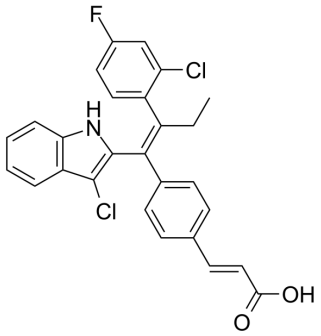
LX-039

Cat. No.:	HY-143439
CAS No.:	2135341-09-0
Molecular Formula:	$C_{27}H_{20}Cl_2FNO_2$
Molecular Weight:	480.36
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LX-039 is a highly potent, selective and orally active estrogen receptor degrader with EC_{50} value of 2.29 nM. LX-039 has indole C-3 chlorine atom. LX-039 exhibits excellent mouse pharmacokinetics, low clearance, high C_{max} and oral exposure. LX-039 has anti-tumor activity[1].

IC_{50} & Target

Estrogen receptor
2.29 nM (EC50)

In Vivo

LX-039 (2.5 mg/kg; PO and IV; single) exhibits excellent mouse pharmacokinetics, low clearance, high C_{max} and oral exposure[1].

LX-039 (6.5 mg/kg, 20 mg/kg and 60 mg/kg; PO; daily for 21 days) inhibits tumor growth in a dose-dependent manner[1].

LX-039 (1 mg/kg; PO and IV; single) displays a moderate to low clearance (Cl) plus good oral bioavailability (F%) in both rat and dog[1].

Pharmacokinetic Parameters of LX-039 in CD-1 mouse[1].

	IV	PO (2.5 mg/kg)
Vd (L/kg)	0.5	
CL (mL/min/kg)	1.4	
C_{max} (nM)		1873
AUC_{0-24} (nM·h)		15329
F (%)		60.1

Pharmacokinetic Parameters of LX-039 in SD rat and Beagle dog[1].

	SD Rat	Beagle Dog

Vd (L/kg, iv)	2	0.42
CL (mL/min/kg, iv)	7.7	0.84
C_0, iv (nM), 1 mg/kg	2610	12800
AUC_{0-24}, iv (nM·h), 1 mg/kg	4820	43800
C_{max}, po (nM), 1 mg/kg	381	2550
AUC_{0-24}, po (nM·h), 1 mg/kg	2700	24200
F (%)	56	55

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lu J, Chan CC, Sun D, et al. Discovery and preclinical profile of LX-039, a novel indole-based oral selective estrogen receptor degrader (SERD). Bioorg Med Chem Lett. 2022;66:128734.

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