

Recruitment event of IT-DED³

**University of Antwerp, UA1
Medicinal Chemistry, UAMC**

Prof. dr. Koen Augustyns

ESR1 - ESR2

May 24, 2018

UAMC (29 members, infrastructure 2009)

16 Belgian – 13 non-Belgian; 13 male – 14 female;

14 PhD – 7 Postdoc

K. Augustyns



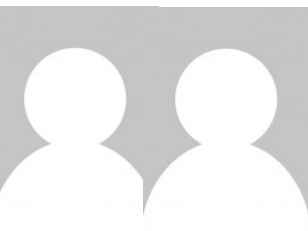
P. Van der Veken



H. De Winter



Teaching assistants



Technician



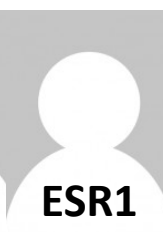
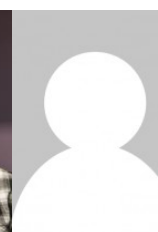
Administrative staff



Postdocs



PhD's



Research @ UAMC

- Develop novel chemical tool compounds
 - Characterization and validation of **novel targets**
 - **Hits and leads** in drug discovery
- Small molecules with drug-like properties
 - *In vitro* and *in vivo* **ADME**
 - ***In vivo* POC** in disease models
- Therapeutic domains
 - Inflammation
 - Cell death/survival
 - Infectious diseases



Research @ UAMC

Inflammation



Cell death/survival

- Trypsin-like protease inhibitors
- Inflammatory caspases
- TSLP/TSLPR

- Regulated necrosis
 - Necroptosis
 - Ferroptosis
- Autophagy
- Infectious diseases

Technology development

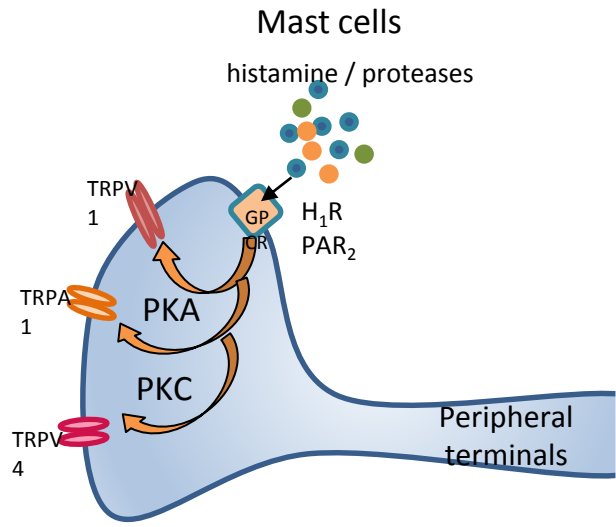
- Bioorthogonal chemistry in bioimaging
- Modified substrate assisted screening
- Cheminformatics



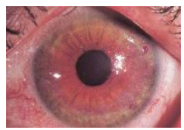
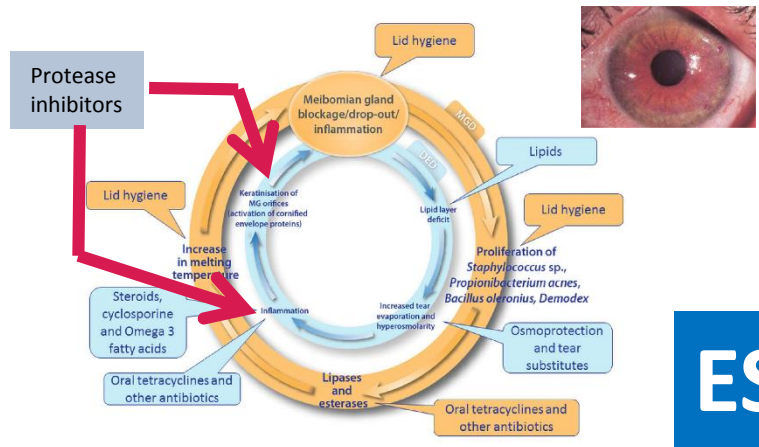
Inflammation: trypsin-like serine protease inhibitors

