IJARSCT
International Journal of Advanced Research in Science, Communication and Technology
International Open-Access, Double-Blind, Peer-Reviewed, Refereed, Multidisciplinary Online Journal
ISSN: 2581-9429
Volume 6, Issue 2, February 2026
Impact Factor: 8.2

A Systematic Review on High Throughput Screening on Drug Discovery

Vivek Vilas Rathod, Shivshankar D Mhaske, Gajanan Tekale
Satyajeet College of Pharmacy, Mehkar, Buldhana, Maharashtra
*Corresponding Authors: Vivek Vilas Rathod
vivekrathod446@gmail.com

Abstract: *Drug discovery is a complex, resource-intensive process that involves target identification, lead compound discovery, preclinical testing, and clinical development. Traditional approaches are lengthy, costly, and characterized by high attrition rates, with only a small fraction of candidates progressing to market approval. In response to these challenges, High-Throughput Screening (HTS) has emerged as a transformative technology, enabling the rapid evaluation of thousands to millions of compounds against biological targets using automated, miniaturized assays combined with advanced data analytics. HTS accelerates early-stage drug discovery by improving hit identification, hit-to-lead optimization, and candidate selection. Its integration with computational modeling, virtual screening, and artificial intelligence enhances predictive accuracy and reduces false-positive rates. HTS platforms leverage robotics, microplate technologies, and sensitive detection systems to increase throughput while maintaining reproducibility and assay quality. Advances such as High-Content Screening (HCS), ultra-HTS (uHTS), and microfluidic lab-on-a-chip systems further expand screening capabilities by providing multiparametric, physiologically relevant data and reducing reagent consumption.A key advantage of HTS lies in its ability to explore diverse chemical libraries, including natural products and Diversity-Oriented Synthesis (DOS) collections, facilitating the discovery of novel scaffolds and mechanisms of action. Integration with fragment-based design and structure-guided approaches complements chemical exploration, particularly in complex therapeutic areas such as oncology, infectious diseases, and neurodegenerative disorders.Despite its transformative potential, HTS faces limitations including assay interference, high infrastructure costs, library bias, and challenges in translating in vitro findings to in vivo efficacy. These are mitigated through orthogonal assays, quality control metrics, AI-assisted analysis, and continuous library curation.Looking forward, HTS combined with AI-driven adaptive screening, personalized patient-derived models, and smart chemical libraries is poised to enhance predictive power, efficiency, and clinical relevance. Overall, HTS has become a central pillar of modern drug discovery, streamlining workflows, improving chemical diversity, and accelerating the development of innovative therapeutics.*

Keywords: Drug discovery, Hit identification, Lead Optimazation, Compound library, Cell-based assays

DOI: 10.48175/IJARSCT-31266