Proteins

Product Data Sheet

GDC-0334

Cat. No.: HY-115877

CAS No.: 1984824-54-5 Molecular Formula: $C_{24}H_{19}F_8N_5O_3S$

Molecular Weight: 609.49

TRP Channel Target:

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description GDC-0334 is a selective TRPA1 antagonist. GDC-0334 inhibits TRPA1 function on airway smooth muscle and sensory neurons by decreasing cough and allergic airway inflammation in rats and guinea pigs. GDC-0334 can be used for TRPA1-mediated

diseases research, such as pain or asthma^{[1][2]}.

IC₅₀ & Target TRPA1

In Vivo GDC-0334 (0-150 mg/kg; i.v.) decreases edema, dermal blood flow (DBF), cough, and allergic airway inflammation in rats^[1]. GDC-0334 (0.5/1 mg/kg for i.v., 1/5 mg/kg mg/kg for p.o.) shows a $T_{1/2}$ of 11.9 h in mice and 9.79 h in rats by i.v., and oral bioavailability (F%) of 45.0% in mice and 46.2% in rats [1].

Pharmacokinetic parameters for GDC-0334 in mouse/rat/dog/monkey [1]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Species	IV Dose	CL (ml/min/kg)	VSS (liter/kg)	T _{1/2} (h)	PO Dose(mg/kg)	Oral F(%)
Mouse	0.5	12.4	13.9	11.9	1	45.0
Rat	1	7.68	5.55	9.79	5	46.2
Dog	1	7.57	6.97	28.0	5	27.1
Monkey	1	14.9	5.41	7.75	5	13.4
Animal Model:	Ма	ouse, rat, dog, monk	ey (Pharmacokine	etic assay) ^[2]		

Animal Model:	Mouse, rat, dog, monkey (Pharmacokinetic assay) ^[2]
Dosage:	0.5,1,5 mg/kg
Administration:	Intravenous injection (i.v.), oral gavage (p.o.)
Result:	Showed a $T_{1/2}$ of 11.9 h in mice and 9.79 h in rats by i.v., and oral bioavailability (F%) of 45.0% in mice and 46.2% in rats $^{[1]}$.

Animal Model:	Rats/guinea pigs model of cough ^[2]		
Dosage:	3,10,35,70,75,150 mg/kg		
Administration:	Intravenous injection (i.v.)		
Result:	Suppressed AITC-induced edema in vivo in rat. Caused a dose-dependent reduction in AITC-induced dermal blood flow in guinea pigs. Reduced airway airway allergic inflammation in rats and guinea pigs as well as cough in guinea pigs.		

REFERENCES

- [1]. Balestrini A, et.al A TRPA1 inhibitor suppresses neurogenic inflammation and airway contraction for asthma treatment. J Exp Med. 2021 Apr 5;218(4):e20201637.
- $[2]. Estrada A, et al. \ 1-(het) ary lsulfonyl-(pyrrolidine or piperidine)-2-carboxamide derivatives and their use as trpa1 antagonists. WO 2016128529 A1.$

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: tech@MedChemExpress.com}$

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA