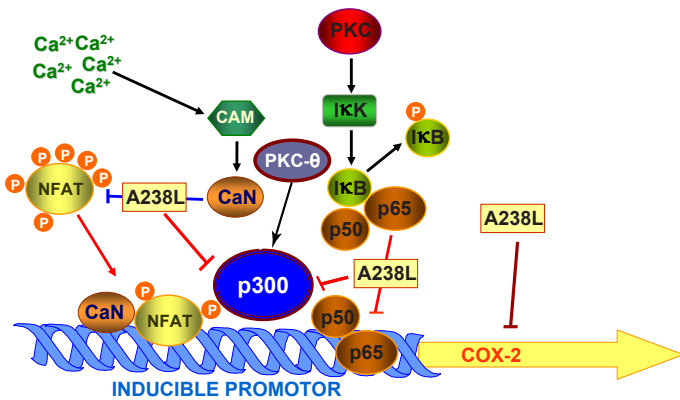


New p300 Interaction Site as Cancer Therapeutic Target

New p300 Interaction Site

The transcriptional coactivators CREB-binding protein and p300 regulate inducible transcription in multiple cellular processes in response to diverse physiological events, such as cell growth, apoptosis, tumour suppression, immune response, or malignant transformation.

Yolanda Revilla's research group (CSIC) has discovered that viral protein A238L interacts with the amino-terminal region of p300, inhibiting the acetylation (TAD) and transcriptional activation of inducible transcription genes. The molecular mechanism of p300 transcription activity inhibition has been demonstrated for Revilla's group to be as follows: A238L interacts with Ser384 from the CH1 domain of p300, which blocks the interaction p300- protein kinase C (PKC). This interaction p300-PKC- θ activates p300 transcriptional activity, so A238L inhibits the dependent transcription of p300's genes.



Advantages

One of the most important advantages of A238L is its ability to inhibit the amino-terminal TAD without altering carboxyl-terminal activity (HAT), as most of the viral proteins that regulate p300 inhibit its HAT activity, which promotes the malignant transformation of the infected cells.

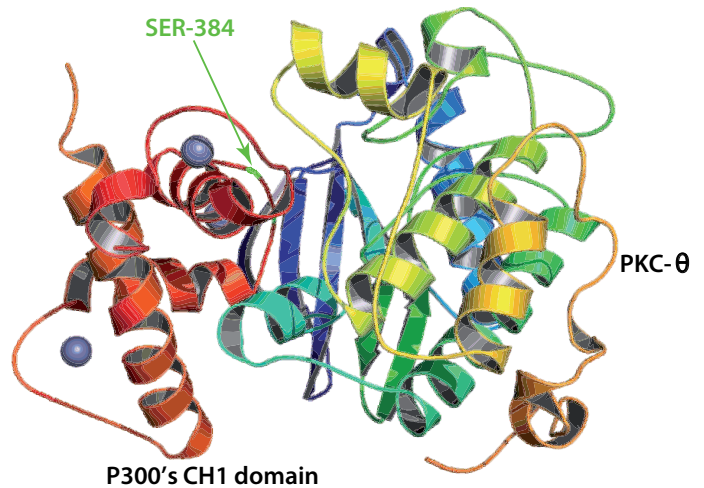
Inhibition of p300 transcription activity has medical applications in many relevant diseases: inflammatory, viral infections or oncology.

Our Team



Development Project

Revilla's group is evaluating the p300' Ser384-PKC θ -A238L interaction site as a therapeutic target in inflammation diseases and cancer through drug development: commercial chemical library screening, hit to lead transition and lead optimization with medical chemistry that will lead to a proof-of-concept in a metastatic cancer animal model



Intellectual Property

IP strategy will be as follows: once hits are optimized, the best ones will be selected to file patent applications that will cover compounds and their uses in therapies to treat human diseases.

Business Opportunity

- p300 transcriptional activity inhibitors are interesting in many relevant therapeutic areas but Revilla's group has focused research in the most incident cancer types: colorectal, prostate, lung and breast cancer with metastatic risk.
- According to "The Cancer Market Outlook to 2016" Business Insights report, the global cancer market in 2010 was valued at \$54bn, and forecasted to reach \$81bn in 2016.

Looking for

- Licensing agreement

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