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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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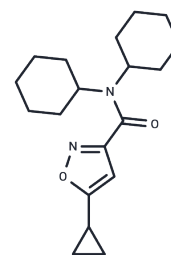
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CYM-5541

Chemical Properties

CAS No. : 945128-26-7
Formula: C₁₉H₂₈N₂O₂
Molecular Weight: 316.44
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	CYM-5541 (ML249) is a selective and allosteric S1P3 receptor agonist.
Targets(IC50)	S1P Receptor,LPL Receptor
In vitro	CYM-5541 is a full agonist, able to reach the maximum level of ERK phosphorylation that is observed with S1P. CYM-5541 has an EC ₅₀ of between 72 and 132 nM and exhibits exquisite selectivity over other S1P receptor subtypes: S1P1 EC ₅₀ >10 μM, S1P2 EC ₅₀ >50 μM, S1P4 EC ₅₀ >50 μM, and S1P5 EC ₅₀ >25 μM. CYM-5541 also shows selectivity over a large panel of protein targets, with no significant activities, in the Ricerca profiling panel of 55 GPCRs, ion channels, and transporters. CYM-5541 allowed us to identify an allosteric site where F263 is a key gate-keeper residue for its affinity and efficacy. The novel allosteric hydrophobic pocket may account for the S1P3 selectivity of CYM-5541[1].
Kinase Assay	Jump-In TI CHO-K cells stably expressing WT or mutant S1P3 are serum-starved for 4 hrs. They are then incubated at 4 °C for 30 min in the binding buffer containing 20 mM Tris-HCl (pH 7.5), 100 mM NaCl, 15 mM NaF, 0.5 mM EDTA, 1 mM Na ₃ VO ₄ , 0.5% fatty acid-free bovine serum albumin, and protease inhibitor mixture with 0.1 nM [³³ P]S1P and increasing concentrations of S1P, SPM-242, or CYM-5541. Cells are washed three times with cold binding buffer. Cell-bound radioactivity is measured by lysing the cells with 0.5% SDS followed by liquid scintillation counting. The raw data is normalized so that the level of [³³ P]S1P bound to each cell line (WT or mutant) in the absence of competing ligand is referenced as 100% for its own cell line[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (158.01 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1602 mL	15.8008 mL	31.6016 mL
5 mM	0.632 mL	3.1602 mL	6.3203 mL
10 mM	0.316 mL	1.5801 mL	3.1602 mL
50 mM	0.0632 mL	0.316 mL	0.632 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Jo E, et al. Novel selective allosteric and bitopic ligands for the S1P(3) receptor. ACS Chem Biol. 2012 Dec 21;7(12): 1975-83.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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