

Organic Pharmaceutical Chemistry IV

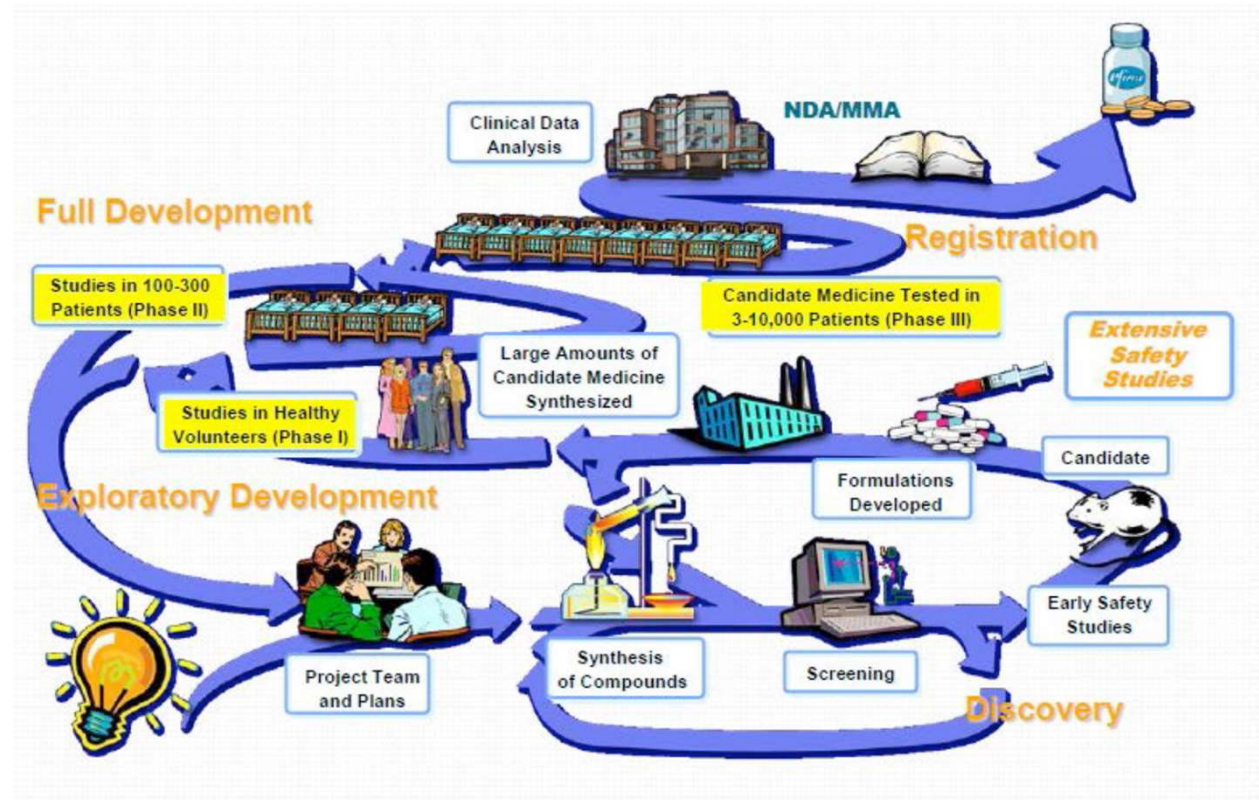
Fifth Stage

Lecture 10

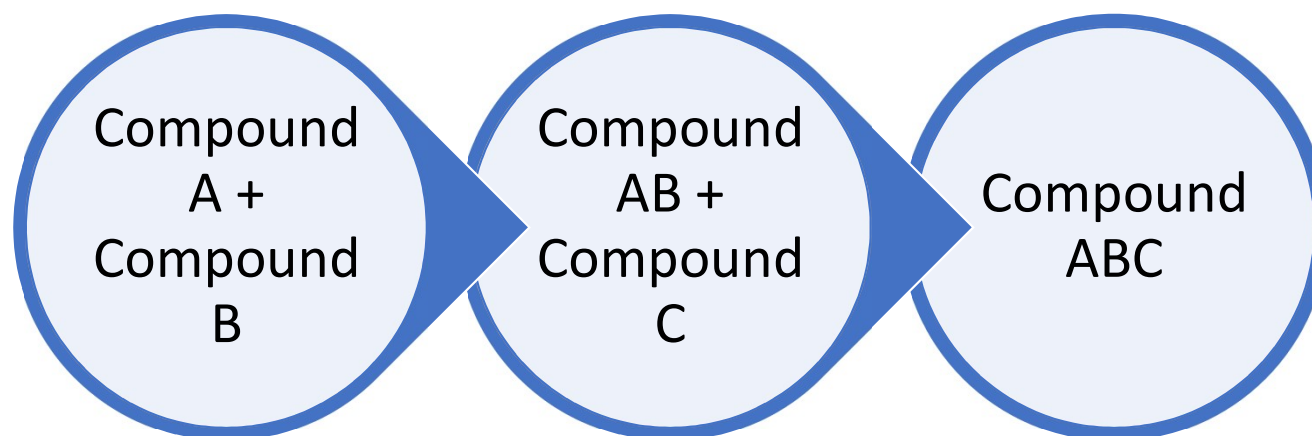
Combinatorial Chemistry

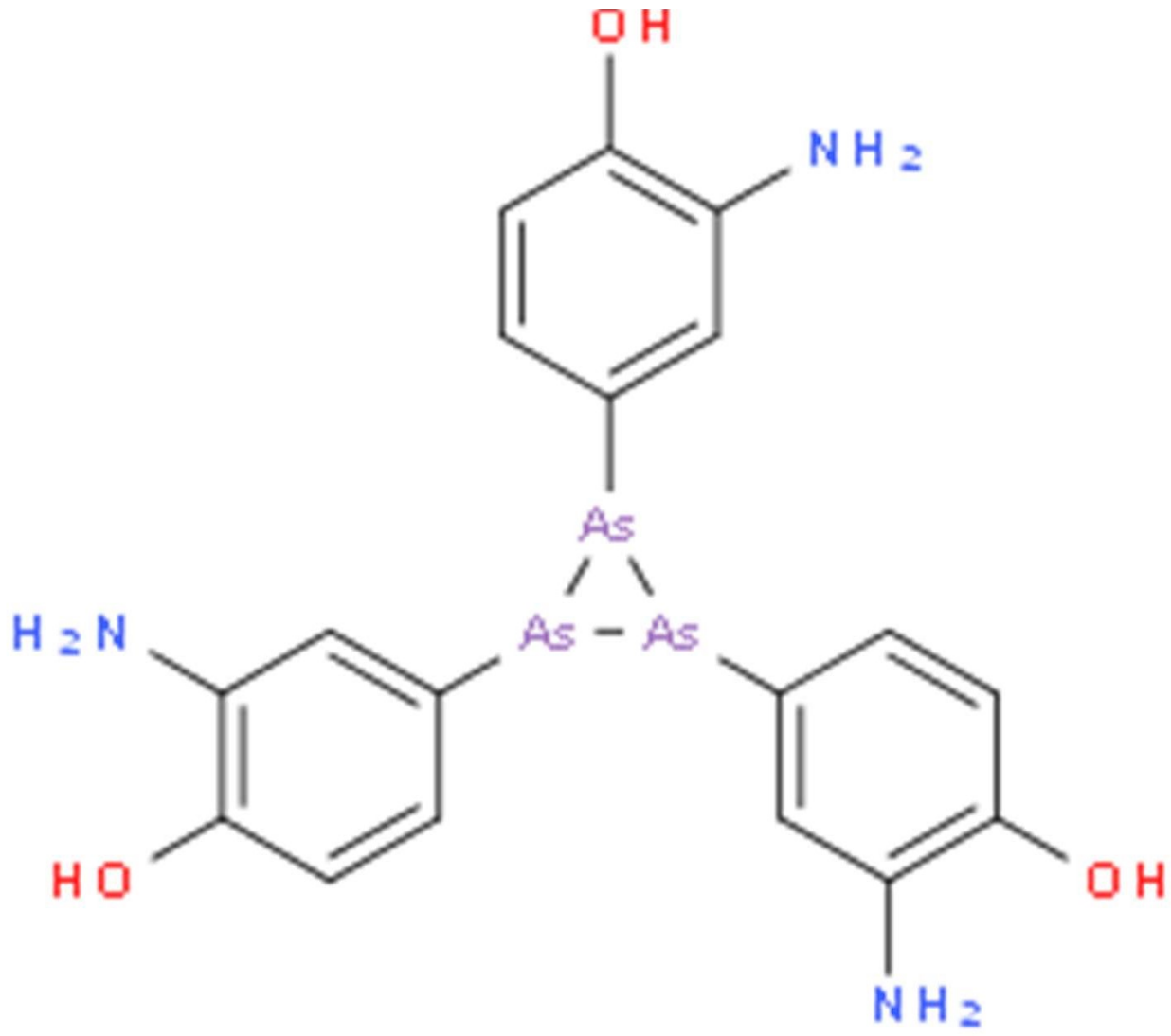
- Combinatorial chemistry is the art and science of synthesizing and testing compounds for bioactivity en masse, instead of one by one
- the aim being to discover drugs and materials more quickly and inexpensively than was formerly possible

