LAPaL
THE LONG-ACTING THERAPEUTICS PATENTS AND LICENCES DATABASE

Developed by

medicines patent pool

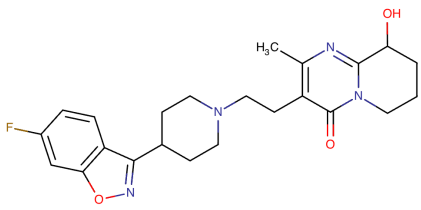
UNIVERSITY OF LIVERPOOL

CELT

Supported by

Unitaid
SAVE LIVES FASTER

leap



LY03010 (Paliperidone Palmitate Once-Monthly [PP1M])

Developer(s)

Luye Pharma Group Ltd.

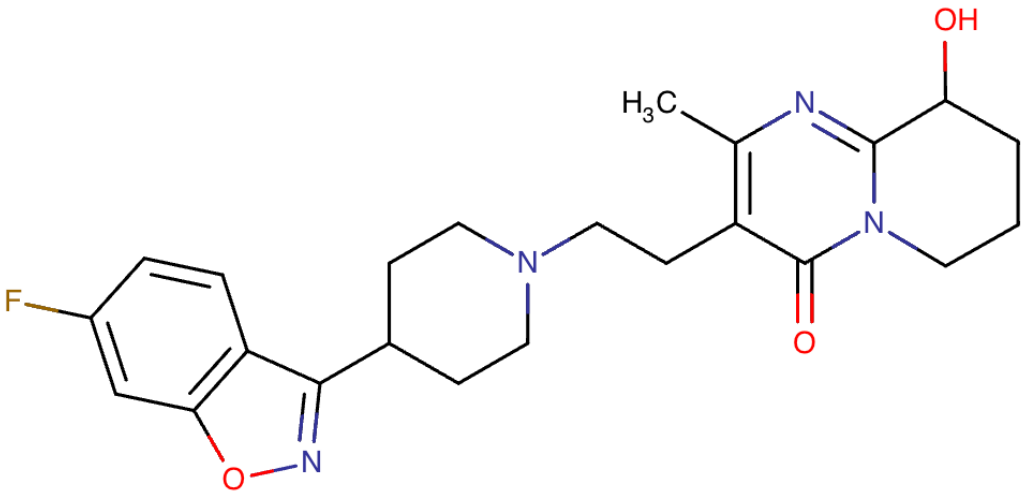
Generic

https://www.luye.cn/lvye_en/

China

Luye Pharma Group Ltd. is an international pharmaceutical company who develop and manufacture advanced drug delivery systems including microspheres, liposomes and transdermal approaches. The company has established R&D centres in China, the United States and Europe, with a robust pipeline of 40 drug candidates intended for the Chinese market and more than 10 drug candidates overseas.

Drug structure



Paliperidone Chemical Structure

Sourced from DrugBank

Drug information

Associated long-acting platforms

Aqueous drug particle suspension

Administration route

Intramuscular

Therapeutic area(s)

Mental health

Use case(s)

Treatment

Use of drug

Ease of administration

Administered by a nurse
Administered by a specialty health worker

User acceptance

Not provided

Dosage

Available dose and strength

Not provided

Frequency of administration

Not provided

Maximum dose

Not provided

Recommended dosing regimen

Not provided

Additional comments

Not provided

Dosage link(s)

Not provided

Drug information

Drug's link(s)

https://go.drugbank.com/drugs/DB01267

Generic name

LY03010 (Paliperidone Palmitate Once-Monthly [PP1M])

Brand name

ERZOFRI®

Compound type

Small molecule

Summary

LY03010 (paliperidone palmitate once-monthly injectable) marketed under the brand name ERZOFRI® is indicated for the treatment of schizophrenia and schizoaffective disorders. A New Drug Application (NDA) was submitted to the U.S. Food and Drug Administration (FDA) based on a multicenter, randomized, open-label relative bioavailability study (NCT04922593) which established the bioequivalence of LY03010 and INVEGA SUSTENNA® at steady state and comparatively evaluated the pharmacokinetics of paliperidone during the initial dosing regimen (IDR). Comparable therapeutic levels of paliperidone were observed during the IDRs of both drugs, however, LY03010 is given consistently once a month from initiation, in comparison to two weekly injections for the initiation doses of INVEGA SUSTENNA®.

