Product Datasheet

DEL-22379

Catalog No. **BP-01932** · CAS **181223-80-3** · Form **free base** · Physical state **solid** · Color **Yellow to orange** · Version **1.0** · Revision **2026-09-03**

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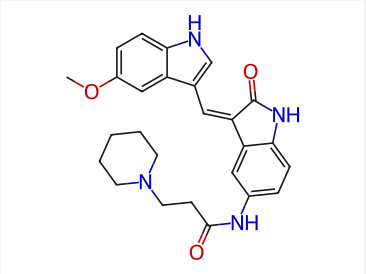
Target	Research area
ERK; Apoptosis	**Cancer**

Molecular formula	$C_{26}H_{28}N_4O_3$
Molecular weight	**444.5255**

STRUCTURE



DESCRIPTION

DEL-22379 is an ERK dimerization Inhibitor. DEL-22379 readily binds to ERK2 with a Kd estimated in the low micromolar range, though binding is detectable even at low nanomolar concentrations. ERK2 dimerization is progressively inhibited with an IC50 of ~0.5 μM.

BIOLOGICAL ACTIVITY

In Vitro

DEL-22379 is an ERK dimerization inhibitor. DEL-22379 abolishes EGF-induced co-immunoprecipitation of ectopic ERK2 molecules tagged with hemagglutinin (HA) or FLAG epitopes, with an estimated half-maximal inhibitory concentration (IC50) of ~0.5 μM. DEL-22379 inhibits growth of tumor cells harboring RAS-ERK pathway oncogenes. The biological effects of DEL-22379 are investigated on tumor cells in culture. The cytostatic effects of DEL-22379 are compared to those of the MEK inhibitor PD-0325901 and the ERK kinase inhibitor SCH-772984, as reflected by their half-maximal growth inhibitory concentrations (GI50). Cell lines harboring mutant BRAF are the most sensitive to the three compounds. In comparison, wild-type (WT) cell lines for BRAF and RAS are the most resistant, and RAS mutant cells exhibit a range of sensitivities. In cells showing different oncogenic genotypes, distinct sensitivity to DEL-22379 can not be attributed to variations on its effects on dimerization, because DEL-22379 displays similar dimerization- and cytoplasmic signaling-inhibitory dose responses (IC50 of 150-400 nM) regardless of the genotype.

In Vivo

To test DEL-22379 antitumor effects, some of the aforementioned cell lines are xenografted into nude mice, and tumor growth is monitored after intra-peritoneal administration of DEL-22379 at 15 mg/kg. At such a dose, inhibition of ERK dimerization is evident in liver extracts and in xenografted tumors. DEL-22379 markedly inhibits tumor progression for A375 cells (BRAF mutant).

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Herrero A, et al. Small Molecule Inhibition of ERK Dimerization Prevents Tumorigenesis by RAS-ERK Pathway Oncogenes. Cancer Cell. 2015 Aug 10;28(2):170-82.

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