# GNE-149

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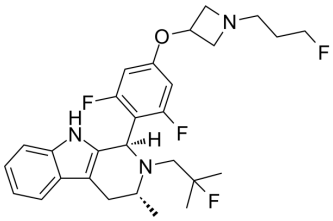
| **Cat. No.:** | HY-145341 |
| **CAS No.:** | 1953132-75-6 |
| **Molecular Formula:** | $C_{28}H_{33}F_4N_3O$ |
| **Molecular Weight:** | 503.57 |
| **Target:** | Estrogen Receptor/ERR |
| **Pathway:** | Vitamin D Related/Nuclear Receptor |
| **Storage:** | 4°C, protect from light<br>* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (99.29 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.9858 mL | 9.9291 mL | 19.8582 mL |
| | 5 mM | 0.3972 mL | 1.9858 mL | 3.9716 mL |
| | 10 mM | 0.1986 mL | 0.9929 mL | 1.9858 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

GNE-149 is an orally bioavailable full antagonist of estrogen receptor α (ERα; $IC_{50}$=0.053 nM). GNE-149 is a selective estrogen receptor degrader (SERD). GNE-149 can be used for the research of breast cancer[1].

**$IC_{50}$ & Target**

ERα
0.053 nM ($IC_{50}$)

**In Vitro**

GNE-149 exhibits antiproliferative activity in MCF7 and T47D cells with $IC_{50}$s of 0.66 and 0.69 nM, respectively[1].
GNE-149 exhibits ERα Degradation in MCF7 and T47D cells with $IC_{50}$s of 0.053 and 0.031 nM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

GNE-149 (0.3-30 mg/kg) exhibits in vivo efficacy in an MCF7 xenograft mouse model harboring either wild-type (WT) ERα or overexpressed Y537S mutant[1].
GNE-149 has favorable pharmacokinetic profile, including total clearance (CL; 19, 8, and 13 mL/min/kg for Rat, Dog, and Cyno) and oral bioavailability (F; 31%, 49%, and 28% for Rat, Dog, and Cyno)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| Animal Model: | Female Crl:NU Foxn1 $^{nu}$ mice (at 7 weeks of age) bearing wild-type (WT) ERαor overexpressed Y537S mutant MCF7 tumor[1] |
| Dosage: | 0.3, 1, 3, 10, and 30 mg/kg |
| Administration: | Orally q.d. for 21 days |
| Result: | Exhibited dose-dependent efficacy in the MCF7 WT and Y537S mutant xenograft model, with tumor regression observed at all doses above 0.3 mg/kg in Y537S mutant xenograft model. |

## REFERENCES

[1]. Jun Liang, et al. Discovery of GNE-149 as a Full Antagonist and Efficient Degrader of Estrogen Receptor alpha for ER+ Breast Cancer. ACS Med Chem Lett. 2020 May 26;11(6):1342-1347.



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