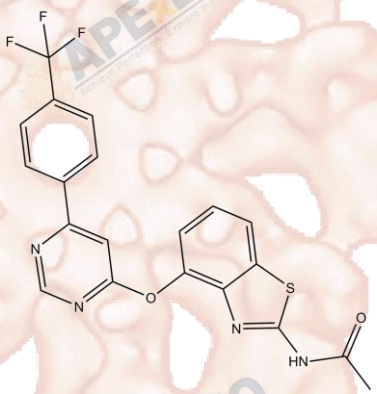
Product Data Sheet

AMG-517



Cat. No.: A1174

CAS No.: 659730-32-2

Formula: C20H13F3N4O2S

M.Wt: 430.4

Synonyms:

Target: Membrane Transporter/Ion Channel

Pathway: TRPV1

Storage: Store at -20°C

Solvent & Solubility

In Vitro

⩾21.5 mg/mL in DMSO; insoluble in H2O; ⩾4.93 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3234 mL	11.6171 mL	23.2342 mL
5 mM	0.4647 mL	2.3234 mL	4.6468 mL
10 mM	0.2323 mL	1.1617 mL	2.3234 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	TRPV1 antagonist,potent and highly selective
IC_{50} & Target	0.76 nM (capsaicin-induced inward currents), 0.62 nM (proton-induced inward currents), 1.3 nM (heat-induced inward currents)

In Vitro

Cell Viability Assay

Cell Line:	CHO cells
Preparation method：	The solubility of this compound in DMSO is > 21.5mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	1-2 nM
	Applications:	AMG 517 is a selective antagonist of both rat and human TRPV1 with dissociation constant values of 4.2 and 6.2 nM, respectively. AMG 517 effectively and completely inhibited capsaicin, proton, and heat activation of TRPV1 in vitro. AMG 517 potently inhibited capsaicin-, acid-, and heat-induced Ca2+ uptake into CHO cells expressing TRPV1 with IC50 values of 1 to 2 nM.
In Vivo	**Animal experiment**	
	Dosage form:	Oral administration, 2, 5 and 10 mg
	Applications:	AMG 517 blocked TRPV1 and elicited a generally plasma concentration-dependent hyperthermia in healthy humans. AMG 517 caused hyperthermia by increasing thermogenesis and inducing tail skin vasoconstriction, indicating that TRPV1 regulates metabolic heat production and vasomotor tone in humans.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Gavva N R, Bannon A W, Hovland D N, et al. Repeated administration of vanilloid receptor TRPV1 antagonists attenuates hyperthermia elicited by TRPV1 blockade[J]. Journal of Pharmacology and Experimental Therapeutics, 2007, 323(1): 128-137.

[2]. Gavva N R, Treanor J J S, Garami A, et al. Pharmacological blockade of the vanilloid receptor TRPV1 elicits marked hyperthermia in humans[J]. Pain, 2008, 136(1): 202-210.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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