

Product Data Sheet

Product Name: Tezacaftor-d4

Cat. No.: GC73522

Chemical Properties

Cas. No. 1961280-24-9

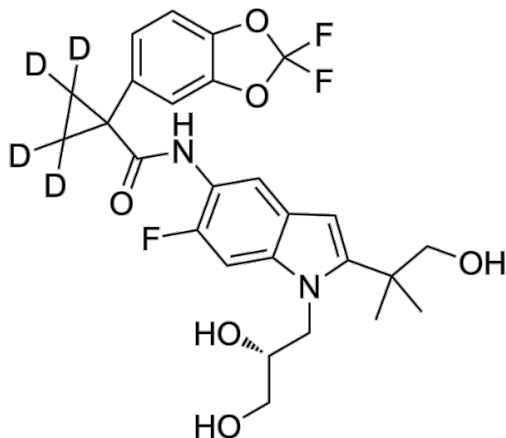
Formula $C_{26}H_{23}D_4F_3N_2O_6$ M.Wt 524.52

Solubility DMSO : 50 mg/mL (95.33 mM; Need ultrasonic); DMSO : 50 mg/mL (95.33 mM; Need ultrasonic) Storage -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Tezacaftor-d4 (VX-661-d4) is the deuterium-labeled Tezacaftor , a F508del CFTR corrector. Tezacaftor helps CFTR protein reach the cell surface.

Stable heavy isotopes of drogen, 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

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drugs[1]. However, Ivacaftor (VX-770,), a CFTR potentiator, helps to prolong the opening time of cell surface CFTR protein channels. Tezacaftor combining with Ivacaftor, shows potent efficacy against cystic fibrosis and diseases with homozygous for the CFTR Phe508del mutation. Moreover, Elexacaftor (VX-445, 2) is also a CFTR corrector. Elexacaftor-Tezacaftor-Ivacaftor aims at with cystic fibrosis (CF) with at least one Phe508del mutation, often avoids the indication for lung transplantation[3][4].

References:

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019;53(2):211-216.
- [2]. Treatment with VX-661 and Ivacaftor in a Phase 2 Study Resulted in Statistically Significant Improvements in Lung Function in People with Cystic Fibrosis Who Have Two Copies of the F508del Mutation. April 18, 2013 [3]. Taylor-Cousar JL, et al. Tezacaftor-Ivacaftor in Patients with Cystic Fibrosis Homozygous for Phe508del. *N Engl J Med*. 2017 Nov 23;377(21):2013-2023.
- [4]. Burgel PR, et al. Rapid Improvement after Starting Elexacaftor-Tezacaftor-Ivacaftor in Patients with Cystic Fibrosis and Advanced Pulmonary Disease. *Am J Respir Crit Care Med*. 2021 Jul 1;204(1):64-73.

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