PRODUCT INFORMATION

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XY-018

Item No. 43111

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CAS Registry No.: Formal Name:	1873358-87-2 N-[2'-fluoro-4'-[2,2,2-trifluoro-1-hydroxy-1- (trifluoromethyl)ethyl][1,1'-biphenyl]-4-yl]-2- nitro-benzeneacetamide	F F F
MF:	$C_{23}H_{15}F_7N_2O_4$	
FW:	516.4	
Purity:	≥98%	
Supplied as:	A solid	Н
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.		

Laboratory Procedures

XY-018 is supplied as a solid. A stock solution may be made by dissolving the XY-018 in the solvent of choice, which should be purged with an inert gas. XY-018 is slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

XY-018 is an inverse agonist of retinoic acid receptor-related orphan receptor γ (ROR γ).¹ It induces ROR γ transcriptional activity in a reporter assay using LNCaP cells (IC₅₀ = 0.19 μ M). XY-018 selectively induces ROR γ transcriptional activity over ROR α transcriptional activity in HEK293T cells (IC₅₀ = 7.57 μ M). It reduces the proliferation of androgen receptor-positive LNCaP, 22Rv1, and C4-2B and androgen receptornegative DU145 and PC3 prostate cancer cells (IC₅₀s = 5.14, 9, 9.2, 28.43, and 11.14 μ M, respectively). XY-018 (40 mg/kg per day) decreases tumor volume and induces apoptosis in a patient-derived xenograft (PDX) mouse model of castration-resistant prostate cancer.²

References

- 1. Zhang, Y., Wu, X., Xue, X., et al. Discovery and characterization of XY101, a potent, selective, and orally bioavailable RORy inverse agonist for treatment of castration-resistant prostate cancer. J. Med. Chem. 62(9), 4716-4730 (2019).
- 2. Zhang, X., Huang, Z., Wang, J., et al. Targeting feedforward loops formed by nuclear receptor RORy and kinase PBK in mCRPC with hyperactive AR signaling. Cancers (Basel) 13(7), 1672 (2021).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM