



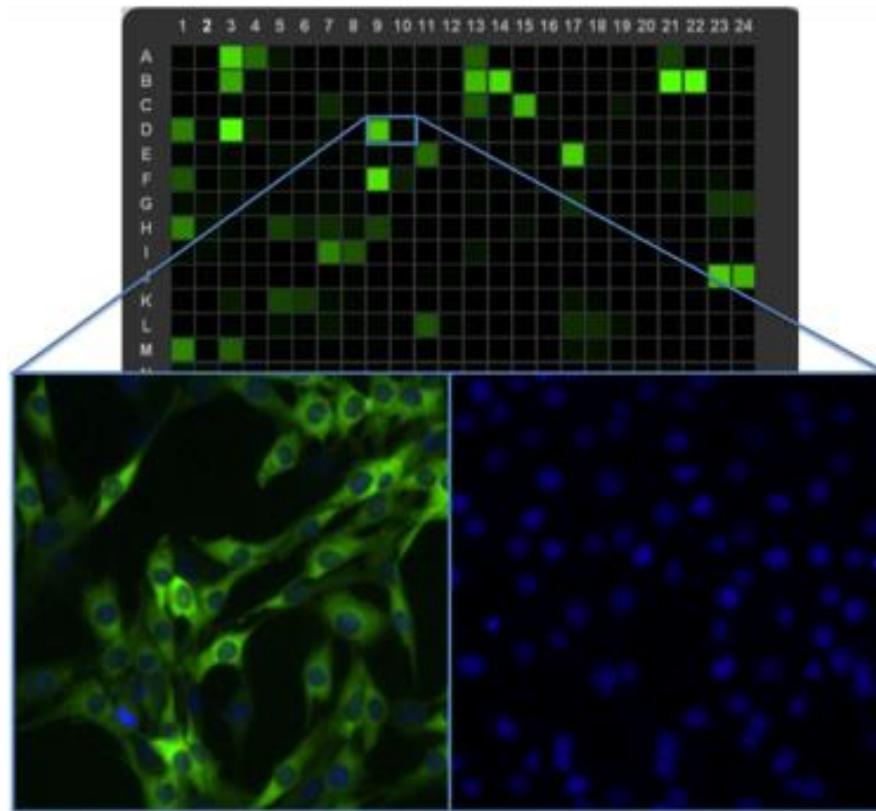
Methods in Drug Development

Phenotypic Screening

Prof. Christian Heinis

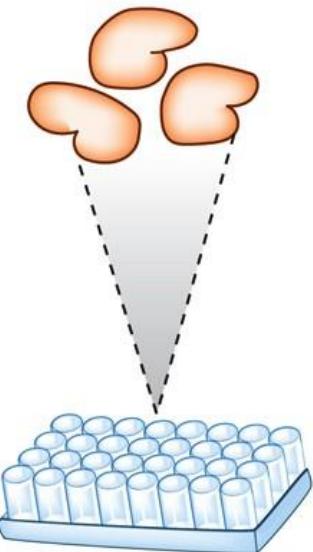
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What is «phenotypic screening»?



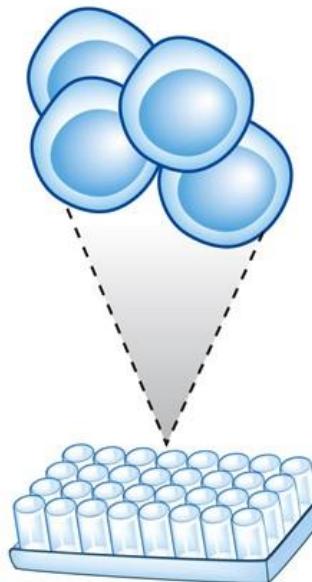
Comparison to «target based screening»

