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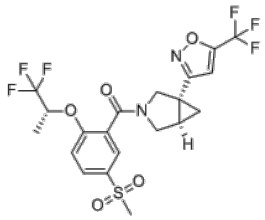
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Iclepertin
Cat. No.	:	PC-38397
CAS No.	:	1421936-85-7
Molecular Formula	:	$C_{20}H_{18}F_6N_2O_5S$
Molecular Weight	:	512.423
Target	:	Glycine Transporter (GlyT)
Solubility	:	10 mM in DMSO



Biological Activity

Iclepertin (BI 425809) is a potent, selective and oral glycine transporter 1 (**GlyT1**) inhibitor with IC50 of 5.2 nM in rat primary neurons and 5.0 nM in human SK-N-MC cells (3H-glycine uptake).
BI 425809 displays no activity of BI 425809 against the related glycine transporter GlyT2, nor against other off-targets.
Oral administration of BI 425809 in rats induced a dose-dependent increase of glycine CSF levels from 30% (0.2 mg/kg, not significant) to 78% (2 mg/kg, P < 0.01), relative to vehicle.
Iclepertin (BI 425809) has been developed for the treatment of cognitive impairment associated with schizophrenia and AD.

References

Moschetti V, et al. ***Clin Drug Investig***. 2018 Aug;38(8):737-750.

Rosenbrock H, et al. ***Clin Transl Sci***. 2018 Nov;11(6):616-623.

Moschetti V, et al. ***Eur J Drug Metab Pharmacokinet***. 2018 Apr;43(2):239-249.

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