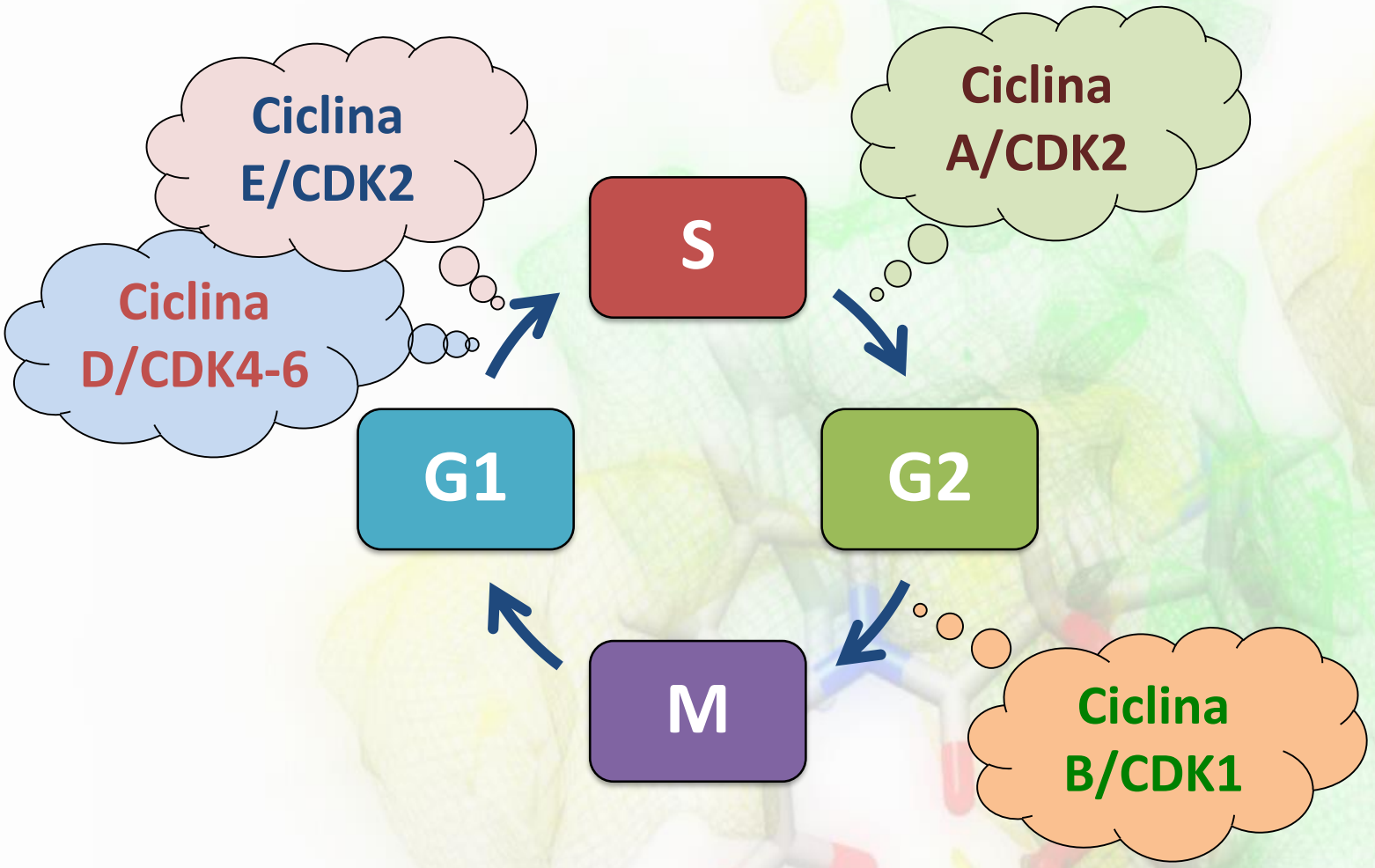
Laboratoire d'Ingénierie Moléculaire et Biochimie Pharmacologique

