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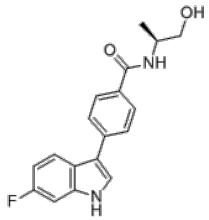
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NTRC 3531-0
Cat. No.	:	PC-49664
CAS No.	:	2171408-68-5
Molecular Formula	:	$C_{18}H_{17}FN_2O_2$
Molecular Weight	:	312.34
Target	:	Tryptophan 2,3-Dioxygenase (TDO)
Solubility	:	10 mM in DMSO



Biological Activity

NTRC 3531-0 is a brain-penetrable, selective inhibitor of L-tryptophan-catabolizing enzyme **tryptophan 2,3-dioxygenase** (TDO) with IC50 of 490 nM (hTDO) in biochemical assays and cellular IC50 of 816 nM in HEK-hTDO.
NTRC 3531-0 is inactive on hIDO1 in the biochemical assay at >30 uM, inhibits Trp metabolism in human IDO1-overexpressing HEK-293 cells (HEK-hIDO1) with an IC50 of 20.7 μM, 60 times selective for hTDO over hIDO1.
NTRC 3531-0 is slightly less potent on mTDO compared to hTDO in the biochemical assays (mTDO IC50=846 nM).
Oral administration of NTRC 3531-0 (100 mg/kg) resulted in an increase in the concentration of Trp in plasma as well as in brain compared to mice treated with vehicle.
NTRC 3531-0 treatment showed decreased expression of rotenone-induced glial fibrillary acidic protein (GFAP), which is a marker of enteric glial cells, and decreased α-synuclein accumulation in the enteric plexus.

References

Perez-Pardo P, et al. ***FEBS J.*** 2021 Jul;288(14):4311-4331.

Patent Application No. WO2018/011227 A1.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com