The Drug Discovery and Development Process



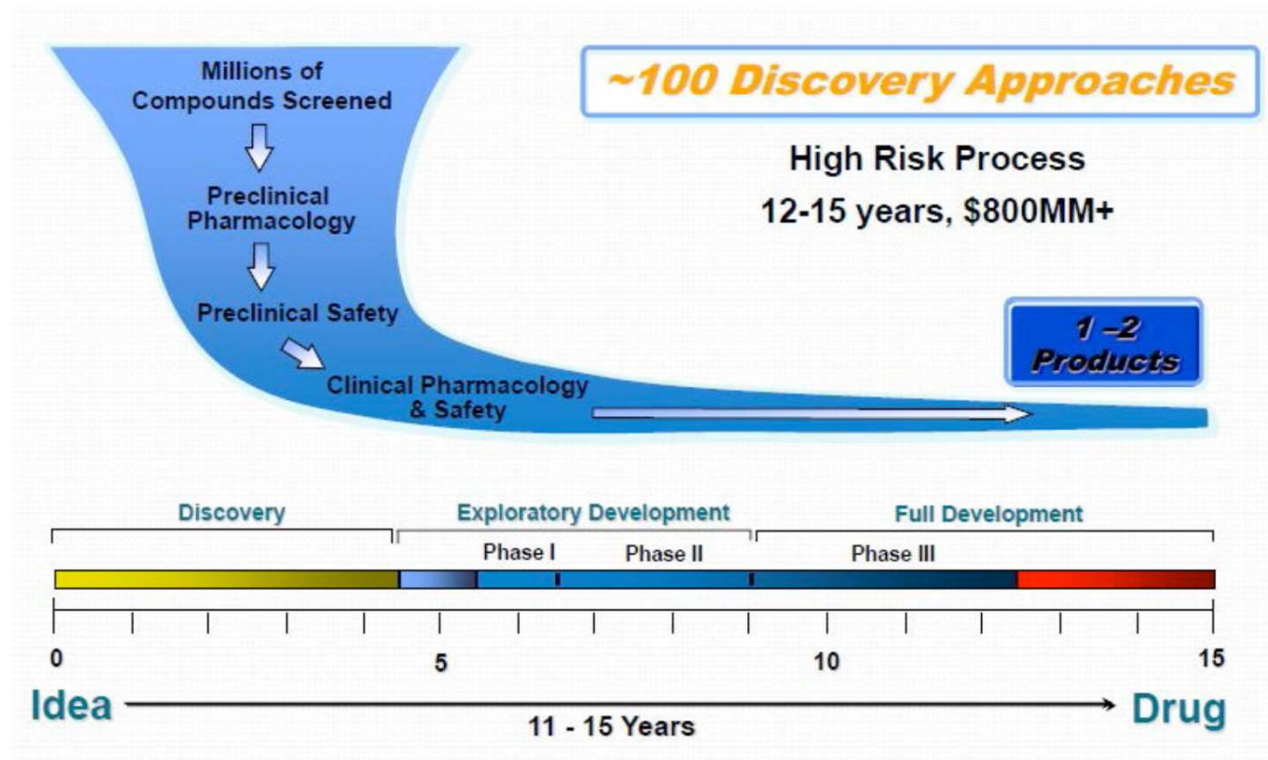
Traditional organic synthesis



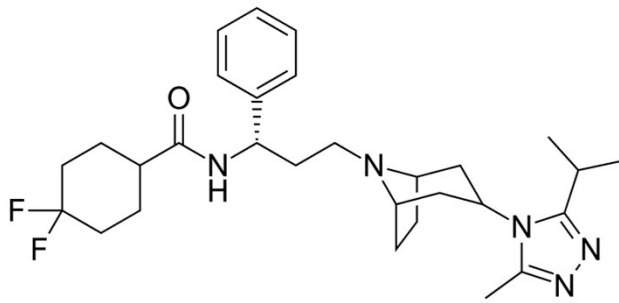


Ehrlich and
arsphenamine

The Drug Discovery and Development Process



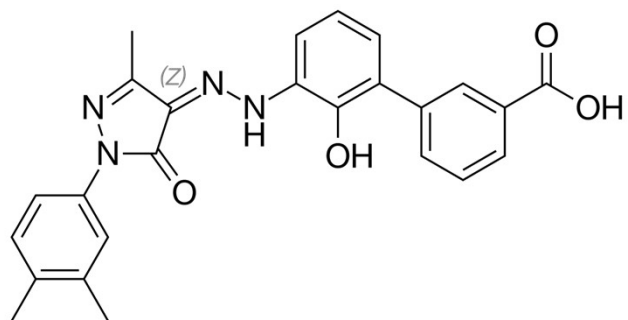
Maraviroc



Chemokine
receptor
antagonist

(~500,000
compounds)

Started in
1997
approved in
2007



Eltrombopag

Thrombopoietin
receptor agonist

~260,000
compounds



Questions

1. What is Combinatorial Chemistry?
2. What are the aims of Combinatorial Chemistry?
3. What is the structure of arspenamine pentamer?
4. Give examples where combinatorial chemistry was helpful in drug discovery
5. What chemokine receptor antagonist do?
6. What thrombopoietin receptor agonist used for?
7. Why it's difficult to get high-throughput chemistry to deliver drugs consistently?