Product Data Sheet

TC-A 2317 hydrochloride

 Cat. No.:
 HY-103266

 CAS No.:
 1245907-03-2

 Molecular Formula:
 C₁₉H₂₉ClN₆O

Molecular Weight: 392.93

Target: Aurora Kinase

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

TC-A 2317 hydrochloride is an orally active Aurora A kinase inhibitor (K _i =1.2 nM). TC-A 2317 hydrochloride exhibits excellent
$selectivity\ to\ Aurora\ B\ kinase\ (K_i=101\ nM)\ and\ other\ 60\ kinases,\ good\ cell\ permeability\ and\ good\ PK\ profile.\ Antitumor$
$activity^{[1]}.$

IC₅₀ & Target Aurora A Aurora B
1.2 nM (Ki) 101 nM (Ki)

In Vitro TC-A 2317 hydrochloride (Compound 6) inhibits proliferation of HCT116 cells with an IC₅₀ of 115 nM^[1].

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

TC-A 2317 hydrochloride shows good PK profile; C_{max} value is 4930 nM (T_{max} =1.2 h) and serum concentration after 24 h is 52 nM ($T_{1/2}$ =3.3 h) at 30 mg/kg po in rats^[1].

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

TC-A 2317 hydrochloride is effective in antitumor mice model without decrease of body weight^[1].

Animal Model:	HCT-116 Xenograft mice $mode^{[1]}$
Dosage:	P.o.; daily for 14 days
Administration:	30 mg/kg
Result:	Growth of tumor was inhibited by 59% after 14 days.

REFERENCES

In Vivo

 $[1]. Ando\ R, et\ al.\ 3-Cyano-6-(5-methyl-3-pyrazoloamino) pyridines:\ selective\ Aurora\ A\ kinase\ inhibitors.\ Bioorg\ Med\ Chem\ Lett.\ 2010; 20(15):4709-4711.$

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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