Target-based assays



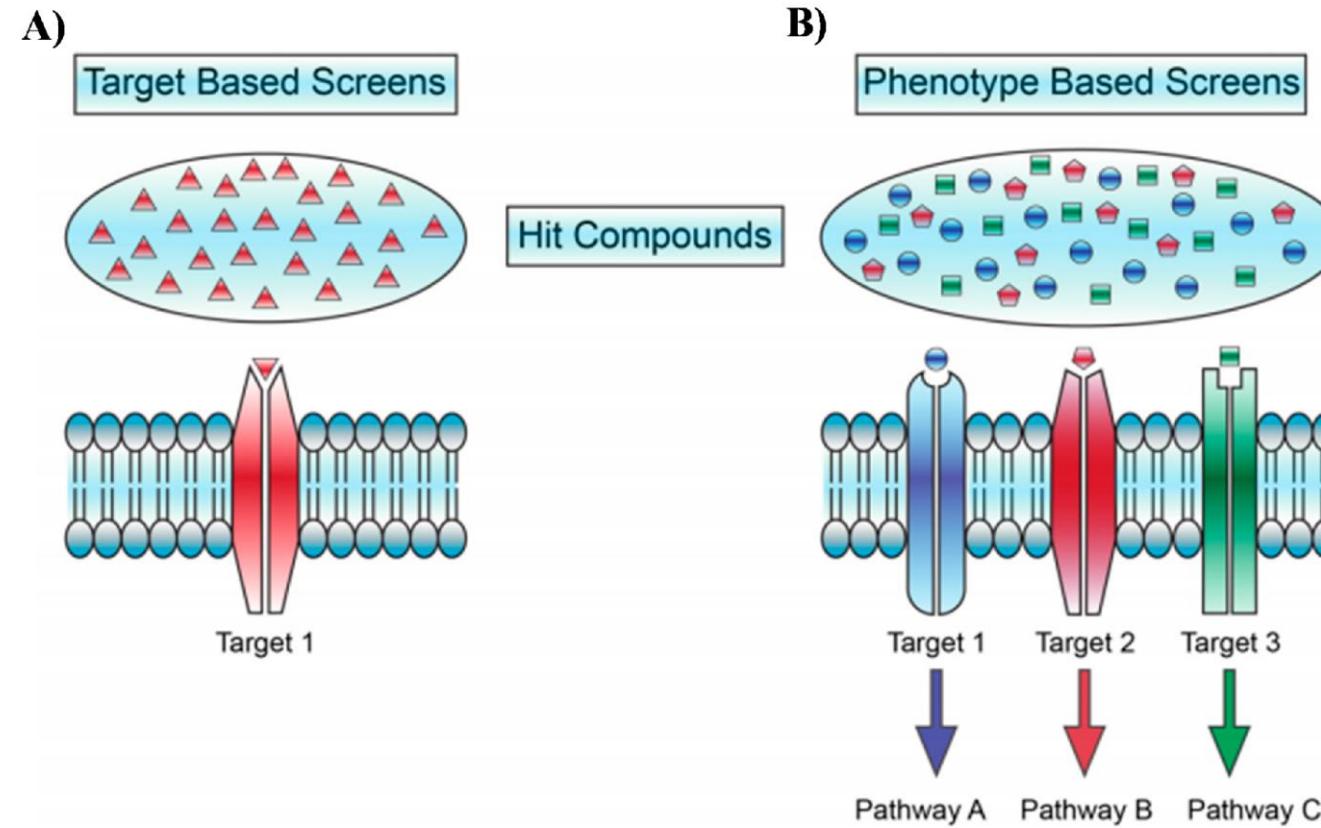
Target-centric
Reductionist view
Validation in cell-based
assays needed

Cell-based assays

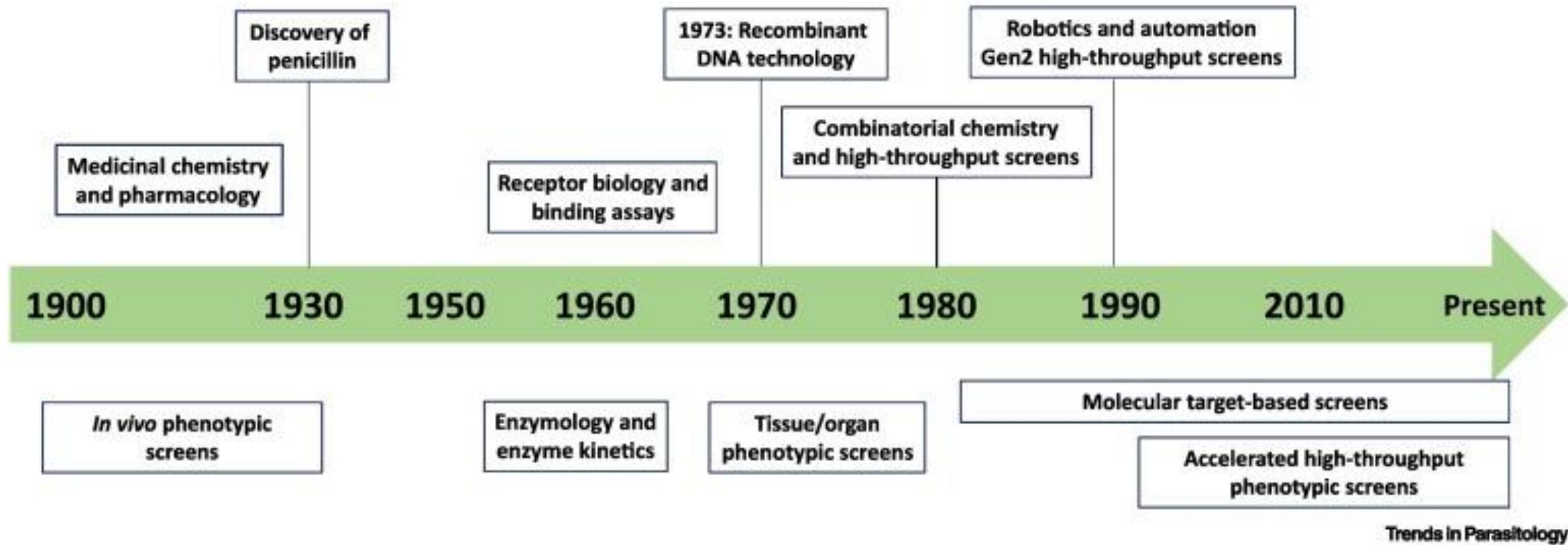


Target-agnostic
Holistic view
More physiologically relevant
Target identification and
validation needed

