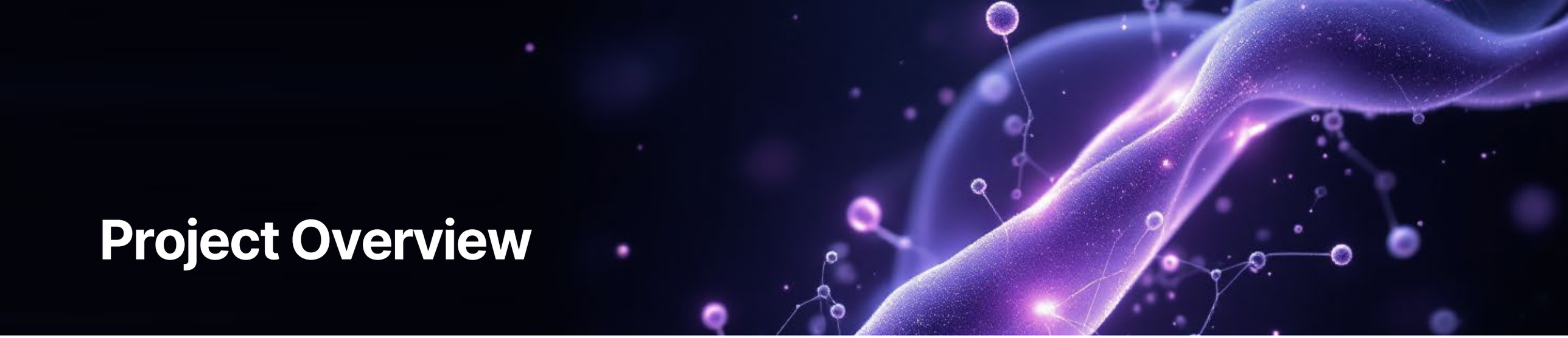
Neogliptin

A novel original DPP-4 inhibitor for the treatment of type 2 diabetes



Project Overview

Neoglipin is an original next-generation DPP-4 inhibitor (“gliptin”) designed for the treatment of type 2 diabetes mellitus (T2DM), distinguished by its exceptional potency against the target enzyme and a notably improved safety profile, particularly with respect to cardiotoxicity.

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Problem

Therapy of T2DM with certain gliptins may be associated with potential side effects, including elevated risks for patients with cardiovascular conditions. This limits their use in specific patient populations and underscores the need for safer therapeutic options.

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Solution

Our next-generation therapy combines the best qualities of current gliptins with cutting-edge scientific advancements, delivering high efficacy while prioritizing maximum patient safety — including for those with underlying cardiovascular disease.

Product and its advantages

Proven efficacy

Comparative studies with leading existing gliptins have confirmed the high efficacy of Neoglipin, demonstrating its strong inhibitory activity against DPP-4 and its potential to deliver meaningful therapeutic benefits in T2DM.

Maximum safety

According to preclinical studies on acute toxicity, the toxicity of Neogliptin is comparable to the best analogs available on the global market; on the Hodge & Sterner scale, the toxicity class is 4 (LD_{50} = 500-4999 μg/kg - "non-toxic").

Additional cardiovascular safety

Extensive in silico studies and comparative analyses with other DPP-4 inhibitors have demonstrated that Neoglipin achieves superior cardiovascular safety metrics, making it a highly promising option for patients with or at risk of heart disease.

Ease of use

Finished dosage forms have been developed, including standard tablets and capsules with pellets with modified release (with a prolonged effect, which reduces the frequency of intake).

Cardiovascular safety

To assess the cardiotoxicity of Neoglipin, a comprehensive comparative analysis with other gliptins was performed using a wide range of advanced in silico methodologies:

- QSAR analysis of activity against the hERG channel and DPP-4;
- Molecular docking with the hERG channel and DPP-4;
- Molecular dynamics simulations of complexes with DPP-4 and hERG;
- ADMET profiling using SwissADME, pkCSM, and other specialized databases;
- Pharmacophore modeling based on known DPP-4 inhibitors and hERG-binding data;
- Assessment of potential effects on oxidative stress and mitochondrial dysfunction using validated predictors;
- Application of machine learning models trained on open datasets to predict cardiotoxicity;
- And others.

The results demonstrated that Neoglipin possesses one of the most favorable safety profile and the lowest predicted cardiotoxicity risk among the compounds evaluated.

