LAPaL
THE LONG-ACTING THERAPEUTICS PATENTS AND LICENCES DATABASE

Developed by

medicines patent pool

UNIVERSITY OF LIVERPOOL

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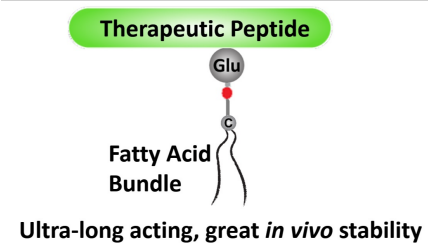


Fatty acid bundles

Verified by the innovator, on Apr 2022

Developer(s)

Immunwork, Inc.

Originator

http://www.immunwork.com/

Taiwan

http://www.immunwork.com/

Sponsor(s)

No sponsor indicated

Partnerships

No partner indicated

Technology information

Type of technology

Aqueous drug particle suspension

Administration route

Subcutaneous, Intravenous

Development state and regulatory approval

Active Pharmaceutical Ingredient (API)

Octreotide

Development Stage

Pre-clinical

Regulatory Approval

Not provided

Description

The fatty acid bundles can be employed to modify therapeutic peptides, such as GLP-1, insulin, octreotide, to enhance their association with serum albumin and hence to lengthen their in vivo half-lives. For example, the half-life of octreotide was 1.7 hours in human; after our modification, the half-life is expected to be 2 weeks, which fits a Q4W dose regimen.

Technology highlight

One-step conjugation of fatty acid bundles can significantly improve the in vivo stability and the half-life of therapeutic peptides. The long-acting products with fatty acid bundle modification can be dosed once weekly or monthly, without complicated formulation requirement. The fatty acid bundles are packed multi-arm linker units carrying two or more fatty acid chains, which will enhance the association between drug molecules and serum albumin. Thus, a stronger in vivo stability can be achieved. This technology allows the conjugation of two or more fatty acids onto a specific amino acid residue on the peptide, while maintaining the normal biological interactions with the receptors.

Technology main components

The main components is the proprietary "fatty acid bundles", which are composed of our patented multi-arm linkers and fatty acid chains. The fatty acid bundles can be conjugated to therapeutic peptides and significantly improve the in vivo stability and half-life to achieve long-acting properties.

Information on the raw materials sourcing, availability and anticipated price

The raw materials are generally available, and the manufacturing process could be transferred to other facilities. For further information, please contact Immunwork, Inc. via bd@immunwork.com

Delivery device(s)

No delivery device

APIs compatibility profile

API desired features

Water-soluble molecules

Min: 100 Unit: mg/mL
Water soluble therapeutic peptides can be modified with our fatty acid bundles.

Small molecules

Therapeutic peptides, such as GLP-1, insulin, octreotide, parathyroid hormone, etc., can be modified with our fatty acid bundles.

Proteins

Therapeutic peptides, such as GLP-1, insulin, octreotide, parathyroid hormone, etc., can be modified with our fatty acid bundles. Small size proteins (<30 kDa preferred) may also be eligible.

Additional solubility data

For multiple therapeutic peptides conjugated with our fatty acid bundles, the solubility is between 5 mg/mL to 20 mg/mL in PBS or similar aqueous buffer.

Additional stability data

Lyophilized API is very stable under -20 degree Celsius storage.

API loading: Maximum drug quantity to be loaded

< 10 wt%

API co-administration

2 different APIs : Our technology modifies API, and the final drug products are in aqueous solution form. Other soluble APIs may be co-administrated.

LogP

Not provided

Scale-up and manufacturing prospects

Scale-up prospects

Currently in 100-gram level production for early phase studies. Mass production is feasible.

Tentative equipment list for manufacturing

Not provided

Manufacturing

Not provided

Specific analytical instrument required for characterization of formulation

Not provided

Clinical trials

Not provided

Excipients

Proprietary excipients used

No proprietary excipient used

Novel excipients or existing excipients at a concentration above Inactive Ingredients Database (IID) for the specified route of administration

No novel excipient or existing excipient used

Residual solvents used

No residual solvent used

Additional features

Other features of the technology

- Other(s)

  Our technology is a modification on API, which is compatible to additional formulation and device technologies.
- Room temperature storage

Release properties

The preferred administration routes for our current aqueous solution are s.c. and i.v. injection. For s.c. injection, the Cmax can be reached after a few hours to less than two days, depending on the different drug designs.

Injectability

The API modified with the fatty acid bundle have good solubility, generally 5 - 10 mg/mL for peptide API, in aqueous solutions. It is feasible for various injection methods and devices.

