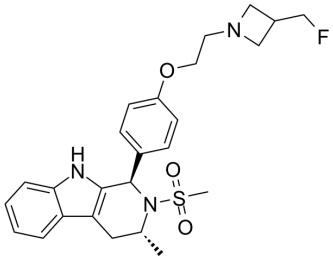
GNE-502

Cat. No.:	HY-132294
CAS No.:	1953134-16-1
Molecular Formula:	$C_{25}H_{30}FN_3O_3S$
Molecular Weight:	471.59
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (212.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1205 mL	10.6024 mL	21.2049 mL
	5 mM	0.4241 mL	2.1205 mL	4.2410 mL
	10 mM	0.2120 mL	1.0602 mL	2.1205 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GNE-502 is an orally active and potent degrader for estrogen receptor (ER). GNE-502 can be used for the research of breast cancer[1].

IC_{50} & Target

ER[1]

In Vivo

GNE-502 (10 and 100 mg/kg; p.o.) possesses sufficient oral exposure to be tested in a WT MCF7 tumor xenograft model[1].
GNE-502 shows dose dependent tumor growth inhibition at 10 mg/kg and 30 mg/kg, with tumor stasis at 100 mg/kg[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mouse[1]
Dosage:	10 and 100 mg/kg (Pharmacokinetic Analysis)
Administration:	p.o.; single dosage
Result:	Possessed sufficient oral exposure to be tested in a WT MCF7 tumor xenograft model.

REFERENCES

[1]. Zbieg JR, et al. Discovery of GNE-502 as an Orally Bioavailable and Potent Degrader for Estrogen Receptor Positive Breast Cancer [published online ahead of print, 2021 Aug 20]. Bioorg Med Chem Lett. 2021;128335.

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