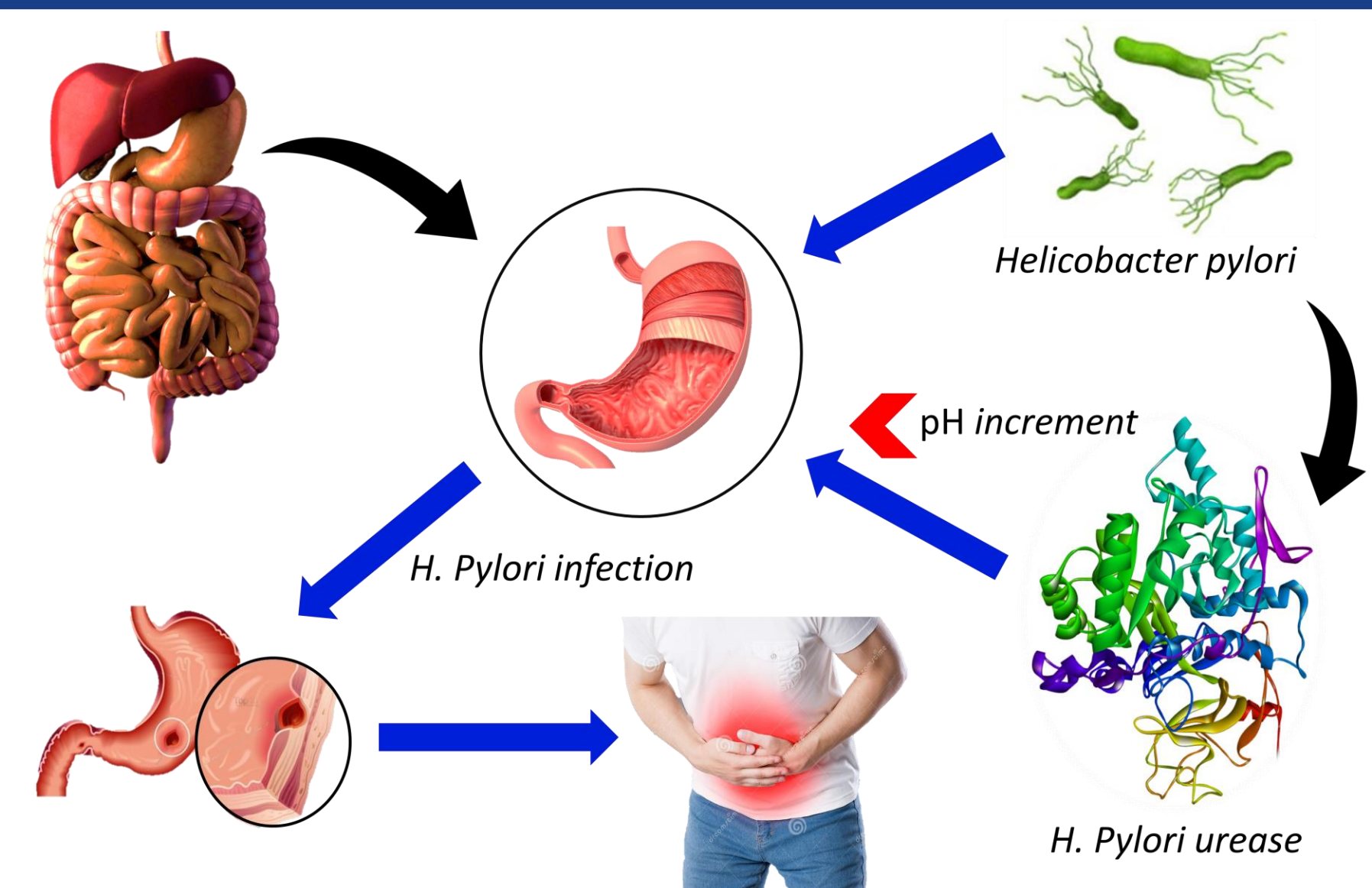


Synthesis and evaluation of *syn* and *anti* isomers of *N*-substituted indole-3-carbaldehyde oxime derivatives as urease inhibitors against *Helicobacter pylori*

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Introduction - *Helicobacter pylori* and gastrointestinal diseases

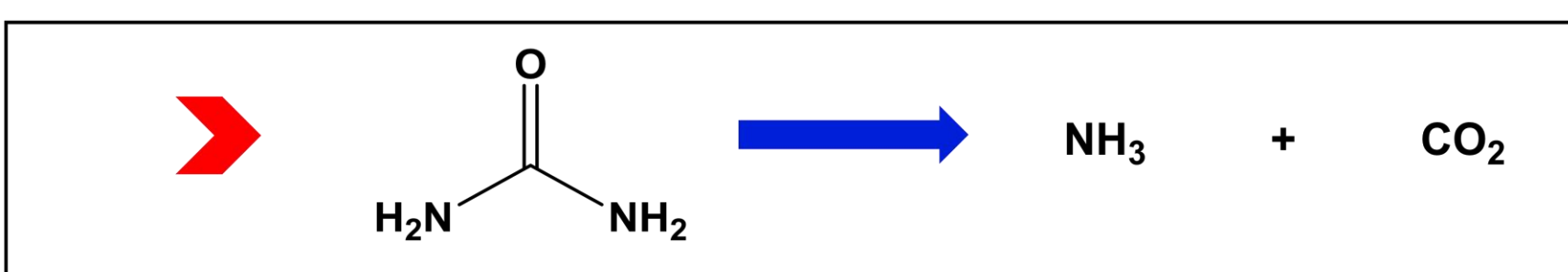


There are several therapeutics for *Helicobacter pylori* eradication

- Urease inhibitors – Acetohydroxamic acid, Phosphoramidates, Imidazoles, etc.
- Antibiotics – Amoxicillin and Clarithromycin.

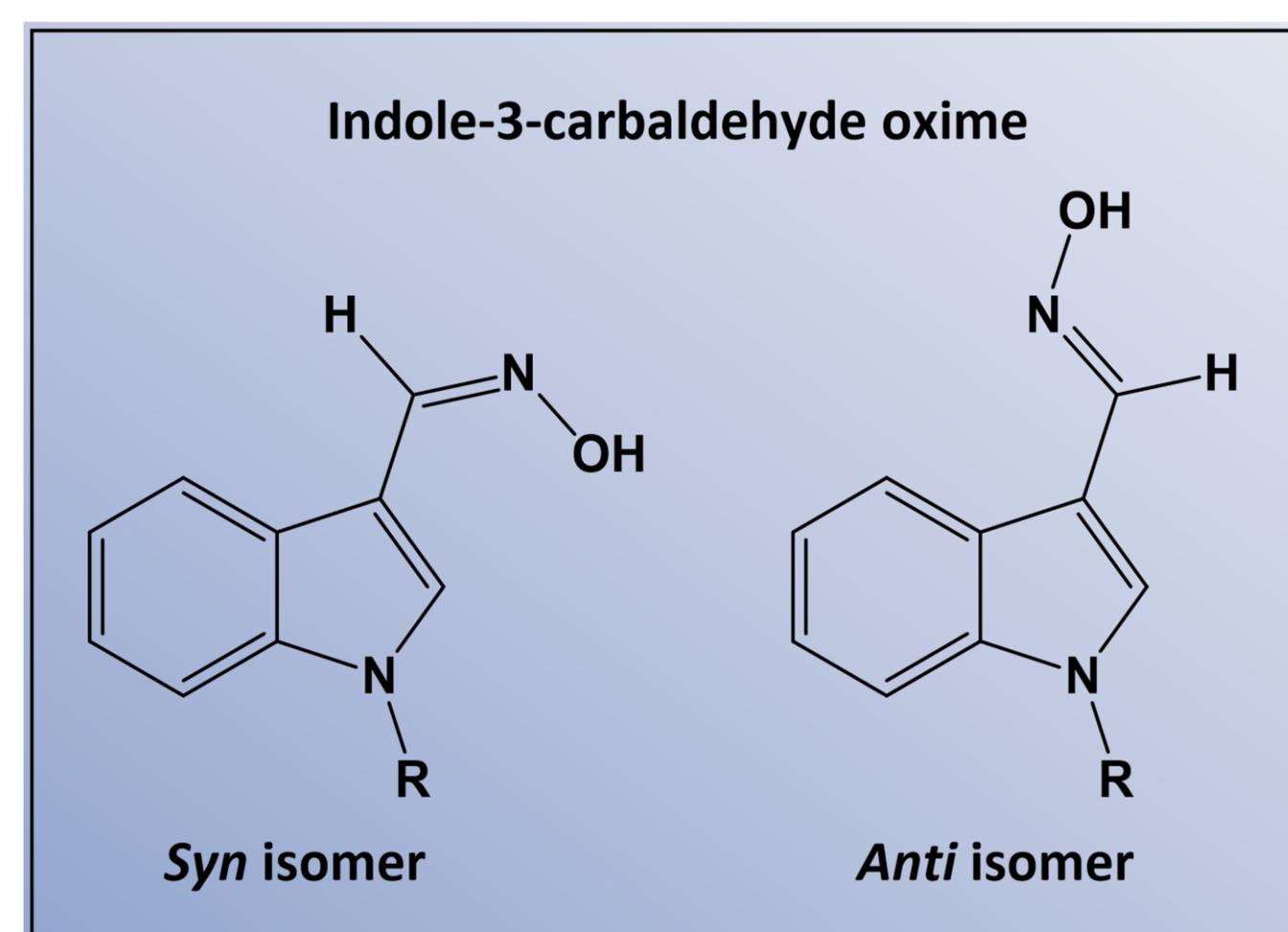
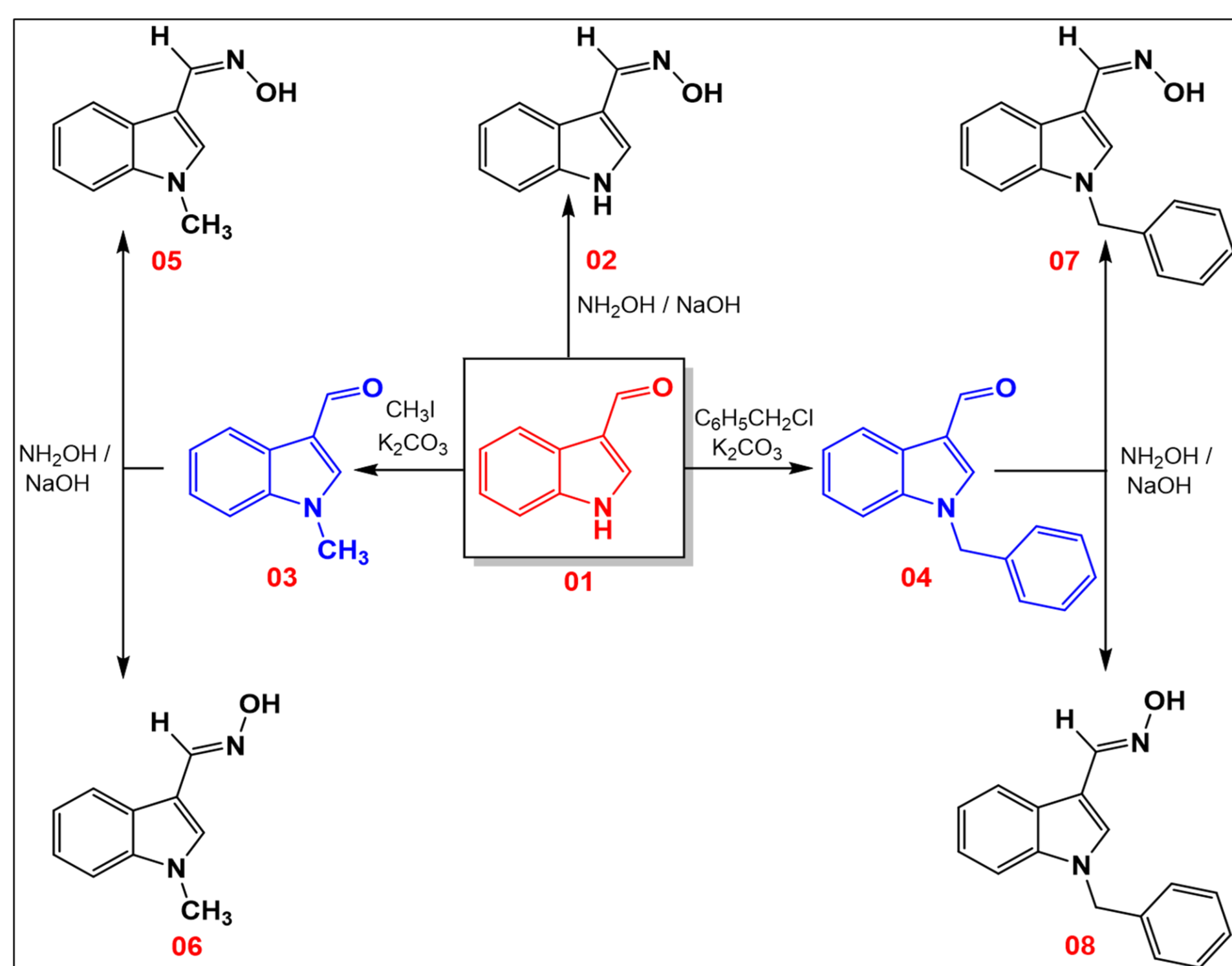
Why do we need novel therapeutics against *H. pylori*?

