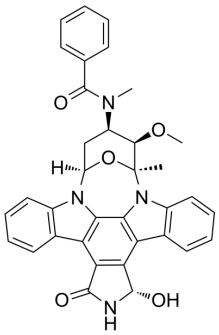
(S)-3-Hydroxy Midostaurin

Cat. No.:	HY-108263A
CAS No.:	945260-14-0
Molecular Formula:	$C_{35}H_{30}N_4O_5$
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	(S)-3-Hydroxy Midostaurin ((S)-CGP52421) is a potent kinases inhibitor with IC_{50} values of <400 nM for 13 kinases (VEGFR-2, TRK-A, FLT3, et). (S)-3-Hydroxy Midostaurin is a minor metabolite of midostaurin (PKC412; HY-10230) undergoing by the hepatic CYP3A4 enzyme. (S)-3-Hydroxy Midostaurin has the potential for acute myeloid leukemia (AML)[1].
In Vitro	(S)-3-Hydroxy Midostaurin ((S)-CGP52421; compound 4) 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 PredominantMetabolites and the Potential Relevance of Some Newly Identified Targets to Leukemia Therapy. Biochemistry. 2018 Sep 25;57(38):5576-5590.

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