LIMBP

HARMONIC PHARMA

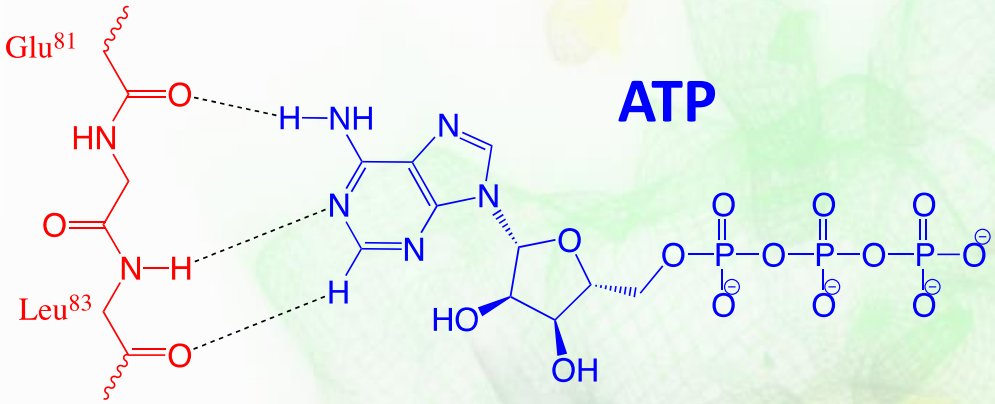
ADDING THERAPEUTIC VALUE

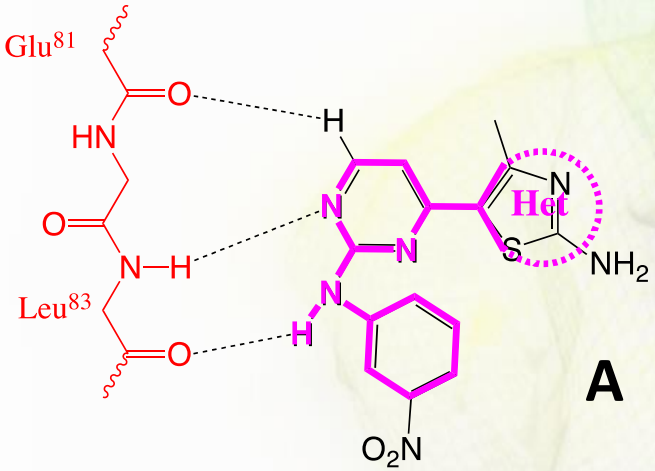
The role of CDK2

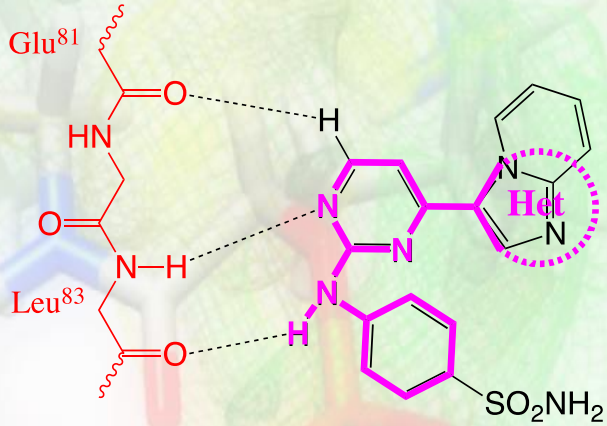


Why inhibitors?

