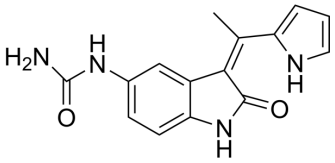
BX517

Cat. No.:	HY-13842
CAS No.:	850717-64-5
Molecular Formula:	$C_{15}H_{14}N_4O_2$
Molecular Weight:	282.3
Target:	PDK-1
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 27 mg/mL (95.64 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5423 mL	17.7117 mL	35.4233 mL
5 mM	0.7085 mL	3.5423 mL	7.0847 mL
10 mM	0.3542 mL	1.7712 mL	3.5423 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BX517 is a potent and selective inhibitor of PDK1 with IC_{50} of 6 nM.

IC_{50} & Target

IC50: 6 nM (PDK1)

In Vitro

BX-517 blocks activation of Akt in tumor cells, is potent with IC_{50} of 0.1-1.0 μM[1]. BX-517 blocks AKT2 activation in cells with submicromolar potency. BX-517 is 100-fold selective or better against a panel of seven additional Ser/Thr and Tyr kinases[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- PeerJ. 2020 Oct 2;8:e9981.

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REFERENCES

[1]. Islam I, et al. Indolinone based phosphoinositide-dependent kinase-1 (PDK1) inhibitors. Part 2: optimization of BX-517. Bioorg Med Chem Lett. 2007 Jul 15;17(14):3819-25.

[2]. Islam I, et al. Indolinone based phosphoinositide-dependent kinase-1 (PDK1) inhibitors. Part 1: design, synthesis and biological activity. Bioorg Med Chem Lett. 2007 Jul 15;17(14):3814-8.

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