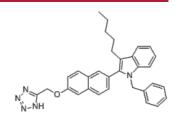


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## **Data Sheet**

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Diaplasinin
Cat. No.	:	PC-49769
CAS No.	:	481631-45-2
Molecular Formula	:	C <sub>32</sub> H <sub>31</sub> N <sub>5</sub> O
Molecular Weight	:	501.63
Target	:	Plasminogen Activator Inhibitor-1 (PAI-1)
Solubility	:	10 mM in DMSO



## **Biological Activity**

Diaplasinin (PAI-749) is a potent, selective antagonist of plasminogen activator inhibitor 1 (**PAI-1**) with IC50 of 154 nM (preservation of tPA activity) and Ki of 253 nM, blocks PAI-1 mediated inhibition of uPA with IC50 of 87 nM. Diaplasinin (PAI-749) dose-dependently blocked PAI-1-mediated inactivation of tPA activity toward its low-molecular-weight amidolytic substrate, Spectrozyme tPA.

Diaplasinin (PAI-749) displayed marked selectivity for PAI-1 relative to other serpins.

Diaplasinin (PAI-749) binds directly to PAI-1, blocks PAI-1 from accessing the active site of tPA, and abrogates formation of the SDS-stable tPA/PAI-1 complex.

PAI-749 does not affect thrombus formation or fibrinolysis in a range of established human plasma and whole blood-based systems.

## References

Gardell SJ, et al. Mol Pharmacol. 2007 Oct;72(4):897-906.

Lucking AJ, et al. J Thromb Haemost. 2010 Jun;8(6):1333-9.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com