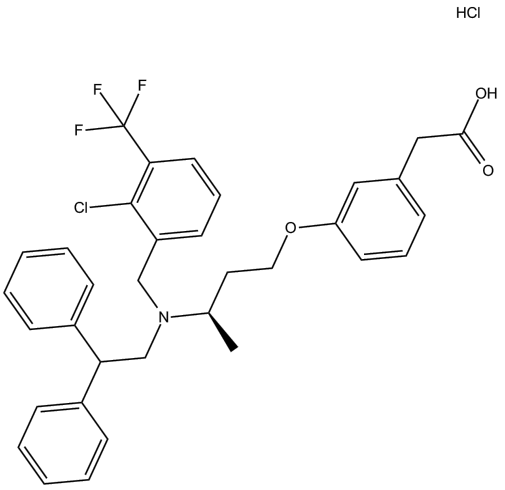
Product Data Sheet

Product Name: RGX-104 Hydrochloride
Cat. No.: GC19448

Chemical Properties

Cas. No.	610318-03-1		
SMILES	O=C(O)CC1=CC=CC(OCC[C@H](N(CC2=CC=CC(C(F)(F)F)=C2Cl)CC(C3=CC=CC=C3)C4=CC=CC=C4)C)=C1.Cl		
Formula	$C_{34}H_{34}Cl_2F_3NO_3$	M.Wt	632.54
Solubility	DMSO : ≥ 130 mg/mL (218.09 mM);Water : < 0.1 mg/mL (insoluble)	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

RGX-104 Hydrochloride is an orally active liver X receptor (LXR) agonist that modulates innate

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immunity by activating the transcription of the apolipoprotein E (ApoE) gene[1, 2]. Up-regulation of ApoE promotes the clearance of immunosuppressive cells, such as myeloid-derived suppressor cells (MDSCs) and regulatory T cells (Tregs), thereby reversing the immunosuppressive state of the tumor microenvironment and enhancing antitumor immune responses[3]. RGX-104 Hydrochloride also exhibits antitumor activity and induces cell pyroptosis[4, 5].

References:

[1] Tavazoie M F, Pollack I, Tanqueco R, et al. LXR/ApoE activation restricts innate immune suppression in cancer[J]. Cell, 2018, 172(4): 825-840. e18.
[2] Mita M, Mita A, Chmielowski B, et al. Abstract B001: A phase 1 trial of RGX-104, a first-in-class immunotherapy targeting the liver-X nuclear hormone receptor (LXR), in patients with refractory malignancies[J]. Molecular Cancer Therapeutics, 2018, 17(1_Supplement): B001-B001.
[3] Zhang J, Zhu X, Han L, et al. Cholesterol Metabolism-Mediated Immune Regulation and Cancer Immunotherapy[J]. Available at SSRN 4760648.
[4] Liang H, Shen X. LXR activation radiosensitizes non-small cell lung cancer by restricting myeloid-derived suppressor cells[J]. Biochemical and Biophysical Research Communications, 2020, 528(2): 330-335.
[5] Qiu W, Su W, Xu J, et al. Immunomodulatory-Photodynamic Nanostimulators for Invoking Pyroptosis to Augment Tumor Immunotherapy[J]. Advanced Healthcare Materials, 2022, 11(21): 2201233.

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