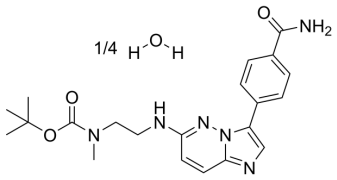
LP-922761 hydrate

Cat. No.:	HY-120179A
Molecular Formula:	$C_{21}H_{26}N_6O_3 \cdot 1/_4H_2O$
Molecular Weight:	414.98
Target:	AAK1
Pathway:	Neuronal Signaling

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description	LP-922761 hydrate is a potent, selective and orally active adapter protein-2 associated kinase 1 (AAK1) inhibitor with IC_{50}s of 4.8 nM and 7.6 nM in enzyme and cell assays, respectively. LP-922761 hydrate also inhibits BMP-2-inducible protein kinase (BIKE) with an IC_{50} of 24 nM. LP-922761 hydrate shows less activity at cyclin G-associated kinase (GAK), opioid, adrenergic α2 or GABAa receptors[1].
IC_{50} & Target	IC50: 4.8 nM (Adapter protein-2 associated kinase 1 (AAK1) in enzyme assays); 7.6 nM (AAK1 in cell assays); 24 nM (BMP-2-inducible protein kinase (BIKE))[1]
In Vivo	In mouse, LP-922761 has a brain to plasma ratio of 0.007, indicating that LP-922761 is essentially restricted to the peripheral compartment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kostich W, et al. Inhibition of AAK1 Kinase as a Novel Therapeutic Approach to Treat Neuropathic Pain. J Pharmacol Exp Ther. 2016 Sep;358(3):371-86.

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