

Product Data Sheet

Product Name: ADTL-EI1712

Cat. No.: GC46808

Chemical Properties

Cas. No. 2414916-45-1

SMILES O=C(C1=C(NC(C2=CC=CC=C2Cl)=O)SC3=C1CCN(C(NC4=CC=CC=C4Cl)=S)C3)N

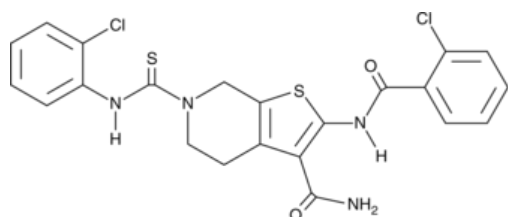
Formula C₂₂H₁₈Cl₂N₄O₂S₂ M.Wt 505.4

Solubility DMF: 1 mg/ml, DMSO: 1 mg/ml, DMSO:PBS (pH 7.2) (1:3): 0.25 mg/ml
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

ADTL-EI1712 is a dual inhibitor of ERK1 and ERK5 (IC₅₀s = 40.43 and 64.5 nM, respectively).¹ It reduces ERK1 and ERK5 activity by 93.5% and 89.4%, respectively, but also inhibits ERK2 activity by 92.7%, in a panel of 100 kinases at 1 μM. ADTL-EI1712 inhibits proliferation of HL-60 and MKN74, but not HeLa, cancer cells (IC₅₀s = 1.26, 2.55, and >50 μM, respectively). It reduces tumor growth and intratumor phosphorylation of ERK1/2 and ERK5 in an MKN74 mouse xenograft model when administered at a dose of 50 mg/kg per day.

1. Wang, G., Zhao, Y., Liu, Y., et al. Discovery of a novel dual-target inhibitor of ERK1 and ERK5 that induces regulated cell death to overcome compensatory mechanism in specific tumor types. *J. Med. Chem.* 63(8)3976-3995(2020)

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

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