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产品名称：CYM 5541
产品别名：ML249

生物活性：

Description	CYM-5541 (ML249) is an selective and allosteric $S1P_3$ receptor agonist with an EC_{50} between 72 and 132 nM.
IC_{50} & Target	EC50: between 72 and 132 nM ($S1P_3$)[1]
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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 39 mg/mL (123.25 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1602 mL	15.8008 mL	31.6016 mL
5 mM	0.6320 mL	3.1602 mL	6.3203 mL
10 mM	0.3160 mL	1.5801 mL	3.1602 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. 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.

实验参考：

Kinase Assay	Jump-In TI CHO-K cells stably expressing WT or mutant $S1P_3$ 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_3VO_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].
References	[1]. 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.