

# Synthesis of ethyl *N*-functionalized $\beta$ -amino benzimidazole acrylate derivatives and their cytotoxic biological evaluation

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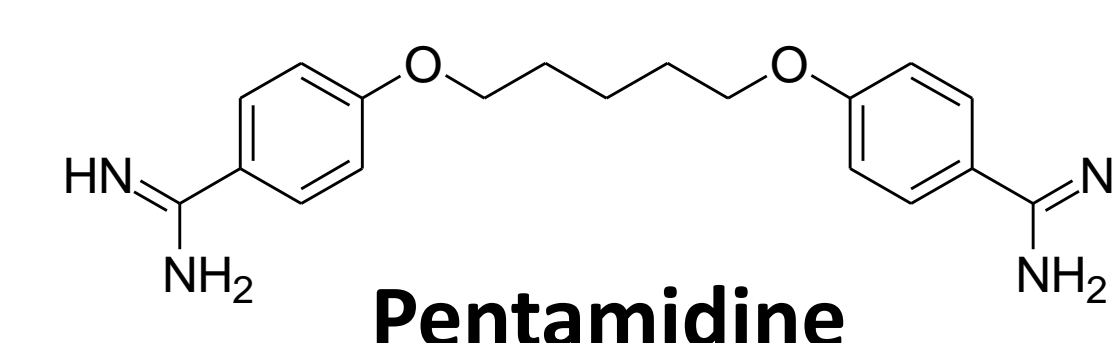
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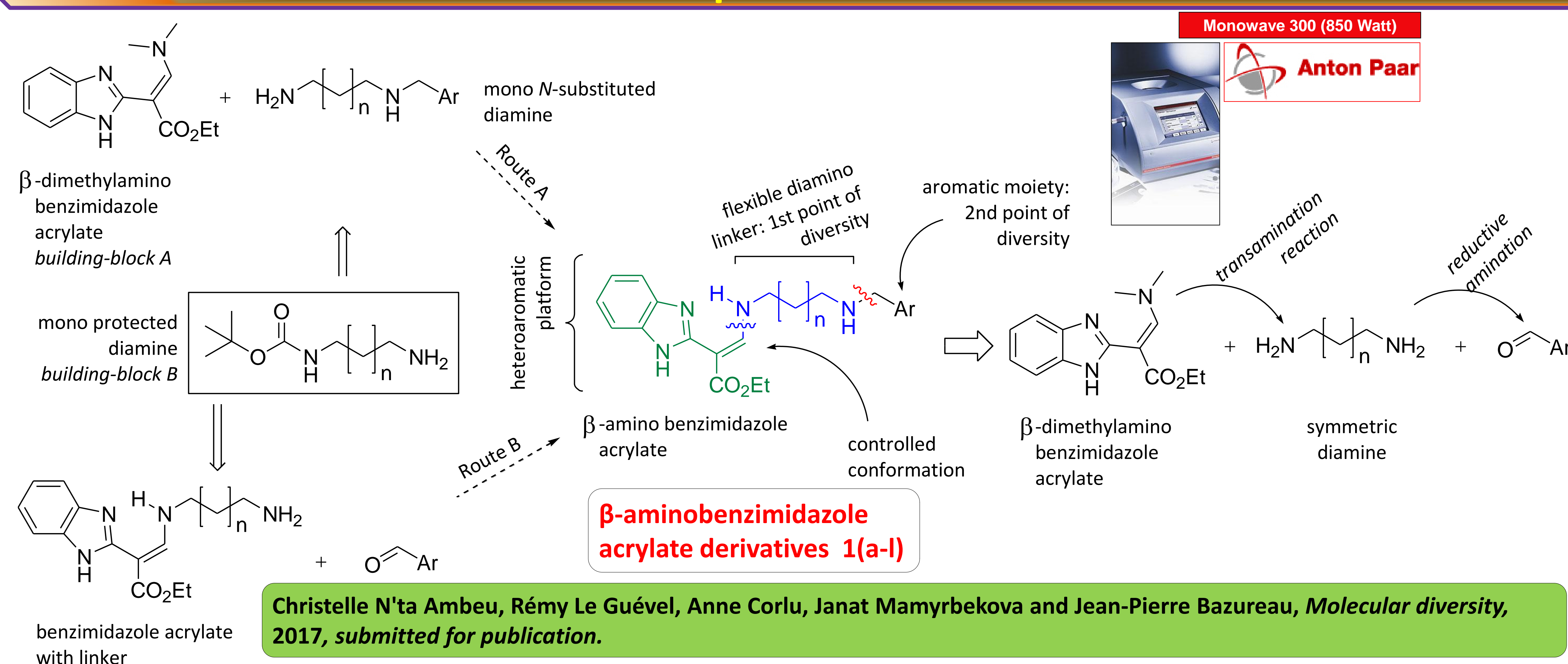
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## CONTEXT OF THE WORK

The work of our laboratory is focused on the synthesis of molecules with multiple therapeutic aim against malaria, leishmania, cancer and Alzheimer's disease, using the microwave technology in some steps of synthesis. For example, compounds synthesized in this work are encouraged by previous work<sup>1,2</sup> and derived from pentamidine model. Two routes (route A and route B) lead to the  $\beta$ -amino benzimidazole acrylate derivatives.