CDK2 ACTIVE SITE

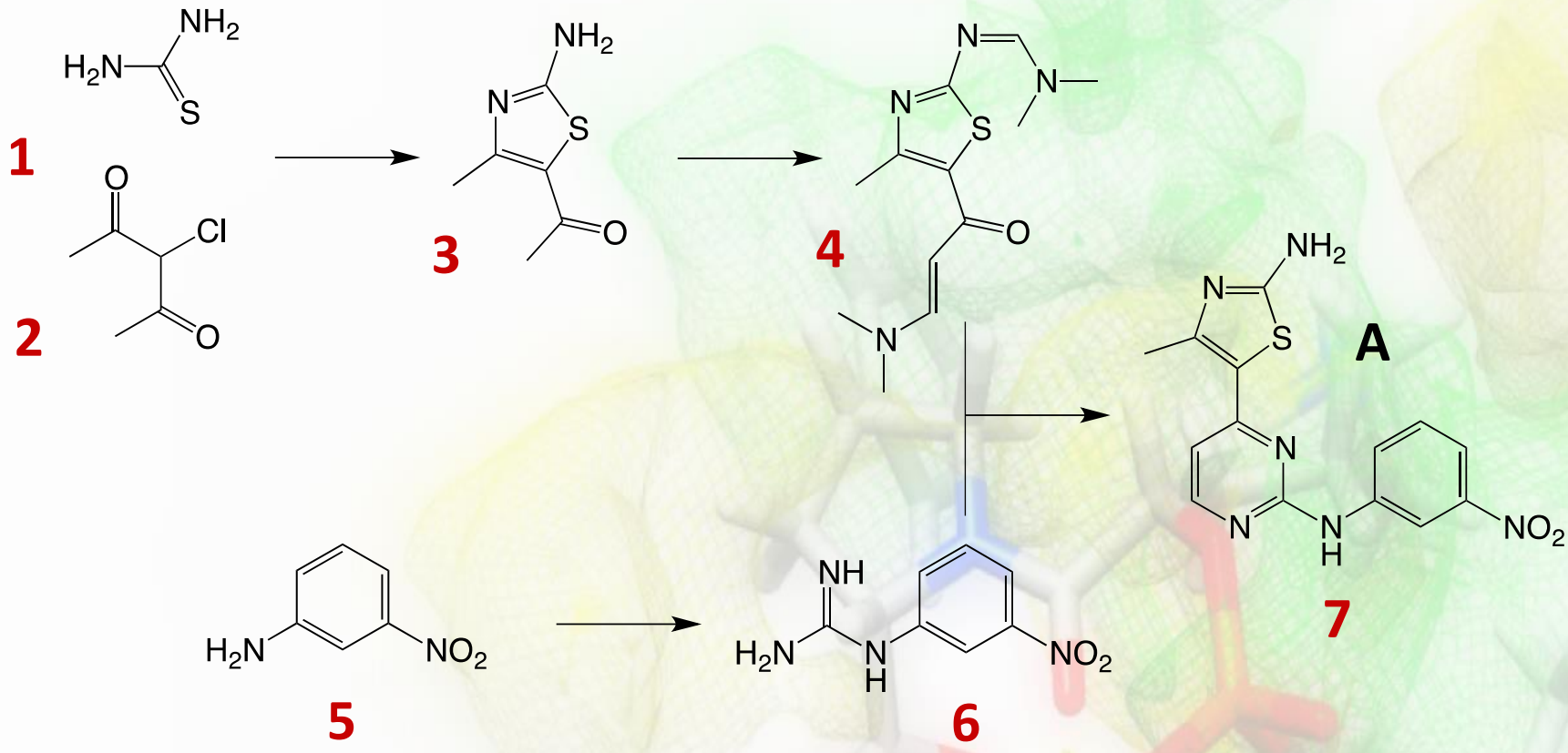






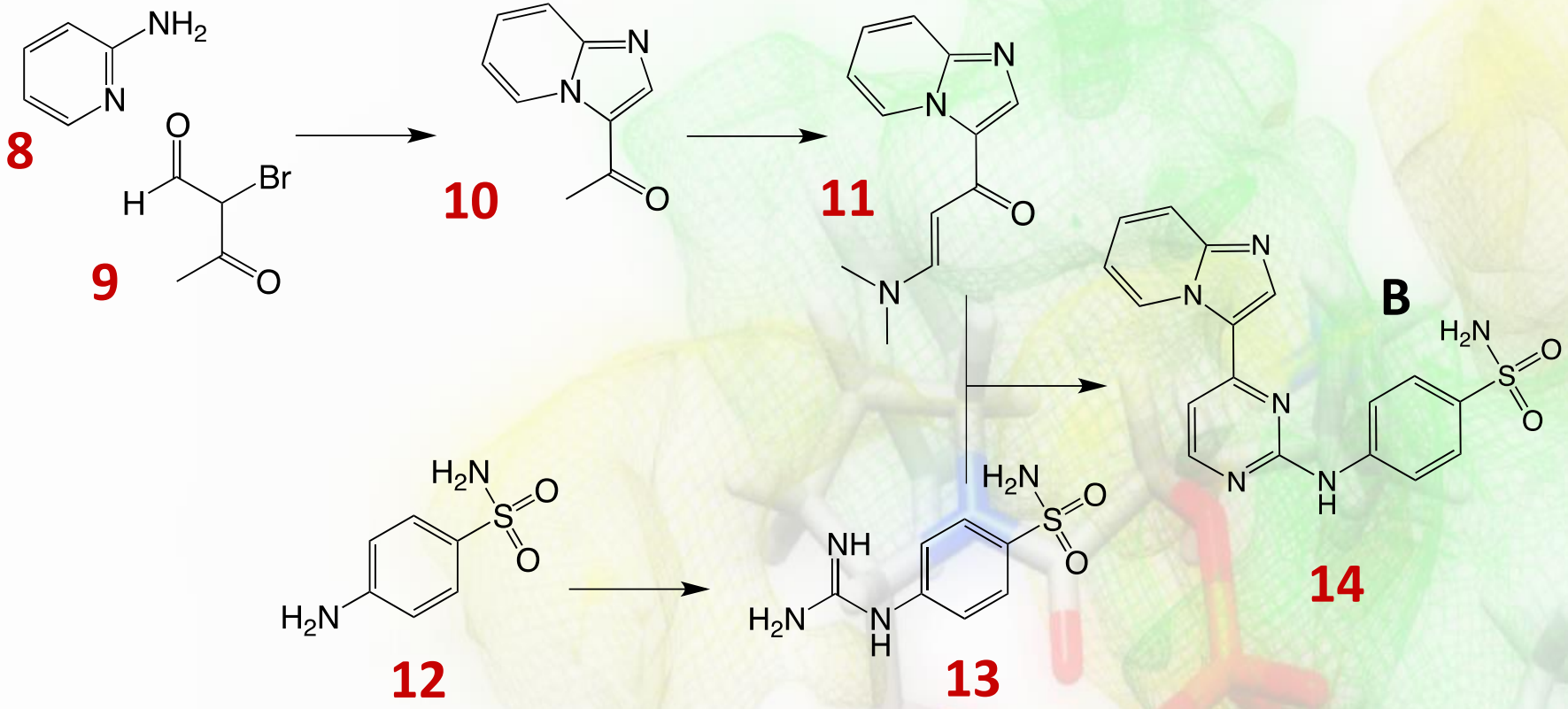
Synthetic scheme for *molecule A*

