# Chemical Properties

**Product Name:** SCH772984  
**Cas No.:** 942183-80-4  
**M.Wt:** 587.67  
**Formula:** C33H33N9O2  
**Synonyms:** SCH 772984; SCH-772984  
**Chemical Name:** (3R)-1-[2-oxo-2-[4-(4-pyrimidin-2-ylphenyl)piperazin-1-yl]ethyl]-N-(3-pyridin-4-yl-1H-indazol-5-yl)pyrrolidine-3-carboxamide  
**Canonical SMILES:** C1CN(CC1C(=O)NC2=CC3=C(C=C2)NN=C3C4=CC=NC=C4)CC(=O)N5C-CN(CC5)C6=CC=C(C=C6)C7=NC=CC=N7  
**Solubility:** $\geq 14.7\text{mg/mL}$ in DMSO with gentle warming  
**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.  
**Shopping Condition:** Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

# Biological Activity

**Targets:** MAPK Signaling  
**Pathways:** MEK1/2  
**Description:** SCH772984, identified by an affinity-based mass spectroscopy high-throughput platform, is a novel, potent and ATP-competitive inhibition of ERK1 and ERK2 with 50% inhibition concentration IC50 values of 4 nmol/L and 1 nmol/L respectively. Although it displays behaviors of both type I and type II kinase inhibitors, SCH772984 is highly selective against only seven kinases, including...
CLK2, FLT4, GSG2, MAP4K4, MAPK1, MINK1, PRKD1 and TTK, out of a wide range of 300 tested with more than 50% inhibition at a concentration of 1 μmol/L. Study results have shown that SCH772984 potently inhibits tumor cells with mutations in BRAF, NRAS and KRAS at nanomolar concentrations.

Reference:

Protocol

Cell experiment:

- **Cell lines**: 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.

Animal experiment [3]:

- **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 < 0.001) versus control, and also the number of metastatic lesions of both Panc265 (94.9%, p <0.001) and Panc253 (92.4%, p <0.02).

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.

Reference:


Product Citations


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. Short-term 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.