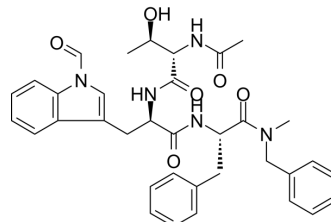


## FR 113680

<b>Cat. No.:</b>	HY-105436
<b>CAS No.:</b>	126088-92-4
<b>Molecular Formula:</b>	C <sub>35</sub> H <sub>39</sub> N <sub>5</sub> O <sub>6</sub>
<b>Molecular Weight:</b>	625.71
<b>Sequence:</b>	Ac-Thr-{d-Trp(For)}-{Phe(NMe,Bzl)}
<b>Sequence Shortening:</b>	Ac-T-{d-Trp(For)}-{Phe(NMe,Bzl)}
<b>Target:</b>	Neurokinin Receptor
<b>Pathway:</b>	GPCR/G Protein; Neuronal Signaling
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	FR 113680 is a tripeptide substance P antagonist that interacts selectively with the NK <sub>1</sub> neurokinin receptor <sup>[1]</sup> .
<b>In Vitro</b>	The inhibitory effect of FR 113680 on SP-induced guinea-pig ileum contraction is competitive and the pA <sub>2</sub> value is 7.53 <sup>[1]</sup> . FR 113680 has not effect on [ <sup>3</sup> H]-SP binding to rat cerebral cortical membranes (NK <sub>1</sub> ), [ <sup>3</sup> H]-neurokinin A ([ <sup>3</sup> H]-NKA) binding to rat duodenum smooth muscle membranes (NK <sub>2</sub> ) and [ <sup>3</sup> H]-eledoisin (Ele) binding to rat cerebral cortical membranes (NK <sub>3</sub> ) <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	FR 113680 (32 mg/kg; i.v.; once) significantly inhibits cigarette smoke-induced plasma extravasation <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Model:</b>	Male Wistar rats, cigarette smoke-induced rat tracheal plasma extravasation model <sup>[2]</sup>
<b>Dosage:</b>	0, 10 and 32 mg/kg
<b>Administration:</b>	IV, once
<b>Result:</b>	Significantly inhibited cigarette smoke-induced rat tracheal plasma extravasation at a dose of 32 mg/kg.

### REFERENCES

[1]. Morimoto H, et al. FR 113680: a novel tripeptide substance P antagonist with NK<sub>1</sub> receptor selectivity. Br J Pharmacol. 1992 May;106(1):123-6.

[2]. Morimoto H, et al. Effects of FR 113680 and FK 224, novel tachykinin receptor antagonists, on cigarette smoke-induced rat tracheal plasma extravasation. Eur J Pharmacol. 1992 Nov 24;224(1):1-5.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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