

## High-Throughput Screening for Enzyme Modulation

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### DESCRIPTION

High-Throughput Screening (HTS) has become a cornerstone technology in the pharmaceutical industry for identifying potential drug candidates. By automating and accelerating the process of testing large numbers of compounds against biological targets, HTS helps researchers significantly cut down the time and cost associated with traditional drug discovery. This method enables the systematic analysis of thousands to millions of compounds in a short time, allowing scientists to identify active substances that can then be developed into effective drugs. This article discusses the principles of HTS, its applications in drug discovery, its advantages and some of the challenges associated with the technology [1-3].

### Principles of high-throughput screening

HTS involves the rapid testing of a wide variety of chemical compounds to assess their biological activity. In a typical HTS process, biological targets such as proteins, enzymes, or receptors are placed in wells of microplates and compounds are introduced into these wells. Each compound is tested for its ability to bind to the target or induce a desired biological effect, such as inhibition or activation of a specific pathway. The compounds are typically evaluated in large numbers, with each test taking only a few hours to complete [4].

Automated systems and advanced robotics are used to handle the large volume of tests and manage the repetitive steps in the process. Detection systems, such as fluorescence, luminescence, or absorbance assays, are used to quantify the activity of the compounds. The results are then analyzed using sophisticated data analysis software to identify suitable drug candidates. HTS is often integrated with other technologies, such as computational modeling and structure-based drug design, to improve the accuracy of results and predict compound behavior in biological systems [5].

HTS is commonly employed to identify molecules that can interact with a specific biological target, such as a protein or enzyme. This is particularly valuable in the early stages of drug discovery, where the goal is to find compounds that modulate the activity of a target associated with a disease. For example, HTS

has been used to identify inhibitors of protein kinases in cancer research, helping to develop targeted therapies for various types of tumors.

Unlike target-based screening, phenotypic screening assesses the effects of compounds on whole cells or tissues, enabling the identification of molecules that produce a desired biological outcome. This approach can uncover drug candidates that act through novel mechanisms or target multiple biological pathways simultaneously [6]. Phenotypic screening has been widely used in areas such as neurodegenerative diseases, where complex cellular interactions need to be considered.

HTS allows for the screening of vast chemical libraries containing hundreds of thousands to millions of compounds. By systematically testing these libraries, researchers can identify novel compounds with potential therapeutic effects. Once a potential compound is identified, it can be further optimized for improved efficacy, stability and safety [7-9].

Absorption, Distribution, Metabolism, Excretion and Toxicity (ADMET) determine the drug's potential for success in clinical trials. HTS is often used to test compounds for their ADMET properties in addition to their biological activity. This allows researchers to identify compounds that not only target specific diseases but also have favorable pharmacokinetics and low toxicity profiles [10].

### CONCLUSION

High-Throughput Screening has revolutionized the drug discovery process, providing an efficient and scalable method for identifying potential drug candidates. Through its ability to rapidly test large compound libraries, HTS has significantly accelerated the discovery of new therapeutics across various diseases, including cancer, neurological disorders and infectious diseases. While there are still challenges in managing data and ensuring the accuracy of results, the continued advancement of HTS technology holds great potential for improving drug discovery and development. As HTS integrates with other innovative technologies, such as artificial intelligence and machine learning, its role in modern pharmaceutical research is

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expected to expand further, offering new opportunities for the development of safer, more effective drugs.

## REFERENCES

1. Pors K. Drug discovery into the 21<sup>st</sup> century. *Drug Discov Dev- Present Fut.* 2011;69-96.
2. Cohen P. Protein Kinases of the Innate Immune System as Drug Targets. *J Biomol Screen.* 2009;14(7):894
3. Zhu S, Yu T, Xu T, Chen H, Dustdar S, Gigan S, et al. Intelligent computing: The latest advances, challenges and future. *J Intell Comput.* 2023;2:0006.
4. Knudsen TB, Keller DA, Sander M, Carney EW, Doerrner NG, Eaton DL, et al. FutureTox II: *In vitro* data and *in silico* models for predictive toxicology. *Toxicol Sci.* 2015;143(2):256-267.
5. Gleeson MP. Generation of a set of simple, interpretable Absorption, Distribution, Metabolism, Excretion and Toxicity (ADMET) rules of thumb. *J Med Chem.* 2008;51(4):817-834.
6. Gupta PB, Onder TT, Jiang G, Tao K, Kuperwasser C, Weinberg RA, et al. Identification of selective inhibitors of cancer stem cells by high-throughput screening. *Cell.* 2009;138(4):645-659.
7. Parker CG, Galmozzi A, Wang Y, Correia BE, Sasaki K, Joslyn CM, et al. Ligand and target discovery by fragment-based screening in human cells. *Cell.* 2017;168(3):527-541.
8. Leahy JW, Buhr CA, Johnson HW, Kim BG, Baik T, Cannoy J, et al. Discovery of a novel series of potent and orally bioavailable phosphoinositide 3-kinase  $\gamma$  inhibitors. *J Med Chem.* 2012;55(11): 5467-5482.
9. Li Y, Xie P, Lu L, Wang J, Diao L, Liu Z, et al. An integrated bioinformatics platform for investigating the human E3 ubiquitin ligase-substrate interaction network. *Nat Commun.* 2017;8(1):347.
10. Seamon KJ, Stivers JT. A high-throughput enzyme-coupled assay for Sterile Alpha Motif and Histidine-aspartic Domain (HD) Containing Protein 1 (SAMHD1) deoxy Nucleotide Tri Phosphatase(dNTPase). *J Biomol Screen.* 2015;20(6):801-809.