Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

LSZ-102

Catalog No: tcsc0042193

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
2135600-76-7

Formula:
$C_{25}H_{17}F_3O_4S$

Pathway:
Others

Target:
Estrogen Receptor/ERR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
470.46

Product Description

LSZ-102 is a potent, orally bioavailable selective **estrogen receptor** degrader with an **IC_{50}** of 0.2 nM.

IC50 & Target: estrogen receptor[1]

In Vitro:

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LSZ-102 is a potent, orally bioavailable selective estrogen receptor degrader with an IC_{50} of 0.2 nM and currently in Phase I/Ib trials for the treatment of ERα positive breast cancer. LSZ-102 induces significant degradation of ERα after 24 h, when given as a 10 μM solution to MCF-7 cells. Robust inhibition of cell proliferation in MCF-7 cells is observed upon incubation with LSZ-102 with a half inhibitory concentration of 1.7 nM. Results demonstrate that LSZ-102 effectively inhibits the estrogen-induced activation of the ERE-luciferase reporter using charcoal-stripped serum treated with E2 with IC_{50} of 0.3 nM[1].

In Vivo: Treatment of the mice with LSZ-102 once daily at 20 mg/kg results in significant tumor growth inhibition as compare to the control group treated with vehicle alone, resulting in tumor stasis (mean change in tumor volume of LSZ-102 vs control=%ΔT/ΔC of 2.4% on day 48, p[1].