Approval status

A New Drug Application (NDA) for LY03010 was submitted to the U.S. FDA via the 505(b)(2) pathway. Following this submission, Luye Pharma Group Ltd. sent a Paragraph IV patent declaration to the Marketing Authorisation Holder and the patent

owner of INVEGA SUSTENNA®. LY03010 was granted a patent (Patent No.11,666,573) in the U.S. in 2023, which will expire in 2039. On July 28 2024, the U.S. FDA approved LY03010 under the brand name ERZOFRI® for the treatment of schizophrenia in adults and schizoaffective disorder in adults as monotherapy, as well as an adjunct to mood stabilizers or antidepressants. Administered once monthly, ERZOFRI® is the first patented paliperidone palmitate long-acting injectable developed in China to be approved in the U.S.

Regulatory authorities

Unknown

Delivery device(s)

No delivery device

Scale-up and manufacturing prospects

Scale-up prospects

Compound is commercially manufactured. In June 27 2024, Luye Pharma successfully completed a Pre-Approval Inspection (PAI) conducted by the U.S. FDA at its manufacturing facility for LY03010. This inspection is a standard requirement for new drug applications and is designed to assess the facility's compliance with Good Manufacturing Practices (GMP) standards.

Tentative equipment list for manufacturing

Not provided

Manufacturing

Not provided

Specific analytical instrument required for characterization of formulation

Not provided

Clinical trials

LY03010/CT-USA-104

Identifier

NCT05321602

Link

https://clinicaltrials.gov/study/NCT05321602

Phase

Phase I

Status

Completed

Sponsor

Luye Pharma Group Ltd.

More details

This is a randomized, single-dose, open-label, parallel-group study. Patients will undergo the screening evaluations to determine eligibility within 28 days prior to study drug administration. Approximately 80 eligible patients will be randomized in a 1:1:1:1 ratio to 1 of 4 treatment groups.

Purpose

Study to Evaluate the PK Profiles of LY03010 in Patients With Schizophrenia or Schizoaffective Disorder

Interventions

Intervention 1

Experimental: LY03010 treatment group (Deltoid)

Dosage: 156 mg or 351 mg

Intervention 2

Experimental: LY03010 treatment group (Gluteal)

Dosage: 156 mg or 351 mg

Countries

United States of America

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2021-09-08

Anticipated Date of Last Follow-up

2024-03-18

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

2022-09-23

Actual Completion Date

2022-10-23

Studied populations

Age Cohort

- Adults
- Older Adults

Genders

- All

Accepts pregnant individuals

No

Accepts lactating individuals

Unspecified

Accepts healthy individuals

Yes

Comments about the studied populations

Inclusion Criteria: - Capable of giving informed consent and complying with study procedures. - Identified support person to help ensure compliance. - Stable place of residence for the 3 months prior to screening and throughout the study. - Male or female ≥18 to ≤65 years of age who meets diagnostic criteria for schizophrenia or schizoaffective disorder for at least 1 year before screening. - Have been on a stable dose of oral antipsychotic medication(s) other than risperidone, paliperidone, clozapine, ziprasidone, or thioridazine for at least 4 weeks prior to screening. - Be clinically stable based on clinical assessments. - Clinical Global Impression-Severity (CGI-S) score of 1 to 4, inclusive. - Body mass index (BMI) ≥17.0 and ≤37 kg/m2; body weight ≥50 kg.

Health status

Negative to : HIV

Study type

Interventional (clinical trial)

Enrollment

89

Allocation

Randomized

Intervention model

Parallel Assignment

Intervention model description

Not provided

Masking

Open label

Masking description

None (Open Label)

Frequency of administration

Other : "Single dose. "

Studied LA-formulation(s)

Injectable

Studied route(s) of administration

Intramuscular

Use case

Treatment

Key results

Not provided

LY03010/CT-USA-101

Identifier

NCT03751488

Link

https://clinicaltrials.gov/study/NCT03751488

Phase

Phase I

Status

Completed

Sponsor

Luye Pharma Group Ltd.

More details

This study will look at the Characteristics of LY03010 Versus INVEGA SUSTENNA® in the blood of Schizophrenia Patients

Purpose

A Study to Determine Pharmacokinetic Characteristics of LY03010 Versus INVEGA SUSTENNA® in Schizophrenia Patients

Interventions

Intervention 1

Drug: LY03010

Dosage: 117 mg, 156 mg or 351 mg

Intervention 2

Drug: Invega Sustenna in 1 ML Prefilled Syringe

Dosage: 156 mg

Countries

Not provided

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2018-12-12

Anticipated Date of Last Follow-up

2019-08-12

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

2019-07-24

Actual Completion Date

2019-07-24

Studied populations

Age Cohort

Adults

- Older Adults

Genders

- All

Accepts pregnant individuals

Unspecified

Accepts lactating individuals

Unspecified

Accepts healthy individuals

No

Comments about the studied populations

Inclusion Criteria: - Capable of giving informed consent and complying with study procedures; - Have an identified support person to help ensure compliance; - Have a stable place of residence for the 3 months prior to screening and throughout the study; - Male or female ≥18 to ≤65 years of age who meets diagnostic criteria for schizophrenia for at least 1 year before screening; - Be on a stable dose of oral antipsychotic medication(s) other than risperidone, paliperidone, clozapine, ziprasidone, or thioridazine for at least 4 weeks prior to screening; - Be clinically stable based on clinical assessments; - Clinical Global Impression-Severity (CGI-S) score of 1 to 4, inclusive; - Body mass index (BMI) ≥17.0 and ≤37 kg/m2; body weight ≥50 kg; - Creatinine level within the normal range.

Health status

Negative to : HIV

Study type

Interventional (clinical trial)

Enrollment

48

Allocation

Randomized

Intervention model

Parallel Assignment

Intervention model description

Not provided

Masking

Open label

Masking description

None (Open Label)

Frequency of administration

Other : "Single dose. "

Studied LA-formulation(s)

Injectable

Studied route(s) of administration

Intramuscular

Use case

Treatment

Key results

Not provided

LY03010/CT-USA-103

Identifier

NCT04922593

Link

https://clinicaltrials.gov/study/NCT04922593

Phase

Phase I

Status

Completed

Sponsor

Luye Pharma Group Ltd.

More details

This is a randomized, multiple-dose, open-label, parallel-group study. Subjects will undergo screening evaluations to determine eligibility within 28 days prior to study drug administration. Approximately 280 eligible subjects will be randomized in a 1:1 ratio into 1 of 2 treatment groups. Subjects will be admitted to the clinical facilities the day before dosing (Day 0), and will be randomized and receive the first dosing on Day 1. Subjects will stay at site till Day 2 after PK collection. All subjects will return to the clinical sites at designated study days for dosing, PK sample collections and assigned clinical activities. All subjects randomized to LY03010 treatment group will receive the first dose of 351 mg LY03010 by IM injection on Day 1 in the deltoid muscle, followed by five (

Purpose

Relative Bioavailability of LY03010 Compared to Listed Drug

Interventions

Intervention 1

Drug: LY03010 (paliperidone palmitate)

Dosage: 156 mg and 351 mg

Intervention 2

Drug: INVEGA SUSTENNA

Dosage: 156 mg or 234 mg

Countries

United States of America

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2021-01-13

Anticipated Date of Last Follow-up

2023-04-22

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

2022-03-15

Actual Completion Date

2022-04-15

Studied populations

Age Cohort

- Adults
- Older Adults

Genders

- All

Accepts pregnant individuals

No

Accepts lactating individuals

Unspecified

Accepts healthy individuals

Yes

Comments about the studied populations

Inclusion Criteria: - Capable of giving informed consent and complying with study procedures. - Have an identified support person to help ensure compliance. - Have a stable place of residence for the 3 months prior to screening and throughout the study. - Male or female ≥18 to ≤65 years of age who meets diagnostic criteria for schizophrenia or schizoaffective disorder for at least 1 year before screening. - Be on a stable dose of oral antipsychotic medication(s) other than risperidone, paliperidone, clozapine, ziprasidone, or thioridazine for at least 4 weeks prior to screening. - Be clinically stable based on clinical assessments. - Clinical Global Impression-Severity (CGI-S) score of 1 to 4, inclusive. - Body mass index (BMI) ≥17.0 and ≤ 37 kg/m2; body weight ≥ 50 kg.

