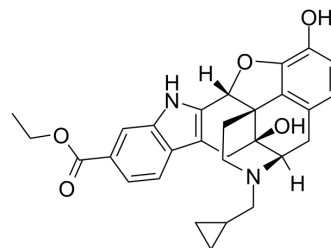


## TAN-452

<b>Cat. No.:</b>	HY-136208
<b>CAS No.:</b>	892039-23-5
<b>Molecular Formula:</b>	C <sub>29</sub> H <sub>30</sub> N <sub>2</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	486.56
<b>Target:</b>	Opioid 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>	TAN-452 is an orally active, selective peripherally acting $\delta$ -opioid receptor (DOR) antagonist with a $K_i$ of 0.47 nM and a $K_D$ of 0.21 nM. TAN-452 is an antagonist for $\mu$ -opioid receptor (MOR; $K_i$ =36.56 nM and $K_b$ =9.43 nM) and $\kappa$ -opioid receptor (KOR; $K_i$ =5.31 nM and $K_b$ =7.18 nM). TAN-452, a derivative of Naltrindole, demonstrates low brain penetrability and attenuates morphine-induced side effects without affecting pain control <sup>[1]</sup> .																
<b>IC<sub>50</sub> &amp; Target</b>	$K_i$ : 0.47 nM (DOR), 36.56 nM (MOR) and 5.31 nM (KOR) <sup>[1]</sup> $K_b$ : 0.21 nM (DOR), 9.43 nM (MOR) and 7.18 nM (KOR) <sup>[1]</sup>																
<b>In Vivo</b>	<p>TAN-452 (1, 3, 10 mg/kg for p.o. or 0.3, 1, 3 mg/kg for s.c.) suppresses morphine-induced emesis in ferrets<sup>[1]</sup>.</p> <p>TAN-452 (30 mg/kg/2 mL for PO or 3 mg/kg/mL for IV) has a <math>T_{1/2}</math> of 2.1 hours<sup>[1]</sup>.</p> <p>TAN-452 suppresses morphine-induced small intestinal transit (SIT) inhibition in a dose-dependent manner. Administration of TAN-452 at 30 mg/kg alone does not affect SIT<sup>[1]</sup>.</p> <p>TAN-452 (10, 30 mg/kg; s.c.) significantly suppresses morphine-induced antinociception 30 min after administration. TAN-452 (po) produces no effect up to 300 mg/kg<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male ferrets (1.3-1.9 kg)<sup>[1]</sup></td> </tr> <tr> <td>Dosage:</td> <td>1, 3, 10 mg/kg (p.o.) or 0.3, 1, 3 mg/kg (s.c.)</td> </tr> <tr> <td>Administration:</td> <td>PO or SC; before morphine</td> </tr> <tr> <td>Result:</td> <td>Prevented morphine-induced emesis in half of the animals with orally administered of 1 mg/kg and completely abolished emesis at 3 and 10 mg/kg. Completely abolished emesis by subcutaneous injection at 0.3, 1, and 3 mg/kg.</td> </tr> </table> <table border="1"> <tr> <td>Animal Model:</td> <td>Crj:CD(SD) IGS male rats (7 weeks old)<sup>[1]</sup></td> </tr> <tr> <td>Dosage:</td> <td>30 mg/kg/2 mL for PO or 3 mg/kg/mL for IV (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Orally or intravenously</td> </tr> <tr> <td>Result:</td> <td>Had a <math>T_{1/2}</math> of 2.1 hours, a CL of 78.1 mL/min•kg, a <math>V_{ss}</math> of 12.1 L/kg, and a <math>C_{max}</math> of 526 ng/mL.</td> </tr> </table>	Animal Model:	Male ferrets (1.3-1.9 kg) <sup>[1]</sup>	Dosage:	1, 3, 10 mg/kg (p.o.) or 0.3, 1, 3 mg/kg (s.c.)	Administration:	PO or SC; before morphine	Result:	Prevented morphine-induced emesis in half of the animals with orally administered of 1 mg/kg and completely abolished emesis at 3 and 10 mg/kg. Completely abolished emesis by subcutaneous injection at 0.3, 1, and 3 mg/kg.	Animal Model:	Crj:CD(SD) IGS male rats (7 weeks old) <sup>[1]</sup>	Dosage:	30 mg/kg/2 mL for PO or 3 mg/kg/mL for IV (Pharmacokinetic Analysis)	Administration:	Orally or intravenously	Result:	Had a $T_{1/2}$ of 2.1 hours, a CL of 78.1 mL/min•kg, a $V_{ss}$ of 12.1 L/kg, and a $C_{max}$ of 526 ng/mL.
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## REFERENCES

[1]. Tsutomu Suzuki, et al. Pharmacological profile of TAN-452, a novel peripherally acting opioid receptor antagonist for the treatment of opioid-induced bowel syndromes. Life Sci. 2018 Dec 15;215:246-252.

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

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