Comparison to «target based screening»



Historical view: return of phenotypic screening



Identification of new targets

- 1996 - *Drews & Ryser*
 - 483 drug targets exploited
 - 5 - 10,000 targets in human genome
- 2002 - *Hopkins & Groom*
 - 120 drug targets for marketed small molecule drugs
 - 399 targets druggable
- 2002 - *Human genome sequenced*
 - 30,000 genes; 3,000 linked to disease; 600 – 1500 druggable targets
- 2006 - *Imming et al*
 - 218 drug targets
- 2006 - *Overington et al*
 - 324 pharmacological targets assigned to 1065 pharmacological agents

Identification of new targets

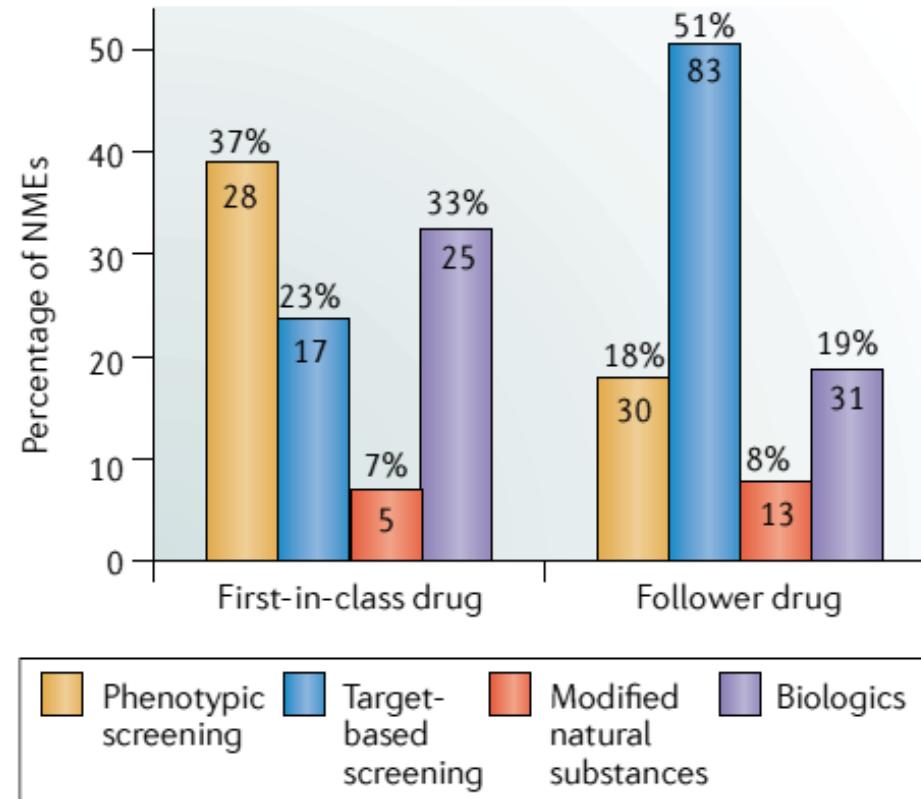
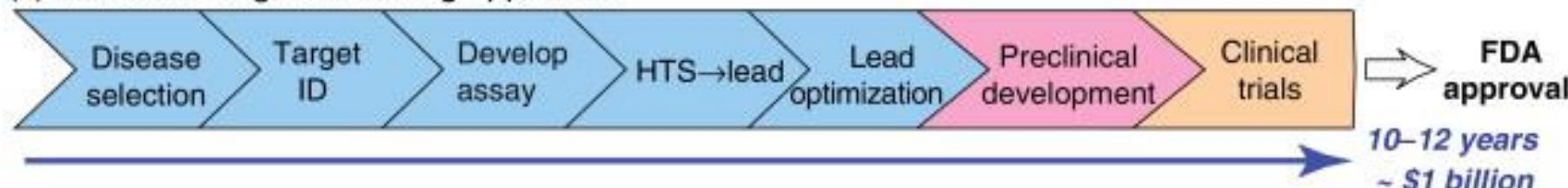


Figure 2 | The distribution of new drugs discovered between 1999 and 2008, according to the discovery strategy.

Target identification is needed

(a) Molecular target screening approach:



(b) Phenotypic screening approach:

