

PRODUCT INFORMATION



GENE-0877

Item No. 16251

CAS Registry No.: 1374828-69-9

Formal Name: $\alpha,\alpha,3$ -trimethyl-4-[[4-(methylamino)-5-(trifluoromethyl)-2-pyrimidinyl]amino]-1H-pyrazole-1-acetonitrile

MF: $C_{14}H_{16}F_3N_7$

FW: 339.3

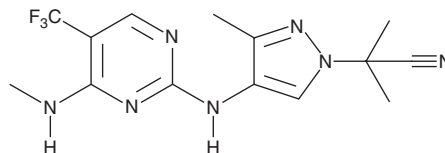
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 235, 295 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GENE 0877 is supplied as a crystalline solid. A stock solution may be made by dissolving the GNE 0877 in the solvent of choice, which should be purged with an inert gas. GNE 0877 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GNE 0877 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

GENE 0877 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GNE 0877 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GNE 0877 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Leucine-rich repeat kinase 2 (LRRK2) is an enzyme that interacts with parkin, a ligase that is part of the ubiquitin-proteasome system that mediates the targeting of proteins for degradation. Loss of function of the parkin protein leads to dopaminergic cell death. The development of Parkinson's disease has been strongly associated with mutations in the LRRK2 gene that lead to increased kinase activity. GNE 0877 is a selective, brain-penetrable LRRK2 inhibitor ($K_i = 0.7$ nM).¹ It inhibits LRRK2 Ser¹²⁹² autophosphorylation in BAC transgenic mice expressing human LRRK2 protein with the G2019S Parkinson's disease mutation with an IC_{50} value of 3 nM *in vivo*.¹

Reference

1. Estrada, A.A., Chan, B.K., Baker-Glenn, C., *et al.* Discovery of highly potent, selective, and brain-penetrant aminopyrazole leucine-rich repeat kinase 2 (LRRK2) small molecule inhibitors. *J. Med. Chem.* **57**(3), 921-936 (2014)

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM