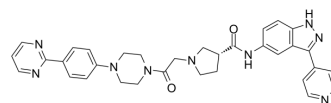


## SCH772984

Cat. No.:	HY-50846		
CAS No.:	942183-80-4		
Molecular Formula:	C <sub>33</sub> H <sub>33</sub> N <sub>9</sub> O <sub>2</sub>		
Molecular Weight:	587.67		
Target:	ERK		
Pathway:	MAPK/ERK Pathway; Stem Cell/Wnt		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 48 mg/mL (81.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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.

#### In Vivo

- Add each solvent one by one: 20% SBE-β-CD adjusted to pH 4-4.5 with 1 N acetic  
Solubility: 20 mg/mL (34.03 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.4 mg/mL (4.08 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 1.43 mg/mL (2.43 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: 1.43 mg/mL (2.43 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 1.43 mg/mL (2.43 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

SCH772984 is a highly selective and ATP-competitive ERK inhibitor, with IC<sub>50</sub>s of 4 and 1 nM for ERK1 and ERK2, respectively. SCH772984 has antitumor activity in MAPK inhibitor-naïve and MAPK inhibitor-resistant cells containing BRAF or RAS mutations<sup>[1]</sup>.

<b>IC<sub>50</sub> &amp; Target</b>	ERK2 1 nM (IC <sub>50</sub> )	ERK1 4 nM (IC <sub>50</sub> )																
<b>In Vitro</b>	<p>SCH772984 (300 nM; 24-48hours) results in a G1 arrest in SCH772984-sensitive melanoma cells<sup>[1]</sup>.  SCH772984 (3-300 nM; 24 hours) inhibits ERK and RSK phosphorylation<sup>[1]</sup>.  SCH772984 shows EC<sub>50</sub> values less than 500 nM in approximately 88% and 49% of BRAF-mutant (n=25) or RAS-mutant (n=35) tumor lines, respectively<sup>[1]</sup>.  MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Cycle Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>LOX cells (SCH772984-sensitive melanoma cells)</td> </tr> <tr> <td>Concentration:</td> <td>300 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24, 48 hours</td> </tr> <tr> <td>Result:</td> <td>Revealed a G1 arrest as well as an increase in the sub-G1 fraction indicative of apoptosis.</td> </tr> </table> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>LOX BRAF<sup>V600E</sup> melanoma cells</td> </tr> <tr> <td>Concentration:</td> <td>3, 10, 30, 100, 300 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>A dose-dependent inhibition of phosphorylation of the ERK substrate RSK (T359/S363 phospho-RSK), and also inhibited phosphorylation of residues in the activation loop of ERK itself (T202/Y204 and T185/Y187 of ERK1 and ERK2, respectively).</td> </tr> </table>		Cell Line:	LOX cells (SCH772984-sensitive melanoma cells)	Concentration:	300 nM	Incubation Time:	24, 48 hours	Result:	Revealed a G1 arrest as well as an increase in the sub-G1 fraction indicative of apoptosis.	Cell Line:	LOX BRAF <sup>V600E</sup> melanoma cells	Concentration:	3, 10, 30, 100, 300 nM	Incubation Time:	24 hours	Result:	A dose-dependent inhibition of phosphorylation of the ERK substrate RSK (T359/S363 phospho-RSK), and also inhibited phosphorylation of residues in the activation loop of ERK itself (T202/Y204 and T185/Y187 of ERK1 and ERK2, respectively).
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<b>In Vivo</b>	<p>SCH772984 (12.5-50 mg/kg; i.p.; twice daily for 14 days) leads to 98% tumor regression<sup>[1]</sup>.  Dose-dependent antitumor activity is also observed in the KRAS-mutant pancreatic MiaPaCa model, with 36% regression at 50 mg/kg twice daily. Importantly, tumor regression is accompanied by robust inhibition of ERK phosphorylation in tumor tissue. SCH772984 is well tolerated on this schedule as measured by morbidity, lethality, or body weight loss<sup>[1]</sup>.  MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female nude mice bearing human LOX BRAFV600E tumors<sup>[1]</sup></td> </tr> <tr> <td>Dosage:</td> <td>12.5, 25, 50 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; twice daily for 14 days</td> </tr> <tr> <td>Result:</td> <td>Tumor regressions were observed at all doses, such as 17% at 12.5 mg/kg, 84% at 25 mg/kg, and 98% at 50 mg/kg).</td> </tr> </table>		Animal Model:	Female nude mice bearing human LOX BRAFV600E tumors <sup>[1]</sup>	Dosage:	12.5, 25, 50 mg/kg	Administration:	Intraperitoneal injection; twice daily for 14 days	Result:	Tumor regressions were observed at all doses, such as 17% at 12.5 mg/kg, 84% at 25 mg/kg, and 98% at 50 mg/kg).								
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## CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2024 Mar 9;9(1):65.
- Nat Nanotechnol. 2021 Jul;16(7):830-839.
- Immunity. 2021 Sep 14;54(9):2042-2056.e8.
- Nat Cell Biol. 2021 Apr;23(4):377-390.
- Nat Metab. 2023 Mar 6.

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## REFERENCES

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[1]. Morris EJ, et al. Discovery of a novel ERK inhibitor with activity in models of acquired resistance to BRAF and MEK inhibitors. *Cancer Discov.* 2013 Jul;3(7):742-50.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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