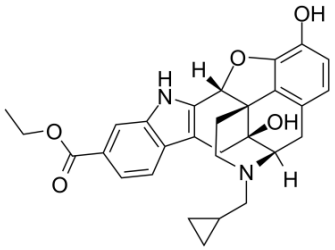
TAN-452

Cat. No.:	HY-136208
CAS No.:	892039-23-5
Molecular Formula:	$C_{29}H_{30}N_2O_5$
Molecular Weight:	486.56
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TAN-452 is an orally active, selective peripherally acting δ-opioid receptor (DOR) antagonist with a K_i of 0.47 nM and a K_b of 0.21 nM. TAN-452 is an antagonist for μ-opioid receptor (MOR; K_i=36.56 nM and K_b=9.43 nM) and κ-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[1].

IC_{50} & Target

Ki: 0.47 nM (DOR), 36.56 nM (MOR) and 5.31 nM (KOR)[1]
Kb: 0.21 nM (DOR), 9.43 nM (MOR) and 7.18 nM (KOR)[1]

In Vivo

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[1].
TAN-452 (30 mg/kg/2 mL for PO or 3 mg/kg/mL for IV) has a $T_{1/2}$ of 2.1 hours[1].
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[1].
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[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ferrets (1.3-1.9 kg)[1]
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)[1]
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.

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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