

Asivatrep

Chemical Properties

CAS No. : 1005168-10-4

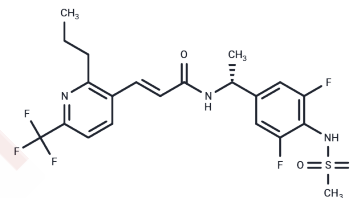
Formula: C₂₁H₂₂F₅N₃O₃S

Molecular Weight: 491.48

Store at low temperature

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Asivatrep (PAC14028) is a selective and potent antagonist of transient receptor potential vanilloid subtype 1 (TRPV1) for the study of atopic dermatitis.
Targets(IC50)	TRP/TRPV Channel
In vitro	Asivatrep has demonstrated significant efficacy in several disease models, including visceral pain, inflammatory bowel disease, and inflammatory pain. Asivatrep has potential in the treatment of atopic dermatitis due to its ability to prevent damage to the skin barrier, accelerate barrier recovery, and inhibit itching. Asivatrep also reduces elevated serum levels of IgE, inhibits inflammatory cell infiltration in the epidermis, and degranulation of mast cells in atopic dermatitis. Asivatrep has been shown to be effective in preventing damage to the skin barrier, accelerating barrier recovery, and inhibiting itching. [2]
In vivo	Pharmacokinetically, Asivatrep has a plasma half-life of 2.1 hours in rats and is slightly prolonged to 3.8 hours in minipigs. In addition, oral bioavailability was 52.7% in rats and 64.2% in minipigs, demonstrating good oral absorption. [1] Asivatrep was able to inhibit capsaicin-induced calcium influx into keratinocytes at submicromolar concentrations, suggesting a potent TRPV1 antagonism. In vivo, Asivatrep blocked capsaicin-induced increase in blood perfusion and accelerated the recovery of skin barrier damage induced by tape stripping (in hairless mice). [3]

Solubility Information

Solubility	DMSO: 40 mg/mL (81.39 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: 2 mg/mL (4.07 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0347 mL	10.1734 mL	20.3467 mL
5 mM	0.4069 mL	2.0347 mL	4.0693 mL
10 mM	0.2035 mL	1.0173 mL	2.0347 mL
50 mM	0.0407 mL	0.2035 mL	0.4069 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

Park YH, et al. Oral and topical pharmacokinetic studies of a novel TRPV1 antagonist, PAC-14028 in rats and minipigs using liquid chromatography/tandem mass spectrometric method. *J Pharm Biomed Anal.* 2012 Mar 5;61:8-14.

Lim KM, et al. Development of PAC-14028, a novel transient receptor potential vanilloid type 1 (TRPV1) channel antagonist as a new drug for refractory skin diseases. *Arch Pharm Res.* 2012 Mar;35(3):393-6.

Yun JW, et al. TRPV1 antagonist can suppress the atopic dermatitis-like symptoms by accelerating skin barrier recovery. *J Dermatol Sci.* 2011 Apr;62(1):8-15.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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