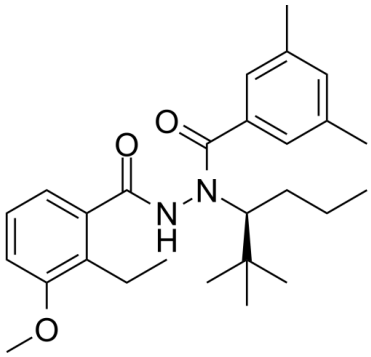
Data Sheet

Product Name:	Veledimex (S enantiomer)
Cat. No.:	CS-6930
CAS No.:	1093131-03-3
Molecular Formula:	C27H38N2O3
Molecular Weight:	438.60
Target:	Cytochrome P450; Interleukin Related
Pathway:	Immunology/Inflammation; Metabolic Enzyme/Protease
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

Veledimex S enantiomer is the S enantiomer of veledimex. Veledimex is an oral activator ligand for a proprietary gene therapy promoter system, and a moderate inhibitor of and substrate for **CYP3A4/5**. **In Vivo:** Veledimex generally 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), 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[1]. Ad-RTS-mIL-12 + veledimex have demonstrated a dose-related increase in tumor IL-12 mRNA and IL-12 protein expression. Discontinuation of veledimex resulted in a return to baseline IL-12 mRNA and protein expression in numerous syngeneic mouse tumor models. Veledimex crosses the blood-brain-barrier in both naive and orthotopic GL-261 mice with increased brain tissue level of -6 fold observed in tumor bearing vs. normal mice. Ad-RTS-mIL-12 + veledimex demonstrate a dose-related increase in survival without significant adverse events[2].

References:

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

[2]. John A. Barrett, INTRATUMORAL REGULATED EXPRESSION OF IL-12 AS A GENE THERAPY APPROACH TO TREATMENT OF GLIOMA. Neuro Oncol. 2015 Nov; 17(Suppl 5): v113.

CAIndexNames:

Benzoic acid, 2-ethyl-3-methoxy-, 2-(3,5-dimethylbenzoyl)-2-[(1S)-1-(1,1-dimethylethyl)butyl]hydrazide

SMILES:

O=C(NN(C(C1=CC(C)=CC(C)=C1)=O)[C@H](C(C)(C)C)CCC)C2=CC=CC(OC)=C2CC

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