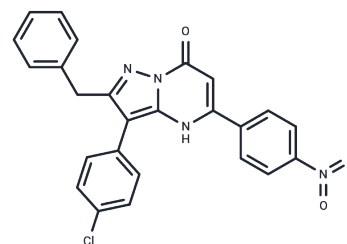


NAV-2729

Chemical Properties

CAS No. : 419547-11-8
Formula: C₂₅H₁₇ClN₄O₃
Molecular Weight: 456.88
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	NAV-2729 inhibits six ArfGEFs (human ARNO, EFA6, BIG1, and BRAG2 and Legionella and Rickettsia RaIF), the strongest effects being against BRAG2, Arf1 and Arf6.
Targets(IC50)	Others,GTPase
In vitro	NAV-2729(25 µM) inhibits spontaneous nucleotide exchange of Δ13Arf6 by ~15%. NAV-2729 inhibits the activation of Δ13Arf6 by BRAG2Sec7PH by 25%. Δ17Arf1 has no measurable spontaneous nucleotide exchange. Activation of Δ17Arf1 by BRAG2Sec7PH is inhibited by NAV-2729, and the efficiency is markedly higher than that for Arf6 (50%). In a dose-response experiment, nucleotide exchange rates are reduced by 50% by 10 µM NAV-2729 for Δ17Arf1 while 50% inhibition is not achieved even at 25 µM NAV-2729 for Δ13Arf6[1]. NAV-2729 blocks ARNO- and GEP100-mediated guanine nucleotide exchange on Arf6 and spontaneous activation of Arf6 and its activation by cytohesins and BRAG. The treatment of uveal melanoma cells with NAV-2729 interferes with anchorage-independent growth of the cell[1]. NAV-2729 is more effective toward Arf1 than Arf6[1].
In vivo	In orthotopic xenograft mouse model of uveal melanoma, systemic treatment of NAV-2729 interfere with tumorigenesis and tumor growth[2].

Solubility Information

Solubility	DMSO: 6.88 mg/mL (15.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1888 mL	10.9438 mL	21.8876 mL
5 mM	0.4378 mL	2.1888 mL	4.3775 mL
10 mM	0.2189 mL	1.0944 mL	2.1888 mL
50 mM	0.0438 mL	0.2189 mL	0.4378 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Benabdi S, et al. Family-wide Analysis of the Inhibition of Arf Guanine Nucleotide Exchange Factors with Small Molecules: Evidence of Unique Inhibitory Profiles. *Biochemistry*. 2017 Sep 26;56(38):5125-5133.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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