- Development of resistance against antibiotics.
- Lower stability and toxicity of currently available urease inhibitors.

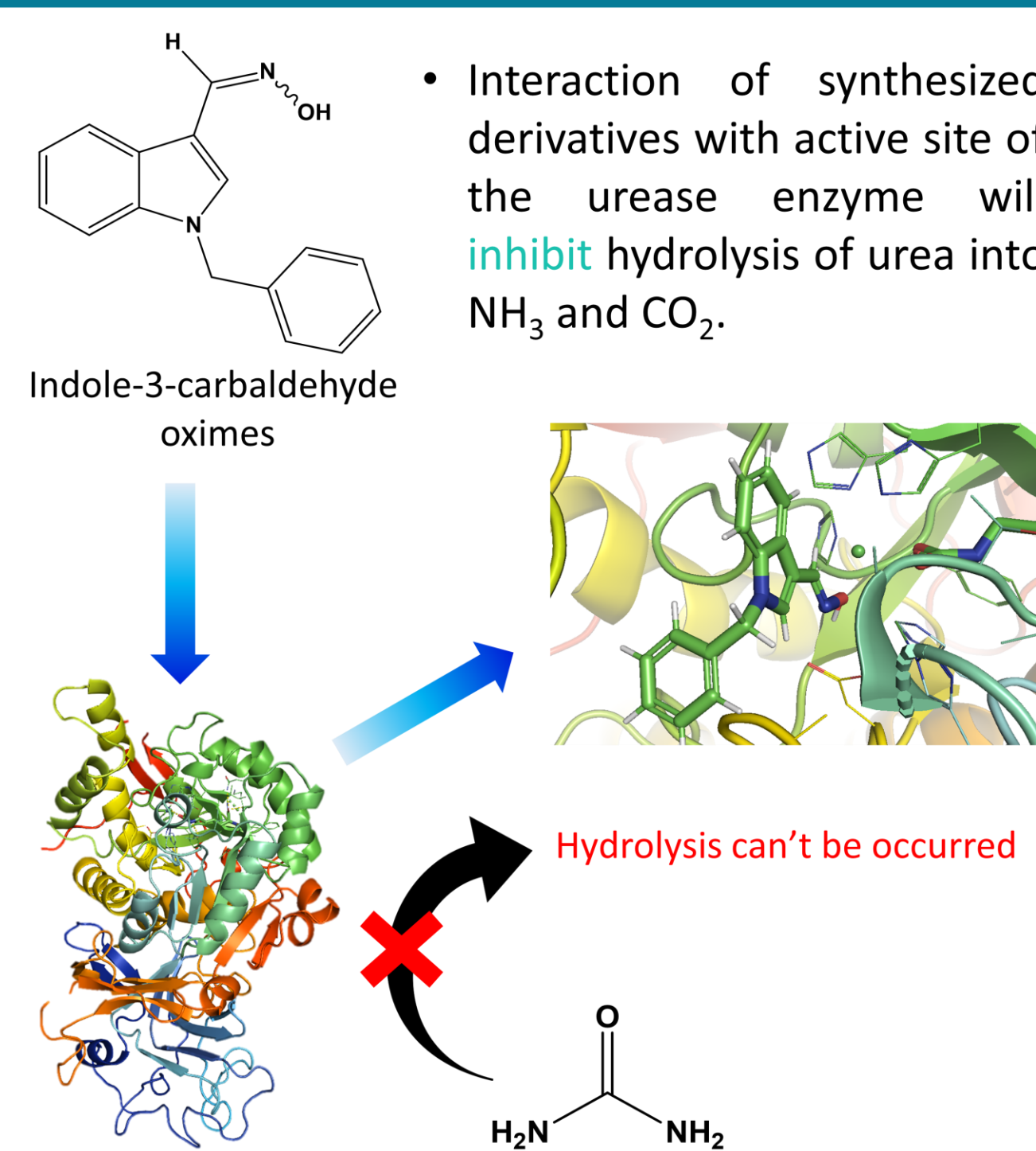
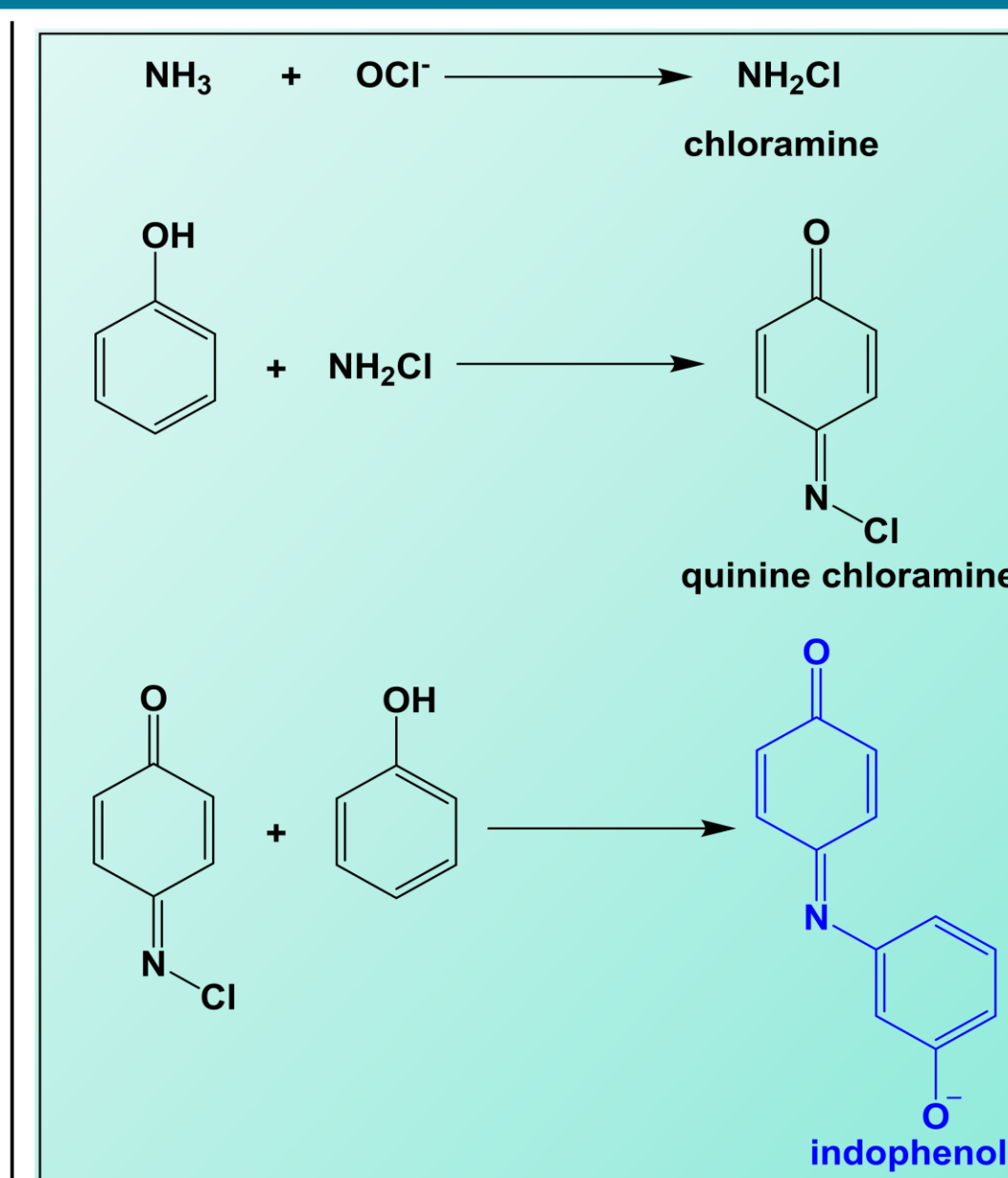


