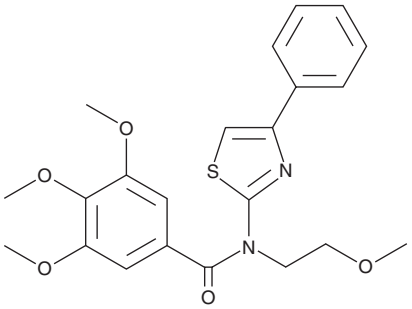
PRODUCT INFORMATION

Eact

Item No. 29791

CAS Registry No.:	461000-66-8
Formal Name:	3,4,5-trimethoxy-N-(2-methoxyethyl)-N-(4-phenyl-2-thiazolyl)-benzamide
MF:	$C_{22}H_{24}N_2O_5S$
FW:	428.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 272 nm
Supplied as:	A crystalline 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

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

Description

Eact is an activator of the calcium-activated chloride channel anoctamin 1 (ANO1).[1] It increases chloride currents in FRT cells expressing human ANO1 (EC_{50} = 3 µM). It is selective for ANO1 over cystic fibrosis transmembrane conductance regulator (CFTR) chloride channels and epithelial sodium channels (ENaCs) in FRT cells at 10 µM. Eact (20 µM) increases the rate of submucosal gland fluid secretion in human bronchi isolated from patients with cystic fibrosis and healthy individuals. It increases production of mitochondrial reactive oxygen species (ROS), reduces the mitochondrial membrane potential, and induces apoptosis in rat lung microvascular endothelial cells (RLMVECs), as well as reduces total cell counts in pulmonary artery endothelial cell populations isolated from patients with idiopathic pulmonary arterial hypertension (IPAH).[2] Eact also activates transient receptor potential vanilloid 1 (TRPV1) in HEK293T cells expressing the mouse receptor (EC_{50} = 11.6 µM) and induces scratching and licking behaviors in wild-type, but not *Trpv1*$^{-/-}$, mice.[3]

References

1. Namkung, W., Yao, Z., Finkbeiner, W.E., *et al.* Small-molecule activators of TMEM16A, a calcium-activated chloride channel, stimulate epithelial chloride secretion and intestinal contraction. *FASEB J.* **25(11)**, 4048-4062 (2011).
2. Allawzi, A.M., Vang, A., Clements, R.T., *et al.* Activation of anoctamin-1 limits pulmonary endothelial cell proliferation via p38-mitogen-activated protein kinase-dependent apoptosis. *Am. J. Respir. Cell Mol. Biol.* **58(5)**, 658-667 (2018).
3. Liu, S., Feng, J., Luo, J., *et al.* Eact, a small molecule activator of TMEM16A, activates TRPV1 and elicits pain- and itch-related behaviours. *Br. J. Pharmacol.* **173(7)**, 1208-1218 (2016).

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