Safety

Preliminary preclinical safety assessed. No specific concerns. TE-8105 (long-acting GLP-1 RA): in a study diabetic mice (db/db strain) received bioactive dose (30 nmol/kg, q4d) up to 100 days. In this study, and other studies with shorter treatment duration, no signs of toxicity were observed, in terms of behavior and cage-side observation. TE-8214 (long-acting octreotide): in a study healthy rats received a single dose of 10-time bioactive dose (1 mg/kg), no signs of toxicity were observed, in terms of blood biochemical analyses, CBC, body weight, and cage-side observation.

Stability

The stability is still a subject to be further investigated. In our preliminary studies, the drug product stability of TE-8105 (GLP-1) at 4 degree Celsius storage is at least 6 months; stability of TE-8214 (octreotide) at 25 degree Celsius storage is at least 9 months.

Storage conditions and cold-chain related features

The storage conditions are still subjects to be further investigated. Some drug candidates may be suitable for room temperature storage. In our preliminary studies, the drug product stability at 4 degree Celsius storage is at least 6 months. Stability of TE-8214 (octreotide) at 25 degree Celsius storage is at least 9 months.

Potential application(s)

Therapeutic area(s)

Other(s) : "Our fatty acid bundle technology can be applied to various therapeutic peptides. In the current stage, metabolic disorders and neuroendocrine tumors are our main focus."
Diabetes
Oncology

Use case(s)

Not provided

Use of technology

Ease of administration

- Administered by a community health worker
- Administered by a nurse
- Administered by a specialty health worker
- Self-administered

Frequency of administration

Weekly, Monthly

User acceptance

Our technology modifies therapeutic peptide APIs. These APIs are soluble in aqueous solution and could be administrated by subcutaneous or intravenous injection. It should be well accepted by users. Pre-fill syringes, implanted pumps, and other dosage form/device are likely applicable to our drug products.

Targeted user groups

Age Cohort

- Adults

Genders

- All

Pregnant individuals

Unspecified

Lactating individuals

Unspecified

Healthy individuals

Unspecified

Comment

Not provided

Potential associated API(s)

Glucagon-like peptide-1 (GLP-1) analogues (GLP-1)

Class(es)

diabetes treatment, metabolic disorder treatment

Development stage

Pre-clinical

Clinical trial number(s)

Not provided

Foreseen/approved indication(s)

type 2 diabetes, obesity, NASH

Foreseen user group

Not provided

Foreseen duration between application(s)

Two weeks

Applications to Stringent Regulatory Authorities (SRA) / regulatory approvals

Not provided

Insulins

Class(es)

diabetes treatment, metabolism disorder treatment

Development stage

Pre-clinical

Clinical trial number(s)

Not provided

Foreseen/approved indication(s)

type 1 diabetes, type 2 diabetes

Foreseen user group

Not provided

Foreseen duration between application(s)

Three days

Applications to Stringent Regulatory Authorities (SRA) / regulatory approvals

Not provided

Octreotide

Class(es)

Rare disease treatment, oncology drugs. For example somatostatin analog for acromegaly and neuroendocrine tumor treatment

Development stage

Pre-clinical

Clinical trial number(s)

Not provided

Foreseen/approved indication(s)

Acromegaly, neuroendocrine tumors, carcinoid syndromes

Foreseen user group

Not provided

Foreseen duration between application(s)

Four weeks

Applications to Stringent Regulatory Authorities (SRA) / regulatory approvals

Not provided

Patent info

Technology patent families

Patent informations

Patent description	Representative patent	Categories	Patent holder	Licence with MPP	Patent source
Multi-arm linker and fatty acid bundle technology platform Expiry date: 2038-09-19 The technology platform of using fatty acid bundles to modify therapeutic peptides and improve in vivo stability , which can be applied to various therapeutic peptides to improve in vivo stability and extend duration of action. Additional patent applications are under pending in multiple major countries and regions including China, Europe, Japan, India, Canada, Israel, Singapore, and Korea.	WO2019057087		IMMUNWORK INC	No	Company

Patent status

Patent status/countries	Low, Low- middle and upper-middle	High income
Granted	China	Australia, Japan, Korea, Republic of, United States of America, Russian Federation
Filed	China, Albania, Serbia, Türkiye, North Macedonia	Canada, Liechtenstein, Italy, Norway, Malta, Denmark, Belgium, United Kingdom, Greece, Netherlands, Hungary, Croatia, Switzerland, Spain, San Marino, Slovenia, Austria, Romania, Iceland, Cyprus, Finland, France, Bulgaria, Slovakia, Poland, Latvia, Ireland, Estonia, Germany, Luxembourg, Portugal, Czechia, Lithuania, Monaco, Sweden, Israel, Singapore, Taiwan, Province of China