Completed stages of the project

API Development

The structure of the drug molecule has been developed and optimized (using docking), and preliminary experiments have been conducted to confirm safety and efficacy

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FDF Development

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Based on the results of experiments, the salt form was selected, and several options for the finished dosage form were developed — both a simple direct-compression tablet and a capsule with pellets for modified release to ensure prolonged drug release

Preclinical Studies (in the final stage)

Basic safety criteria have been evaluated: acute toxicity, allergenicity, mutagenicity, metabolic stability, specificity; specificity has also been studied and efficacy confirmed. At present, the set of preclinical studies to assess the efficacy and safety of the finished dosage form of the drug is being completed

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Patent Protection

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Comprehensive patent protection covering salt forms and finished dosage forms of Neoglipin has been secured in key pharmaceutical markets, including China and India, ensuring strong intellectual property safeguards

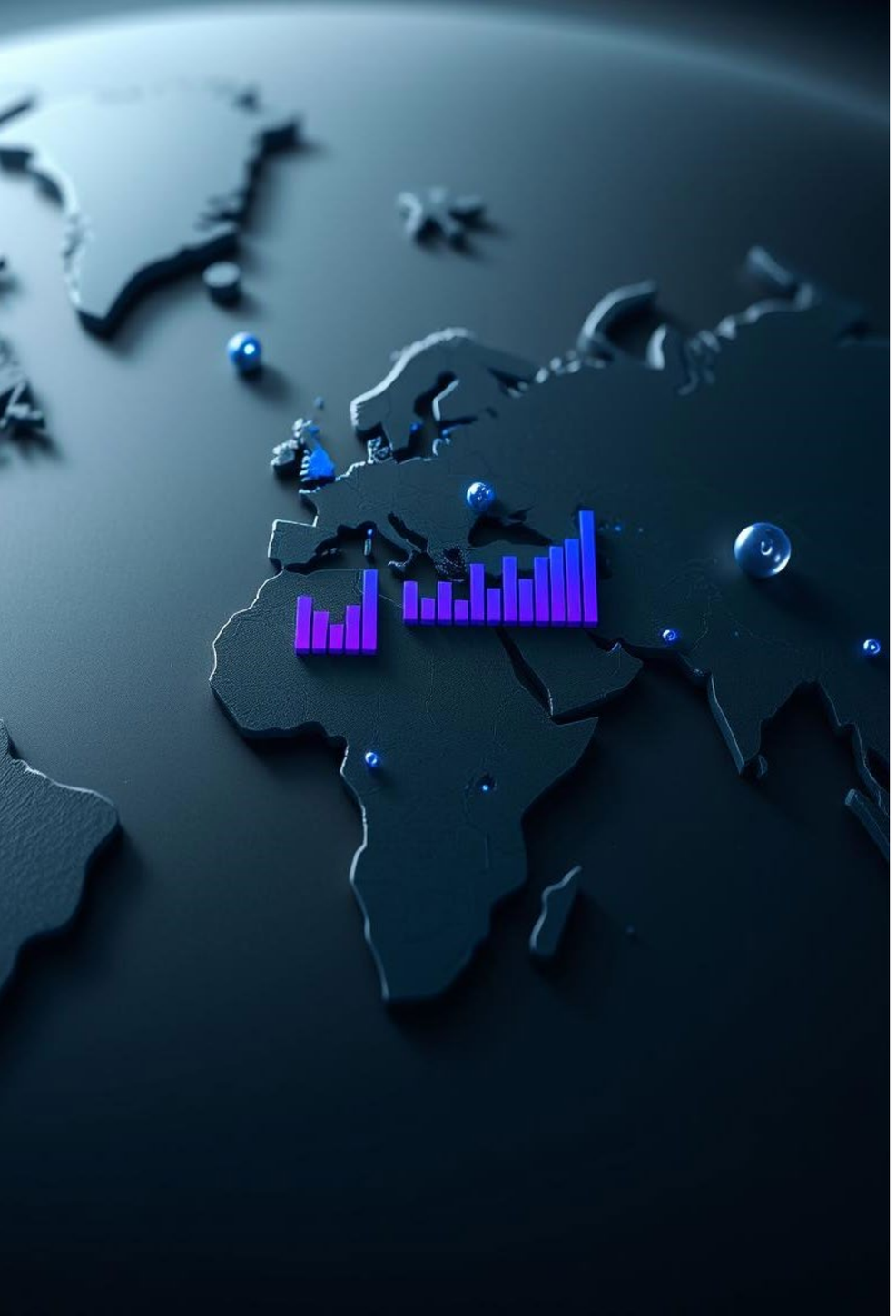
Intellectual Property

Patents for Inventions

Patents have been obtained for the active pharmaceutical ingredient “COMPOUNDS FOR TREATING TYPE 2 DIABETES” (No. WO/2020/067930) and the finished dosage form “PHARMACEUTICAL COMPOSITION BASED ON DIPEPTIDYL PEPTIDASE-4 INHIBITOR” (No. WO/2021/173036). PCT applications have been published, and regional patents have been obtained in China (CN113166149) and India (IN202247054803).

Rights and Licensing

The plan provides for the possibility of licensing and/or assigning these intellectual property rights to a dedicated joint project entity or to an established industrial pharmaceutical partner, enabling effective commercialization, streamlined development, and mutually beneficial collaboration.



Description and size of the global market

T2DM is one of the fastest-growing chronic diseases worldwide, affecting more than **480 million** people as of the latest estimates. This figure is projected to rise to over 570 million by 2030 and exceed 850 million by 2050, driven by aging populations, urbanization, and lifestyle factors.

China has the highest absolute number of adults living with T2DM, with current estimates exceeding **136 million** cases.

India ranks second globally in the number of T2DM cases, with more than **83 million** adults currently affected.

