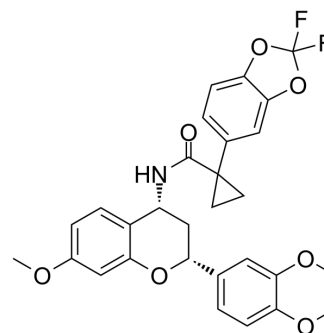


CFTR corrector 4

| | | | |
|---------------------------|--|-------|----------|
| Cat. No.: | HY-135279 | | |
| CAS No.: | 1918142-34-3 | | |
| Molecular Formula: | C ₂₉ H ₂₇ F ₂ NO ₇ | | |
| Molecular Weight: | 539.52 | | |
| Target: | CFTR | | |
| Pathway: | Membrane Transporter/Ion Channel | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



SOLVENT & SOLUBILITY

In Vitro

DMSO : 110 mg/mL (203.88 mM; Need ultrasonic)

| Concentration | Mass | | |
|---------------|-----------|-----------|------------|
| | 1 mg | 5 mg | 10 mg |
| 1 mM | 1.8535 mL | 9.2675 mL | 18.5350 mL |
| 5 mM | 0.3707 mL | 1.8535 mL | 3.7070 mL |
| 10 mM | 0.1853 mL | 0.9267 mL | 1.8535 mL |

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CFTR corrector 4 (Compound 13), an active (R,R)-form enantiomer, is a highly potent and orally active cystic fibrosis transmembrane conductance regulator (CFTR) corrector. CFTR corrector 4 can increase CFTR levels at the cell surface and have the potential for treatment of cystic fibrosis^[1].

IC₅₀ & Target

EC₅₀:130 nM (CFTR)^[1]

In Vitro

CFTR corrector 4 possesses high potency and efficacy with a EC₅₀ of 0.028 μM in this HBE-TECC assay that assess the CFTR function, this lead resides in undesirable drug-like space with high molecular weight, and high HPLC Log D, indicating high lipophilicity. HBE-TECC: (Human Bronchial Epithelial-Trans-Epithelial Current Clamp) assay^[1]. CFTR corrector 4 is tested its potency and efficacy in human bronchial epithelial (HBE) cells with a EC₅₀ value 130 nM in the cell surface expression (CSE-HRP) assay^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wang X, et al. Discovery of 4-[(2R,4R)-4-({[1-(2,2-Difluoro-1,3-benzodioxol-5-yl)cyclopropyl]carbonyl}amino)-7-(difluoromethoxy)-3,4-dihydro-2H-chromen-2-yl]benzoic Acid (ABBV/GLPG-2222), a Potent Cystic Fibrosis Transmembrane Conductance Regulator (CFTR)

Caution: Product has not been fully validated for medical applications. For research use only.

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