BCIL **Biotech Consortium India Limited**

An Oral Anti-Diabetic Pharmaceutical Composition Comprising Novel Synthetic Alpha-Amylase Inhibitor

TECHNOLOGY AVAILABLE FOR TRANSFER

UNMET NEED / OPPORTUNITIES

- Diabetes mellitus is a group of metabolic disorders that are associated with elevated blood sugar levels. Worldwide, it is one of the **major health concerns**.
- Existing anti-diabetic drugs show certain toxicity and there is a need for safe an less toxic potential drug candidate
- Need for α-amylase inhibitors that have higher potency and make a cost effective treatment
- The global diabetes prevalence in 2019 is estimated to be 9.3% (463 million people), rising to **10.2% (578 million) by 2030 and 10.9% (700 million) by 2045**
- Oral antidiabetic drug market was **USD 65.5 billion in 2019** and will grow at a **CAGR of 10.7%** from **2020 to 2026**.

TECHNOLOGY

- The invention provides **oral pharmaceutical composition** of synthesized amino acid derivative for inhibition of alpha- amylase
  Step 1. The alpha amylase inhibitors were designed by *In-Silico* approach
  Step 2 The amino acid methyl ester was coupled with aromatic acid usin a synthetic approach
  Step 3. The ethyl acetate extract obtained after the acidification step was dried with anhydrous sodium sulfate and concentrated to give the final product (Zu08)
- **Novel Synthetic Alpha-Amylase Inhibitor (Zu08)**, it has high purity with yield of 64%.
- Use for disorders associated with aberrant activity of alpha-amylase **specifically for diabetes**

INTELLECTUAL PROPERTY

- Patent Status
  - ✓ Granted in India
  - ✓ PCT application published in 2021

CONTACT:
Dr. Purnima Sharma, Managing Director
BIOTECH CONSORTIUM INDIA LIMITED
V Floor, Anuvrat Bhawan, 210, Deen Dayal Upadhyaya Marg,
New Delhi:110002 Phone: +91-11-2321 9064-67
Fax: +91-11-23219063
Email: tto.bcil@biotech.co.in & info.bcil@biotech.co.in
Website: www.biotech.co.in

UNIQUE SELLING PROPOSITION

- **Formulation efficacy** is equal to the standard alpha amylase inhibitor-Acarbose **at half of the dose**
- Less toxic and have better efficacy **Significant higher binding affinity** with alpha-amylase
- **80% higher potency** as compared to currently available alpha-amylase inhibitor
- **40 % lower IC_{50}** as compared to Acarbose
- The said composition is suitable for various formulation like **liquid, gel, pill, capsule or tablet**
- **No side effects** were observed in *In-Vivo* studies
- Simple and **cost-effective** method of synthesis

STAGE OF DEVELOPMENT

- **TRL-4 Proof of concept** established and validated at laboratory scale using *In-Vitro and In-Vivo* studies.
- **Starch Tolerance Test (STT)-** there was significant reduction in glucose level. The change in glucose was 1.99 mg/dL than from 169 mg/dL of control. Reduction was (98.8%) of Plasma glucose (PGL) in ZU08 treated groups
- **Plasma glucose (PGL)** The Zu08 is equi-efficacious to acarbose at half of its dose. The same pharmacological effect as that of 10 mg/kg dose of acarbose can be achieved by using Zu08 at 5 mg/kg dose
- Effect of treatments on **body weight of rats** is 8%
- Treatment on **plasma total cholesterol** 84 mg/dL (reduced 40% from diseases rats)
- **Validation of Safety**

✓ Estimation of reduced glutathione (GSH) (increased by 200%) for Zu08 1.675 µM/mg protein

✓ Estimation of thiobarbituric acid reactive substances (TBARS) (reduced by 50%) for Zu08 0.58 µM/mg protein

✓ Estimation of catalase (CAT) activity (2.5 times increased) for Zu08 1.95 µM/mg protein

✓ Histopathology of pancreas showed normal appearance of the islet of Langerhans (IL) located in the exocrine tissue (ET).