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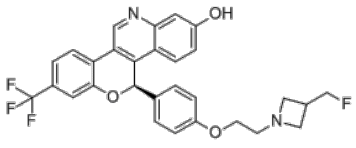
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Imlunestrant
Cat. No.	:	PC-73421
CAS No.	:	2408840-26-4
Molecular Formula	:	$C_{29}H_{24}F_4N_2O_3$
Molecular Weight	:	524.516
Target	:	Estrogen Receptor/ERR
Solubility	:	10 mM in DMSO



Biological Activity

Imlunestrant (LY3484356) is a potent, oral, selective **estrogen receptor** degrader (SERD) and pure estrogen receptor antagonist with Ki values of 0.64 nM and 2.8 nM against WT ERα and Y537S mutant ERα proteins, respectively.
LY 3484356 is a potent and highly efficient degrader of wild type ERα and Y537N mutant ERα proteins in cells, with IC50 values 3.0 nM and 9.6 nM, respectively.
LY3484356 is also a potent inhibitor of ERα-mediated transcription in vitro and in vivo.
LY 3484356 inhibits cell proliferation in wild type ERα and ESR1 Y537N mutant breast cancer cell lines with IC50 of 3 and 17 nM, respectively. LY3484356 has IC50 of <100 nM against a panel of ER+ breast cancer cell lines.
LY3484356 has demonstrated sustained and prolonged target inhibition in ESR1 wild type (MCF7) and ESR1 Y537S mutant (ST941/C) xenograft tumors.
LY3484356 demonstrated significant tumor growth inhibition and tumor regressions in wild type ESR1 breast cancer xenograft models such as MCF7, T47D and ZR-75-1, as well as ESR1 mutant breast cancer PDX models.
LY3484356 has shown synergy or additivity in combination with CDK4/6 inhibitor abemaciclib, mTOR inhibitor everolimus and PIK3CA inhibitor alpelisib in inhibiting cell proliferation in ER+ breast cancer cell lines in vitro and tumor growth inhibition in relevant xenograft or PDX models in vivo.

References

Shripad V. Bhagwat, et al. ***Cancer Res*** (2021) 81 (13_Supplement): 1236.

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