The disease poses a significant public health challenge due to its associated complications, including cardiovascular disease, kidney failure, and vision impairment, placing a substantial burden on healthcare systems globally.

Upcoming Stages

Final Development

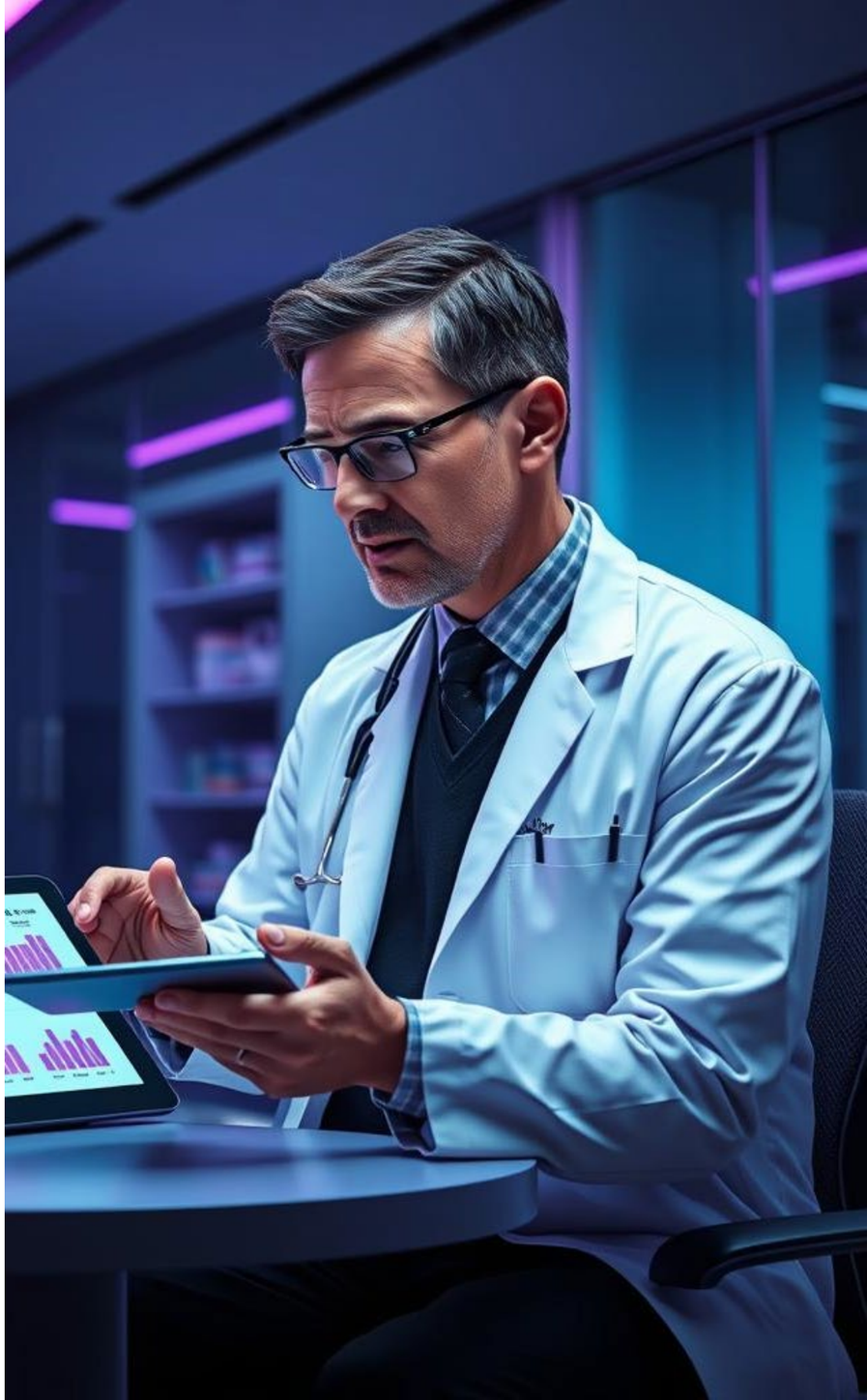
Completion of preclinical studies — including chronic toxicity testing with repeated administration and in vitro cardiotoxicity assessments — followed by submission of documentation to obtain authorization for initiating clinical trials.

Clinical Trials

Conducting clinical trials — Phase 1 (evaluation of safety in healthy volunteers) and Phases 2–3 (evaluation of efficacy, side effects, safety, and determination of optimal dosages and treatment regimens in patients). The option of combining certain phases is under consideration to optimize timelines and reduce costs.

Start of Production and Sales

Adaptation of technological processes to the production site, along with the development of pilot-scale industrial regulations and procedures.



Prospects and significance

Economic Potential

Successful treatment of T2DM has a strong commercial potential due to a rapidly growing global market. It will also generate substantial savings for the healthcare system and help minimize disability rates and decrease productivity losses caused by temporary incapacity.

Improving Quality of Life

The project has significant potential to improve the lives of millions of people living with diabetes. Its implementation could lead to a reduction in both morbidity and mortality, and the development of this drug could also help slow the spread of the disease.