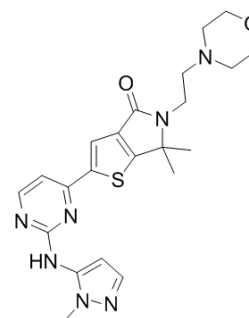


## LY3214996

<b>Cat. No.:</b>	HY-101494		
<b>CAS No.:</b>	1951483-29-6		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>27</sub> N <sub>7</sub> O <sub>2</sub> S		
<b>Molecular Weight:</b>	453.56		
<b>Target:</b>	ERK		
<b>Pathway:</b>	MAPK/ERK Pathway; Stem Cell/Wnt		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 20 mg/mL (44.10 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	<b>Preparing Stock Solutions</b>	<b>1 mM</b>	2.2048 mL	11.0239 mL
	<b>5 mM</b>	0.4410 mL	2.2048 mL	
	<b>10 mM</b>	0.2205 mL	1.1024 mL	
	Please refer to the solubility information to select the appropriate solvent.			
<b>In Vivo</b>	<p>1. Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2 mg/mL (4.41 mM); Clear solution</p> <p>2. Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2 mg/mL (4.41 mM); Clear solution</p> <p>3. Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2 mg/mL (4.41 mM); Clear solution</p>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	LY3214996 is a highly selective inhibitor of ERK1 and ERK2, with IC <sub>50</sub> of 5 nM for both enzymes in biochemical assays. LY3214996 potently inhibits cellular p-RSK1 in BRAF and RAS mutant cancer cell lines. LY3214996 shows potent antitumor activities in cancer models with MAPK pathway alterations.	
<b>IC<sub>50</sub> &amp; Target</b>	ERK1 5 nM (IC <sub>50</sub> )	ERK2 5 nM (IC <sub>50</sub> )

<b>In Vitro</b>	<p>LY3214996 is a highly selective inhibitor of ERK1 and ERK2, with IC<sub>50</sub> of 5 nM for both enzymes in biochemical assays. LY3214996 potently inhibits cellular phospho-RSK1 in BRAF and RAS mutant cancer cell lines. In an unbiased tumor cell panel sensitivity profiling for inhibition of cell proliferation, tumor cells with MAPK pathway alterations including BRAF, NRAS or KRAS mutation are generally sensitivity to LY3214996<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<p>In tumor xenograft models, LY3214996 inhibits PD biomarker phospho-p90RSK1 in tumors and the PD effects are correlated with compound exposures and anti-tumor activities. LY3214996 shows either similar or superior anti-tumor activity as compared to other published ERK inhibitors in BRAF or RAS mutant cell lines and xenograft models. Oral administration of single-agent LY3214996 significantly inhibits tumor growth in vivo and is well tolerated in BRAF or NRAS mutant melanoma, BRAF or KRAS mutant colorectal, lung and pancreatic cancer xenografts or PDX models. Therefore, LY3214996 can be tailored for treatment of cancers with MAPK pathway alteration. In addition, LY3214996 has anti-tumor activity in a PLX4032-resistant A375 melanoma xenograft model due to MAPK reactivation, may have potential for treatment of melanoma patients who have failed BRAF therapies. More importantly, LY3214996 can be combined with investigational and approved agents in preclinical models, particularly KRAS mutant models. Combination treatment of LY3214996 and CDK4/6 inhibitor abemaciclib is well tolerated and results in potent tumor growth inhibition or regression in multiple in vivo cancer models, including KRAS mutant colorectal and non-small cell lung cancers<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## CUSTOMER VALIDATION

- Mol Cell. 2019 Jan 3;73(1):7-21.e7.
- Signal Transduct Target Ther. 2020 Sep 30;5(1):197.
- Cancer Sci. 2019 May;110(5):1746-1759.
- Cancer Med. 2020 Jun;9(11):3875-3884.
- J Microbiol. 2020 Apr;58(4):320-329.

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## REFERENCES

[1]. Shripad V. Bhagwat, et al. Abstract 4973: Discovery of LY3214996, a selective and novel ERK1/2 inhibitor with potent antitumor activities in cancer models with MAPK pathway alterations. Cancer Research. July 2017.

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

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