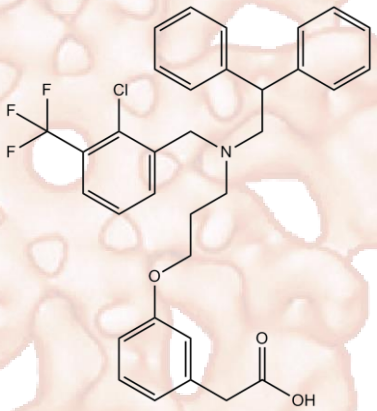
Product Data Sheet

GW3965



Cat. No.:	A3454
CAS No.:	405911-09-3
Formula:	C33H31ClF3NO3
M.Wt:	582.05
Synonyms:	GW 3965;GW-3965
Target:	Others
Pathway:	LXR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

Soluble in DMSO

Preparing Stock Solutions

Concentration \ Mass	1mg	5mg	10mg
1 mM	1.7181 mL	8.5903 mL	17.1807 mL
5 mM	0.3436 mL	1.7181 mL	3.4361 mL
10 mM	0.1718 mL	0.8590 mL	1.7181 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	HLXRα/hLXRβ agonist,potent and selective
IC_{50} & Target	190 nM (LXRα), 30 nM (LXRβ)

In Vitro

Cell Viability Assay

Cell Line:	U87 and U87-EGFRvIII GBM cells,
Preparation method:	Soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	2-5 μM, 4 days
Applications:	In U87 and U87-EGFRvIII GBM cells, treatment with GW3965 (2-5 μM) for 4 days dose-dependently inhibited growth and promoted tumor cell death.

		Low-dose GW3965 (1 or 2 μM) induced ABCA1. In U87 and U87-EGFRvIII GBM cells, GW3965 (5 μM, 24h) upregulated expression of the cholesterol transporter gene ABCA1 and the E3 ubiquitin ligase IDOL and reduced LDLR levels. GW3965 (1 or 5 μM) displayed a minor inhibitory effect on fibrinogen binding and P-selectin exposure. GW3965 (10 μM) reduced the levels of fibrinogen and P-selectin on the platelet surface.
In Vivo	**Animal experiment**	
	Animal models:	SCID/Beige mice xenografted with isogenic human malignant glioma cells (U87, U87-EGFRvIII); C57BL/6 mice
	Dosage form:	Oral gavage, 40 mg/kg, daily for 12 days
	Applications:	In mice bearing U87/EGFRvIII cells, GW3965 (40 mg/kg daily by oral gavage) for 12 days potently inhibited GBM growth, promoted tumor cell death and inhibited tumor growth. In C57BL/6 mice, GW3965 (2 mg/kg, i.v.) increased bleeding time and modulated platelet thrombus formation.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Bassem M. Shoucri, Eric S. Martinez, et al. "Retinoid X receptor activation alters the chromatin landscape to commit mesenchymal stem cells to the adipose lineage." Endocrinology. 2017 Jul.

See more customer validations on www.apexbt.com.

References

[1]. Guo D, Reinitz F, Youssef M, et al. An LXR agonist promotes glioblastoma cell death through inhibition of an EGFR/AKT/SREBP-1/LDLR–dependent pathway[J]. Cancer discovery, 2011.

[2]. Spyridon M, Moraes L A, Jones C I, et al. LXR as a novel antithrombotic target[J]. Blood, 2011, 117(21): 5751-5761.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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