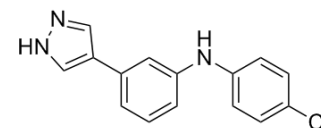


GKI-1

Cat. No.:	HY-100521
Molecular Formula:	C ₁₅ H ₁₂ ClN ₃
Molecular Weight:	269.73
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	GKI-1 is a Greatwall (GWL) kinase inhibitor with IC ₅₀ s of 4.9 and 2.5 μM against hGWL ^{FL} and hGWL-KinDom, respectively. GKI-1 robustly inhibits ROCK1 with an IC ₅₀ of 11 μM, but only weakly affected PKA ^[1] .
IC ₅₀ & Target	IC ₅₀ : 4.9 μM (hGWL ^{FL}), 4.9 μM (hGWL-KinDom) ^[1]
In Vitro	GKI-1 inhibits full-length human GWL, and shows cellular efficacy ^[1] . Treatment of HeLa cells (25 and 50 μM, 0-8.5 hours) with GKI-1 reduces ENSA/ARPP19 phosphorylation levels, resulting in a decrease in mitotic events, mitotic arrest/cell death and cytokinesis failure ^[1] .

REFERENCES

[1]. Ocasio CA, et al. A first generation inhibitor of human Greatwall kinase, enabled by structural and functional characterisation of a minimal kinase domain construct. *Oncotarget*. 2016 Nov 1;7(44):71182-71197.

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

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