[4-(2-Amino-4-methylthiazol-5-yl)pyrimidin-2-yl](3-nitrophenyl)amine

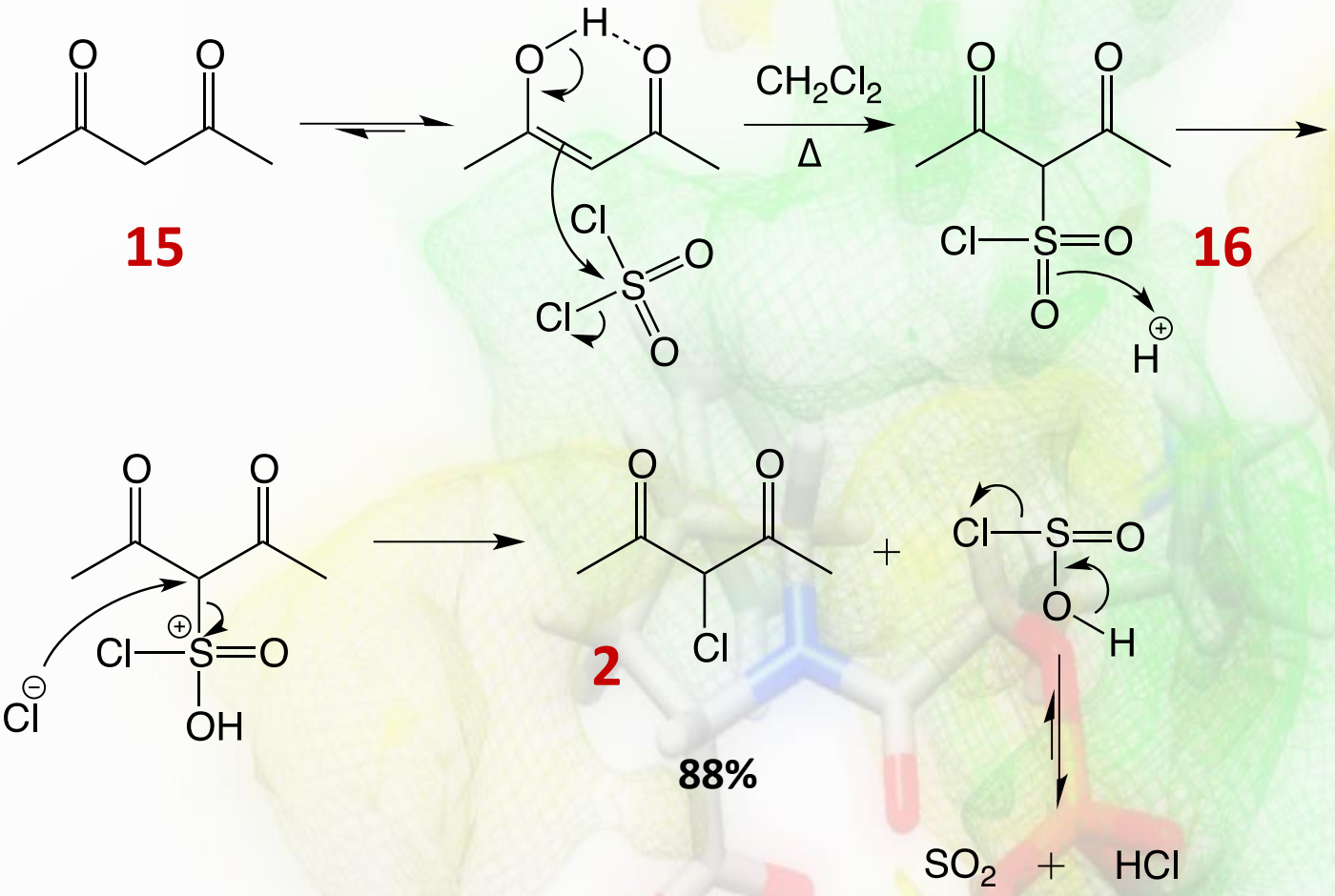


Synthetic scheme for *molecule B*

