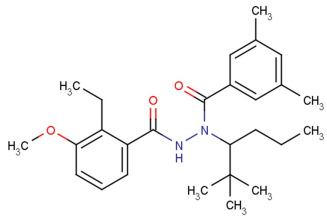
Data Sheet (Cat.No.T13293)

TargetMol
A DRUG SCREENING EXPERT

Veledimex racemate

Chemical Properties



CAS No.:	755013-59-3
Formula:	C27H38N2O3
Molecular Weight:	438.6
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Veledimex racemate is the racemate of veledimex. Veledimex is an orally available and small-molecule activator ligand for the RheoSwitch Therapeutic System.
Targets(IC_{50})	Others: None
In vitro	Interleukin 12 (IL-12) is a pro-inflammatory cytokine critical for stimulating anti-cancer immune responses. Ad-RTS-IL-12 is an adenovirus vector engineered to express hIL-12. Veledimex is an orally active small molecule diacylhydrazine, which can control the expression of target genes. The amount of gene product produced by the system and the duration of action depend on the dose level of veledimex and the time of administration.
In vivo	In murine melanoma, breast cancer, and glioma models, Intratumoral administration of Ad-RTS-mIL-12 along with oral administration of veledimex elicits dose-dependent antitumor effects, which correlates with increased plasma exposure of veledimex. The increase in tumor veledimex levels in combination with Ad-RTS-mIL-12 results in a dose-related increase in the IL-12 mRNA (switch on) leading to dose-related increases in IL-12p70 in the tumor with minimal increase in serum IL-12. The increase in tumor IL-12 correlates with an increase in tumor CD8+ cytotoxic T cells and a concomitant decrease in regulatory T cells in the tumor microenvironment, which leads to Ad-RTS-mIL-12 + veledimex–elicited dose-related decreases in tumor growth rate with no significant change in body weight in both breast and melanoma syngeneic mouse models. Veledimex has moderate to low oral bioavailability after a single oral administration in mice and monkeys (-56% in mice and up to 17.4% in cynomolgus monkeys) with mostly low plasma clearance (1399 and 1170 mL/h per kilogram in mice and monkeys, respectively), the high volume of distribution (20271 and 9180 mL/h per kilogram in mice and monkeys, respectively), and long terminal half-lives (-10 hours in mice and -30 hours in monkeys) after intravenous administration.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.28 mL	11.4 mL	22.8 mL
5 mM	0.456 mL	2.28 mL	4.56 mL
10 mM	0.228 mL	1.14 mL	2.28 mL
50 mM	0.046 mL	0.228 mL	0.456 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Cai H, et al. Plasma Pharmacokinetics of Veledimex, a Small-Molecule Activator Ligand for a Proprietary GeneTherapy Promoter System, in Healthy Subjects. Clin Pharmacol Drug Dev. 2017 May;6(3):246-257.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481