Health status

Negative to : HIV

Study type

Interventional (clinical trial)

Enrollment

281

Allocation

Randomized

Intervention model

Parallel Assignment

Intervention model description

Not provided

Masking

Open label

Masking description

None (Open Label)

Frequency of administration

Monthly

Studied LA-formulation(s)

Injectable

Studied route(s) of administration

Intramuscular

Use case

Treatment

Key results

Type of key results	Title	Website link
Abstract	Pharmacokinetics and Safety of LY03010, a Novel Monthly Intramuscular Injectable Extended-release Paliperidone Palmitate Suspension in Patients with Schizophrenia or Schizoaffective Disorder	https://www.hmpglobalearningnetwork

LY03010/CT-USA-102

Identifier

NCT04572685

Link

https://clinicaltrials.gov/study/NCT04572685

Phase

Phase I

Status

Completed

Sponsor

Luye Pharma Group Ltd.

More details

The primary objectives of the study are to characterize the pharmacokinetic (PK) profiles of paliperidone in LY03010 P1 and P2 following a single IM injection in schizophrenia patients and to compare the PK of LY03010 P1 and P2 with that of INVEGA SUSTENNA® following a single IM injection of 156 mg dosage level.

Purpose

Evaluate the PK of LY03010 Process 1 and Process 2 Drug Product vs INVEGA SUSTENNA After Intramuscular Injection in Schizophrenia Patients

Interventions

Intervention 1

Drug: LY03010 (Manufacturing Process 1)

Dosage: 156 mg

Intervention 2

Drug: INVEGA SUSTENNA

Dosage: 156 mg

Intervention 3

Drug: LY03010 (Manufacturing Process 2)

Dosage: 156 mg

Countries

United States of America

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2020-01-22

Anticipated Date of Last Follow-up

2020-11-05

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

2020-06-26

Actual Completion Date

2020-08-20

Studied populations

Age Cohort

- Adults
- Older Adults

Genders

- All

Accepts pregnant individuals

No

Accepts lactating individuals

Unspecified

Accepts healthy individuals

No

Comments about the studied populations

Inclusion Criteria: - Male or female ≥18 to ≤65 years of age who meets diagnostic criteria for schizophrenia for at least 1 year before screening. - Have been on a stable dose of oral antipsychotic medication(s) other than risperidone, paliperidone, clozapine, ziprasidone, or thioridazine for at least 4 weeks prior to screening. - Clinically stable based on clinical assessments and a Positive and Negative Syndrome Scale (PANSS) total score ≤70 as well as a PANSS HATE (hostility, anxiety, tension and excitement) subtotal score <16 at screening. - Clinical Global Impression-Severity (CGI-S) score of 1 to 4, inclusive. - Body mass index (BMI) ≥17.0 and ≤37kg/m2; body weight ≥50 kg. - Creatinine level within the normal range.

Health status

Not provided

Study type

Interventional (clinical trial)

Enrollment

36

Allocation

Randomized

Intervention model

Parallel Assignment

Intervention model description

Not provided

Masking

Open label

Masking description

None (Open Label)

Frequency of administration

Other : "Single dose. "

Studied LA-formulation(s)

Injectable

Studied route(s) of administration

Intramuscular

Use case

Treatment

Key results

Not provided

phase 3 study of LY03010 in treatment of Schizophrenia

Identifier

Not provided

Link

Not provided

Phase

Phase III

Status

Not provided

Sponsor

Not provided

More details

Not provided

Purpose

Not provided

Interventions

Not provided

Countries

Not provided

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

Not provided

Anticipated Date of Last Follow-up

Not provided

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

Not provided

Actual Completion Date

Not provided

Studied populations

Age Cohort

Unspecified

Genders

Unspecified

Accepts pregnant individuals

Unspecified

Accepts lactating individuals

Unspecified

Accepts healthy individuals

Unspecified

Comments about the studied populations

Not provided

Health status

Not provided

Study type

Not provided

Enrollment

Not provided

Allocation

Not provided

Intervention model

Not provided

Intervention model description

Not provided

Masking

Not provided

Masking description

Not provided

Frequency of administration

Not provided

Studied LA-formulation(s)

