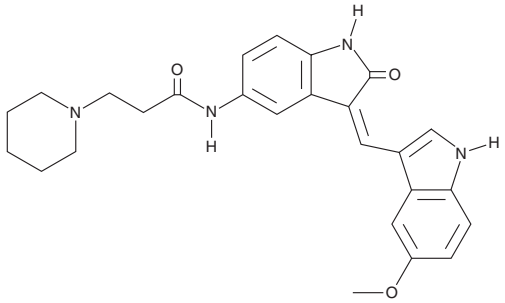
PRODUCT INFORMATION

DEL-22379

Item No. 22433

CAS Registry No.:	181223-80-3
Formal Name:	N-[2,3-dihydro-3-[(5-methoxy-1H-indol-3-yl)methylene]-2-oxo-1H-indol-5-yl]-1-piperidinepropanamide
MF:	$C_{26}H_{28}N_4O_3$
FW:	444.5
Purity:	≥98% (mixture of rotamers)
UV/Vis.:	λ_{max}: 224, 276, 405 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

DEL-22379 is supplied as a crystalline solid. A stock solution may be made by dissolving the DEL-22379 in the solvent of choice, which should be purged with an inert gas. DEL-22379 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of DEL-22379 in these solvents is approximately 30 mg/ml. DEL-22379 is also slightly soluble in ethanol.

DEL-22379 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, DEL-22379 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. DEL-22379 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

DEL-22379 is an inhibitor of ERK dimerization that disrupts EGF-induced co-immunoprecipitation of ERK tagged with hemagglutinin (HA) or FLAG epitopes with an IC_{50} value of approximately 0.5 µM without affecting ERK phosphorylation or kinase activity (IC_{50}s = >10 µM).[1] It inhibits cell growth in a panel of melanoma and colorectal cancer cell lines (IC_{50}s = 150-400 nM). DEL-22379 (15 mg/kg, i.p.) inhibits ERK dimerization in liver extract and tumor tissue and inhibits tumor progression in an A375 mouse xenograft model. It also reduces tumor growth in a *BRAF* mutant colorectal cancer patient-derived xenograft (PDX) mouse model.

Reference

1. Herrero, A., Pinto, A., Colón-Bolea, P., *et al.* Small molecule inhibition of ERK dimerization prevents tumorigenesis by RAS-ERK pathway oncogenes. *Cancer Cell* **28(2)**, 170-182 (2015).

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