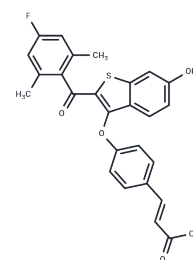


Rintodestrant

Chemical Properties

CAS No. :	2088518-51-6
Formula:	C ₂₆ H ₁₉ F ₅ O ₅ S
Molecular Weight:	462.49
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Rintodestrant (G1T48) is an orally active, non-steroidal, selective estrogen receptor degrader (SERD) that also functions as a CDK4/6 inhibitor.
In vitro	Rintodestrant (G1T48) serves as a potent and effective inhibitor, targeting estrogen-mediated transcription and proliferation specifically in ER-positive breast cancer cells, mirroring the efficacy of the pure antiestrogen agent fulvestrant. Its activity is exclusive to ER-positive breast cancer cells, showing no effect on ER-negative cell types. In a Cell Viability Assay utilizing MCF7 cells at concentrations ranging from 1 pM to 1 μM over an incubation period of 18 hours, Rintodestrant demonstrated a significant downregulation of the estrogen receptor, markedly inhibiting estrogen-stimulated growth in these cells with a potency approximately threefold greater than that of Fulvestrant. Notably, this inhibition does not influence apoptosis within MCF7 breast cancer cells.
In vivo	Rintodestrant (G1T48, 30 or 100 mg/kg) effectively suppresses estrogen signaling in models of endocrine-resistant breast cancer, specifically in MCF7 xenograft tumors[1]. Administered orally at doses of 30 or 100 mg/kg daily for a duration of 28 days, it exhibits a dose-dependent reduction in TamR tumor growth.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1622 mL	10.811 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
50 mM	0.0432 mL	0.2162 mL	0.4324 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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