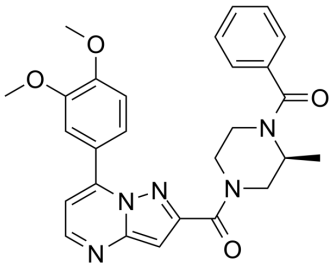
CFTR activator 1

Cat. No.:	HY-152264
CAS No.:	2768261-09-0
Molecular Formula:	$C_{27}H_{27}N_5O_4$
Molecular Weight:	485.53
Target:	CFTR
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CFTR activator 1 is a potent and selective CFTR activator, with an EC_{50} of 23 nM. CFTR activator 1 can be used to ameliorate dry eye disease[1].
IC_{50} & Target	EC50: 23 nM (CFTR)[1]
In Vitro	CFTR activator 1 (compound 16d) (30 nM-10 μM) potently activates CFTR chloride channel in FRT cells expressing human CFTR, with an EC_{50} of 342 nM in the presence of 50 nM Forskolin[1]. CFTR activator 1 (30 μM; pretreated for 10 min or 5 min) does not affect channel activities of ANO1 and VRAC in FRT cells expressing human ANO1 and in LN215 cells expressing a halide sensors YFP-F46L/H148Q/I152L[1]. CFTR activator 1 (30 μM; 10 min) slightly increases cAMP level compared to the control in CHO-K1 cells[1]. CFTR activator 1 (30 μM; 48 h) does not affect the cell viability of CorE and ConjE[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	CFTR activator 1 (compound 16d) (2060 μM; 5 μL of eye drops; 3 times a day for 10 d) enhances tear secretion and improves corneal erosion in a mouse model of dry eye disease (DED). CFTR activator 1 reduces mRNA expression of pro-inflammatory cytokines including IL-1β, IL-17, and TNF-α and MMP2 in cornea and conjunctiva of DED mice[1]. CFTR activator 1 (0.1 mg/eye; single topical instillation of eye drops) is well distributed in CFTR-expressing target tissues (cornea and conjunctiva) of male New Zealand White Rabbit, is maintained for a long period of time, and has a negligible systemic exposure[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eight weeks old female C57BL/6J mice were injected Scopolamine hydrobromide[1]
Dosage:	2060 μM, 5 μL, and maintained for 30 s
Administration:	Eye drop application was done in both eyes, three times a day (11:00 am, 2:00 pm, 5:00 pm) for 10 days
Result:	Enhanced tear secretion and improved corneal erosion. Reduced mRNA expression of pro-inflammatory cytokines including IL-1β, IL-17, and TNF-α and MMP2 in cornea and conjunctiva.

REFERENCES

[1]. Kim BY, et, al. Synthetic Strategies for Improving Solubility: Optimization of Novel Pyrazolo[1,5- a]pyrimidine CFTR Activator That Ameliorates Dry Eye Disease. J Med Chem. 2023 Jan 12;66(1):413-434.

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