Visceral hypersensitivity in IBS

Dry eye disease In vivo POC



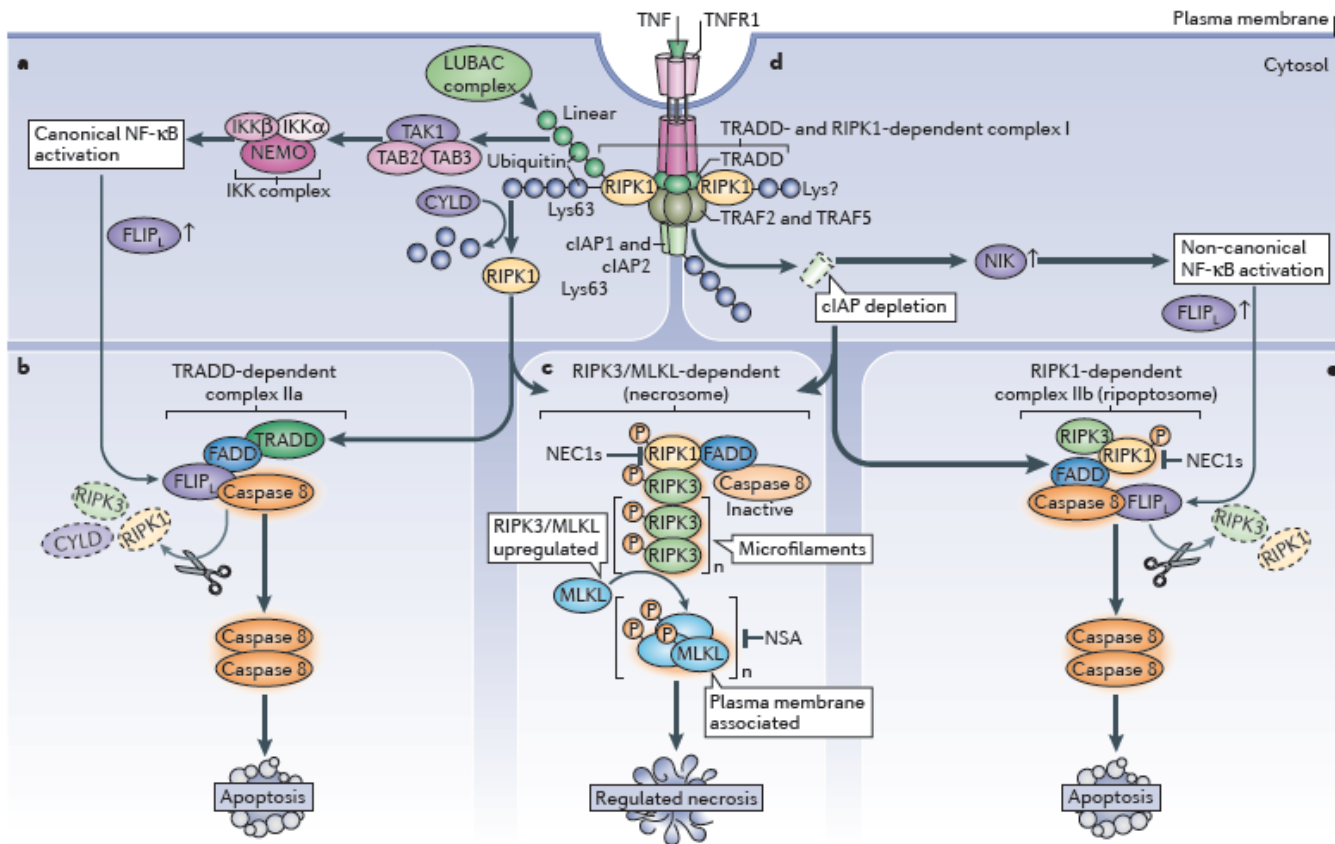
FWO-SBO TRP-Sensation



ESR1

H2020-MSCA-ITN: IT-DED³

Necroptosis: RIPK1 inhibitors



ESR2

ESR-1

Supervisor:
Prof. Dr. Koen Augustyns (UA-UA1)



Medicinal Chemistry
University of Antwerp

Host Institution: UA1

Duration: 36m

WP-1

➤ **Objectives:**

- To design and synthesize optimized compounds of existing serine protease inhibitor UAMC-00050
- Evaluate these compounds *in vitro* (serine protease panel, solubility & stability tests, ocular surface model, conjunctival and corneal cell line)

➤ **Expected results:**

- Improve UAMC-00050 lead compound to more target-selective compounds
- Selection of candidates by *in vitro* testing to proceed to WP2

➤ **Planned Secondments:**

- Industrial: **Mercachem** (3 months) training in industrial med chem approaches (M37-M39)
- Academic: **LIOS** (3 months): training in upscaling chemistry and fragment based drug discovery (M25-27).

ESR-2

Supervisor:

Prof. Dr. Koen Augustyns (UA-UA1)



Medicinal Chemistry
University of Antwerp

Host Institution: UA1

Duration: 36m

WP-1

➤ **Objectives:**

- To design and synthesize new RIPK1 inhibitors
- Evaluate these compounds *in vitro* (RIPK1 enzyme & cellular necroptosis assays, solubility & stability tests, ocular surface model, conjunctival and corneal cell line)

➤ **Expected results:**

- Improve existing UAMC RIPK1 inhibitor to more selective and soluble compounds
- Selection of candidates by *in vitro* testing to proceed to WP2

➤ **Planned Secondments:**

- **Industrial:** Mercachem (3 months) training in industrial med chem approaches (M34-M36)
- **Academic:** UVA (3 months): evaluate RIPK1 inhibitors in inflammatory ocular surface *in vitro* model (M25-27).



Antwerp Doctoral School (ADS)

Course offerings - *in English* -

Seven different competence categories:

1. Research skills and techniques
2. Adaptation to the research environment
3. Research management
4. Personal efficiency
5. Communication skills
6. Networking and teamwork
7. Career management



Thanks for your attention