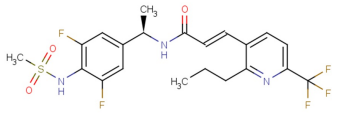
Data Sheet (Cat.No.T16426)

TargetMol
A DRUG SCREENING EXPERT

Asivatrep

Chemical Properties



CAS No.:	1005168-10-4
Formula:	C21H22F5N3O3S
Molecular Weight:	491.47
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Asivatrep is an effective and selective transient receptor potential vanilloid type I antagonist.
Targets(IC_{50})	Others: None
In vitro	Asivatrep displays efficacies against diverse disease models including visceral pain, inflammatory bowel disease, and inflammatory pain. Asivatrep could prevent barrier damages, accelerate skin barrier recovery, and inhibit pruritus, displaying a potential for the treatment of atopic dermatitis. It could inhibit serum IgE increase, epidermal infiltration of inflammatory cells, and mast cell degranulation associated with atopic dermatitis[1][2].
In vivo	Asivatrep displays a plasma half-life of 2.1 h in rats while it is extended slightly to 3.8 h in minipigs. Asivatrep could inhibit capsaicin-evoked calcium influx in keratinocytes at sub-micromolar concentrations. This potent TRPV1 antagonistic activity in keratinocytes is manifested in vivo as the blockade of capsaicin-induced blood perfusion increases, and the accelerated barrier recovery from tape-stripping-induced barrier damages in hairless mice. Oral bioavailability at 10 mg/kg dose is determined to be 52.7% and 64.2% in rats and minipigs, respectively showing that Asivatrep is relatively well-absorbed through oral route[1][3].

Solubility Information

Solubility	DMSO: 50 mg/mL (101.74 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.035 mL	10.174 mL	20.347 mL
5 mM	0.407 mL	2.035 mL	4.069 mL
10 mM	0.203 mL	1.017 mL	2.035 mL
50 mM	0.041 mL	0.203 mL	0.407 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.
2. 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.
3. 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.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481