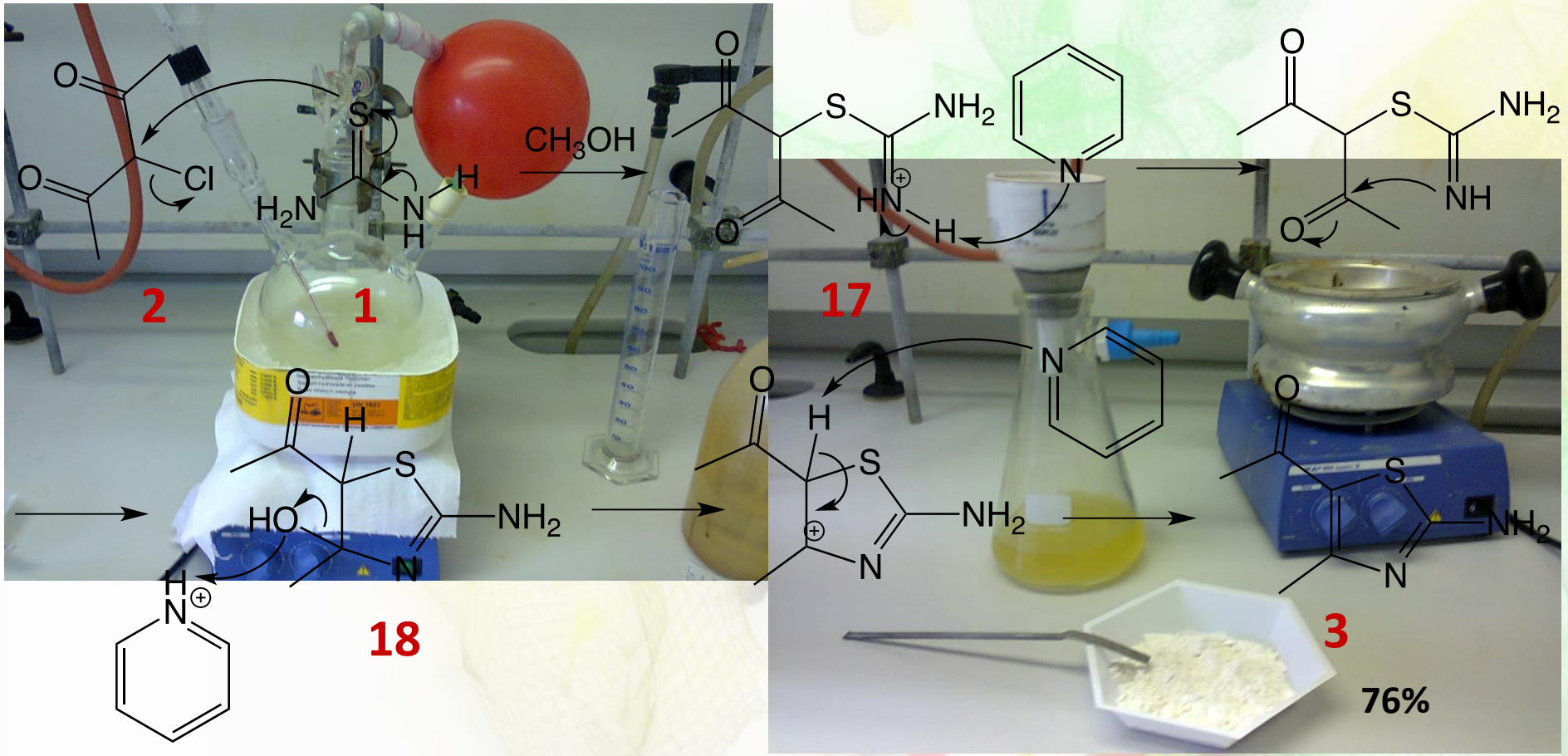
4-[(4-(imidazo[1,2-a]pyridin-3-yl)pyrimidin-2-yl)amino] benzenesulfonamide