Chemistry - *syn* and *anti* isomers of *N*-substituted indole-3-carbaldehyde oxime derivatives

- Indole, is one of the most privileged structures which are widely used as intermediates in organic synthesis of bioactive compounds.



Methods - Inhibition of urease enzyme



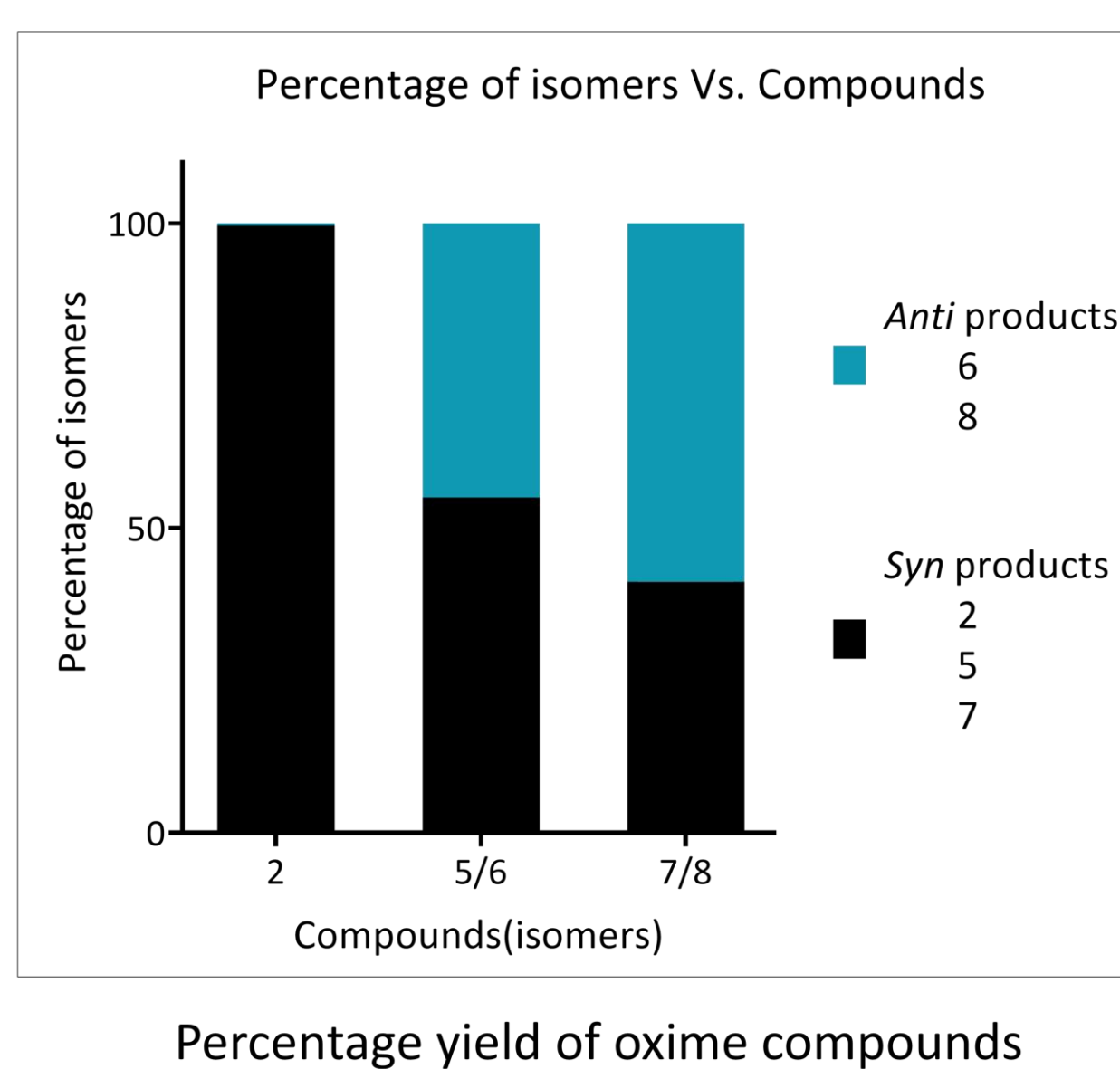
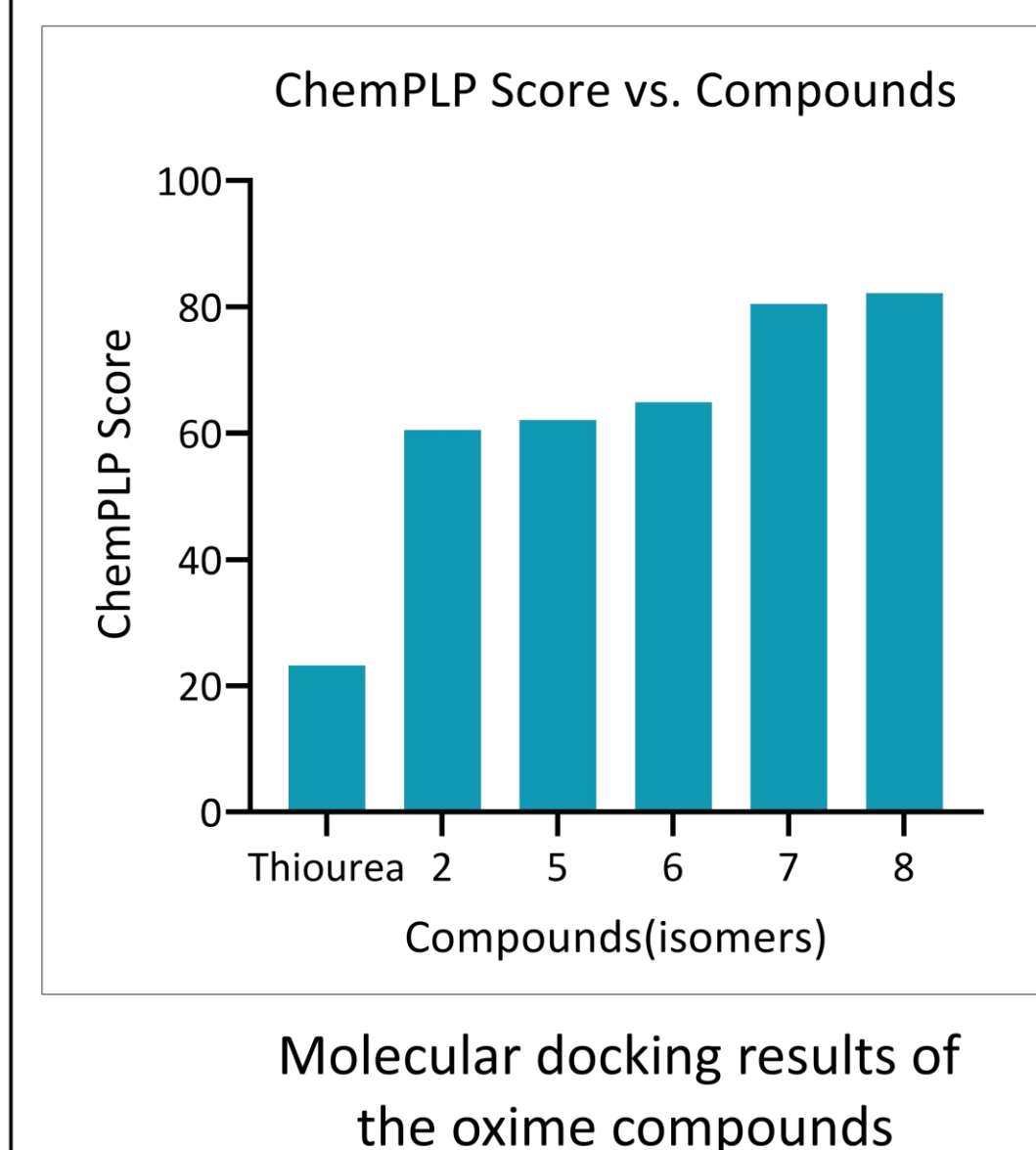
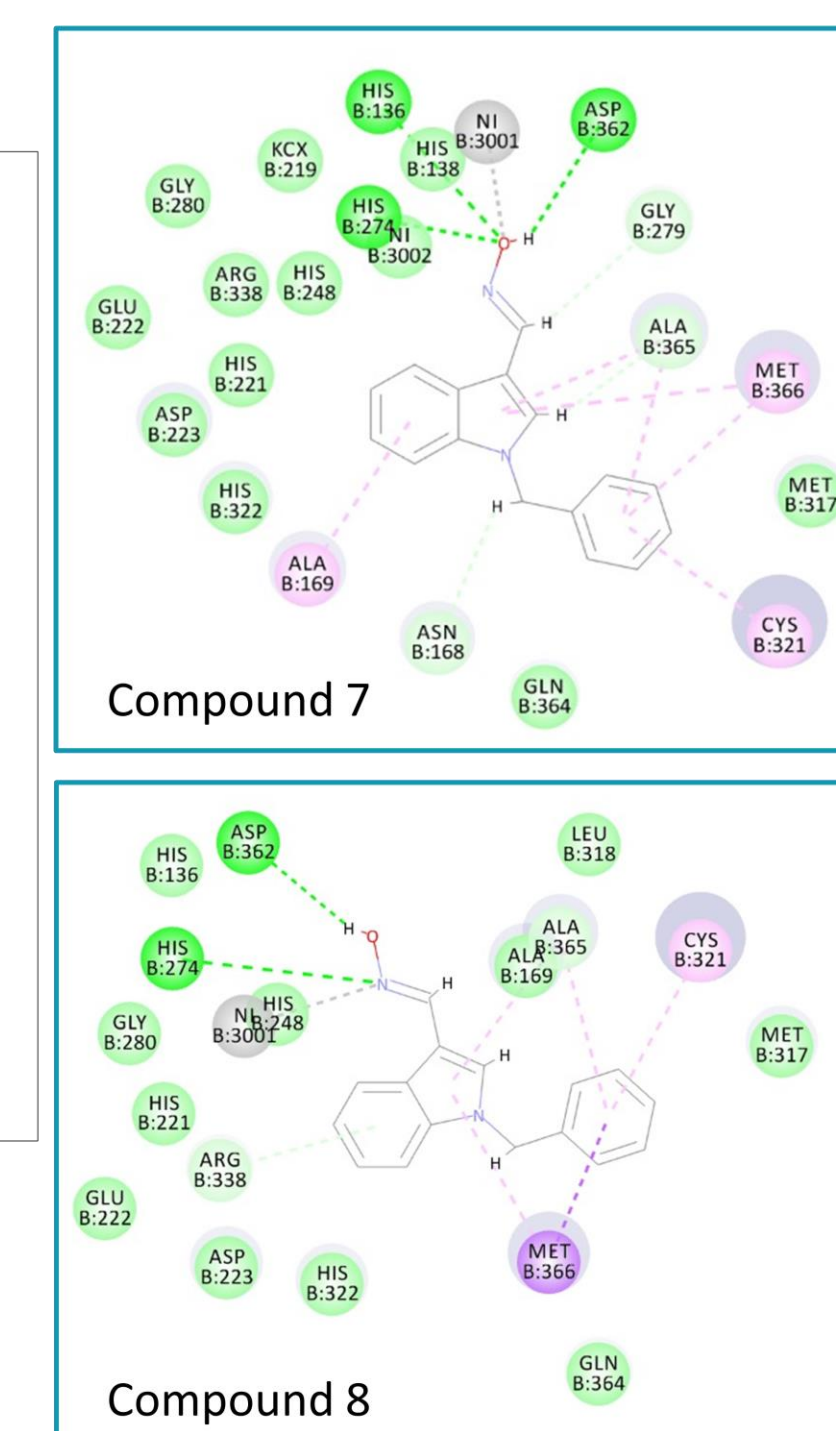
- Activity of the urease enzyme can be determined using a colorimetric method where the Berthelot's reaction is used.

