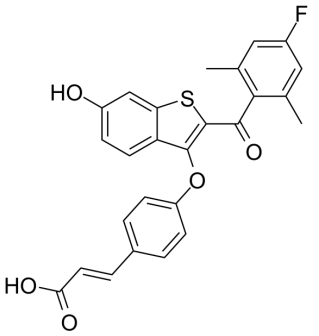
Rintodestrant

Cat. No.:	HY-137449
CAS No.:	2088518-51-6
Molecular Formula:	$C_{26}H_{19}FO_5S$
Molecular Weight:	462.49
Target:	Estrogen Receptor/ERR; CDK
Pathway:	Others; Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (108.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1622 mL	10.8110 mL	21.6221 mL
	5 mM	0.4324 mL	2.1622 mL	4.3244 mL
	10 mM	0.2162 mL	1.0811 mL	2.1622 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rintodestrant (G1T48) is an orally active, non-steroidal and selective estrogen receptor degrader. Rintodestrant (G1T48) is also a CDK4/6 inhibitor[1].

In Vitro

Rintodestrant (G1T48) is a potent and efficacious inhibitor of estrogen-mediated transcription and proliferation in ER-positive breast cancer cells, similar to the pure antiestrogen fulvestrant[1].
Rintodestrant (G1T48) selectively inhibits the growth of ER-positive, but not ER-negative, breast cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MCF7 cells.
Concentration:	1 pM-1 μM.
Incubation Time:	18 h.
Result:	Downregulates the estrogen receptor in breast cancer cells. Significantly inhibited estrogen-mediated growth of MCF7 cells demonstrating approximately threefold higher potency when compared to Fulvestrant. Does not impact apoptosis in MCF7 breast cancer cells.

In Vivo

Rintodestrant (G1T48, 30 or 100 mg/kg) inhibits estrogen signaling in endocrine-resistant breast cancer models[1].

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

Animal Model:	MCF7 xenograft tumors[1].
Dosage:	30 or 100 mg/kg.
Administration:	P.O. daily for 28 days.
Result:	Demonstrated dose-dependent inhibition of TamR tumor growth.

REFERENCES

[1]. Kaitlyn J Andreano, et al. G1T48, an oral selective estrogen receptor degrader, and the CDK4/6 inhibitor lerociclib inhibit tumor growth in animal models of endocrine-resistant breast cancer. Breast Cancer Res Treat. 2020 Apr;180(3):635-646.

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