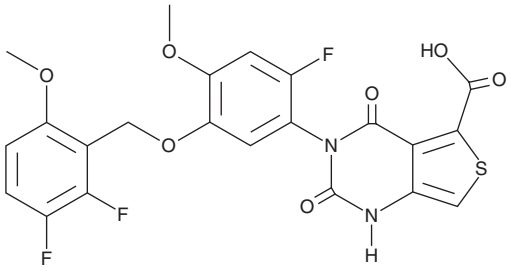
PRODUCT INFORMATION

Linzagolix

Item No. 39654

CAS Registry No.:	935283-04-8
Formal Name:	3-[5-[(2,3-difluoro-6-methoxyphenyl) methoxy]-2-fluoro-4-methoxyphenyl]-1,2,3,4-tetrahydro-2,4-dioxo-thieno[3,4-d] pyrimidine-5-carboxylic acid
Synonyms:	KLH-2109, OBE-2109
MF:	$C_{22}H_{15}F_3N_2O_7S$
FW:	508.4
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Linzagolix is supplied as a solid. A stock solution may be made by dissolving the linzagolix in the solvent of choice, which should be purged with an inert gas. Linzagolix is soluble in organic solvents such as acetonitrile and DMSO.

Description

Linzagolix is a nonpeptide gonadotropin-releasing hormone (GnRH) receptor antagonist (IC_{50} = 36.7 nM for the human receptor).[1] Unlike the peptide GnRH receptor antagonist cetrorelix (Item No. 23910), linzagolix (10 µM) does not induce histamine release in isolated rat peritoneal mast cells.[2] Linzagolix (25 and 50 mg/kg) suppresses the menstrual cycle in normal cynomolgus monkeys.[1] It decreases serum luteinizing hormone (LH) levels in ovariectomized cynomolgus monkeys when administered at doses ranging from 1 to 100 mg/kg. Linzagolix (50-200 mg/kg, p.o.) decreases cyst volume in a rat model of endometriosis induced by autotransplantation of endometrial tissue into the renal subcapsular space.[2] Formulations containing linzagolix have been used in the treatment of uterine fibroids.

References

1. Tezuka, M., Tamai, Y., Kuramochi, Y., *et al.* Pharmacological characterization of linzagolix, a novel, orally active, non-peptide antagonist of gonadotropin-releasing hormone receptors. *Clin. Exp. Pharmacol. Physiol.* **49(10)**, 1082-1093 (2022).
2. Tezuka, M., Tsuchioka, K., Kobayashi, K., *et al.* Suppressive effects of linzagolix, a novel non-peptide antagonist of gonadotropin-releasing hormone receptors, in experimental endometriosis model rats. *Clin. Exp. Pharmacol. Physiol.* **50(7)**, 610-617 (2023).

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