- By using a standard calibration method, the amount of ammonia produced within a given period of time can be quantified.

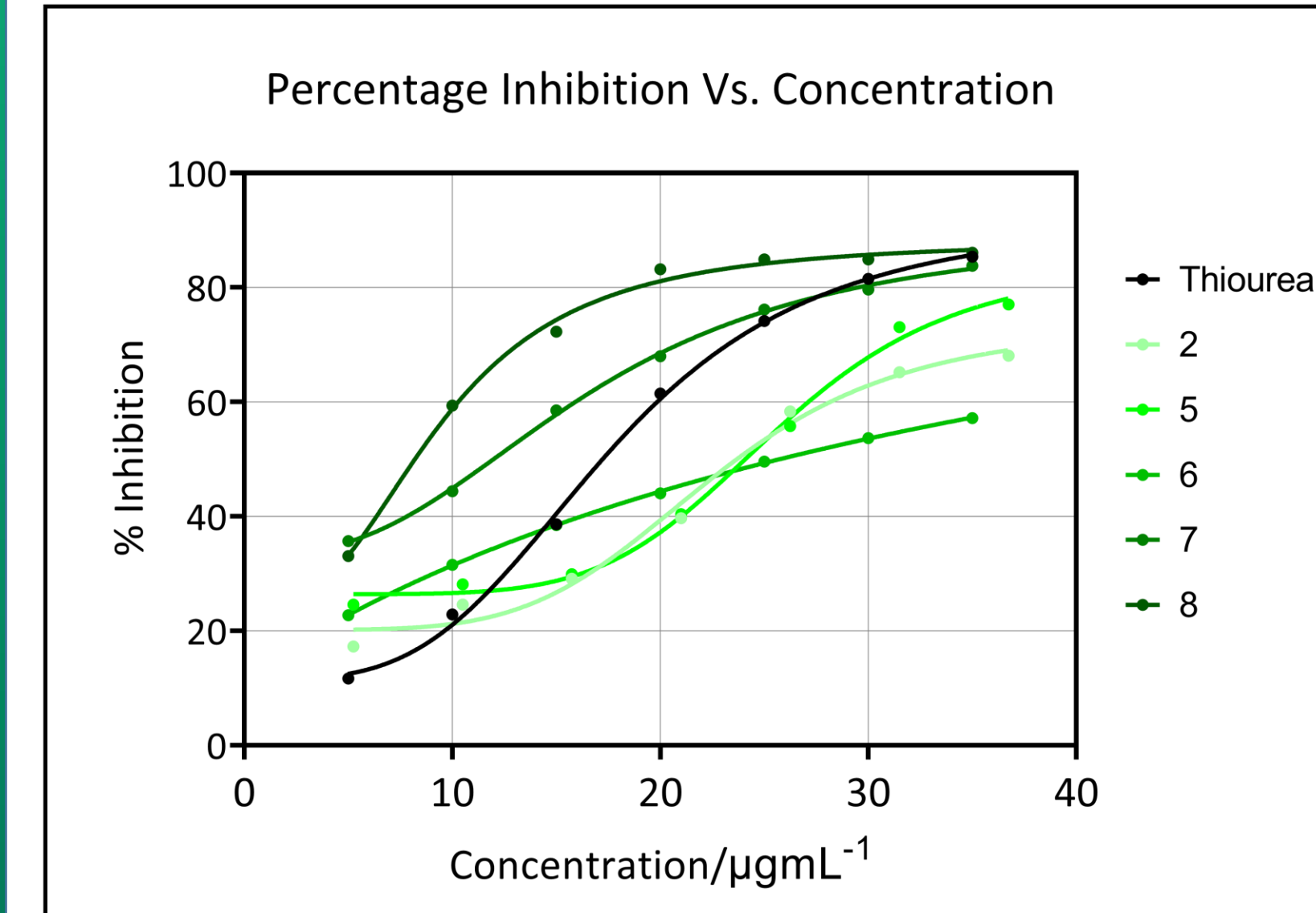
- Thiourea is used as the comparison standard.

