Drugs

PEER-REVIEWED FEATURE

Linzagolix: Adis Evaluation

Key Points

- An oral, non-peptide small molecule gonadotrophin releasing hormone (GnRH) receptor antagonist being developed by Kissei Pharmaceutical for the treatment of uterine leiomyoma and endometriosis
- Received its first approval on 17 June 2022 in the EU
- Approved for use in the treatment of moderate to severe symptoms of uterine fibroids in adult women of reproductive age

Summary

Linzagolix (Yselty®) is an orally administered, selective, non-peptide small molecule gonadotrophin releasing hormone (GnRH) receptor antagonist that is being developed by Kissei Pharmaceutical for the treatment of uterine fibroids and endometriosis in women of reproductive age.

Linzagolix binds to and blocks the GnRH receptor in the pituitary gland, modulating the hypothalamic pituitary-gonadal axis and dose-dependently reducing serum luteinising hormone (LH) and follicle-stimulating hormone (FSH) production and serum estradiol levels.

In June 2022, linzagolix was approved for the treatment of moderate to severe symptoms of uterine fibroids in adult women of reproductive age in the EU. Linzagolix is under regulatory review the USA for this indication and is in phase 3 clinical development in the treatment of pain associated with endometriosis.

Keam S.J. Drugs. 2022. https://doi.org/10.1007/s40265-022-01753-9