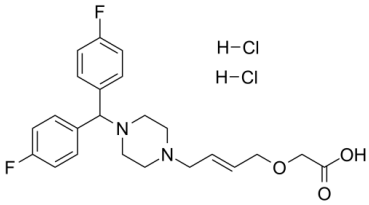
Data Sheet

Product Name:	SUN 1334H
Cat. No.:	CS-6696
CAS No.:	607736-84-5
Molecular Formula:	$C_{23}H_{28}Cl_2F_2N_2O_3$
Molecular Weight:	489.38
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

SUN 1334H is a potent, orally active, highly selective **H1 receptor** antagonist, with **K_i** of 9.7 nM. IC50 & Target: Ki: 9.7 nM (H1 receptor)[1] **In Vitro:** SUN-1334H causes potent inhibition of histamine induced contractions of isolated guinea-pig ileum with an IC_{50} (half the maximal inhibitory concentration) of 0.198 μM. In CHO-K1/hERG cells, SUN-1334H does not modulate hERG K^+-currents at concentrations as high as 100 μM[1]. SUN-1334H, cetirizine and hydroxyzine cause comparable inhibition of NLF leukocytes, IL-4 and total protein concentrations[2]. **In Vivo:** SUN-1334H potently inhibits histamine-induced bronchospasm over 24 hours following oral administration and completely suppresses histamine-induced skin wheal in beagle dogs and ovalbumin-induced rhinitis in guinea pigs [1]. In skin allergy models, SUN-1334H shows potent reduction of passive and active cutaneous anaphylactic reactions. In central nervous system side effects models, SUN-1334H, desloratadine and fexofenadine are devoid of any significant effects[2].

References:

[1]. Mandhane SN, et al. Preclinical efficacy and safety pharmacology of SUN-1334H, a potent orally active antihistamine agent. Drugs R D. 2008;9(2):93-112.

[2]. Mandhane SN, et al. Characterization of anti-inflammatory properties and evidence for no sedation liability for the novel antihistamine SUN-1334H. Int Arch Allergy Immunol. 2010;151(1):56-69.

CAIndexNames:

Acetic acid, 2-[[(2E)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-buten-1-yl]oxy]-, hydrochloride (1:2)

SMILES:

O=C(O)COC/C=C/CN1CCN(C(C2=CC=C(F)C=C2)C3=CC=C(F)C=C3)CC1.[H]Cl.[H]Cl

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA