GNE 2861

Cat. No.: HY-12632

CAS No.: 1394121-05-1

Molecular Formula: $C_{22}H_{26}N_6O_2$

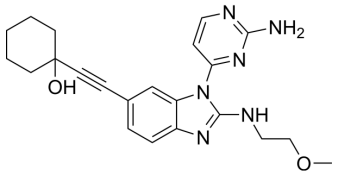
Molecular Weight: 406.48

Target: PAK

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

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 : 100 mg/mL (246.01 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4601 mL	12.3007 mL	24.6015 mL
5 mM	0.4920 mL	2.4601 mL	4.9203 mL
10 mM	0.2460 mL	1.2301 mL	2.4601 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: ≥ 2.08 mg/mL (5.12 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.12 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GNE 2861 is a PAK inhibitor that displays group II selectivity. GNE 2861 inhibits PAK4, PAK5 and PAK6 with IC_{50}s of 7.5, 36, 126 nM, respectively.

IC_{50} & Target

IC50: 7.5 nM (PAK4), 36 nM (PAK5), 126 nM (PAK6)[1]

In Vitro

GNE 2861 is compound 4 from the reference[1].

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

REFERENCES

[1]. Karpov AS, et al. Optimization of a Dibenzodiazepine Hit to a Potent and Selective Allosteric PAK1 Inhibitor. ACS Med Chem Lett. 2015 May 22;6(7):776-81.

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