MRT00033659

Cat. No.: HY-117857

CAS No.: 1401731-54-1

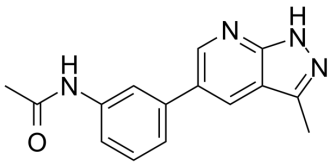
Molecular Formula: $C_{15}H_{14}N_4O$

Molecular Weight: 266.3

Target: Casein Kinase; Checkpoint Kinase (Chk); MDM-2/p53; Early 2 Factor (E2F)

Pathway: Cell Cycle/DNA Damage; Stem Cell/Wnt; Apoptosis

Storage: Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (312.92 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7552 mL	18.7758 mL	37.5516 mL
	5 mM	0.7510 mL	3.7552 mL	7.5103 mL
	10 mM	0.3755 mL	1.8776 mL	3.7552 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: ≥ 4.17 mg/mL (15.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 4.17 mg/mL (15.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.17 mg/mL (15.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MRT00033659 is a potent broad-spectrum kinase inhibitor of CK1 (IC_{50}=0.9 μM for CK1δ) and CHK1 (IC_{50}=0.23 μM). MRT00033659, a pyrazolo-pyridine analogue, induces p53 pathway activation and E2F-1 destabilisation[1].

IC_{50} & Target

CKIδ	Chk1
0.9 μM (IC_{50})	0.23 μM (IC_{50})

In Vitro

MRT00033659 (5-40 μM; 48 hours) is sufficient to significantly reduce cell number of 5 μM[1].
MRT00033659 (1-80 μM; 48 hours) induces substantial cell death from 5 μM[1].

MRT00033659 (0.2-80 μM; 48 hours) induces a robust and sustained stabilisation of p53, MDM2 and p21 proteins, as well as E2F-1 destabilisation from 0.2 μM to 5 μM[1].
MRT00033659 does not inhibit p38α MAPK[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A375 cells
Concentration:	5, 20, 40 μM
Incubation Time:	48 hours
Result:	Significantly reduced cell number of 5 μM.

Apoptosis Analysis[1]

Cell Line:	A375 cells
Concentration:	1, 5, 10, 20, 40, 80 μM
Incubation Time:	48 hours
Result:	Induced substantial cell death from 5 μM.

Western Blot Analysis[1]

Cell Line:	A375 cells
Concentration:	0.2, 1, 5, 10, 20, 40, 80 μM
Incubation Time:	48 hours
Result:	Induced a robust and sustained stabilisation of p53, MDM2 and p21 proteins, as well as E2F-1 destabilisation from 0.2 μM to 5 μM.

REFERENCES

[1]. Huart AS, et al. A Casein kinase 1/Checkpoint kinase 1 pyrazolo-pyridine protein kinase inhibitor as novelactivator of the p53 pathway. Bioorg Med Chem Lett. 2013 Oct 15;23(20):5578-85.

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