Product Data Sheet

Roflumilast-d₄

Cat. No.: HY-15455S **CAS No.:** 1398065-69-4

Molecular Formula: $C_{17}H_{10}D_4Cl_2F_2N_2O_3$

Molecular Weight: 407.23

Target: Phosphodiesterase (PDE); RSV; Isotope-Labeled Compounds

Pathway: Metabolic Enzyme/Protease; Anti-infection; Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

| Description | Roflumilast-d ₄ is the deuterium labeled Roflumilast. Roflumilast is a selective PDE4 inhibitor with IC50s of 0.7, 0.9, 0.7, and 0.2 nM for PDE4A1, PDEA4, PDEB1, and PDEB2, respectively, without affecting PDE1, PDE2, PDE3 or PDE5 isoenzymes from various cells[1][2]. |
|-------------|--|
| In Vitro | Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

REFERENCES

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.
- [2]. Hatzelmann A, et al. The preclinical pharmacology of roflumilast—a selective, oral phosphodiesterase 4 inhibitor in development for chronic obstructive pulmonary disease. Pulm Pharmacol Ther. 2010 Aug;23(4):235-56.
- [3]. Rabe KF. Update on roflumilast, a phosphodiesterase 4 inhibitor for the treatment of chronic obstructive pulmonary disease. Br J Pharmacol. 2011 May;163(1):53-67.
- [4]. Hatzelmann A, et al. Anti-inflammatory and immunomodulatory potential of the novel PDE4 inhibitor roflumilast in vitro. J Pharmacol Exp Ther. 2001 Apr;297(1):267-79.
- [5]. Richmond BW, et al. Airway bacteria drive a progressive COPD-like phenotype in mice with polymeric immunoglobulin receptor deficiency. Nat Commun. 2016 Apr 5;7:11240.
- [6]. Ding H, et al. Treatment of obesity-associated overactive bladder by the phosphodiesterase type-4 inhibitor roflumilast. Int Urol Nephrol. 2017 Jul 29.

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

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