$$\text{Percentage inhibition} = \left[\frac{(A_{\text{control}} - A_{\text{test}})}{A_{\text{control}}} \right] \times 100$$

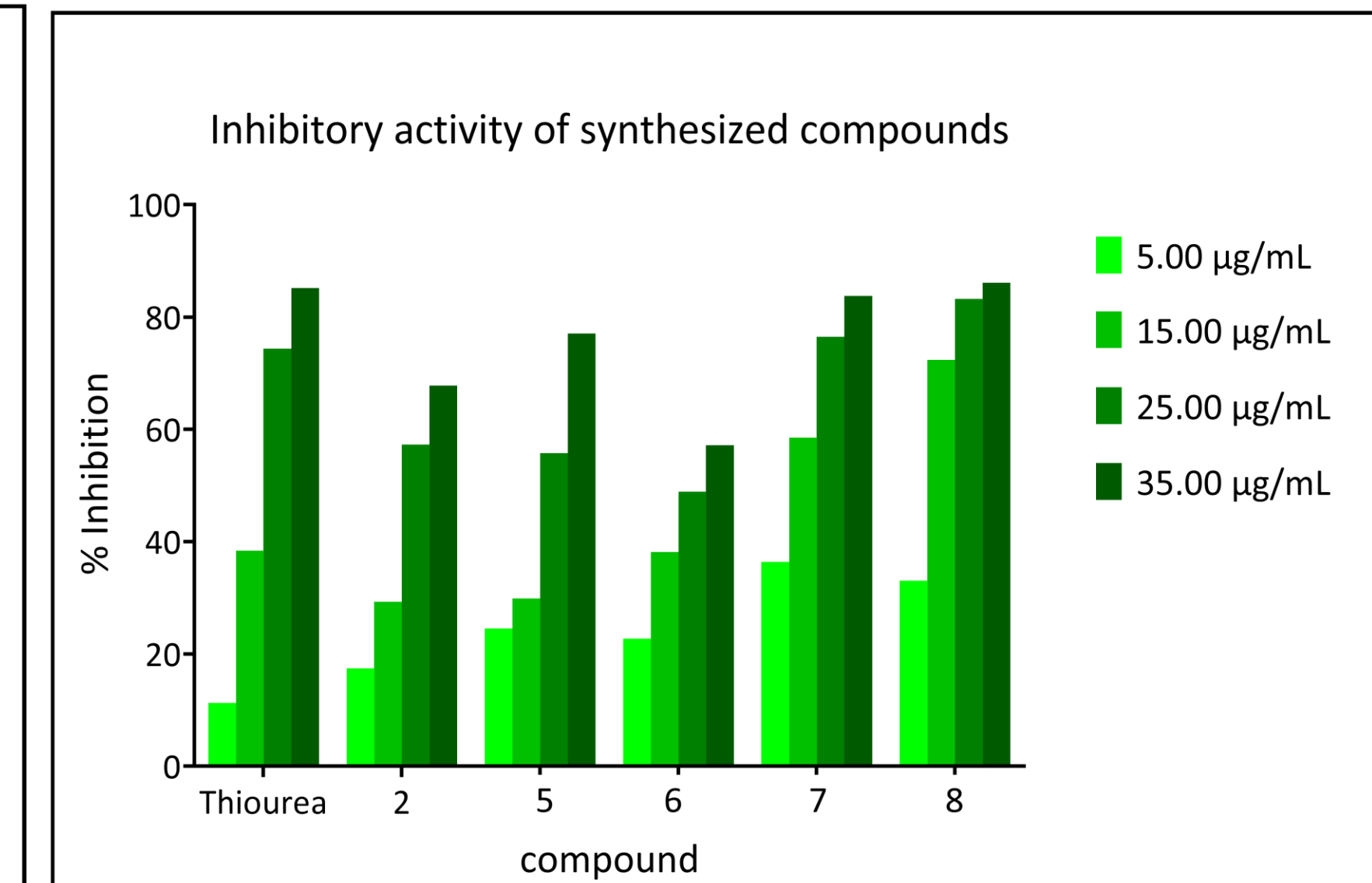
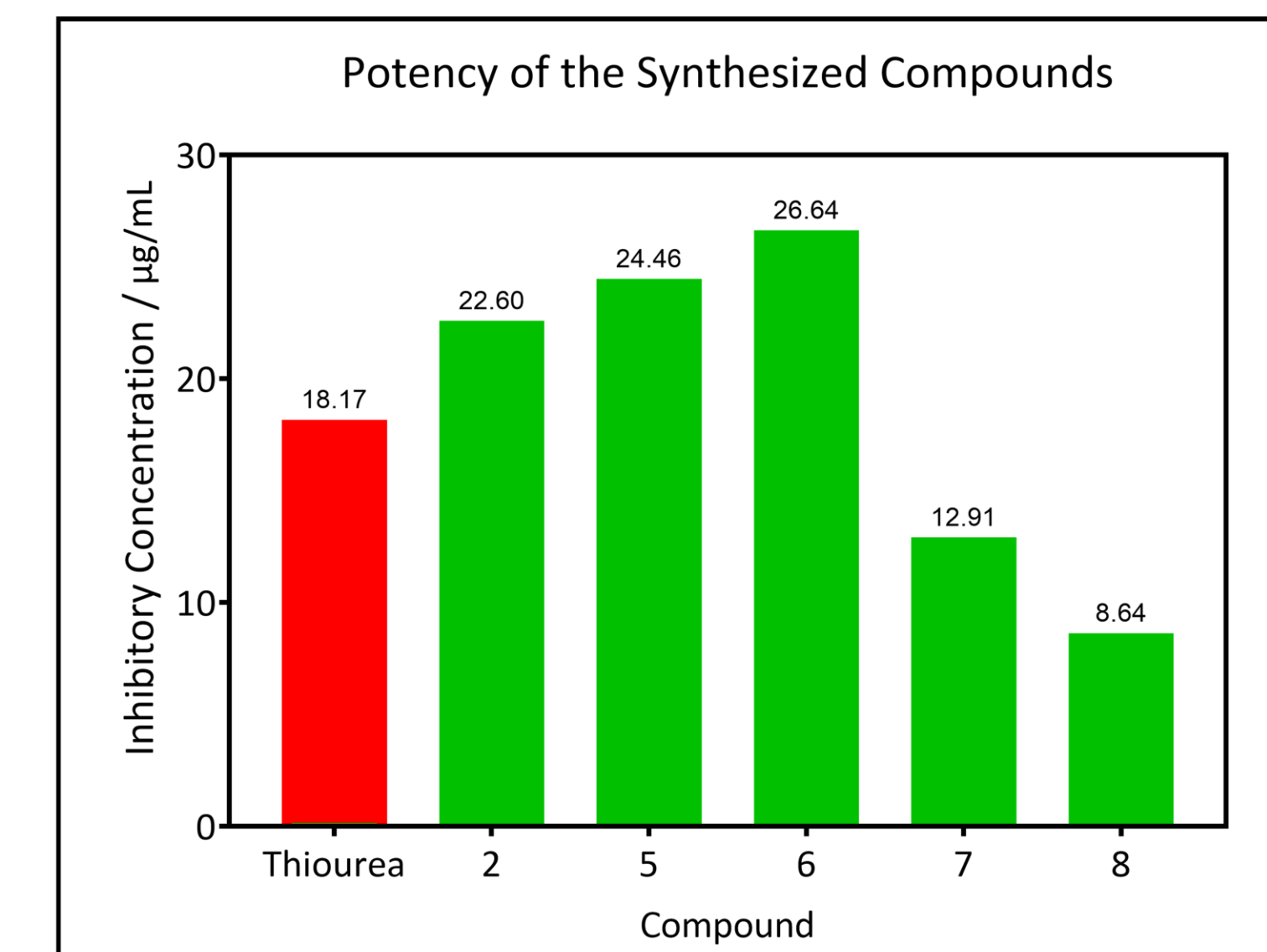
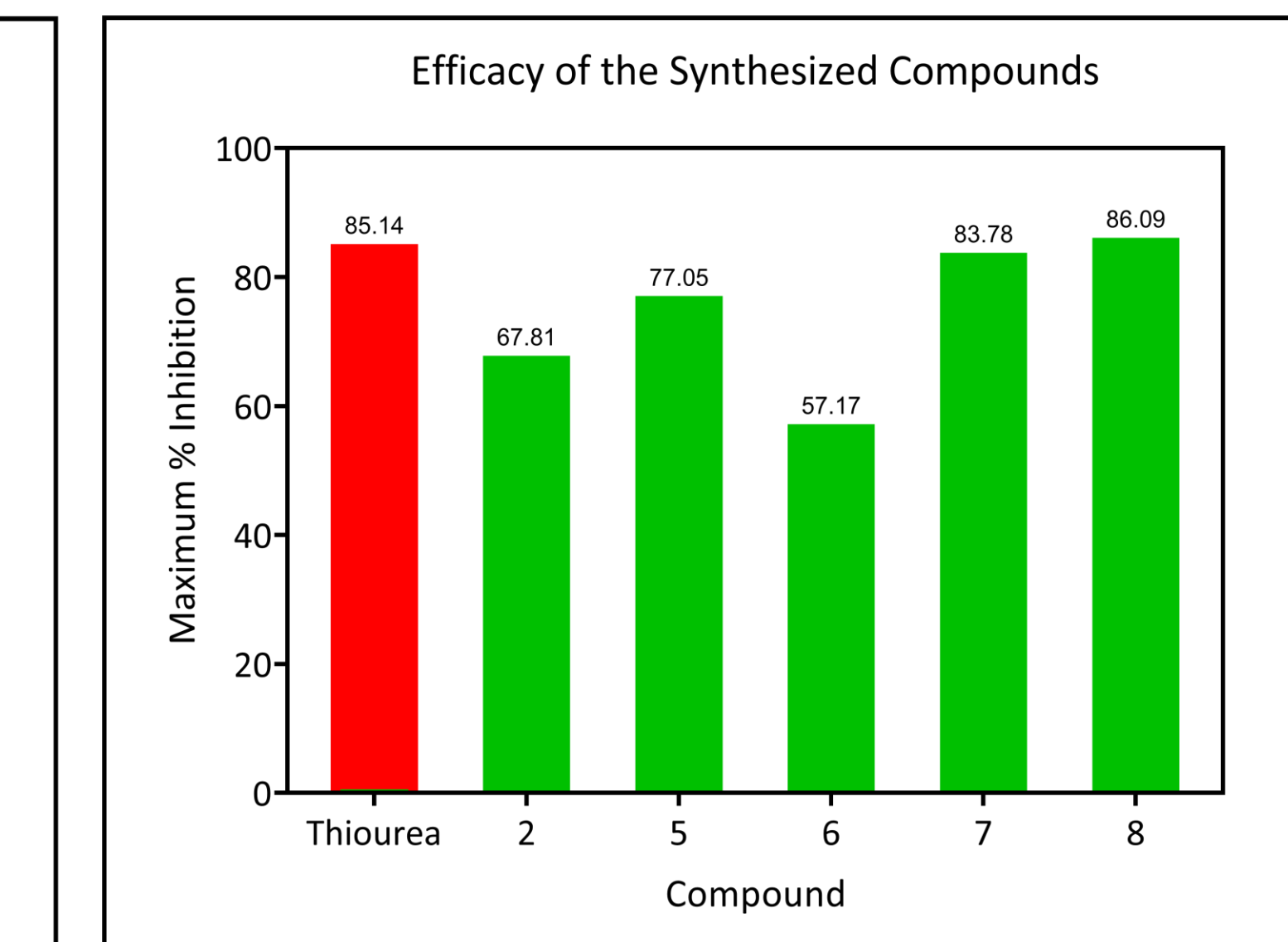
Results - Synthesis and *in-silico* studies of *N*-substituted Indole-3-carbaldehyde oxime derivatives



Results - Anti-urease activity of Indole-3-carbaldehyde oxime derivatives



Dose-Response curves of synthesized oxime compounds



Future work

- The toxicity of the synthesized *N*-substituted indole-3-carbaldehyde oxime compounds should be determined.
- Synthesis and evaluation of substituted 1-(1-benzyl-1*H*-indole-3-yl)-*N*-hydroxymethanimines to build up a relationship in between the structure and anti-urease activity.

Reference

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