
Product Data Sheet

Product Name: TPX-0131

Cat. No.: GC62260

Chemical Properties

Cas. No. 2648641-36-3

Formula $C_{21}H_{20}F_3N_5O_3$ M.Wt 447.41

Solubility Storage Store at -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

TPX-0131 is a potent, selective, CNS-penetrant and orally active inhibitor of wild-type ALK (IC₅₀ of 1.4 nM) and ALK-resistant mutation, e.g. G1202R (IC₅₀ of 0.3 nM), L1196M (IC₅₀ of 0.3 nM). TPX-0131 has strong antitumor activities[1].

TPX-0131 potently inhibits wild-type ALK (IC₅₀ = 1.4 nM) and 26 ALK resistance mutations. TPX-0131 inhibits C1156Y, E1210K/S1206C, L1198F/C1156Y, L1196M/L1198F, E1210K, L1196M, T1151M, deleted G1202, S1206R, G1202R/L1198F, F1174L, F1245C, R1275Q, and G1202R ALK mutations with IC₅₀ values of <1 nM. TPX0131 has IC₅₀ values of 1-2 nM for the following ALK mutations: L1198F, L1152R, F1174S, T1151-L1152 insT, V1180L, G1269A, F1174C. TPX-0131 is less active against ALK mutations including I1171N, L1152P, D1203N, D1203N/E1210K, and G1269S, with IC₅₀ values of 2-7 nM[1]. TPX-0131 is a potent inhibitor of ALK autophosphorylation in Ba/F3 cells expressing EML4-ALK G1202R solvent front, EML4-ALK G1202R/L1196M, or EML4-ALK G1202R/L1198F mutations, with IC₅₀ values of approximately 3-10 nM[1].

TPX-0131 (2-10 mg/kg; p.o.; twice a day; for 2 weeks) treatment at 2 mg/kg, 5 mg/kg, and 10 mg/kg resulted in dose-dependent tumor growth inhibition (TGI) of 64%, 120%, and 200% (complete regression), respectively[1].

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

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[1]. Brion W Murray, et al. TPX-0131, a Potent CNS-Penetrant, Next-Generation Inhibitor of Wild-Type ALK and ALK-Resistant Mutations. Mol Cancer Ther. 2021 Jun 22;molcanther.0221.2021.

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