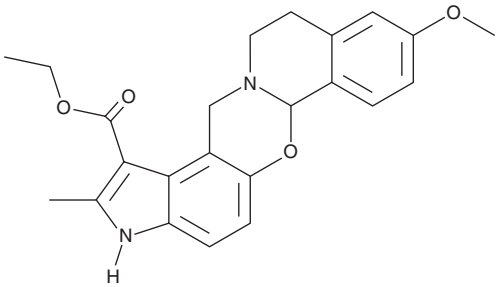
PRODUCT INFORMATION

PD 102807

Item No. 46663

CAS Registry No.:	23062-91-1
Formal Name:	3,6a,11,14-tetrahydro-9-methoxy-2-methyl-12H-isoquino[1,2-b]pyrrolo[3,2-f][1,3]benzoxazine-1-carboxylic acid, ethyl ester
MF:	$C_{23}H_{24}N_2O_4$
FW:	392.5
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

PD 102807 is supplied as a solid. A stock solution may be made by dissolving the PD 102807 in the solvent of choice, which should be purged with an inert gas. PD 102807 is soluble (≥10 mg/ml) in DMSO.

Description

PD 102807 is an antagonist of the M_4 muscarinic acetylcholine receptor (mAChR) and a biased ligand of the M_3 mAChR.[1,2] It selectively binds to the M_4 receptor (IC_{50} = 0.09 µM) over the M_1, M_2, M_3, and M_5 receptors (IC_{50}s = 6.56, 3.44, 0.95, and 7.41 µM, respectively).[1] PD 102807 inhibits carbachol-induced inhibition of forskolin-stimulated cAMP production in CHO cells expressing the M_4 or M_2 receptor (IC_{50}s = 0.4 and 3.8 nM, respectively) and, to a lesser extent, inhibits carbachol-induced phosphatidylinositol (PI) hydrolysis in CHO cells expressing the M_1, M3, or M_5 receptors (IC_{50}s = 10, 0.88, and 25.2 µM, respectively). It induces β-arrestin recruitment but inhibits methacholine-induced calcium mobilization in HEK293 cells expressing the M_3 receptor at 100 µM.[2] PD 102807 (10 µM) reduces TGF-β-induced hypercontractility in human airway smooth muscle cells.

References

1. Augelli-Szafran, C.E., Jaen, J.C., Moreland, D.W., *et al*. Identification and characterization of m4 selective muscarinic antagonists. *Biooorg. Med. Chem. Lett.* ***8(15)***, 1991-1996 (1998).
2. Tompkins, E., Mimic, B., Cuevas-Mora, K., *et al*. PD 102807 induces M3 mAChR-dependent GRK-/arrestin-biased signaling in airway smooth muscle cells. *Am. J. Respir. Cell Mol. Biol.* **67(55)**, 550-561 (2022).

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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