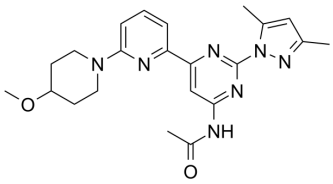
TC-G 1004

Cat. No.:	HY-14365
CAS No.:	1061747-72-5
Molecular Formula:	$C_{22}H_{27}N_7O_2$
Molecular Weight:	421.5
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TC-G 1004 (compound 16j) is an orally active A_{2A} adenosine receptor antagonist, with K_i values of 0.44 nM and 80 nM for hA_{2A} and hA_1, respectively[1].
IC_{50} & Target	Ki: 0.44 nM (hA_{2A}), 80 nM (hA_1)[1].
In Vitro	TC-G 1004 (compound 16j) is a highly potent A_{2A} antagonist at both the human and rat receptors with greater than 100× selectivity over hA_1[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TC-G 1004 (compound 16j, 3 mg/kg) displays good in vivo oral activity in rat models for Parkinson's disease and were advanced to preclinical development[1]. TC-G 1004 (compound 16j) dose-dependently potentiates L-dopa induced rotations with an MED of 3 mg/kg when dosed orally[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Xiaohu Zhang, et al. Lead optimization of 4-acetylamino-2-(3,5-dimethylpyrazol-1-yl)-6-pyridylpyrimidines as A2A adenosine receptor antagonists for the treatment of Parkinson's disease. J Med Chem. 2008 Nov 27;51(22):7099-110.

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