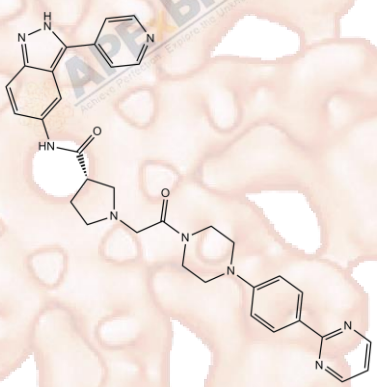
Product Data Sheet

SCH772984



Cat. No.:	A3805
CAS No.:	942183-80-4
Formula:	C33H33N9O2
M.Wt:	587.67
Synonyms:	SCH 772984; SCH-772984
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in EtOH; insoluble in H2O; ⩾14.7 mg/mL in DMSO with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7016 mL	8.5082 mL	17.0164 mL
5 mM	0.3403 mL	1.7016 mL	3.4033 mL
10 mM	0.1702 mL	0.8508 mL	1.7016 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	ERK1 and ERK2 inhibitor
IC_{50} & Target	4 nM (ERK1), 1 nM (ERK2)

In Vitro

Cell Viability Assay

Cell Line:	melanoma cell lines (M408, M202, WM1366)
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	24 h; 500 nM

	Applications:	Treatment with SCH772984 for the sensitive M408 resulted in decreased pRSK, disappearance of pERK1/2, and slight induction of pMEK, with no change in total RSK, MEK, ERK 1/2, or AKT. For the resistant M202, a modest induction of pMEK with some decrease in pERK and pRSK was observed at 24 hours. Treatment with SCH772984 resulted in upregulation of pAKT levels for M408 and WM1366.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice
	Dosage form:	25 mg/kg; b.i.d; intraperitoneal injection
	Applications:	The therapeutic effects of combining the CDK inhibitor Dinaciclib with inhibitors of ERK inhibitor SCH772984 were evaluated using two orthotopic patient-derived human pancreatic cancer xenograft models (Panc253 and Panc265). These models closely resemble the physiological and pathological conditions of pancreatic cancer in humans. A 2-3 mm3 tumor explant was implanted into the pancreas of nude mice and ultrasound imaging was used to measure the tumor size (3D) before randomization and treatment, which began when tumors grew to 50-100 mm3. The combination of Dinaciclib (20 mg/kg, i.p., t.i.w.) and SCH772984 (25 mg/kg, i.p., b.i.d.) dramatically inhibited the growth of primary orthotopic Panc265 (82.5%, p < 0.001) and Panc253 (95.7%, p
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758

2. Linnan Yang, Jing Sun, et al. "Synergetic Functional Nanocomposites Enhance Immunotherapy in Solid Tumors by Remodeling the Immunoenvironment." Advanced Science. 16 February 2019.

3. Wang Q, Zhi Y, et al. "Suppression of OSCC malignancy by oral glands derived-PIP identified by iTRAQ combined with 2D LC-MS/MS." J Cell Physiol. 2019 Jan 28.PMID:30693510

4. Alhakeem SS, McKenna MK, et al. "Chronic Lymphocytic Leukemia-Derived IL-10 Suppresses Antitumor Immunity." J Immunol. 2018 Jun 15;200(12):4180-4189.PMID:29712773

5. Li YY, Wu C, et al. "Degradation of AMPK-α1 sensitizes BRAF inhibitor-resistant melanoma cells to arginine deprivation." Mol Oncol. 2017 Dec;11(12):1806-1825.PMID:29094484

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References

[1] Wong D J L, Robert L, Atefi M S, et al. Antitumor activity of the ERK inhibitor SCH722984 against BRAF mutant, NRAS mutant and wild-type melanoma[J]. Molecular cancer, 2014, 13(1): 194.
[2] Hu C, Dadon T, Chenna V, et al. Abstract B263: Combined inhibition of cyclin-dependent kinases (Dinaciclib) and AKT (MK-2206) or ERK (SCH772984) dramatically blocks pancreatic tumor growth and metastases in patient-derived orthotopic xenograft models[J]. Molecular Cancer Therapeutics, 2013, 12(11 Supplement): B263-B263.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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