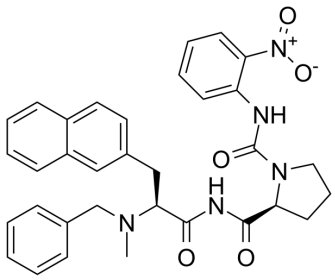
SDZ NKT 343

Cat. No.:	HY-108480
CAS No.:	180046-99-5
Molecular Formula:	$C_{33}H_{33}N_5O_5$
Molecular Weight:	579.65
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SDZ NKT 343 is a selective, orally active NK_1 receptor antagonist with an IC_{50} of 0.62 nM against human NK_1 receptor. SDZ NKT 343 has good analgesic activity[1][2].		
IC_{50} & Target	hNK1 0.62 nM (IC_{50})	hNK2 0.52 μM (Ki)	hNK3 3.4 μM (Ki)
In Vitro	SDZ NKT 343 shows a markedly lower affinity at rat NK_1 receptors in whole forebrain membranes (IC_{50}=451+139 nM)[1]. SDZ NKT 343 shows weak affinity to human NK_2 and NK_3 receptors in transfected Cos-7 cells (K_i of 0.52+0.04 μM and 3.4+1.2 μM respectively)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	SDZ NKT 343 antagonized [Sar^9]SP sulphone-evoked bronchoconstriction (70% reduction at 0.4 mg/kg, i.v.) in anaesthetized guinea-pigs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

REFERENCES

[1]. Walpole CS, et al. Comparative, general pharmacology of SDZ NKT 343, a novel, selective NK1 receptor antagonist. Br J Pharmacol. 1998 May;124(1):83-92.

[2]. Walpole C, et al. 2-Nitrophenylcarbamoyl-(S)-prolyl-(S)-3-(2-naphthyl)alanyl-N-benzyl-N - methylamide (SDZ NKT 343), a potent human NK1 tachykinin receptor antagonist with good oral analgesic activity in chronic pain models. J Med Chem. 1998 Aug 13;41(17):3159-73.

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