PROTAC Drug Discovery Technology Platform

Medicinal Chemistry has established the PROTAC drug discovery technology platform, which summarizes the current popular target protein ligands, establishes an extensive library of high-affinity small molecules and small molecule fragments of popular target proteins (TPSM), an extensive library of high-affinity small molecules and small molecule fragments of E3 ligases (E3SM), and a Linker system, including a large collection of Bifunctional linker (BF-Linker) with a wide diversity. Those compound libraries help to quickly and efficiently synthesize a large number of high-activity PROTAC bi-specific small molecules, thus greatly accelerating the process of drug R&D.

Medicilon will make every effort to establish and complete its PROTAC biological screening and testing platform, and the follow-up development of preclinical stages.

Advantages of PROTAC technology in drug discovery

Broaden the Range of Druggable Targets（undruggable）

The molecular mechanism of PROTAC is to eliminate the target protein through the ubiquitin-proteasome system. This mechanism does not need a specific region on targets for drugs to bind like the traditional inhibitors do which functions through competitive binding. This makes some “non-druggable” target proteins “druggable”.

High Efficiency

PROTAC molecules eliminate the target protein to amino acids through the ubiquitin-proteasome system and then can be released to react with other targets. Therefore PROTAC has the characteristics of recyclability, low dosage, and high efficiency.

Non-Immunogenic

Compared with biotechnology drugs, PROTAC does not trigger the production of anti-drug antibodies.

In conclusion, PROTAC has become a hotspot in the field of drug research and development which has been paid great attention by scientific research institutions and pharmaceutical companies worldwide.

Medicilon PROTAC technical service introduction

- Design and Synthesis of Target Protein PROTAC-POI
- *In vitro* screening of PROTAC-POI
- *In Vivo* Efficacy Tests of PROTAC-POI
- PK/PD studies, pharmacological analysis, pharmacokinetic studies, and safety evaluation

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Medicilon Chemistry Research Services

With the rapid development of the global pharmaceutical market and the division of labor in the pharmaceutical industry, the chain is becoming more and more refined, making specialized outsourcing in the pharmaceutical industry an important strategic choice for pharmaceutical companies. In the early stages of new drug development, FTE (Full-time equivalent) is often used by drug discovery companies to synthesize the required structural fragments and/or potential candidate compounds to accelerate the drug screening process.Medicilon provides comprehensive chemistry research services covering all stages of your project requirements, and customers can cooperate with us through the FFS (Fee for Service) or FTE (Full-time Equivalent Service) models.

Full-Time Equivalent (FTE)

Medicilon has a dedicated R&D and project management team. According to customer requirements, it can configure different proportions of R&D staff with different levels of Ph.D., M.S., or B.S. degrees to form a joint R&D team with customers to quickly and efficiently solve the technical problems of their R&D projects, and to provide regular project progress reports and project communication meetings. Moreover, Medicilon can quickly adapt to the client's needs and provide efficient output to ensure the smooth progress of the project. This partnership model is ideal for innovative drug development projects.

The Medicilon Chemical FTE team can serve clients at different stages of drug development, including generation and optimization of lead compounds, identification, and optimization of lead compounds, synthesis scale-up, and chemical process studies. This collaboration model allows for flexible adjustment of R&D staffing, experimental protocols, and project priorities based on client and project needs.

The research department will communicate with the customer when problems are encountered in the R&D process and propose solutions to solve them. In addition, the FTE team can not only synthesize according to the synthesis line designed by the customer but also design the synthesis line according to the customer's concept. Flexible adjustment based on project requirements makes project progress clear and controllable, and provides exclusive synthesis circuit design and problem solving, all of which reflect the service advantages of the Medicilon FTE team.

Fee For Service (FFS)

FFS custom synthesis is one of Medicilon's services. Medicilon has an experienced R&D team of Ph.D., M.S., and B.S. degree researchers who constitute a golden mix and will utilize their extensive biopharmaceutical and medicinal chemistry experience to

complete a variety of different custom synthesis projects including the synthesis of biologically active molecular target compounds, and other drug-like intermediates regularly.

The custom synthesis will be developed exactly to the customer's requirements for the compound, either in small (typic milligrams to 100 grams) or medium to large (typically 100 grams to kilograms) quantities. These drug-like substances include drug reference compounds, lead compounds and their derivatives, combinatorial chemical templates, and other drug-like compounds with or without references. We can deliver custom synthesis products in a timely and efficient manner. The fees charged for the FFS model depend on the type of specific experiment, the method and the number of compounds to be tested, etc.

Medicilon FTE/FFS service scope

Medicinal Chemistry

- Feasibility assessment of new drug targets
- High-throughput screening and discovery of active compounds based on structural design
- Establishment of specific compound libraries (establishment of macromolecule compound library)
- Rapid synthesis of PROTAC molecules and ADC payloads, as well as lipids, glycolipids, and phospholipids
- Screening of new hit compounds from DEL (from active compounds to discovery of lead compounds)
- Synthesis, discovery, and optimization of lead compounds
- Research on SAR
- Computer-aided drug design (CADD)
- Processes from optimization of lead compounds to determination of preclinical drug candidates

Synthetic chemistry

- Synthesis of reagents, intermediates, building blocks, reference compounds, metabolites, and impurities
- Synthesis of Reference Compounds
- Synthesis of customer-specific structure compounds
- Preparation of APIs or related substances
- Synthesis of standard substances
- Synthesis design and preparation of impurities or metabolites
- Synthesis of stable isotope internal standards
- Synthesis of tritiated compounds
- Synthesis and disassembly of chiral compounds
- Scale-up synthesis and process optimization of preclinical candidate compounds
- Synthesis of high-quality kilogram-level samples
- Research on target compound synthesis technology

Analytical Chemistry

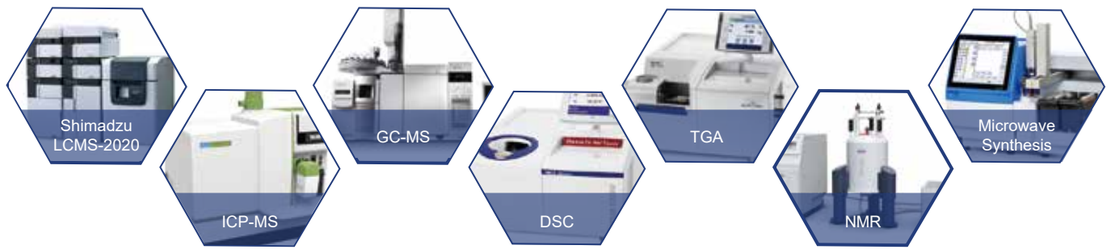
- Separation of impurities in regulated starting materials, intermediates, APIs, and drug products using various techniques such as HPLC complete data – including 1D/2D NMR, LCMS, EA, HRMS, IR, and UV – to identify the structure of the separated impurities Collecting
- Isolating and identifying the structures of API degradation products by forced oxidation
- Employment of QNMR to quickly determine the contents of crude materials, intermediates, API, and synthetic impurities
- NMR 1D, 2D, etc. (including H-NMR, C-NMR, P-NMR, F-NMR, HSQC, HMBC, COSY, and NOESY)
- LC-MS analysis
- HPLC analysis (including ELSD detection)
- Chiral purity testing
- Preparation and separation in Pre-HPLC
- Routine physical and chemical tests (ROI, LOD, Cl-, SO42-, mp, HM, optical rotation, moisture determination, heavy metal residues, etc.)

Service Advantages

Efficient team performance parameters

Our 10,000 m^2 laboratory in Shanghai Nanhui Industrial Park is equipped with a comprehensive library of instruments and is managed under a modern business model and philosophy. We guarantee the quality and efficiency of any project we undertake. Supported by high-throughput chromatography and mass spectrometry and advanced processing software, Medicilon sets high standards for our compound screening and analysis, optimization of lead compounds, analysis of chemical and physical properties of compounds, and other drug R&D processes.

Medicilon's Chemistry Department is fully equipped with a wide range of instruments including UPLC, HPLC, LC-MS, GC-MS, GC, IC, SFC, prep-HPLC, MS directed Prep-HPLC, LC-MS-MS, and other chromatography analyzers, Biotag microwave reactors, high configuration semi-auto- chromatography system, Lyophilizer, DSC, TGA, XRPD, PSD, Polarized light microscopy, NMR, FT-IR, infrared spectroscopy (FT-IR), hydrometer (KF), ultraviolet and visible spectroscopy (UV-Vis), polarimeter, ICP-MS, NMR, HPLC, SFC, MS directed preparative HPLC, microwave reactor, DSC, TGA, thermogravimetric analyzer. ICP-MS inductively coupled plasma-mass spectrometry, etc.



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