

AGB1

Chemical Properties

CAS No. :

Formula: C₅₁H₆₃ClN₈O₉S₂

Molecular Weight:

Keep away from direct sunlight

Storage:

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	AGB1 is a highly selective and potent B&H-PROTAC degrader. It degrades Ab:Brd4BD2 L387A and Ab:BromoTag-Brd2 with pDC50 values of 7.8 and 7.9, respectively. AGB1 has an affinity for VHL with a K _d of 125 nM. In mice, AGB1 exhibits favorable pharmacokinetic properties [DC50, 6 h approximately 13 nM].
Targets(IC50)	Others,PROTACs
In vitro	AGB1 establishes a robust, cooperative ternary complex with VHL and BromoTag (Brd4 BD2 L387A), and it effectively degrades BromoTagged target proteins with high nanomolar potency and remarkable selectivity over wild-type BET proteins across the proteome. AGB1 demonstrates no cytotoxicity in various cancer-relevant cell lines, highlighting its exceptional selectivity compared to off-target endogenous BET proteins.
In vivo	AGB1 demonstrates excellent plasma stability and an acceptable pharmacokinetic (PK) profile in mice, evidenced by favorable PK characteristics following both 5 mg/kg intravenous (IV) and subcutaneous (SC) injections. After IV administration, AGB1 shows a short elimination half-life of 1.49 hours in mice, attributed to its relatively low clearance rate of 47.2 mL/min/kg. Similarly, SC injection results in a short elimination half-life of 1.65 hours, with a C _{max} of 0.700 μM and T _{max} of 0.500 hours. Furthermore, AGB1 maintains a plasma concentration above its BromoTag-Brd2 DC 50, approximately 13 nM, for about 4 hours with IV injection and for over 8 hours with SC injection at a 5 mg/kg dose.

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