Not provided

Studied route(s) of administration

Not provided

Use case

Not provided

Key results

Not provided

A pivotal trial for LY03010 for schizophrenia

Identifier

Not provided

Link

Not provided

Phase

Phase II

Status

Completed

Sponsor

Not provided

More details

https://www.luye.cn/lvye_en/uploads/2022-11/21/_1668997429_41ja8s.pdf

Purpose

Not provided

Interventions

Not provided

Countries

Not provided

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2020-12-21

Anticipated Date of Last Follow-up

Not provided

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

Not provided

Actual Completion Date

2022-11-21

Studied populations

Age Cohort

Unspecified

Genders

Unspecified

Accepts pregnant individuals

Unspecified

Accepts lactating individuals

Unspecified

Accepts healthy individuals

Unspecified

Comments about the studied populations

Not provided

Health status

Not provided

Study type

Not provided

Enrollment

Not provided

Allocation

Not provided

Intervention model

Not provided

Intervention model description

Not provided

Masking

Not provided

Masking description

Not provided

Frequency of administration

Not provided

Studied LA-formulation(s)

Not provided

Studied route(s) of administration

Not provided

Use case

Not provided

Key results

Type of key results	Title	Website link
Article	LY03010 ACHIEVED THE ENDPOINTS OF PIVOTAL STUDY IN THE U.S.	https://www.luye.cn/lvye_en/uploads/2011/21/_1668997429_41ja8s.pdf

A Multi-center, randomized, open, parallel control study to determine bioequivalence of multiple intramuscular injections of paliperidone palmitate

Identifier

CTR20202037

Link

Not provided

Phase

Phase I

Status

Completed

Sponsor

Luye Pharma Group/Shandong Luye Pharmaceutical Co.

More details

Not provided

Purpose

Not provided

Interventions

Not provided

Countries

China

Sites / Institutions

Not provided

Trials dates

Anticipated Start Date

Not provided

Actual Start Date

2020-12-07

Anticipated Date of Last Follow-up

Not provided

Estimated Primary Completion Date

Not provided

Estimated Completion Date

Not provided

Actual Primary Completion Date

Not provided

Actual Completion Date

2022-05-07

Studied populations

Age Cohort

Unspecified

Genders

Unspecified

Accepts pregnant individuals

Unspecified

Accepts lactating individuals

Unspecified

Accepts healthy individuals

Unspecified

Comments about the studied populations

Not provided

Health status

Not provided

Study type

Not provided

Enrollment

Not provided

Allocation

Not provided

Intervention model

Not provided

Intervention model description

Not provided

Masking

Not provided

Masking description

Not provided

Frequency of administration

Not provided

Studied LA-formulation(s)

Not provided

Studied route(s) of administration

Not provided

Use case

Not provided

Key results

Not provided

Excipients

Proprietary excipients used

Not provided

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

Not provided

Residual solvents used

Not provided

Patent info

There are either no relevant patents or these were not yet submitted to LAPaL

Supporting material

Publications

There are no publication

Additional documents

No documents were uploaded

Useful links

- Luye Pharma’s Innovative Formulation LY03010 Meets Endpoints in Pivotal U.S. Study
- NDA for Luye Pharma’s Paliperidone Palmitate Extended-release Injectable Suspension Submitted in U.S
- UPDATED PROGRESS IN RELATION TO THE MARKETING REVIEW OF LY03010 IN THE UNITED STATES
- Luye Pharma's paliperidone long-acting injection LY03010 approved for clinical trials in Europe
- Luye Pharma Pipeline
- Luye announces FDA approval or ERZOFRI

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

Not provided

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

Not provided

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

Not provided

Comment & Information

The NCT04922593 study established the bioequivalence (BE) of LY03010 and INVEGA SUSTENNA® (IS) at steady state and comparatively evaluated the pharmacokinetics of paliperidone during the IDRs (Days 1 to 28 for LY03010 and Days 1 to 35 for IS). A total of 281 adult patients were randomized (1:1) to receive 6 intramuscular (IM) injections of LY03010 (351 mg on Day 1 and 156 mg monthly thereafter) or 7 IM injections of IS (Days 1 [234 mg], 8 [156 mg], and 156 mg monthly thereafter). The 90% confidence intervals for the geometric least squares means ratios (LY03010:LD) for paliperidone Cmax and AUCtau at steady state were within the BE limits (80% to 125%). After the initial injection of 351 mg LY03010, a therapeutic level of paliperidone was reached rapidly without oral supplementation.