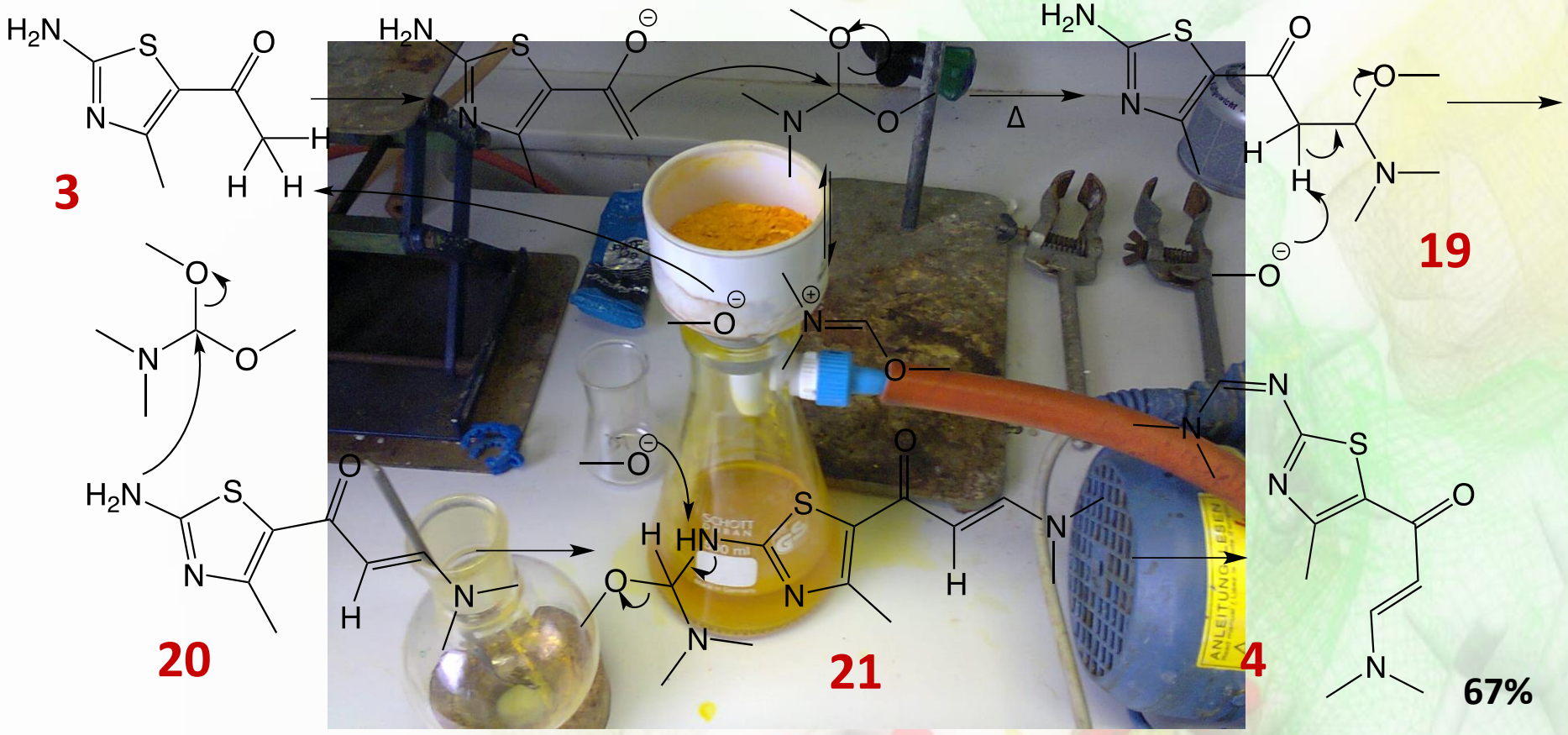
3-chloropenta-2,4-dione formation



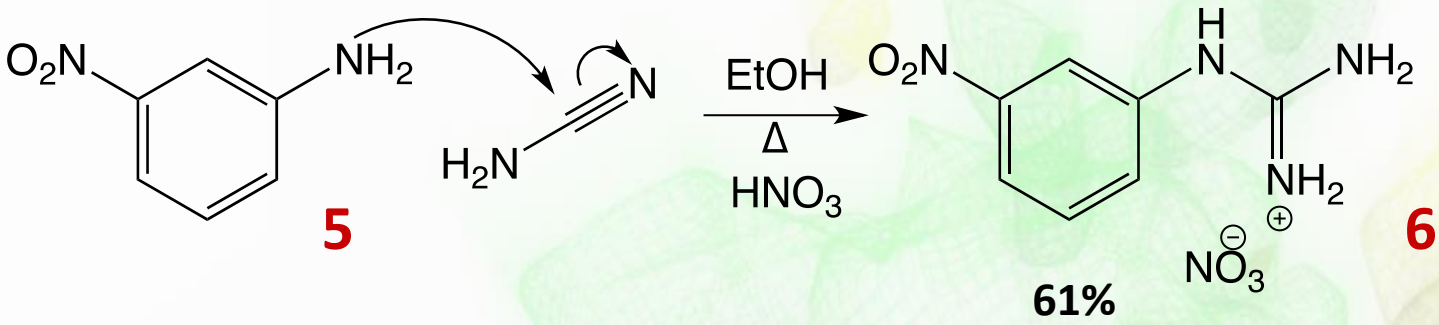
1-(2-amino-4-methylthiazol-5-yl)ethanone formation