Patent status/countries	Low, Low- middle and upper-middle	High income
Not in force	World Intellectual Property Organization (WIPO), Morocco, Tunisia, Bosnia and Herzegovina, Cambodia, Montenegro, Moldova, Republic of, India	World Intellectual Property Organization (WIPO)

MPP Licence(s)

Supporting material

Publications

There are no publication

Additional documents

- Ultra-long-acting GLP-1
- Ultra-long-acting insulin
- Ultra-long-acting octreotide

Useful links

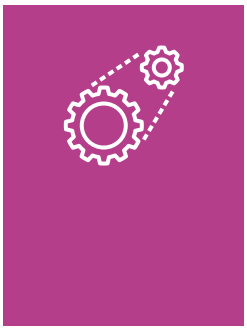
There are no additional links

Access principles

Collaborate for development

Consider on a case by case basis, collaborating on developing long acting products with potential significant public health impact, especially for low- and middle-income countries (LMICs), utilising the referred to long-acting technology

Agree



Share technical information for match-making assessment

Provide necessary technical information to a potential partner, under confidentiality agreement, to enable preliminary assessment of whether specific medicines of public health importance in LMICs might be compatible with the referred to long-acting technology to achieve a public health benefit

Agree

Work with MPP to expand access in LMICs

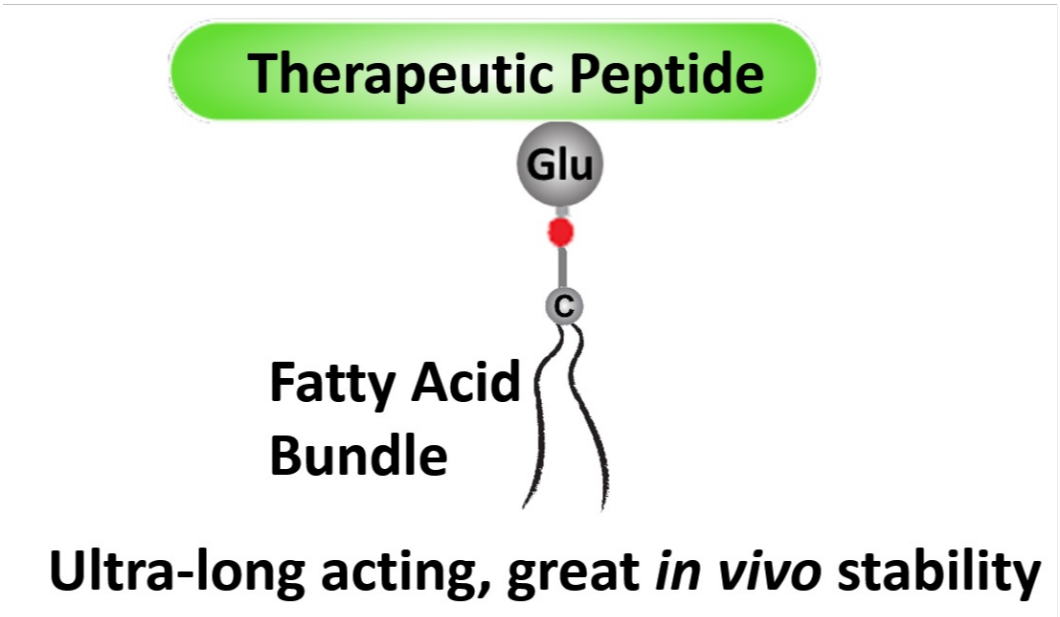
In the event that a product using the referred to long-acting technology is successfully developed, the technology IP holder(s) will work with the Medicines Patent Pool towards putting in place the most appropriate strategy for timely and affordable access in low and middle-income countries, including through licensing

Agree

Comment & Information

Immunwork, Inc. has long-acting drug candidates at preclinical stage and looks for licensing and co-developing partners. They are (1) ultra-long-acting octreotide (q4w) for acromegaly and carcinoid syndrome treatment; (2) ultra-long-acting GLP-1 RA (q2w) for type 2 diabetes treatment; (3) ultra-long-acting insulin (q3d) for diabetes treatment. In addition to these drug candidates, we are happy to initiate research collaboration based on our “fatty acid bundle” platform to generate novel ultra-long-acting therapeutic peptides. For more information, please visit: http://www.immunwork.com/

Illustrations



Fatty acid bundle conjugated therapeutic peptide