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- Expressversand

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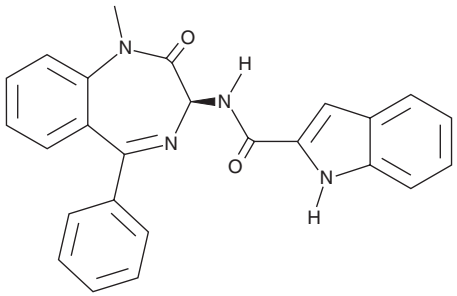
PRODUCT INFORMATION

Devazepide

Item No. 38509

CAS Registry No.:	103420-77-5
Formal Name:	N-[(3S)-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl]-1H-indole-2-carboxamide
Synonyms:	L-364,718, MK-329, ZINC01847292
MF:	$C_{25}H_{20}N_4O_2$
FW:	408.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 296 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Devazepide is supplied as a solid. A stock solution may be made by dissolving the devazepide in the solvent of choice, which should be purged with an inert gas. Devazepide is soluble in the organic solvent DMSO.

Description

Devazepide is a nonpeptide antagonist of the cholecystokinin 1 (CCK_1) receptor (K_i = 0.3 nM).[1] It is selective for CCK_1 over the CCK_2 receptor (K_i = 342 nM). Devazepide inhibits CCK-8-induced amylase release in isolated dispersed rat pancreatic acini (IC_{50} = 25.4 nM). It reduces CCK-8-induced decreases in gastric emptying and food intake in rats (ED_{50}s = 140 and 321 µg/kg, respectively), as well as CCK-8-induced contractions of colon and gall bladder in rabbits and cats, respectively (ED_{50}s = 34 and 210 µg/kg, respectively).[2] Devazepide (1 mg/kg) enhances morphine-induced increases in tail-flick latency and prevents the development of morphine tolerance, but does not affect morphine dependence, in rats.[3] It also induces apoptosis and cell cycle arrest at the G_1/G_0 phase in, and inhibits the migration of, 5637 bladder cancer cells when used at a concentration of 25 µM.[4]

References

1. Martín-Martínez, M., Bartolomé-Nebreda, J.M., Gómez-Monterrey, I., *et al.* Synthesis and stereochemical structure-activity relationships of 1,3-dioxoperhydropyrido[1,2-c]pyrimidine derivatives: Potent and selective cholecystokinin-A receptor antagonists. *J. Med. Chem.* **40(21)**, 3402-3407 (1997).
2. Lotti, V.J., Pendleton, R.G., Gould, R.J., *et al. In vivo* pharmacology of L-364,718, a new potent nonpeptide peripheral cholecystokinin antagonist. *J. Pharmacol. Exp. Ther.* **241(1)**, 103-109 (1987).
3. Dourish, C.T., Hawley, D., and Iversen, S.D. Enhancement of morphine analgesia and prevention of morphine tolerance in the rat by the cholecystokinin antagonist L-364,718. *Eur. J. Pharmacol.* **147(3)**, 469-472 (1988).
4. Zhang, H., Bao, X., Zhang, J., *et al.* Devazepide suppresses cell proliferation and migration, and induces apoptosis in bladder carcinoma. *Transl. Androl. Urol.* **10(5)**, 2113-2121 (2021).

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