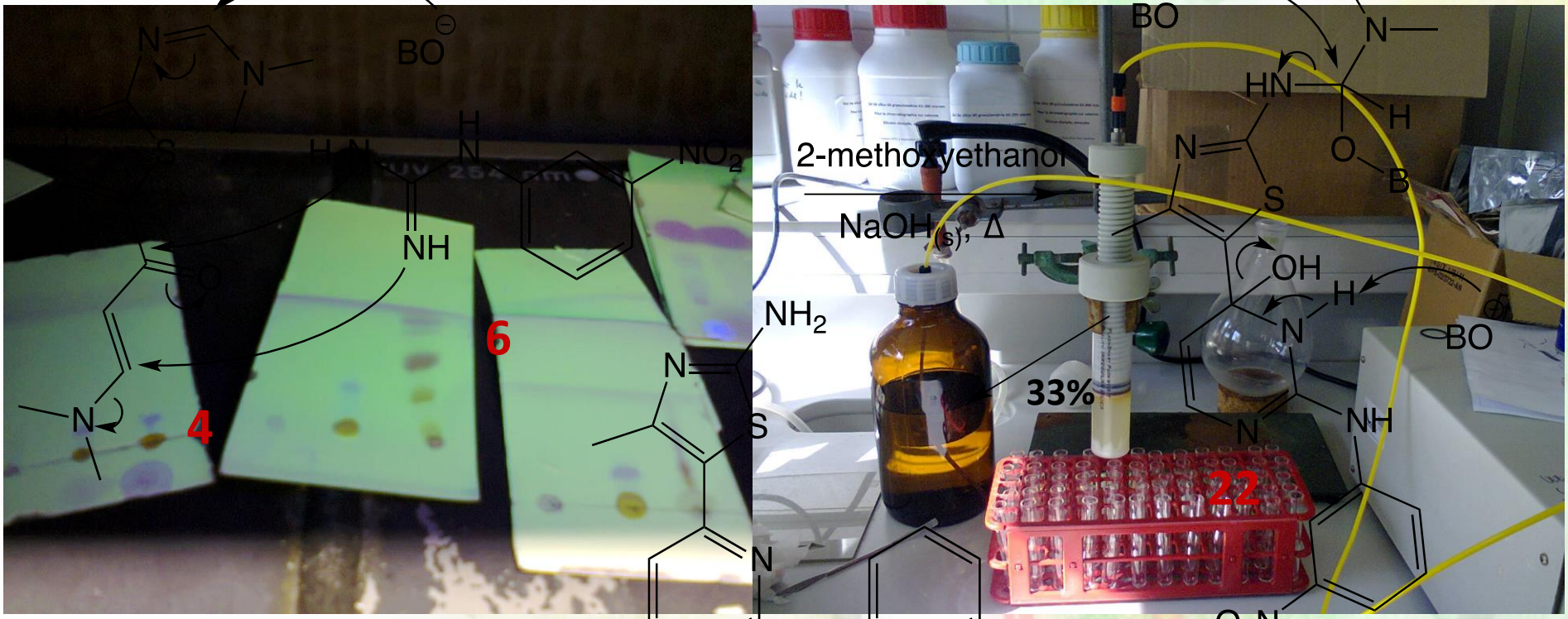
N'-[5-(3-Dimethylaminoacryloyl)-4-methylthiazol-2-yl]-N,N-dimethylformamidine formation