## RETROSYNTHESIS OF THE $\beta$ -AMINO BENZIMIDAZOLE ACRYLATE DERIVATIVES



### REFERENCES

- Christelle N'ta Ambeu; Camille Déliko Dago; Wacothon Karime Coulibaly; Yves-Alain Békro; Janat A. Mamyrbekova-Békro; Jean- Pierre Bazureau. *Curr. Microw. Chem.* **2016**, *3*, 145-156.
- Christelle N'ta Ambeu, Camille Déliko Dago, Wacothon Karime Coulibaly, Yves-Alain Békro, Janat A. Mamyrbekova-Békro, Béatrice Foll-Josselin, Audrey Defontaine, Claire Delehouzé, Stéphane Bach, Sandrine Ruchaud, Rémy Le Guével, Anne Corlu, Philippe Jéhan, Fabian Lambert, Nicolas Le Yondre and J-P. Bazureau *Medicinal Chemistry Research*, **2016**, *25*, 2940–2958.

## BIOLOGICAL RESULTS

**Tested cancer cell lines**

- Huh7-D12 cell (differential hepatocellular carcinoma) from human liver
- HaCaT cell (aneuploid immortal keratinocyte cell) from adult human skin
- Caco2 cell (epithelial colorectal adenocarcinoma) from human large intestine
- MDA-MB231 cell (invasive ductal carcinoma) from woman breast
- HCT116 cell (actively proliferating colorectal carcinoma) from human colon
- NCI-H727 cell (bronchial carcinoma) from human lung
- PC3 cell (prostatic carcinoma) from human prostate

**Molecule of reference : Roscovitine 2**

**$\beta$ -amino benzimidazole acrylate derivatives 1(a-d)**

**$\beta$ -amino benzimidazole acrylate derivatives 1(e-l)**

**2:** Huh7-D12,  $IC_{50}$  = 15  $\mu$ M; Caco<sub>2</sub>,  $IC_{50}$  = 15  $\mu$ M; MDA-MB231,  $IC_{50}$  = 12  $\mu$ M; HCT116,  $IC_{50}$  = 9  $\mu$ M; PC3,  $IC_{50}$  = 13  $\mu$ M; NCI-H727,  $IC_{50}$  = 43  $\mu$ M

**1a:** Huh7-D12,  $IC_{50}$  = 4  $\mu$ M

**1b:** Huh7-D12,  $IC_{50}$  = 4  $\mu$ M; MDA-MB231,  $IC_{50}$  = 4  $\mu$ M; HCT116,  $IC_{50}$  = 5  $\mu$ M; NCI-H727,  $IC_{50}$  = 3  $\mu$ M

**1c:** Huh7-D12,  $IC_{50}$  = 4  $\mu$ M; NCI-H727,  $IC_{50}$  = 5  $\mu$ M

**1d:** Huh7-D12,  $IC_{50}$  = 3  $\mu$ M; MDA-MB231,  $IC_{50}$  = 4  $\mu$ M; HCT116,  $IC_{50}$  = 4  $\mu$ M; NCI-H727,  $IC_{50}$  = 4  $\mu$ M

**1e:** Huh7-D12,  $IC_{50}$  = 5  $\mu$ M

**1g:** Huh7-D12,  $IC_{50}$  = 3  $\mu$ M; Caco<sub>2</sub>,  $IC_{50}$  = 4  $\mu$ M

**1j:** Huh7-D12,  $IC_{50}$  = 4  $\mu$ M; Caco<sub>2</sub>,  $IC_{50}$  = 2  $\mu$ M

**1l:** Caco<sub>2</sub>,  $IC_{50}$  = 3  $\mu$ M

**Chemical molecules with potential biological properties**

**Interesting biological results for continuation of research**

## RESEARCH TEAM



From left to right: Christelle N'Ta Ambeu, Rémy Le Guével, Anne Corlu, Janat Akhanovna Mamyrbekova-Békro and Jean-Pierre Bazureau



### ACKNOWLEDGMENTS FOR FINANCIAL SUPPORT



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