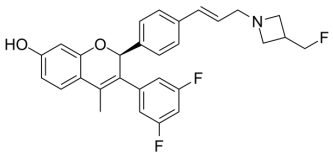
Bexirestrant

Cat. No.:	HY-145556
CAS No.:	2505067-70-7
Molecular Formula:	$C_{29}H_{26}F_3NO_2$
Molecular Weight:	477.52
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Bexirestrant is an orally active ER-α degrader. Bexirestrant can be used for the research of antiestrogen, antineoplastic[1][2].

In Vitro

Bexirestrant (compound Formula Ia) inhibits the growth of wild type (WT), Y537S and D538G mutated MCF-7 cells with IC_{50}s of 0.3. 6.0, 2.2 nM, respectively[1].

Bexirestrant induces the ER-α degradation in WT, Y537S and D538G mutated MCF-7 cells with IC_{50}s of 0.3. 19.6, 12.7 nM, respectively[1].

Bexirestrant shows 16.3% ER-α remaining in WT MCF-7 cells at concentration of 1 nM[1].

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

In Vivo

Bexirestrant (50mg/kg; p.o.; 28 days) shows a good efficacy in an MCF-Y537S xenograft[1].Pharmacokinetic parameters in rat at 50 mg/kg p.o. dose[1]

T_{max} (h)	C_{max} (ng/mL)	AUC_{last} (hr× ng/mL)	AUC_{inf_obs} (hr×ng/mL)
4.00	343	7582	9804

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Animal Model:	Female athymic nude mice harboring subcutaneous MCF7-Y537S xenograft[1]
Dosage:	50mg/kg
Administration:	p.o. for 28 days
Result:	Showed 56% tumor growth inhibition compared to vehicle group after 28 days.

REFERENCES

[1]. Ranjan Kumar Pal, et al, Selective estrogen receptor degrader. WO2021014386 A1.

[2]. WHO Drug Information, Vol. 35, No. 4, 2021. Geneva: World Health Organization; 2022.

Caution: Product has not been fully validated for medical applications. For research use only.

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