3-nitrophenylguanidine nitrate formation

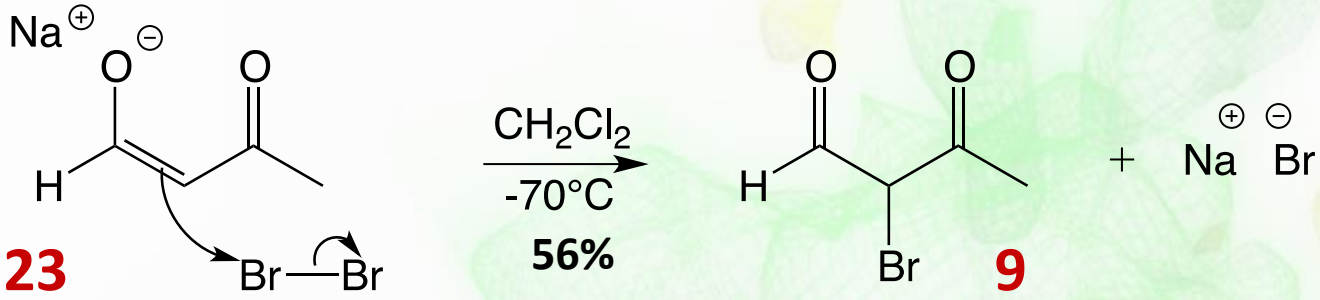


[4-(2-Amino-4-methylthiazol-5-yl)pyrimidin-2-yl](3-nitrophenyl)amine formation



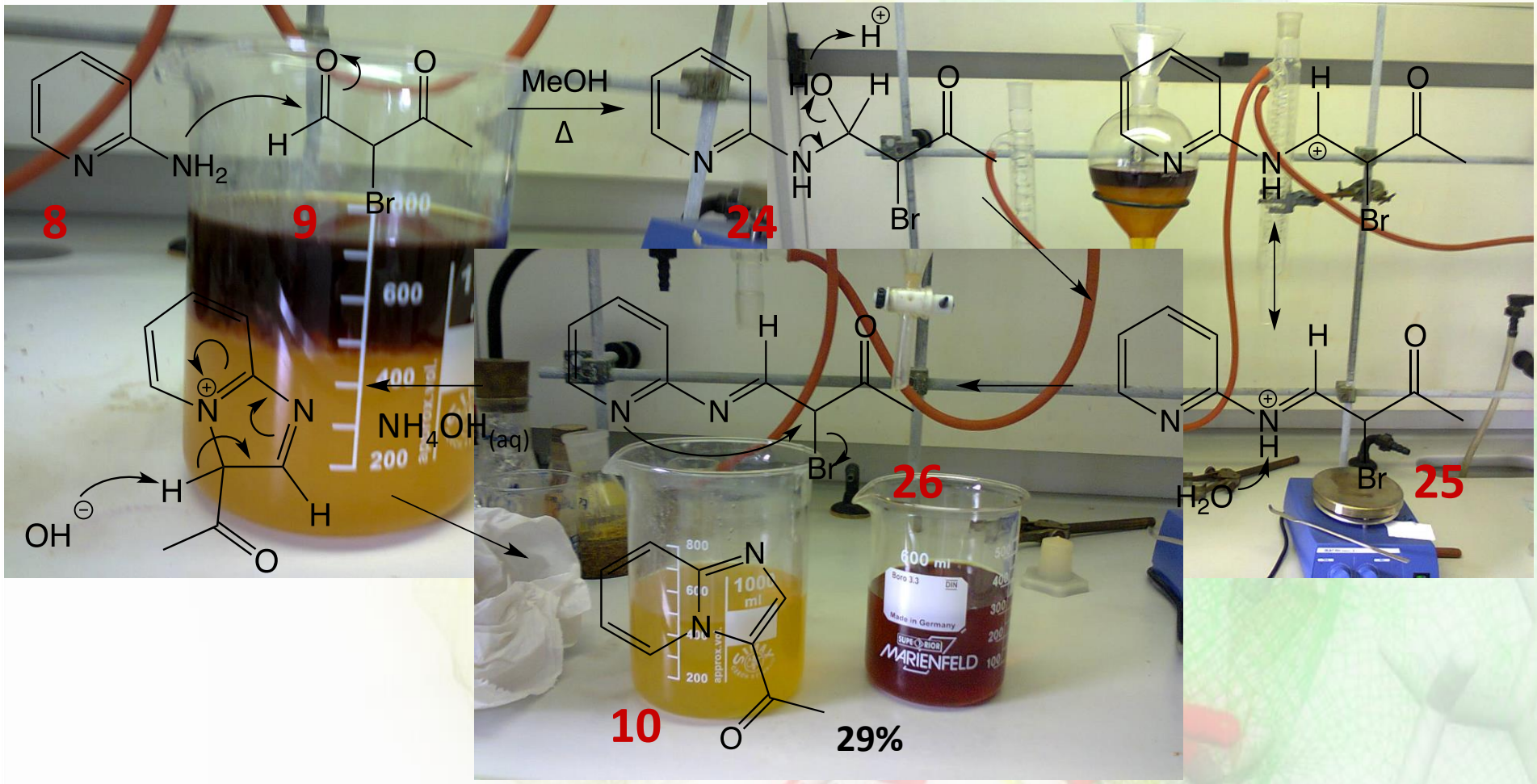
B= $CH_3OCH_2CH_2$

2-bromoacetoacetaldehyde formation



by www.RCMD.it

1-(*imidazo[1,2-a]pyridin-3-yl)ethanone* formation



SAPIENZA
UNIVERSITÀ DI ROMA