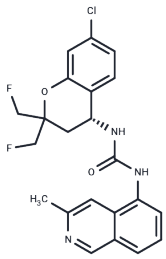
Data Sheet (Cat.No.T14067)

TargetMol

A-1165442

Chemical Properties



CAS No. : 1221443-94-2

Formula: C22H20ClF2N3O2

Molecular Weight: 431.86

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	A-1165442 is a competitive TRPV1 antagonist. For human TRPV, the IC50 values is 9 nM.
Targets(IC50)	TRP/TRPV Channel
In vitro	A-1165442 is a potent, competitive antagonist of recombinant human TRPV1, evidenced by its IC50 value of 9 nM when activated by capsaicin and achieves a 62% block at 30 μM in acid-evoked responses, indicating incomplete blockade. It demonstrates exceptional selectivity, exceeding 100-fold over related TRP family members (TRPA1, TRPM8, TRPV2, TRPV3) and shows minimal cross-reactivity with other receptors and channels prevalent in peripheral sensory neurons, such as P2X2/3, Cav2.2, Nav channels, and KCNQ2/3. Furthermore, A-1165442 maintains limited cross-reactivity across a broad panel of 74 cell-surface receptors, ion channels, and enzymes at 10 μM, as assessed in a comprehensive screen (CEREP)[1].
In vivo	A-1165442 demonstrates exceptional pharmacological selectivity, a favorable pharmacokinetic profile, and robust efficacy in mitigating osteoarthritis pain in rodents. Its oral administration effectively inhibits capsaicin-induced nocifensive behaviors in rats, achieving an ED50 of 9.5 μmol/kg, which corresponds to a plasma concentration of 420 ng/mL (970 nM). Furthermore, a single dose markedly enhances grip strength, with an ED50 of 35 μmol/kg observed one hour after administration. Notably, its analgesic potency increases with repeated doses. Importantly, administration of A-1165442 does not significantly alter core body temperature in conscious rats, maintaining this temperature-neutral effect in conscious dogs[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (231.56 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (7.64 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3156 mL	11.5778 mL	23.1557 mL
5 mM	0.4631 mL	2.3156 mL	4.6311 mL
10 mM	0.2316 mL	1.1578 mL	2.3156 mL
50 mM	0.0463 mL	0.2316 mL	0.4631 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Voight EA, et al. Discovery of (R)-1-(7-chloro-2,2-bis(fluoromethyl)chroman-4-yl)-3-(3-methylisoquinolin-5-yl) urea (A-1165442): a temperature-neutral transient receptor potential vanilloid-1 (TRPV1) antagonist with analgesic efficacy. J Med Chem. 2014 Sep 11;57(17):7412-24.