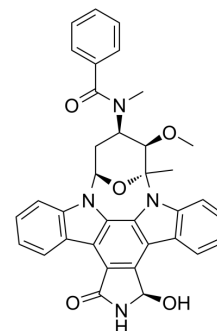


(R)-3-Hydroxy Midostaurin

Cat. No.:	HY-108263B
CAS No.:	155848-20-7
Molecular Formula:	C ₃₅ H ₃₀ N ₄ O ₅
Molecular Weight:	586.64
Target:	FLT3; Drug Metabolite
Pathway:	Protein Tyrosine Kinase/RTK; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	(R)-3-Hydroxy Midostaurin ((R)-CGP52421) is a potent kinases inhibitor. (R)-3-Hydroxy Midostaurin is a major metabolite of midostaurin (PKC412; HY-10230) undergoing by the hepatic CYP3A4 enzyme. (R)-3-Hydroxy Midostaurin has the potential for acute myeloid leukemia (AML) ^[1] .
In Vitro	(R)-3-Hydroxy Midostaurin ((R)-CGP52421; compound 5) has IC ₅₀ values in the range of 200-400 nM against the ITD and D835Y mutants and low micromolar activity against the wild-type enzyme ^[1] . The epimeric mixture of metabolites ((R)-3-Hydroxy Midostaurin + (S)-3-Hydroxy Midostaurin) substantially inhibits the proliferation of only the Tel-PDGFRβ (GI ₅₀ =63 nM), KIT D816V (GI ₅₀ =320 nM), and FLT3-ITD (GI ₅₀ =650 nM) BaF3 cell lines, while the wild-type cells are relatively insensitive ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Manley PW, et al. Comparison of the Kinase Profile of Midostaurin (Rydapt) with That of Its Predominant Metabolites and the Potential Relevance of Some Newly Identified Targets to Leukemia Therapy. *Biochemistry*. 2018 Sep 25;57(38):5576-5590.

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

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