

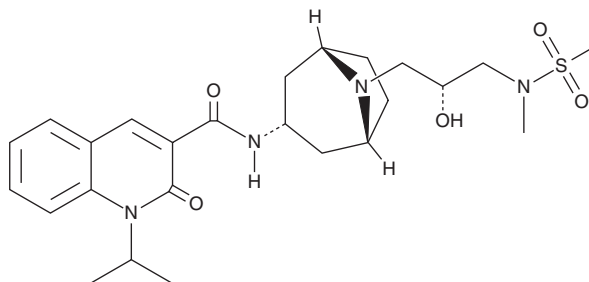
PRODUCT INFORMATION



Velusetrag

Item No. 45464

CAS Registry No.: 866933-46-2
Formal Name: 1,2-dihydro-N-[(3-endo)-8-[(2R)-2-hydroxy-3-[methyl(methylsulfonyl)amino]propyl]-8-azabicyclo[3.2.1]oct-3-yl]-1-(1-methylethyl)-2-oxo-3-quinolinecarboxamide
Synonym: TD-5108
MF: C₂₅H₃₆N₄O₅S
FW: 504.6
Purity: ≥98%
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

Velusetrag is supplied as a solid. A stock solution may be made by dissolving the velusetrag in the solvent of choice, which should be purged with an inert gas. Velusetrag is slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

Velusetrag is an agonist of the serotonin (5-HT) receptor subtype 5-HT₄.¹ It increases cAMP accumulation in HEK293 cells expressing human 5-HT_{4(c)}, 5-HT_{4(d)}, and 5-HT_{4(g)} receptors (EC₅₀s = 5.01, 2.51, and 6.31 nM, respectively). Velusetrag is greater than 500-fold selective for the 5-HT₄ receptor over ten other 5-HT receptors and greater than 25-fold selective over eighteen other non-5-HT biogenic amine receptors at 10 μM. It induces contractions in guinea pig colonic longitudinal muscle-myenteric plexus (LM-MP) preparations (EC₅₀ = 12.59 nM) and relaxation in carbachol-precontracted rat esophagus preparations (EC₅₀ = 12.59 nM). Velusetrag reduces fecal transit time in guinea pigs and increases contractility of the antrum, duodenum, and jejunum in dogs.²

References

1. Smith, J.A., Beattie, D.T., Marquess, D., *et al.* The in vitro pharmacological profile of TD-5108, a selective 5-HT(4) receptor agonist with high intrinsic activity. *Naunyn Schmiedebergs Arch. Pharmacol.* **378**(1), 125-137 (2008).
2. Beattie, D.T., Armstrong, S.R., Shaw, J.P., *et al.* The in vivo gastrointestinal activity of TD-5108, a selective 5-HT(4) receptor agonist with high intrinsic activity. *Naunyn Schmiedebergs Arch. Pharmacol.* **378**(1), 139-147 (2008).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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