# PRODUCT INFORMATION



## **Ensifentrine**

Item No. 38761

CAS Registry No.: 1884461-72-6

Formal Name: N-[2-[(2E)-6,7-dihydro-9,10-dimethoxy-

> 4-oxo-2-[(2,4,6-trimethylphenyl)imino]-2H-pyrimido[6,1-a]isoquinolin-3(4H)-yl]

ethyl]-urea

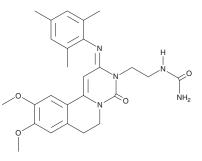
Synonyms: RPL 554, VMX 554 MF:

 $C_{26}H_{31}N_5O_4$ FW: 477.6 **Purity:** 

 $\lambda_{\text{max}}$ : 229, 334 nm UV/Vis.:

A solid Supplied as: -20°C Storage: ≥4 years Stability:

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



## **Laboratory Procedures**

Ensifentrine is supplied as a solid. A stock solution may be made by dissolving the ensifentrine in the solvent of choice, which should be purged with an inert gas. Ensifentrine is slightly soluble in DMSO and dimethyl formamide.

#### Description

Ensifentrine is a phosphodiesterase 3 (PDE3) inhibitor ( $IC_{50} = 0.4 \text{ nM}$ ).<sup>1</sup> It is selective for PDE3 over PDE4 ( $IC_{50} = 1,479$  nM). Ensifentrine reduces phytohemagglutinin-induced proliferation of isolated human monocytes in a concentration-dependent manner and inhibits LPS-induced increases of TNF-α protein levels in isolated human monocytes ( $IC_{50} = 520 \text{ nM}$ ). It increases cAMP levels in isolated human neutrophils when used at a concentration of 10 μM. Ensifentrine inhibits electric field stimulation-induced contractile responses in isolated guinea pig trachea in a concentration-dependent manner. Intranasal administration of ensifentrine (25% w/w) inhibits histamine-induced bronchoconstriction and tracheal edema in guinea pigs.

#### Reference

1. Boswell-Smith, V., Spina, D., Oxford, A.W., et al. The pharmacology of two novel long-acting phosphodiesterase 3/4 inhibitors, RPL554 [9,10-dimethoxy-2(2,4,6-trimethylphenylimino)-3-(Ncarbamoyl-2-aminoethyl)-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinolin-4-one] and RPL565 [6,7-dihydro-2-(2,6-diisopropylphenoxy)-9,10-dimethoxy-4H-pyrimido[6,1-a]isoquinolin-4-one]. J. Pharmacol. Exp. Ther. 318(2), 840-848 (2006).

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

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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