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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

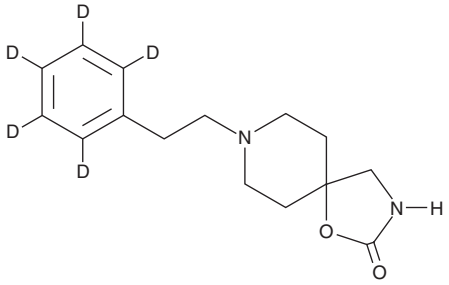
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

Fenspiride-d_5

Item No. 33711

CAS Registry No.:	1246911-67-0
Formal Name:	8-(phenethyl-d_5)-1-oxa-3,8-diazaspiro[4.5] decan-2-one
Synonym:	Decaspiride-d_5
MF:	$C_{15}H_{15}D_5N_2O_2$
FW:	265.4
Chemical Purity:	≥98% (Fenspiride)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Fenspiride-d_5 is intended for use as an internal standard for the quantification of fenspiride (hydrochloride) (Item No. 25512) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Fenspiride-d_5 is supplied as a solid. A stock solution may be made by dissolving the fenspiride-d_5 in the solvent of choice, which should be purged with an inert gas. Fenspiride-d_5 is soluble in methanol and DMSO.

Description

Fenspiride is an antagonist of histamine H_1 receptors and a non-steroidal anti-inflammatory drug (NSAID).[1,2] It inhibits histamine-induced contraction of isolated guinea pig trachea but not histamine-induced inotropy of isolated guinea pig heart. It also inhibits phosphodiesterase 4 (PDE4), PDE5, and PDE3 (IC_{50}s = 69, ~158, and 363 µM, respectively, in isolated human bronchi derived from patients with lung cancer).[3] It is selective for these phosphodiesterases over PDE1 and PDE2, where it provides less than 25% inhibition. Fenspiride potentiates the airway relaxant effects of isoproterenol (Item No. 15592) and sodium nitroprusside indicating an effect on cAMP and cGMP phosphodiesterases, respectively. Aerosolized fenspiride (1 mg/ml) reverses bronchoconstriction induced by capsaicin and, when used at aerosolized concentrations ranging from 1-10 mg/ml, reduces cough induced by citric acid in a guinea pig model of cough.[2]

References

1. Rognoni, F., Marchini, F., Piacenza, G., *et al.* Effect of 8-N-phenethyl-1-oxa-2-oxo-3,8-diazaspiro(4,5) decane hydrochloride (decaspiride) on histamine receptors. *Boll. Chim. Farm.* **117(7)**, 397-401 (1978).
2. Laude, E.A., Bee, D., Crambes, O., *et al.* Antitussive and antibronchoconstriction actions of fenspiride in guinea-pigs. *Eur. Respir. J.* **8(10)**, 1699-1704 (1995).
3. Cortijo, J., Naline, E., Ortiz, J.L., *et al.* Effects of fenspiride on human bronchial cyclic nucleotide phosphodiesterase isoenzymes: Functional and biochemical study. *Eur. J. Pharmacol.* **341(1)**, 79-86 (1998).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM